10/766,948

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	394	544/349 OR 544/350 OR 544/353 OR 544/354 OR 544/355	US-PGPUB; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/02/27 13:37
S2	63	S1 AND (CYCLOPENTA OR DIMETHYLCYCLOHEXYL OR METABOTROPIC OR GLUTAMATE OR MGLUR)	US-PGPUB; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/02/27 13:37
S3	1370	544/349 OR 544/350 OR 544/353 OR 544/354 OR 544/355	USPAT	OR	OFF	2006/02/27 13:37
S4	0	S2 AND (CYCLOPENTA OR DIMETHYLCYCLOHEXYL OR METABOTROPIC OR GLUTAMATE OR MGLUR)	USPAT	OR	OFF	2006/02/27 13:38
S5	133	S3 AND (CYCLOPENTA OR DIMETHYLCYCLOHEXYL OR METABOTROPIC OR GLUTAMATE OR MGLUR)	USPAT	OR	OFF	2006/02/27 13:38
S6	75	S5 AND (GLUTAMATE ADJ RECEPTOR OR NMDA OR MGLUR OR METABOTROPIC)	USPAT	OR	OFF	2006/02/27 13:39

STN SEARCH TRANSCRIPT

SN 10/766,948

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 NEWS  3  DEC 05  CASREACT(R) - Over 10 million reactions available
 NEWS  4  DEC 14  2006 MeSH terms loaded in MEDLINE/LMEDLINE
 NEWS  5  DEC 14  2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
 NEWS  6  DEC 14  CA/CAplus to be enhanced with updated IPC codes
 NEWS  7  DEC 21  IPC search and display fields enhanced in CA/CAplus with the
                  IPC reform
 NEWS  8  DEC 23  New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
                  USPAT2
 NEWS  9  JAN 13  IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
 NEWS 10  JAN 13  New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
                  INPADOC
 NEWS 11  JAN 17  Pre-1988 INPI data added to MARPAT
 NEWS 12  JAN 17  IPC 8 in the WPI family of databases including WPIFV
 NEWS 13  JAN 30  Saved answer limit increased
 NEWS 14  JAN 31  Monthly current-awareness alert (SDI) frequency
                  added to TULSA
 NEWS 15  FEB 21  STN AnaVist, Version 1.1, lets you share your STN AnaVist
                  visualization results
 NEWS 16  FEB 22  Status of current WO (PCT) information on STN
 NEWS 17  FEB 22  The IPC thesaurus added to additional patent databases on STN
 NEWS 18  FEB 22  Updates in EPFULL; IPC 8 enhancements added

 NEWS EXPRESS  FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
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               http://download.cas.org/express/v8.0-Discover/

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FILE 'HOME' ENTERED AT 08:02:15 ON 28 FEB 2006
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=> FILE REG
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                    0.21        0.21

FILE 'REGISTRY' ENTERED AT 08:02:20 ON 28 FEB 2006
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STRUCTURE FILE UPDATES:   26 FEB 2006  HIGHEST RN 875270-69-2
DICTIONARY FILE UPDATES:  26 FEB 2006  HIGHEST RN 875270-69-2

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*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
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*                                                                   *
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=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=>
Uploading C:\Program Files\Stnexp\Queries\METABOTROPIC GLUTAMATE.str
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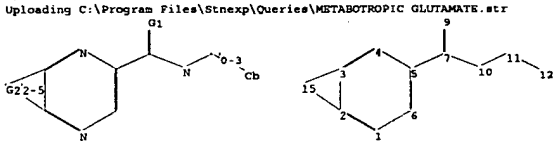


```
chain nodes :
7  9  10  11  12
ring nodes :
1  2  3  4  5  6  15
chain bonds :
5-7  7-9  7-10  10-11  11-12
ring bonds :
1-2  1-6  2-3  2-15  3-4  3-15  4-5  5-6
exact/norm bonds :
2-15  3-15  5-7  7-9  7-10  10-11  11-12
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :

G1:O,S

G2:C,O,S,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  9:CLASS  10:CLASS
11:CLASS  12:Atom  15:Atom



L1      STRUCTURE UPLOADED

=> que L1

L2   QUE L1

=> D L1
L1 HAS NO ANSWERS
L1                STR
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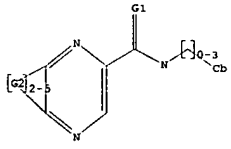


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G1 O,S
G2 C,O,S,N


Structure attributes must be viewed using STN Express query preparation.


=> S L1
SAMPLE SEARCH INITIATED 08:10:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      329 TO ITERATE

100.0% PROCESSED      329 ITERATIONS                              50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          5492 TO     7668
PROJECTED ANSWERS:              964 TO     1996

L3           50 SEA SSS SAM L1
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=> S L1 SSS FULL
FULL SEARCH INITIATED 08:12:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      6431 TO ITERATE

100.0% PROCESSED     6431 ITERATIONS                          1369 ANSWERS
SEARCH TIME: 00.00.06

L4          1369 SEA SSS FUL L1

=> FILE CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                  173.54      173.75

FILE 'CAPLUS' ENTERED AT 08:12:12 ON 28 FEB 2006
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FILE LAST UPDATED: 27 Feb 2006  (20060227/ED)

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=> S L4
L5          283 L4

=> FILE REG
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                    0.46      174.21

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DICTIONARY FILE UPDATES:  26 FEB 2006  HIGHEST RN 875270-69-2

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FILE COVERS 1907 - 28 Feb 2006 VOL 144 ISS 10
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http://www.cas.org/infopolicy.html

=> D 6-10

L5 ANSWER 6 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1251676 CAPLUS
DN 144:150330
TI Design of novel hexahydropyrazinoquinolines as potent and selective dopamine D3 receptor ligands with improved solubility
AU Chen, Jianyong; Ding, Ke; Levant, Beth; Wang, Shaomeng
CS Departments of Internal Medicine and Medicinal Chemistry, University of Michigan, Ann Arbor, MI, 48109-0934, USA
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(2), 443-446
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1201037 CAPLUS
DN 143:460276
TI Phosphate/sulfate ester compounds and pharmaceutical compositions for inhibiting protein interacting NIMA (PIN 1)
IN Dagostino, Eleanor; Dong, Liming; Guo, Chuangxing; Hou, Xinjun; Margosiak, Stephen
PA Pfizer Inc., USA
SO U.S. Pat. Appl. Publ., 82 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005250742	A1	20051110	US 2004-792241	20040303
PRAI US 2004-792241		20040303		

OS MARPAT 143:460276

L5 ANSWER 8 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1103757 CAPLUS
DN 143:387051
TI Preparation of pyrimidine derivatives as MCH antagonists for treatment of CNS disorders
IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-Anh; Semple, Graeme; Kramer, Bryan A.
PA Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceuticals, Inc
SO PCT Int. Appl., 281 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095357	A2	20051013	WO 2005-JP6582	20050329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2004-557406P		P 20040330		

OS MARPAT 143:387051

L5 ANSWER 9 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1075759 CAPLUS
DN 143:367087
TI Preparation of benzamide and nicotinamide derivatives as opioid receptor antagonists
IN Chappell, Mark Donald; Mitch, Charles Howard; Quimby, Steven James; Siegel, Miles Goodman
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092836	A1	20051006	WO 2005-US6723	20050302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2004-553175P		P 20040315		

OS MARPAT 143:367087
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

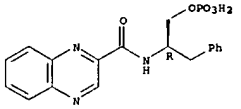
L5 ANSWER 10 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1016895 CAPLUS
DN 143:415586
TI G-Protein-Coupled Receptor Affinity Prediction Based on the Use of a Profiling Dataset: QSAR Design, Synthesis, and Experimental Validation
AU Rolland, Catherine; Gozalbes, Rafael; Nicolaie, Eric; Paugam, Marie-France; Coussy, Laurent; Barbosa, Frederique; Horvath, Dragos; Revah, Frederic
CS Cerep, Rueil-Malmaison, 92500, Fr.
SO Journal of Medicinal Chemistry (2005), 48(21), 6563-6574
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 7 HITSTR

L5 ANSWER 7 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

IT 774242-66-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phosphate/sulfate ester compds. and their pharmaceutical compns. for inhibition of protein interacting nimA (PIN 1))
RN 774242-66-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1R)-1-(phenylmethyl)-2-(phosphonooxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D 11-15

L5 ANSWER 11 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:604277 CAPLUS
DN 143:286667
TI One-Bead-One-Compound Library of End-Capped Dipeptides and Deconvolution by Microflow NMR.
AU Simon, Rozalyn A.; Schuresko, Laura; Dendukuri, Nagamani; Goers, Emily; Murphy, Brent; Lokey, R. Scott
CS Department of Chemistry and Biochemistry, University of California, Santa Cruz, CA, 95064, USA
SO Journal of Combinatorial Chemistry (2005), 7(5), 697-702
CODEN: JCCHFF; ISSN: 1520-4766
PB American Chemical Society
DT Journal
LA English
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:479511 CAPLUS
DN 143:172833
TI Process Development of CP-481715, a Novel CCR1 Antagonist
AU Li, Bryan; Andresen, Brian; Brown, Matthew F.; Buzon, Richard A.; Chiu, Charles K.-F.; Couturier, Michel; Dias, Eric; Urban, Frank J.; Jasys, V. John; Kath, John C.; Kissel, William; Le, Tung; Li, Z. Jane; Negri, Joanna; Poss, Christopher S.; Tucker, John; Whritenour, David; Zandi, Kathleen
CS Groton Laboratories, Pfizer Global Research and Development, Groton, CT, 06340, USA
SO Organic Process Research & Development (2005), 9(4), 466-471
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
OS CASREACT 143:172833
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:470256 CAPLUS
DN 143:20052
TI Urea derivatives as kinase modulators
IN Milanov, Zdravko V.; Patel, Hitesh K.; Grotzfeld, Robert M.; Mehta, Shamal A.; Andiliy, Lai G.; Lockhart, David J.
PA Ambit Biosciences Corporation, USA
SO PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005048948	A2	20050602	WO 2004-US38288	20041115
WO 2005048948	A3	20050728		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005148605	A1	20050707	US 2004-989745	20041115
US 2005165031	A1	20050728	US 2004-989814	20041115
US 2005165024	A1	20050728	US 2004-989824	20041115
US 2005165074	A1	20050728	US 2004-990007	20041115
US 2005171171	A1	20050804	US 2004-989766	20041115
US 2005171172	A1	20050804	US 2004-989823	20041115
US 2005192314	A1	20050901	US 2004-990195	20041115
US 2005197371	A1	20050908	US 2004-990194	20041115
US 2005261315	A1	20051124	US 2004-989623	20041115
US 2005267182	A1	20051201	US 2004-989717	20041115
PRAI US 2003-520273P	P	20031113		
US 2003-527094P	P	20031203		
US 2003-531082P	P	20031218		
US 2003-531243P	P	20031218		

OS MARPAT 143:20052

L5 ANSWER 14 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:324151 CAPLUS
DN 142:373847
TI Preparation of [(isoquinolinyl)ethyl]phenyl tetrazoles as P-glycoprotein inhibitors
IN Bang, Keuk Chan; Cha, Mi Young; Ahn, Young Gil; Ham, Young Jin; Kim, Maeng Sup; Lee, Gwan Sun
PA Hanmi Pharm. Co., Ltd., S. Korea
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033097	A1	20050414	WO 2004-KR2550	20041006
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
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*                                                                    *
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http://www.cas.org/ONLINE/UG/regprops.html

=> LOG HOLD
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.44     174.65

 SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 08:12:48 ON 28 FEB 2006

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PASSWORD:
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FILE 'REGISTRY' ENTERED AT 08:16:07 ON 28 FEB 2006
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.44     174.65

=> D HIS

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     FILE 'REGISTRY' ENTERED AT 08:02:20 ON 28 FEB 2006
L1             STRUCTURE UPLOADED
L2             QUE L1
L3           50 S L1
L4         1369 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 08:12:12 ON 28 FEB 2006
L5           283 S L4

     FILE 'REGISTRY' ENTERED AT 08:12:45 ON 28 FEB 2006
                  /
=> D 1-5
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:Y
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L5   ANSWER 1 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2006:103871  CAPLUS
TI   Preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated
     sodium channels
IN   Gonzalez, Jesus E.; Termin, Andreas P.; Martinborough, Esther; Zimmerman,
     Nicole
PA   USA
SO   U.S. Pat. Appl. Publ., 353 pp., Cont.-in-part of U.S. Ser. No. 914,988.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
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PI   US 2006025415       A1     20060202    US 2005-60719            20050217
     US 2005137190       A1     20050623    US 2004-914988           20040809
PRAI US 2003-493659P     P      20030808
     US 2004-584717P     P      20040704
     US 2004-914988      A2     20040809

L5   ANSWER 2 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:1351085  CAPLUS
DN   144:88043
TI   Preparation of phenylcarboxylic acid derivatives as glucose-stimulated
     insulin secretors useful in the treatment of diabetes and related diseases
IN   Moinet, Gerard; Botton, Gerard; Kergoat, Micheline
PA   Merck Sante, Fr.
SO   Fr. Demande, 222 pp.
     CODEN: FRXXBL
DT   Patent
LA   French
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
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PI   FR 2872159         A1     20051230    FR 2004-7076             20040628
     WO 2006000288       A1     20060105    WO 2005-EP5868           20050601
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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             KZ, MD, RU, TJ, TM
PRAI FR 2004-7076        A      20040628
RE.CNT  6      THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5   ANSWER 3 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:1345046  CAPLUS
DN   144:69823
TI   Preparation of heteroarylpyrazoles as p38 kinase inhibitors
IN   Naraian, Ashok S.; Clare, Michael; Colline, Paul M.; Crich, Joyce Zuowu;
     Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Granato, Matthew J.;
     Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle,
     Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne;
     Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun
     Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey;
     Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu,
     Yi; Naing, Win; Walker, John; Yang, Syaulan
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PA   Pharmacia Corporation, USA
SO   U.S., 548 pp.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
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PI   US 6979686          B1     20051227    US 2001-21780            20011207
PRAI US 2001-21780               20011207
RE.CNT  87     THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5   ANSWER 4 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:1288708  CAPLUS
DN   144:40787
TI   Pharmaceutical compositions with enhanced performance containing
     hydroxypropyl methyl cellulose derivatives
IN   Babcock, Walter Christian; Friesen, Dwayne Thomas; Lyon, David Keith;
     Miller, Warren Kenyon; Smithey, Daniel Tod
PA   Pfizer Products Inc., USA
SO   PCT Int. Appl., 73 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
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PI   WO 2005115330       A2     20051208    WO 2005-IB1580           20050518
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             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
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             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
PRAI US 2004-575541P     P      20040528
     US 2004-586549P     P      20040709

L5   ANSWER 5 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:1288062  CAPLUS
DN   144:36196
TI   Preparation of benzamide derivatives for therapeutic use as cannabinoid
     receptor modulators
IN   Jin, Shujuan; Liu, Ziping; Milburn, Claire; Tomaszewski, Miroslaw;
     Walpole, Christopher; Wei, Zhong-Yong; Yang, Hua
PA   Astrazeneca AB, Swed.
SO   PCT Int. Appl., 112 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
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PI   WO 2005115972       A1     20051208    WO 2005-SE754            20050520
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
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             NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
             SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
             ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
PRAI SE 2004-1342        A      20040525
OS   MARPAT 144:36196
RE.CNT  13     THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> D 3 HITSTR
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L5   ANSWER 3 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
IT   216518-34-2P
     RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN
     (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
     CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
        (p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase
        inhibitors by cyclocondensation of hydrazines with ketones)
RN   216518-34-2  CAPLUS
CN   2-Quinoxalinecarboxamide, N-[(1R)-1-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-
     pyrazol-3-yl]-2-phenylethyl]- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.
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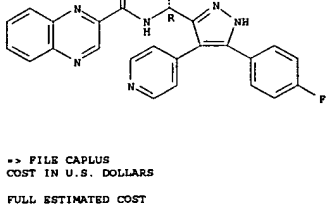


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FULL ESTIMATED COST                                     0.44     188.48

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PRAI KR 2003-69582 A 20031007
OS MARPAT 142:373847
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

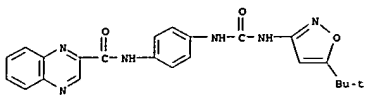
L5 ANSWER 15 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:324132 CAPLUS
DN 142:392427
TI Preparation of N-heterocyclyl amides and sulfonamides as p38 kinase inhibitors
IN Dugar, Sundeep; McEnroe, Glen
PA Scios Inc., USA
SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005033072	A2	20050414	WO 2004-US32403	20040930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2003-507633P	P	20030930		

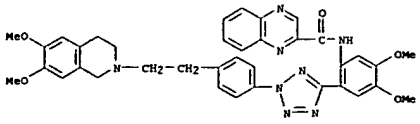
OS MARPAT 142:392427

=> D 13-15 HITSTR

L5 ANSWER 13 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 852669-46-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(urea derivs. as kinase modulators for treatment of cellular proliferative disorders)
RN 852669-46-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

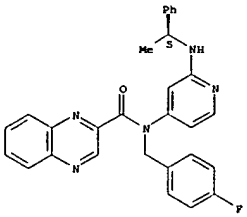


L5 ANSWER 14 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 849675-53-2P, Quinoxaline-2-carboxylic acid N-[2-[2-[4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)ethyl]phenyl]-2H-tetrazol-5-yl]-4,5-dimethoxyphenyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(isoquinolinyl)ethyl]phenyl tetrazoles as P-glycoprotein inhibitors)
RN 849675-53-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[2-[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]-2H-tetrazol-5-yl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 849744-54-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heterocyclyl amides and sulfonamides as p38 kinase inhibitors)
RN 849744-54-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-fluorophenyl)methyl]-N-[2-[[(1S)-1-phenylethyl]amino]-4-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D 16-20

L5 ANSWER 16 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:300395 CAPLUS
DN 142:355054
TI Preparation of amide derivatives as inhibitors of histone deacetylase
IN Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005030705	A1	20050407	WO 2004-US31591	20040924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2003-505884P	P	20030924		
US 2003-532973P	P	20031229		
US 2004-561082P	P	20040409		

OS MARPAT 142:355054
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:300394 CAPLUS
DN 142:373563
TI Preparation of amide derivatives as inhibitors of histone deacetylase
IN Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 389 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005030704	A1	20050407	WO 2004-US31590	20040924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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PRAI US 2003-505884P	P	20030924		
US 2003-532973P	P	20031229		
US 2004-561082P	P	20040409		

OS MARPAT 142:373563
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:281801 CAPLUS
DN 142:355169
TI Preparation of 3,5-diaminopiperidine-substituted hetero/aromatic compounds as antibacterial agents
IN Zhou, Yuefen; Vourloumis, Dionisios; Gregor, Vlad E.; Winters, Geoff; Hermann, Thomas; Ayida, Benjamin; Sun, Zhongxiang; Murphy, Douglas; Simonsen, Klaus Baek
PA Anadys Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 270 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005028467	A1	20050331	WO 2004-US30064	20040915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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US 2005239827	A1	20051027	US 2004-940615	20040915
PRAI US 2003-502612P	P	20030915		
US 2004-548852P	P	20040302		

OS MARPAT 142:355169
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:259646 CAPLUS
DN 142:291408
TI Method of treating obesity and metabolic disorders related to excess adipose tissue by administration of natriuretic peptide receptor c inhibitors
IN Chada, Kiran K.; Chouinard, Roland; Ashar, Hena; Sayed, Abu
PA USA
SO U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S. Ser. No. 768,566.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005065092	A1	20050324	US 2004-898490	20040722
US 2004259789	A1	20041223	US 2004-768566	20040129
PRAI US 2002-398785P	P	20020729		
US 2003-478206P	P	20030612		
US 2003-630423	A1	20030729		
US 2004-768566	A2	20040129		

L5 ANSWER 20 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:141021 CAPLUS
DN 142:261788
TI Preparation of aryl and heteroaryl amino acid derivatives as antagonists of factor IX and/or factor XI
IN Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-Chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher
PA Transtech Pharma, Inc., USA
SO PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005014533	A2	20050217	WO 2004-US25463	20040806
	WO 2005014533	A3	20050407		
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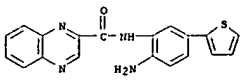
	US 2005049310	A1	20050303	US 2004-913882	20040806
	US 2005059713	A1	20050317	US 2004-913216	20040806
PRAI	US 2003-493878P	P	20030808		
	US 2003-493879P	P	20030808		
	US 2003-493903P	P	20030808		

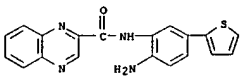
OS MARPAT 142:261786

=> D 16-20 HITSTR

L5 ANSWER 16 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 849233-41-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as inhibitors of histone deacetylase)
RN 849233-41-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-amino-5-(2-thienyl)phenyl]- (9CI) (CA INDEX NAME)

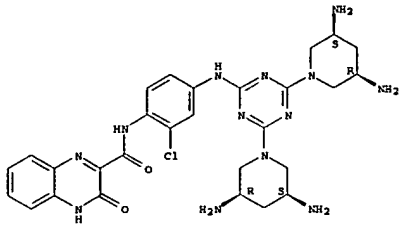


L5 ANSWER 17 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 849233-41-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as inhibitors of histone deacetylase)
RN 849233-41-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-amino-5-(2-thienyl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 849152-15-4P, N-[3-Chloro-4-[[(3-hydroxyquinoxalin-2-yl)carbonyl]amino]phenyl]-4,6-bis-(cis-3,5-diaminopiperidin-1-yl)-[1,3,5]triazin-2-amine monohydrochloride 849154-56-9P
849158-51-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial; preparation of 3,5-diaminopiperidine-substituted hetero/aromatic compds. as antibacterial agents)
RN 849152-15-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[4,6-bis[(3R,5S)-3,5-diamino-1-piperidinyl]-1,3,5-triazin-2-yl]amino]-2-chlorophenyl]-3,4-dihydro-3-oxo-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

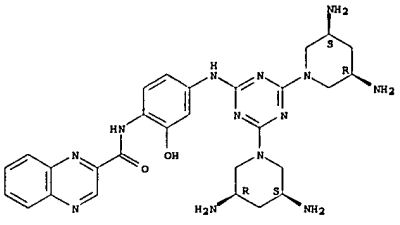
Relative stereochemistry.



● HCl

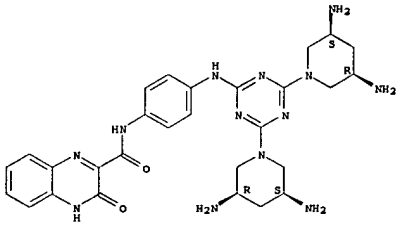
RN 849154-56-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[4,6-bis[(3R,5S)-3,5-diamino-1-piperidinyl]-1,3,5-triazin-2-yl]amino]-2-hydroxyphenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 849158-51-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[4,6-bis[(3R,5S)-3,5-diamino-1-piperidinyl]-1,3,5-triazin-2-yl]amino]phenyl]-3,4-dihydro-3-oxo-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

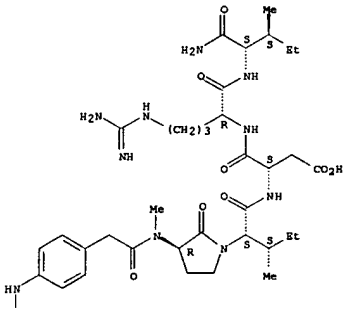


● HCl

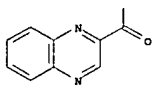
L5 ANSWER 19 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 301838-48-2P 301839-08-7P 301839-95-2P
301839-97-4P 301840-15-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method of treating obesity and metabolic disorders related to excess adipose tissue by administration of natriuretic peptide receptor c inhibitors)
RN 301838-48-2 CAPLUS
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-2-[(3R)-3-[methyl[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopentyl]-L-α-asparty1-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



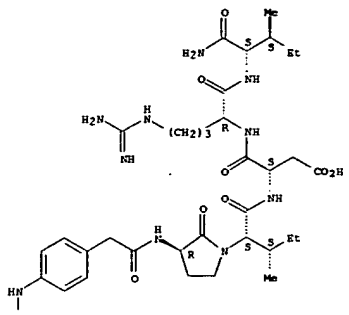
PAGE 2-A



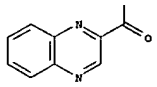
RN 301839-08-7 CAPLUS
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-asparty1-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



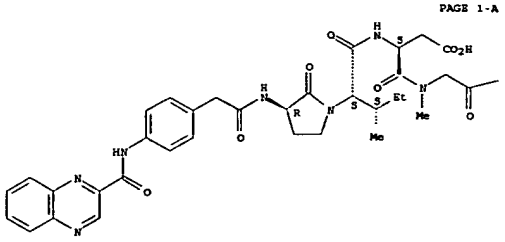
PAGE 2-A



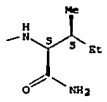
RN 301839-95-2 CAPLUS
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-aspartyl-N-methylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



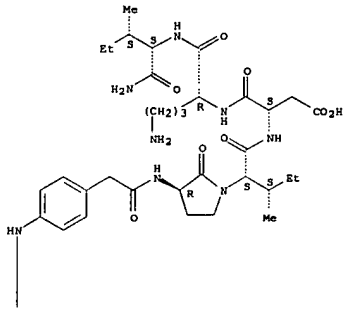
PAGE 1-B



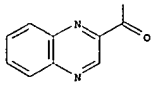
RN 301839-97-4 CAPLUS
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-aspartyl-D-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



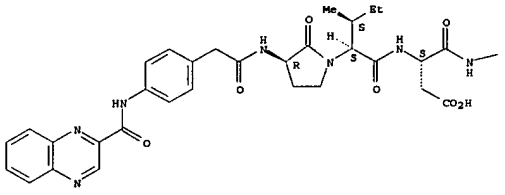
PAGE 2-A



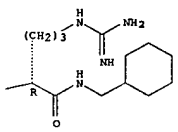
RN 301840-15-3 CAPLUS
CN D-Argininamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-aspartyl-N-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

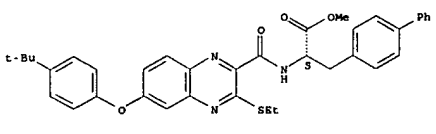


PAGE 1-B



L5 ANSWER 20 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 845679-13-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryl and heteroaryl amino acid derivs. as antagonists of factor IX and/or factor XI)
RN 845679-13-2 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[6-[4-(1,1-dimethylethyl)phenoxy]-3-(ethylthio)-2-quinoxalinyl]carbonyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

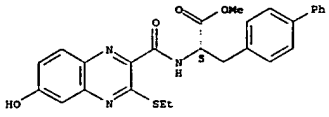


IT 845679-12-1P 845679-14-3P 845679-15-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of aryl and heteroaryl amino acid derivs. as antagonists of factor IX and/or factor XI)

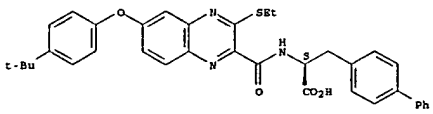
RN 845679-12-1 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[3-(ethylthio)-6-hydroxy-2-quinoxalinyl]carbonyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



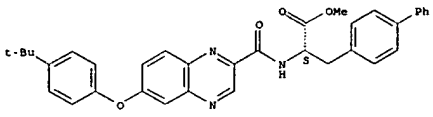
RN 845679-14-3 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[6-[4-(1,1-dimethylethyl)phenoxy]-3-(ethylthio)-2-quinoxalinyl]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 845679-15-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, α-[[[6-[4-(1,1-dimethylethyl)phenoxy]-2-quinoxalinyl]carbonyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D 21-25

L5 ANSWER 21 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:136505 CAPLUS
DN 142:240421
TI Preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels
IN Gonzales, Jesus E., III; Termin, Andreas P.; Martinborough, Esther; Zimmerman, Nicole
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 332 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013914	A2	20050217	WO 2004-US25827	20040809
	WO 2005013914	A3	20050721		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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PRAI	US 2003-493659P	P	20030808		
	US 2004-584717P	P	20040704		

OS MARPAT 142:240421

L5 ANSWER 22 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:76258 CAPLUS
DN 142:148826
TI Chromatosis remedies
IN Itai, Akiko; Muto, Susumu
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005007151	A1	20050127	WO 2004-JP10558	20040716
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PRAI	JP 2003-197807	A	20030716		

OS MARPAT 142:148826
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:14357 CAPLUS
DN 142:114079
TI Preparation of heterocyclic compounds containing 2-substituted cycloalkanecarboxylic acid derivative moiety as cysteine protease inhibitors
IN Hiratate, Akira; Tatsuzuki, Makoto; Busujima, Tsuyoshi
PA Taisho Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005000793	A1	20050106	WO 2004-JP9360	20040625
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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PRAI	JP 2003-182727	A	20030626		
	JP 2004-89250	A	20040325		

OS MARPAT 142:114079
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:1060835 CAPLUS
DN 142:1728
TI Branched compounds containing bioactive molecules and targeting moieties for cellular delivery
IN Vargeese, Chandra; Haeberli, Peter; Wang, Weimin; Chen, Tongqian
PA Sirna Therapeutics, Inc., USA
SO U.S. Pat. Appl. Publ., 143 pp., Cont.-in-part of U.S. Ser. No. 427,160.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 232

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004249178	A1	20041209	US 2004-780447	20040213
	AU 9851819	A1	19980611	AU 1998-51819	19980112
	AU 729657	B2	20010208		
	AU 9939188	A1	19990916	AU 1999-39188	19990713
	AU 769175	B2	20040115	AU 2000-56616	20000911
	WO 2002094185	A2	20021128	WO 2002-US15876	20020520
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	WO 2003070918	A2	20030828	WO 2003-US5346	20030220
	WO 2003070918	A3	20040708		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	US 2004110296	A1	20040610	US 2003-427160	20030430
	WO 2004111237	A1	20041223	WO 2004-US11848	20040416
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	US 2005032733	A1	20050210	US 2004-826966	20040416
	WO 2005041859	A2	20050512	WO 2004-US13456	20040430
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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WO 2005028650 A3 20051229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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WO 2005028650 A2 20050331 WO 2004-US31012 20040915
WO 2005028650 A3 20051229
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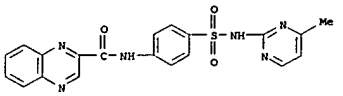
OS MARPAT 142:1728

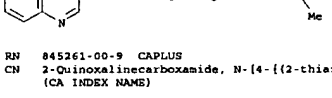
LS ANSWER 25 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:989258 CAPLUS
DN 142:93713
TI Azole-N-acetonitriles as carbonyl synthons: A one-pot preparation of heteroaryl amides from halides
AU Zhang, Zhongxing; Yin, Zhiwei; Kadow, John F.; Meanwell, Nicholas A.; Wang, Tao
CS Department of Chemistry, The Bristol-Myers Squibb Pharmaceutical Research Institut, Wallingford, CT, 06492, USA
SO Synlett (2004), (13), 2323-2326
CODEN: SYNLES; ISSN: 0936-5214
PB Georg Thieme Verlag
DT Journal
LA English
OS CASREACT 142:93713
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 21-24 HITSTR

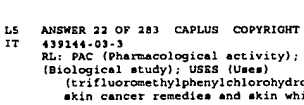
LS ANSWER 21 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 845260-98-2P 845260-99-3P 845261-00-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels)
RN 845260-98-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[(4-methyl-2-pyrimidinyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



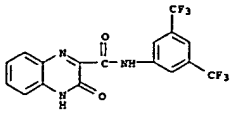
RN 845260-99-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 845261-00-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[(2-thiazolylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

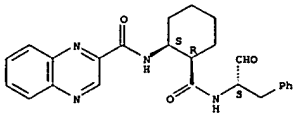


LS ANSWER 22 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 439144-03-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(trifluoromethylphenylchlorohydroxybenzamide analogs as chromatosis and skin cancer remedies and skin whitening cosmetics)
RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

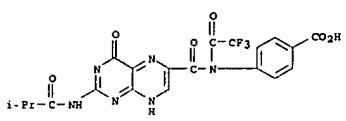


L5 ANSWER 23 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 820989-89-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. containing 2-substituted cycloalkanecarboxylic acid derivative moiety as cysteine protease inhibitors for treatment of cerebral infarction, Altheimer's disease, etc.)
RN 820989-89-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-[[[(1S)-1-formyl-2-phenylethyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 24 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 700863-20-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(branched compds. containing bioactive mols. and targeting moieties for cellular delivery)
RN 700863-20-3 CAPLUS
CN Benzoic acid, 4-[[[1,4-dihydro-2-[(2-methyl-1-oxopropyl)amino]-4-oxo-6-pteridinyl]carbonyl](trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



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L5 ANSWER 26 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:963181 CAPLUS
CODEN: AGFUAR
URL: http://www.arkat-usa.org/ark/journal/2004/I14_General/1179/04-1179C.pdf
PB Arkat USA Inc.
DT Journal; (online computer file)
LA English
OS CASREACT 142:56428
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:911919 CAPLUS
DN 142:298075
TI Pharmacophore based synthesis of 3-chloroquinoxaline-2-carboxamides as serotonin3 (5-HT3) receptor antagonist
AU Mahesh, Radhakrishnan; Perumal, Ramachandran Venkatesha; Pandi, Pandi Vijaya
CS Pharmacy Group, Birla Institute of Technology and Science, Pilani, 333 031, India
SO Biological & Pharmaceutical Bulletin (2004), 27(9), 1403-1405
CODEN: BPBLEO; ISSN: 0918-6158
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 142:298075
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:857609 CAPLUS
DN 141:350270
TI Phosphate/sulfate ester compounds and pharmaceutical compositions for inhibiting protein interacting NIMA (PIN 1)
IN Guo, Chuangxing; Dagostino, Eleanor Ferronyalka; Dong, Liming; Hou, Xinjun; Margosiak, Stephen Anthony
PA Pfizer Inc., USA
SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004087720	A1	20041014	WO 2004-IB574	20040223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2517281	AA	20041014	CA 2004-2517281	20040223
EP 1603926	A1	20051214	EP 2004-713610	20040223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI US 2003-453163P	P	20030310		
WO 2004-IB574	W	20040223		

OS MARPAT 141:350270
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

DN 141:379941
TI Preparation of quinazoline-2,4-diamines as melanin concentrating hormone (MCH) receptor antagonists
IN Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera, Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PA Taisho Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 988 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2004315511	A2	20041111	JP 2004-95046	20040329
PRAI JP 2003-93418	A	20030331		

OS MARPAT 141:379941

L5 ANSWER 27 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:927005 CAPLUS
DN 141:395806
TI Preparation of quinoxalinyl macrocyclic hepatitis C serine protease inhibitors
IN Nakajima, Suanne; Sun, Ying; Tang, Datong; Xu, Gouyou; Porter, Brian; Or, Yat Sun; Wang, Zhe; Miao, Zhenwei
PA Enanta Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004093798	A2	20041104	WO 2004-US11841	20040416
WO 2004093798	A3	20051208		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2522561	AA	20041104	CA 2004-2522561	20040416
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EP 1615613	A2	20060118	EP 2004-750236	20040416
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PRAI US 2003-418759	A	20030418		
US 2003-509071P	P	20030418		
WO 2004-US11841	W	20040416		

OS MARPAT 141:395806

L5 ANSWER 28 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:925864 CAPLUS
DN 142:56428
TI Heterocyclic Trost's ligands. Synthesis and applications in asymmetric allylic alkylation
AU Sinou, Denis; Percina-Pichon, Nathalie; Konovets, Angelica; Iourtchenko, Alexander
CS Laboratoire de Synthèse Asymitrique, associe au CNRS, CPE Lyon, Universite Claude, Villeurbanne, 69622, Fr.
SO ARKIVOC (Gainesville, FL, United States) (2004), (14), 103-109

=> D 31-35

L5 ANSWER 31 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:857323 CAPLUS
DN 141:350041
TI Preparation of benzamide modulators of metabotropic glutamate receptors
IN Duggan, Mark E.; Lindsley, Craig W.; Wisnoski, David D.
PA Merck & Co. Inc., USA
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004087048	A2	20041014	WO 2004-US8627	20040322
WO 2004087048	A3	20041223		
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EP 1611096	A2	20060104	EP 2004-758170	20040322
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PRAI US 2003-457734P	P	20030326		
WO 2004-US8627	W	20040322		

OS MARPAT 141:350041

L5 ANSWER 32 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:822842 CAPLUS
DN 141:314346
TI Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment of CNS disorders
IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Teuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.; Semple, Graeme; Zou, Ning
PA Taisho Pharmaceutical Co. Ltd., Japan; Arena Pharmaceuticals, Inc.
SO Eur. Pat. Appl., 586 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1464335	A2	20041006	EP 2004-7651	20040330
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US 2005197350	A1	20050908	US 2004-812075	20040330
CA 2518913	AA	20041014	CA 2004-2518913	20040331
WO 2004087669	A1	20041014	WO 2004-JP4624	20040331
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    JP 2004300156        A2    20041028    JP 2004-107965          20040331
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PRAI US 2003-458530P     P     20030331
    US 2003-495911P      P     20030819
    US 2003-510186P      P     20031009
    US 2003-530360P      P     20031216
    WO 2004-JP4624       W     20040331
OS  MARPAT 141:314346

L5  ANSWER 33 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
AN  2004:817853  CAPLUS
DN  141:331920
TI  Preparation of benzamide compounds as phosphorus transport inhibitors
IN  Eto, Nobuaki; Nagao, Rika; Miyazaki, Tetsuko
PA  Kirin Beer Kabushiki Kaisha, Japan
SO  PCT Int. Appl., 787 pp.
    CODEN: PIXXD2
DT  Patent
LA  Japanese
FAN.CNT 1
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PI  WO 2004085382        A1      20041007    WO 2004-JP4427          20040329
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    EP 1614676           A1      20060111    EP 2004-724132          20040329
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PRAI JP 2003-89173       A     20030327
    WO 2004-JP4427       W     20040329
OS  MARPAT 141:331920
RE.CNT  17    THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5  ANSWER 34 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
AN  2004:675731  CAPLUS
DN  141:207227
TI  Preparation of saturated quinoxaline derivatives and their use as
    metabotropic glutamate receptor ligands
IN  Gybaeck, Helena; Johansson, Martin; Minidis, Alexander; Nordvall, Gunnar;
    Raboisson, Patrick; Wensbro, David
PA  Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc.
SO  PCT Int. Appl., 54 pp.
    CODEN: PIXXD2
DT  Patent
LA  English
FAN.CNT 1
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PI  WO 2004069813        A1      20040819    WO 2004-US2131          20040126
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APPLICANTS

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            GQ, GW, ML, MR, NE, SN, TD, TG
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    EP 1587796           A1      20051026    EP 2004-705287          20040126
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    US 2005004130        A1      20050106    US 2004-766948          20040130
    NO 2005003561        A       20051028    NO 2005-3561            20050720
PRAI US 2003-443889P     P       20030131
    US 2003-530632P      P       20031219
    WO 2004-US2131       W       20040126
OS  MARPAT 141:207227

L5  ANSWER 35 OF 283  CAPLUS  COPYRIGHT 2006 ACS on STN
AN  2004:473253  CAPLUS
DN  141:34630
TI  Branched compounds containing bioactive molecules and targeting moieties
    for cellular delivery
IN  Vargeese, Chandra; Haeberli, Peter; Wang, Weimin; Chen, Tongqian
PA  Ribozyme Pharmaceuticals, Inc., USA
SO  U.S. Pat. Appl. Publ., 142 pp., Cont.-in-part of WO 2003 70,918.
    CODEN: USXXCO
DT  Patent
LA  English
FAN.CNT 232
    PATENT NO.           KIND    DATE        APPLICATION NO.         DATE
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PI  US 2004110296        A1      20040610    US 2003-427160          20030430
    AU 9851819           A1      19980611    AU 1998-51819           19980112
    AU 729657            B2      20010208
    AU 9939188           A1      19990916    AU 1999-39188           19990713
    AU 769175            B2      20040115    AU 2000-56616           20000911
    WO 2002094185        A2      20021128    WO 2002-US15876         20020520
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    US 2005159379        A1      20050721    US 2004-916030          20040811
    US 2005158735        A1      20050721    US 2004-916095          20040811
    US 2005153914        A1      20050714    US 2004-918969          20040816
    US 2005164966        A1      20050728    US 2004-918896          20040816
    US 2005203040        A1      20050915    US 2004-918987          20040816
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    US 2005233997        A1      20051020    US 2004-919584          20040817
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    US 2006019913        A1      20060126    US 2005-31668           20050106
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	US 2002-163552	A2	20020606		
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	US 2004-783128	A2	20040220		
	US 2004-798090	A2	20040311		
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	US 2004-826966	A2	20040416		
	WO 2004-US11848	A2	20040416		
	US 2004-830569	A2	20040423		
	US 2004-831620	A2	20040423		
	WO 2004-US12517	A2	20040423		
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	WO 2004-US13456	W	20040430		
	US 2004-570086P	P	20040511		
	US 2004-844076	A2	20040511		
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	US 2004-923536	A2	20040820		
	US 2004-944611	A2	20040916		
	US 2005-31668	A1	20050106		
	US 2005-39680	A2	20050118		
	WO 2005-US4270	A2	20050209		
	US 2005-98303	A2	20050404		

OS MARPAT 141:34630

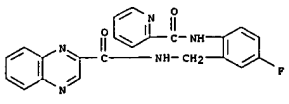
=> D 31-33 HITSTR

L5 ANSWER 31 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

IT 774549-08-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamide modulators of metabotropic glutamate receptors)

RN 774549-08-5 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[[5-fluoro-2-[(2-pyridinylcarbonyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)



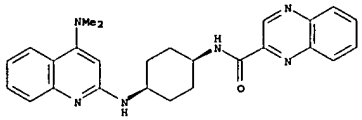
L5 ANSWER 32 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

IT 769176-66-1P, N-[cis-4-[[4-(Dimethylamino)quinolin-2-yl]amino]cyclohexyl]quinoxaline-2-carboxamide 769178-84-9P, N-[cis-4-[[4-(Dimethylamino)pyrimidin-2-yl]amino]cyclohexyl]quinoxaline-2-carboxamide 769181-01-3P, N-[cis-4-[[4-(Dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl]quinoxaline-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MCH antagonist; preparation of quinolines, quinazolines, and pyrimidines as MCH antagonist for treatment of CNS disorders)

RN 769176-66-1 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinolinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

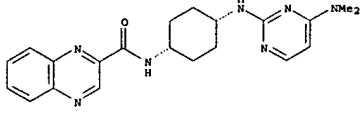
Relative stereochemistry.



RN 769178-84-9 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[cis-4-[[4-(dimethylamino)-2-pyrimidinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

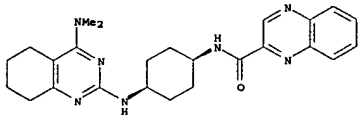
Relative stereochemistry.



RN 769181-01-3 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydro-2-quinazolinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

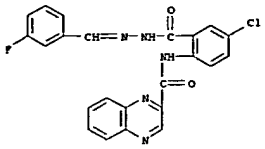


L5 ANSWER 33 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

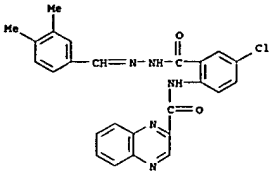
IT 773070-27-2P 773070-28-3P 773070-29-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamide compds. as phosphorus transport inhibitors)

RN 773070-27-2 CAPLUS

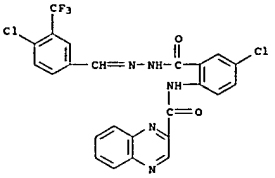
CN Benzoic acid, 5-chloro-2-[(2-quinoxalinylcarbonyl)amino]-, [(3-fluorophenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



RN 773070-28-3 CAPLUS
CN Benzoic acid, 5-chloro-2-[(2-quinoxalinylcarbonyl)amino]-, [(3,4-dimethylphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)



RN 773070-29-4 CAPLUS
CN Benzoic acid, 5-chloro-2-[(2-quinoxalinylcarbonyl)amino]-, [[4-chloro-3-(trifluoromethyl)phenyl]methylene]hydrazide (9CI) (CA INDEX NAME)



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L5 ANSWER 36 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:462860 CAPLUS
DN 141:33797
TI Substituted heterocyclic acyl-tripeptides useful as thrombin receptor modulators
IN McComsey, David F.; Maryanoff, Bruce E.; Hawkins, Michael J.
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO U.S., 14 pp., Cont.-in-part of U.S. Ser. No. 444,327, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6747127	B1	20040608	US 2000-565715	20000505
	TR 200102502	T2	20020521	TR 2001-200102502	19991119
	US 2004063903	A1	20040401	US 2003-606422	20030626
PRAI	US 1998-112313P	P	19981214		
	US 1999-444327	B2	19991119		
	US 2000-565715	A3	20000505		

OS MARPAT 141:33797
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:454723 CAPLUS
DN 141:174149
TI Synthesis and reactivity of a new pyranoquinoxaline
AU Hamal, Lamouri; Fodili, Mokhtar; Amari, Mohamed; Khier, Nawel; Nedjar-Kolli, Bellara; Andre, Chantal; Hoffmann, Pascal; Perie, Jacques
CS Institut de Chimie, USTHB, Algiers, 16111, Algeria
SO Heterocycles (2004), 63(6), 1409-1416
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
OS CASREACT 141:174149
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 38 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:412926 CAPLUS
DN 140:423706
TI Preparation of phenylalkyl and pyridylalkyl piperazine derivatives as antagonists of dopamine D2 receptors and of serotonin 2A (5HT2A) receptors
IN Cho, Stephen Sung Yong; Davis, Jamie Marie; Graham, James Michael; Gregory, Tracy Fay; Howard, Harry Ralph, Jr.; Nikam, Sham Shridhar; Walters, Michael Anthony
PA Warner-Lambert Company LLC, USA
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004041793	A1	20040521	WO 2003-IB4805	20031027
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2505397	AA	20040521	CA 2003-2505397	20031027
	EP 1562919	A1	20050817	EP 2003-753871	20031027
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003016108	A	20050927	BR 2003-16108	20031027
	US 2004186108	A1	20040923	US 2003-703333	20031107
PRAI	US 2002-425219P	P	20021108		
	WO 2003-IB4805	W	20031027		

OS MARPAT 140:423706

L5 ANSWER 39 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:412920 CAPLUS
DN 140:423590
TI Preparation of 4-(phenylpiperidin-4-ylidenemethyl)benzamides for treatment of pain, anxiety, or gastrointestinal disorders
IN Brown, William; Griffin, Andrew
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004041784	A1	20040521	WO 2003-SE1705	20031105
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	EP 1567496	A1	20050831	EP 2003-759165	20031105
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006014789	A1	20060119	US 2005-533838	20050504
PRAI	SE 2002-3301	A	20021107		
	WO 2003-SE1705	W	20031105		

OS MARPAT 140:423590

L5 ANSWER 40 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:390233 CAPLUS
DN 140:406822
TI A preparation of heteroaryl-hexanoic acid amide derivatives as immunomodulatory agents
IN Brown, Matthew Frank; Gaweco, Anderson See; Gladue, Ronald Paul; Kath, John Charles; Poss, Christopher Stanley
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004039787	A1	20040513	WO 2003-IB4626	20031020
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004097554	A1	20040520	US 2003-687380	20031016
	CA 2503770	AA	20040513	CA 2003-2503770	20031020
	AU 2003269374	A1	20040525	AU 2003-269374	20031020
	EP 1558587	A1	20050803	EP 2003-751155	20031020
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003015837	A	20050920	BR 2003-15837	20031020
	JP 2006506393	T2	20060223	JP 2004-547879	20031020
PRAI	US 2002-422574P	P	20021030		
	WO 2003-IB4626	W	20031020		

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L5 ANSWER 40 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 689254-88-4P 689254-99-7P 689255-04-7P
689255-08-1P 689255-16-1P 689255-23-0P
689255-28-5P 689255-34-3P 689255-40-1P
689255-46-7P 689255-51-4P 689255-55-8P
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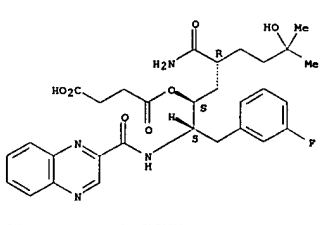
689256-42-6P 689256-44-8P 689256-46-0P
689256-48-2P 689256-49-3P 689256-50-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryl-hexanoic acid amide deriv. useful as immunomodulatory agents)
RN 689254-88-4 CAPLUS
CN Butanedioic acid, mono[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl] ester (9CI) (CA INDEX NAME)

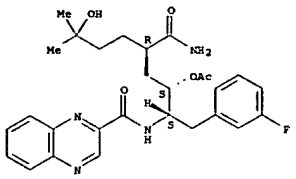
Absolute stereochemistry.



RN 689254-99-7 CAPLUS

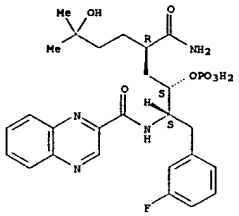
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-(acetyloxy)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-7-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



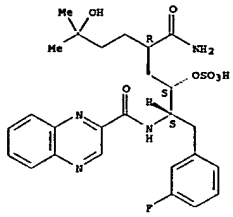
RN 689255-04-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-7-hydroxy-7-methyl-2-(phosphonooxy)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



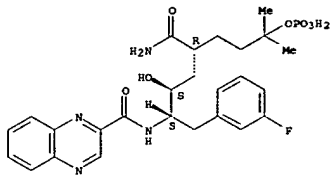
RN 689255-08-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-7-hydroxy-7-methyl-2-(sulfooxy)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



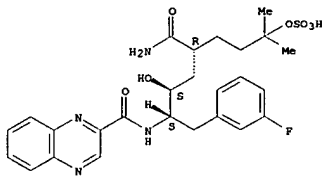
RN 689255-16-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyl-7-(phosphonooxy)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



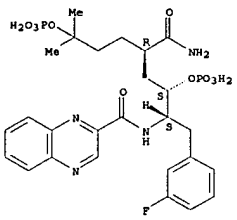
RN 689255-23-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyl-7-(sulfooxy)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



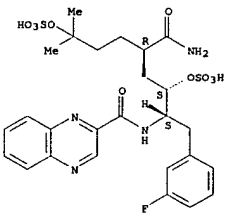
RN 689255-28-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-7-methyl-2,7-bis(phosphonooxy)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



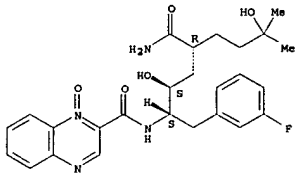
RN 689255-34-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-7-methyl-2,7-bis(sulfooxy)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



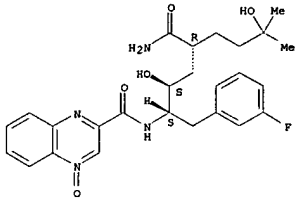
RN 689255-40-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



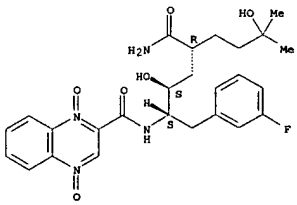
RN 689255-46-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]-, 4-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 689255-51-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)

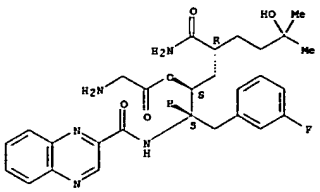
Absolute stereochemistry.



RN 689255-55-8 CAPLUS

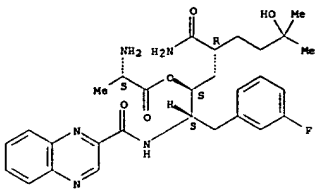
CN Glycine, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



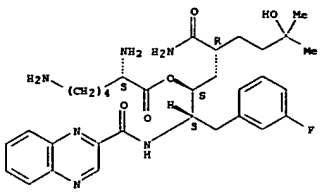
RN 689255-58-1 CAPLUS
CN L-Alanine, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



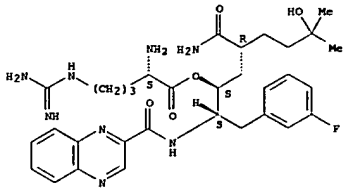
RN 689255-61-6 CAPLUS
CN L-Lysine, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



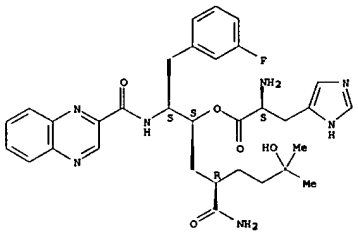
RN 689255-64-9 CAPLUS
CN L-Arginine, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 689255-67-2 CAPLUS
CN L-Histidine, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 689255-70-7 CAPLUS
CN L-Aspartic acid, bis[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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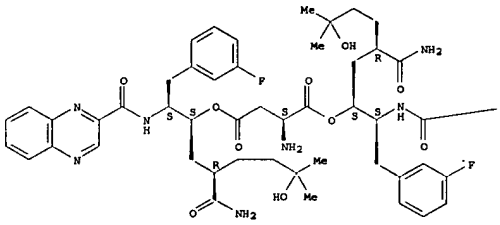

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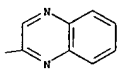

RN 689255-73-0 CAPLUS
CN L-Glutamic acid, bis[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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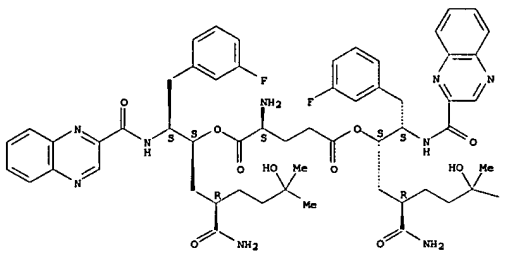

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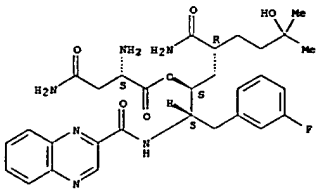
Me

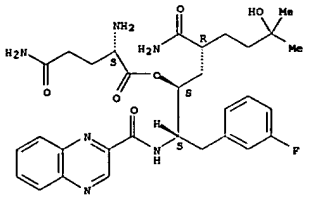
RN 689255-76-3 CAPLUS
CN L-Asparagine, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



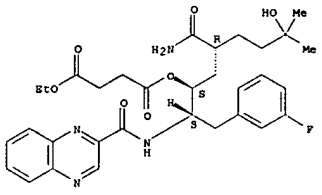
RN 689255-78-5 CAPLUS
CN L-Glutamine, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



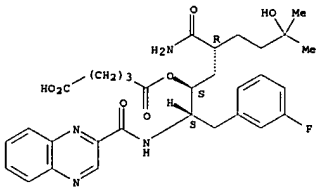
RN 689255-80-9 CAPLUS
CN Benzenepropanoic acid, β,β,2,4-tetramethyl-6-(phosphonooxy)-, α-[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



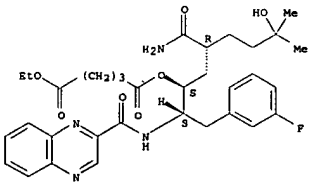
RN 689255-84-3 CAPLUS
CN Pentanedioic acid, mono[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

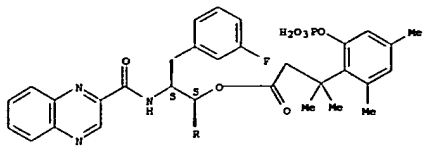


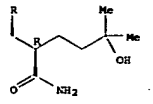
RN 689255-86-5 CAPLUS
CN Pentanedioic acid, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



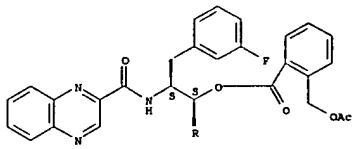
RN 689255-87-6 CAPLUS
CN Glycine, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-

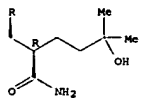




RN 689255-81-0 CAPLUS
CN Benzoic acid, 2-[(acetyloxy)methyl]-, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



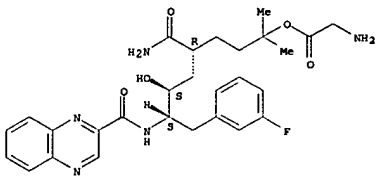


RN 689255-82-1 CAPLUS
CN Butanedioic acid, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

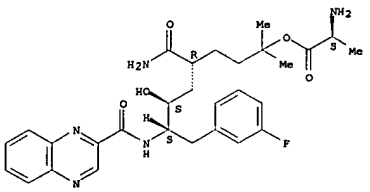
dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



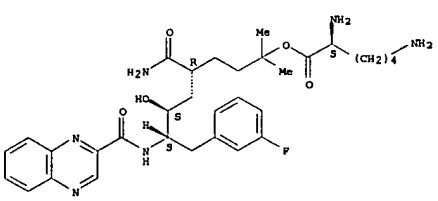
RN 689255-89-8 CAPLUS
CN L-Alanine, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



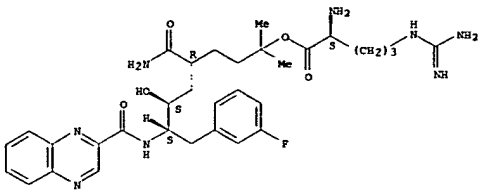
RN 689255-90-1 CAPLUS
CN L-Lysine, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



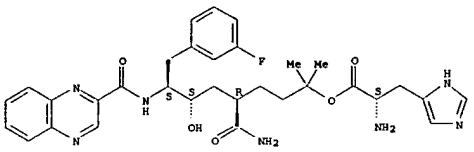
RN 689255-91-2 CAPLUS
CN L-Arginine, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 689255-92-3 CAPLUS
CN L-Histidine, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl ester (9CI) (CA INDEX NAME)

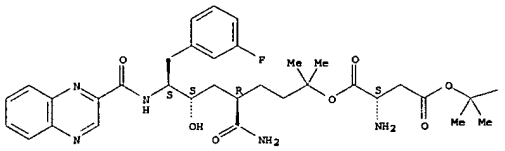
Absolute stereochemistry.



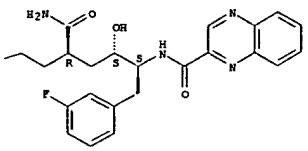
RN 689255-93-4 CAPLUS
CN L-Aspartic acid, bis[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



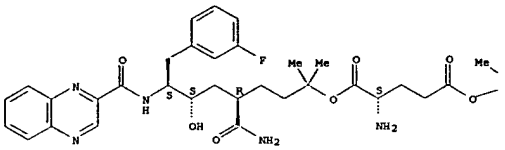
PAGE 1-B



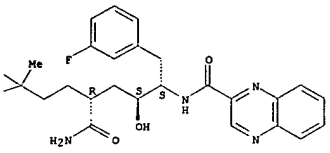
RN 689255-95-6 CAPLUS
CN L-Glutamic acid, bis[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

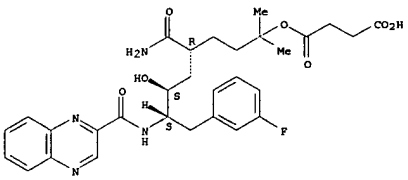


PAGE 1-B



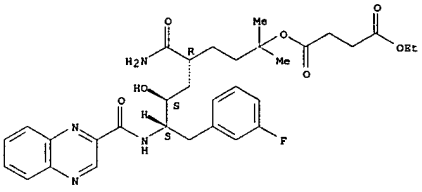
RN 689255-96-7 CAPLUS
CN Butanedioic acid, mono[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



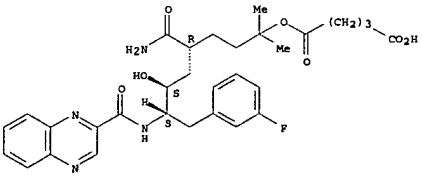
RN 689255-97-8 CAPLUS
CN Butanedioic acid, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



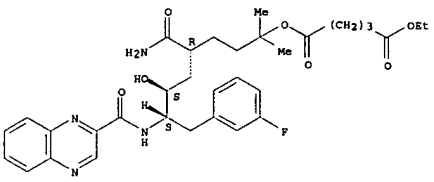
RN 689255-99-0 CAPLUS
CN Pentanedioic acid, mono[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



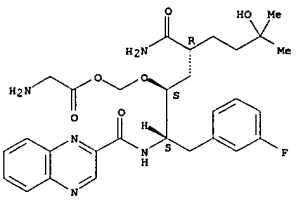
RN 689256-02-8 CAPLUS
CN Pentanedioic acid, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



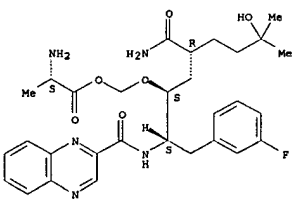
RN 689256-04-0 CAPLUS
CN Glycine, [[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



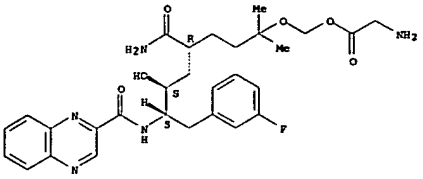
RN 689256-05-1 CAPLUS
CN L-Alanine, [[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



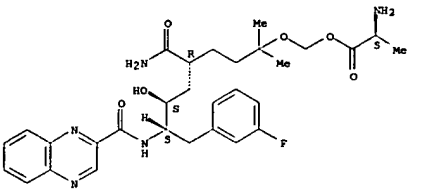
RN 689256-06-2 CAPLUS
CN Glycine, [[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



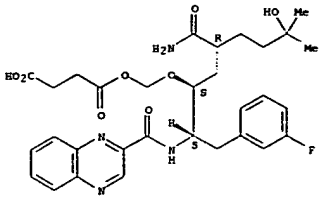
RN 689256-07-3 CAPLUS
CN L-Alanine, [[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



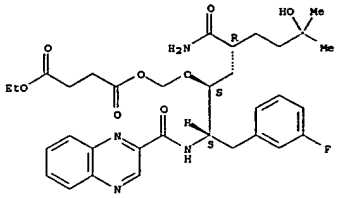
RN 689256-09-5 CAPLUS
CN Butanedioic acid, mono[[[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



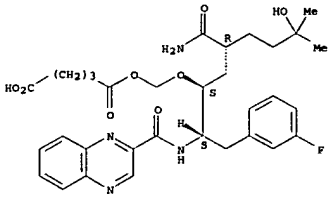
RN 689256-14-2 CAPLUS
CN Butanedioic acid, [[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]methyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



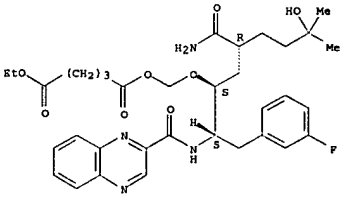
RN 689256-16-4 CAPLUS
CN Pentanedioic acid, mono[[[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



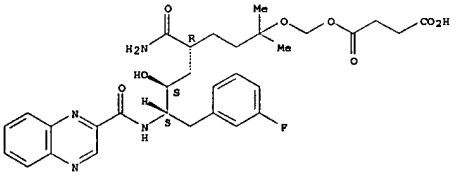
RN 689256-18-6 CAPLUS
CN Pentanedioic acid, [[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]methyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



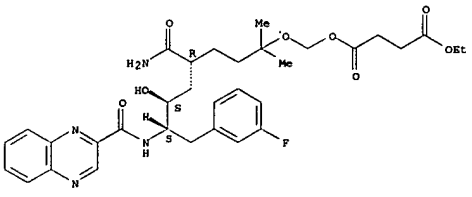
RN 689256-19-7 CAPLUS
CN Butanedioic acid, mono[[[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



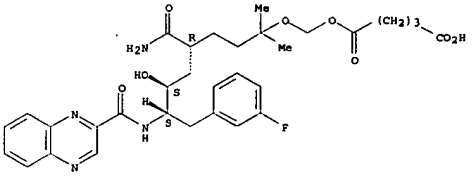
RN 689256-21-1 CAPLUS
CN Butanedioic acid, [[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]methyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



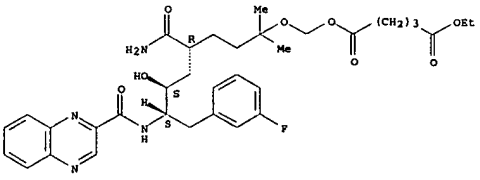
RN 689256-23-3 CAPLUS
CN Pentanedioic acid, mono[[[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



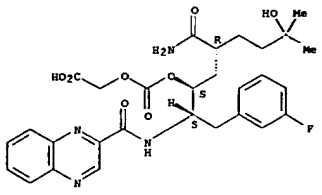
RN 689256-25-5 CAPLUS
CN Pentanedioic acid, [[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]methyl ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



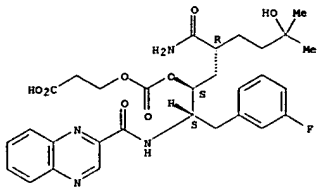
RN 689256-27-7 CAPLUS
CN Acetic acid, [[[[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



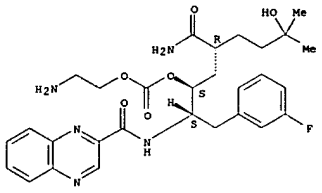
RN 689256-29-9 CAPLUS
CN Propanoic acid, 3-[[[[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



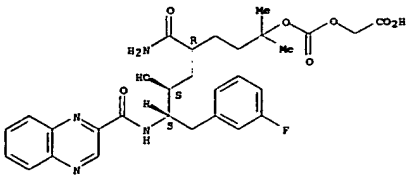
RN 689256-30-2 CAPLUS
CN Carbonic acid, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl 2-aminoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



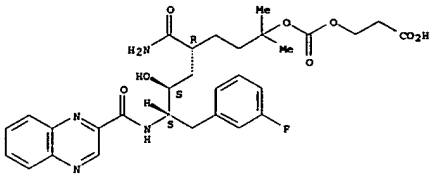
RN 689256-31-3 CAPLUS
CN Acetic acid, [[[[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



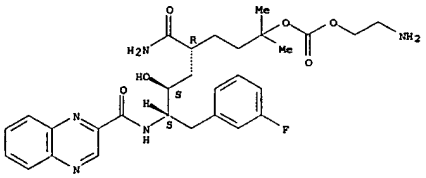
RN 689256-32-4 CAPLUS
CN Propanoic acid, 3-[[[[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



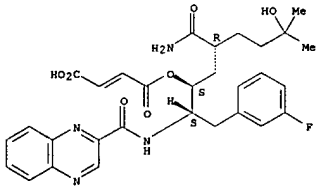
RN 689256-34-6 CAPLUS
CN Carbonic acid, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl 2-aminoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



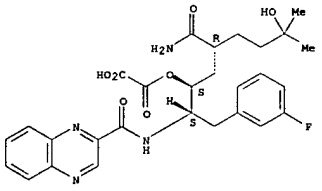
RN 689256-36-8 CAPLUS
CN 2-Butenedioic acid, mono[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



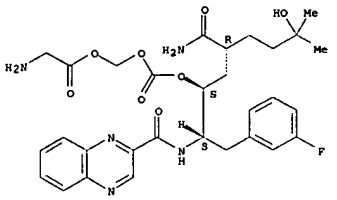
RN 689256-38-0 CAPLUS
CN Ethanedioic acid, mono[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



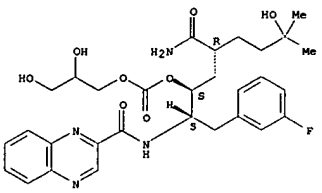
RN 689256-40-4 CAPLUS
CN Glycine, [[[[(1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]-6-hydroxy-6-methylheptyl]oxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



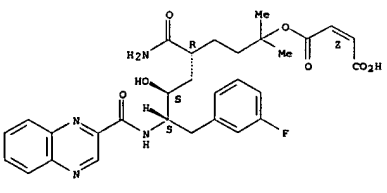
RN 689256-42-6 CAPLUS
CN Carbonic acid, (1S,3R)-3-(aminocarbonyl)-1-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl]amino]ethyl]-6-hydroxy-6-methylheptyl 2,3-dihydroxypropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 689256-44-8 CAPLUS
CN 2-Butenedioic acid (2Z)-, mono[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl] ester (9CI) (CA INDEX NAME)

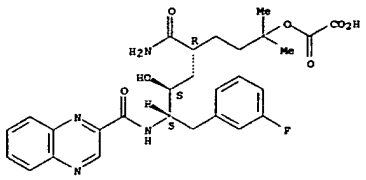
Absolute stereochemistry.
Double bond geometry as shown.



RN 689256-46-0 CAPLUS

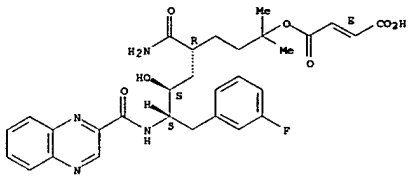
CN Ethanedioic acid, mono[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



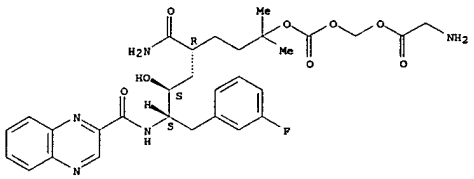
RN 689256-48-2 CAPLUS
CN 2-Butenedioic acid (2E)-, mono[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



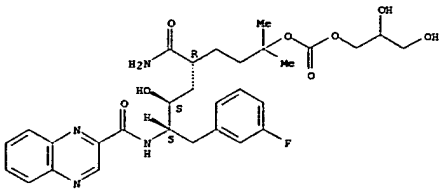
RN 689256-49-3 CAPLUS
CN Glycine, [[[[(4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl]oxy]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



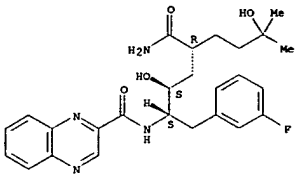
RN 689256-50-6 CAPLUS
CN Carbonic acid, (4R,6S,7S)-4-(aminocarbonyl)-8-(3-fluorophenyl)-6-hydroxy-1,1-dimethyl-7-[(2-quinoxalinylcarbonyl)amino]octyl 2,3-dihydroxypropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 212790-31-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of heteroaryl-hexanoic acid amide derivs. useful as immunomodulatory agents)
RN 212790-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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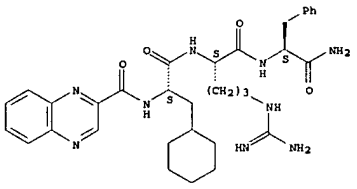
L5 ANSWER 36 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 231608-75-6
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic acyl-tripeptide derivs. for thrombin receptor modulators)
RN 231608-75-6 CAPLUS
CN L-Phenylalaninamide, 3-cyclohexyl-N-(2-quinoxalinylcarbonyl)-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> D 41-45

L5 ANSWER 41 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:372867 CAPLUS
DN 140:375191
TI Preparation of heteroaryl-hexanoic acid amides which are CCR1 antagonists useful as immunomodulatory agents
IN Brown, Matthew F.; Gaweco, Anderson S.; Gladue, Ronald P.; Kath, John C.; Poss, Christopher S.
PA Pfizer Inc, USA
SO U.S. Pat. Appl. Publ., 63 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004087571	A1	20040506	US 2003-687015	20031016
WO 2004039375	A1	20040513	WO 2003-IB4614	20031020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003267800	A1	20040525	AU 2003-267800	20031020
PRAI US 2002-422579P	P	20021030		
WO 2003-IB4614	W	20031020		

OS MARPAT 140:375191

L5 ANSWER 42 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:331784 CAPLUS
DN 140:339193
TI Preparation of indole nitriles as cysteine protease, in particular Cathepsin K inhibitors
IN Bamberg, Joe Timothy; Gabriel, Tobias; Krauss, Nancy Elisabeth; Mirzadegan, Taraneh; Palmer, Wylie Solang; Smith, David Bernard
PA Roche Palo Alto, LLC, USA
SO U.S. Pat. Appl. Publ., 141 pp., Cont.-in-part of U.S. Ser. No. 308,963.
CODEN: USXXCO
DT Patent
LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004077646	A1	20040422	US 2003-453112	20030602
US 6759428	B2	20040706		
US 2003212097	A1	20031113	US 2002-308963	20021203
US 6747053	B2	20040608		
PRAI US 2001-336750P	P	20011204		
US 2002-308963	A2	20021203		

OS MARPAT 140:339193
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 43 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:303285 CAPLUS
DN 141:64382
TI Novel CCR1 antagonists with improved metabolic stability
AU Brown, Matthew F.; Avery, Mike; Brissette, William H.; Chang, J. H.; Colizza, Kevin; Conklyn, Maryrose; DiRico, Amy P.; Gladue, Ronald P.; Kath, John C.; Krueger, Suzanne S.; Lira, Paul D.; Lillie, Brett M.; Lundquist, Greg D.; Mairs, Erin N.; McElroy, Eric B.; McGlynn, Molly A.; Paradis, Timothy J.; Poss, Christopher S.; Rossulek, Michelle I.; Shepard, Richard M.; Sime, Jeff; Strelevitz, Timothy J.; Truesdell, Susan; Tylaska, Laurie A.; Yoon, Kwansik; Zheng, Deye
CS Pfizer Global Research and Development, Groton, CT, 06340, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2175-2179
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:303284 CAPLUS
DN 141:64381
TI Potent small molecule CCR1 antagonists
AU Kath, John C.; Brissette, William H.; Brown, Matthew F.; Conklyn, Maryrose; DiRico, Amy P.; Dorff, Peter; Gladue, Ronald P.; Lillie, Brett M.; Lira, Paul D.; Mairs, Erin N.; Martin, William H.; McElroy, Eric B.; McGlynn, Molly A.; Paradis, Timothy J.; Poss, Christopher S.; Stock, Ingrid A.; Tylaska, Laurie A.; Zheng, Deye
CS Pfizer Global Research and Development, Groton, CT, 06340, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2169-2173
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 45 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:205969 CAPLUS
DN 142:93707
TI Product class 15: quinoxalines
AU Gobec, S.; Urleb, U.
CS Germany
SO Science of Synthesis (2004), 16, 845-911
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
RE.CNT 408 THERE ARE 408 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 46-50

L5 ANSWER 46 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:182843 CAPLUS
DN 140:235498
TI Preparation of antibacterial benzoic acid derivatives
IN Thorarensen, Atli; Ruble, Craig J.; Fisher, Jed F.; Romero, Donna L.; Beauchamp, Thomas J.; Northuis, Jill M.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 500 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018428	A1	20040304	WO 2003-US24796	20030822
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004110802	A1	20040610	US 2003-645802	20030820
	AU 2003264005	A1	20040311	AU 2003-264005	20030822
PRAI	US 2002-405429P	P	20020823		
	US 2002-430592P	P	20021203		
	WO 2003-US24796	W	20030822		

OS MARPAT 140:235498
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 47 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:173258 CAPLUS
DN 140:418328
TI Metabotropic glutamate 1 receptor distribution and occupancy in the rat brain: a quantitative autoradiographic study using [3H]R214127
AU Lavreysen, Hilde; Pereira, Sandrina Nobrega; Leysen, Josee E.; Langlois, Xavier; Lesage, Anne S. J.
CS CNS Discovery Research, Johnson and Johnson Pharmaceutical Research and Development, Beerse, B-2340, Belg.
SO Neuropharmacology (2004), 46(5), 609-619
CODEN: NEPHBW; ISSN: 0028-3908
PB Elsevier Science B.V.
DT Journal
LA English
RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 48 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:143121 CAPLUS
DN 140:187486
TI Crystal forms of a quinoxaline-2-carboxylic acid derivative
IN Kath, John Charles; Li, Zheng Jane; Li, Zhengong Bryan; McElroy, Eric Brock; Meltz, Clifford Nathaniel; Poss, Christopher Stanley
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014875	A1	20040219	WO 2003-IB3464	20030731
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2494776	AA	20040219	CA 2003-2494776	20030731
	AU 2003250450	A1	20040225	AU 2003-250450	20030731
	EP 1539715	A1	20050615	EP 2003-784383	20030731
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013378	A	20050712	BR 2003-13378	20030731
	JP 2005538130	T2	20051215	JP 2004-527195	20030731
	US 2004072834	A1	20040415	US 2003-637475	20030808
	NO 2005000540	A	20050310	NO 2005-540	20050131
PRAI	US 2002-403216P	P	20020812		
	WO 2003-IB3464	W	20030731		

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 49 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:142933 CAPLUS
DN 140:187358
TI Pharmaceutical compositions of semi-ordered drugs and polymers
IN Babcock, Walter Christian; Caldwell, William Brett; Crew, Marshall David; Friesen, Dwayne Thomas; Smithey, Daniel Tod; Shanker, Ravi Mysore
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014342	A1	20040219	WO 2003-IB3465	20030731
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2496441	AA	20040219	CA 2003-2496441	20030731
	AU 2003249474	A1	20040225	AU 2003-249474	20030731
	EP 1530457	A1	20050518	EP 2003-784384	20030731
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013428	A	20050628	BR 2003-13428	20030731
	JP 2006500349	T2	20060105	JP 2004-527196	20030731
	US 2004156905	A1	20040812	US 2003-636834	20030805
	NO 2005000419	A	20050404	NO 2005-419	20050125
PRAI	US 2002-403087P	P	20020812		
	WO 2003-IB3465	W	20030731		

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 50 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:41604 CAPLUS
DN 140:105238
TI Antibacterial inhibitors of Ftsz protein
IN White, Lucile E.; Reynolds, Robert C.; Suling, William
PA Southern Research Institute, USA
SO PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004005472	A2	20040115	WO 2003-US20984	20030702
	WO 2004005472	A3	20040923		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2491680	AA	20040115	CA 2003-2491680	20030702
	EP 1538907	A2	20050615	EP 2003-756780	20030702
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005535662	T2	20051124	JP 2004-519843	20030702
PRAI	US 2002-393680P	P	20020702		
	WO 2003-US20984	W	20030702		

OS MARPAT 140:105238

=> D 51-55

L5 ANSWER 51 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:36264 CAPLUS
DN 141:260621
TI Interaction of bromomalonic acid N,N'-dibenzylamide with bifunctional amines - A pathway to the new pharmacologically active substances
AU Georgiyants, V. A.; Sych, I. A.
CS National Pharmaceutical University, Ukraine
SO Medichna Khimiya (2003), 5(3), 95-99
CODEN: MKEHA7
PB Vidavnitstvo "Ukrmedkniga"
DT Journal
LA Ukrainian
OS CASREACT 141:260621

L5 ANSWER 52 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991345 CAPLUS
DN 140:42216
TI Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 418 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103665	A1	20031218	WO 2003-JP7120	20030605
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2488367	AA	20031218	CA 2003-2488367	20030605
	AU 2003242103	A1	20031222	AU 2003-242103	20030605
	EP 1514544	A1	20050316	EP 2003-730831	20030605
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	JP 2002-165148	A	20020606		
	WO 2003-JP7120	W	20030605		

OS MARPAT 140:42216
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 53 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991339 CAPLUS
DN 140:42204
TI Preparation of immunity-related protein kinase inhibitors
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103658	A1	20031218	WO 2003-JP7130	20030605
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2487900	AA	20031218	CA 2003-2487900	20030605
	AU 2003242131	A1	20031222	AU 2003-242131	20030605
	EP 1510210	A1	20050302	EP 2003-730840	20030605
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006019958	A1	20060126	US 2005-515343	20050801
PRAI	JP 2002-164525	A	20020605		
	WO 2003-JP7130	W	20030605		

OS MARPAT 140:42204
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 54 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991338 CAPLUS
DN 140:42203
TI Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives for preventive and/or

therapeutic drugs for neurodegenerative diseases and epilepsy
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103657	A1	20031218	WO 2003-JP7128	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488979	AA	20031218	CA 2003-2488979	20030605
AU 2003242124	A1	20031222	AU 2003-242124	20030605
EP 1555018	A1	20050720	EP 2003-730838	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006035944	A1	20060216	US 2005-516293	20050810
PRAI JP 2002-169640	A	20020611		
WO 2003-JP7128	W	20030605		

OS MARPAT 140:42203
RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

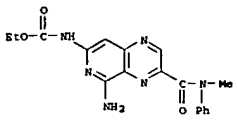
L5 ANSWER 55 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991336 CAPLUS
DN 140:42202
TI Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives as anticancer agents
IN Muto, Susumu; Itai, Akiko
PA Institute of Medicinal Molecular Design. Inc., Japan
SO PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003103655	A1	20031218	WO 2003-JP7121	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488974	AA	20031218	CA 2003-2488974	20030605
AU 2003242108	A1	20031222	AU 2003-242108	20030605
EP 1535610	A1	20050601	EP 2003-730832	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006014811	A1	20060119	US 2005-516292	20050705
PRAI JP 2002-168332	A	20020610		
WO 2003-JP7121	W	20030605		

OS MARPAT 140:42202
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

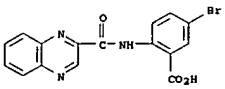
=> D 50 HITSTR

L5 ANSWER 50 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 83269-14-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of ftsz and uses thereof)
RN 83269-14-1 CAPLUS
CN Carbamic acid, [5-amino-3-[(methylphenylamino)carbonyl]pyrido[3,4-b]pyrazin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)



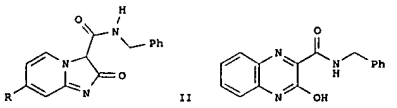
=> D 46 HITSTR

L5 ANSWER 46 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
IT 668974-93-4P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoic acid derivs. as antibacterial agents)
RN 668974-93-4 CAPLUS
CN Benzoic acid, 5-bromo-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)

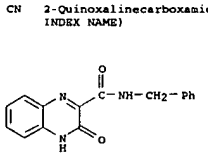


=> D 51-283 IBIB ABS HITSTR

L5 ANSWER 51 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:36264 CAPLUS
DOCUMENT NUMBER: 141:260621
TITLE: Interaction of bromomalonic acid N,N'-dibenzylamide with bifunctional amines - A pathway to the new pharmacologically active substances
AUTHOR(S): Georgiyants, V. A.; Sych, I. A.
CORPORATE SOURCE: National Pharmaceutical University, Ukraine
SOURCE: Medichna Khimiya (2003), 5(3), 95-99
CODEN: MKEHA7
PUBLISHER: Vidavnitstvo "Ukrmedkniga"
DOCUMENT TYPE: Journal
LANGUAGE: Ukrainian
OTHER SOURCE(S): CASREACT 141:260621
GI



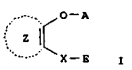
AB Reactions of α-bromomalonic acid N,N'-dibenzylamide BrCH(CONHCH2Ph)2 (I) with bifunctional amines, such as 2-aminopyridine, 2-aminothiazole or o-phenylenediamine, were studied. The reaction of I with 2-aminopyridines gives the corresponding pyridinium ylides, which cyclize on heating in DMF to afford imidazo[1,2-a]pyridines II (R = H, Me) in 75-80% yields. The reaction of I with 4-phenyl-2-aminothiazole occurs similarly giving the corresponding benzylcarbamoyl-substituted imidazo[1,2-b]thiazole. On treatment of I with o-phenylenediamine, benzylcarbamoyl quinoxaline III was obtained in 92% yield. When α,α-dibromomalonic acid N,N'-dibenzylamide was used as alkylating agent instead of I, the formation of benzimidazoline-2,2-dicarboxylic acid N,N'-dibenzylamide was observed Pharmacol. screening of the products showed that III possess a moderate neuroleptic and high diuretic, analgesic and anti-inflammatory activity comparable to the reference compds.
IT 753471-46-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of carbamoyl-substituted imidazopyridines, imidazothiazole, quinoxaline and other heterocycles via heterocyclization of dibenzyl α-bromomalonamide with bifunctional amines)
RN 753471-46-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3,4-dihydro-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



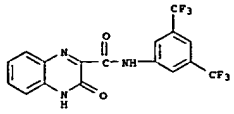
L5 ANSWER 52 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991345 CAPLUS
DOCUMENT NUMBER: 140:42216
TITLE: Preparation of phenol or phenyl acetate derivatives for treatment of allergic diseases
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 418 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103665	A1	20031218	WO 2003-JP7120	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488367	AA	20031218	CA 2003-2488367	20030605
AU 2003242103	A1	20031222	AU 2003-242103	20030605
EP 1514544	A1	20050316	EP 2003-730831	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			JP 2002-165148	A 20020606
			WO 2003-JP7120	W 20030605

OTHER SOURCE(S): MARPAT 140:42216
GI



AB The title compds. I [wherein X = a connecting group; A = H or acetyl; E = (un)substituted aryl or heteroaryl; ring Z = (un)substituted arene or heteroarene] and pharmaceutically acceptable salts, hydrates, and solvates thereof are prepared for the treatment of allergic diseases, endometriosis, and/or hysteromyoma (no data). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared The compds. I exhibited inhibitory activities against IgE production, cell proliferation, and cell degranulation.
IT 439144-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol or Ph acetate derivs. for treatment of allergic diseases)
RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

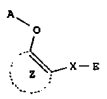


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 53 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991339 CAPLUS
DOCUMENT NUMBER: 140:42204
TITLE: Preparation of immunity-related protein kinase inhibitors
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103658	A1	20031218	WO 2003-JP7130	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487900	AA	20031218	CA 2003-2487900	20030605
AU 2003242131	A1	20031222	AU 2003-242131	20030605
EP 1510210	A1	20050302	EP 2003-730840	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006019958	A1	20060126	US 2005-515343	20050801
PRIORITY APPLN. INFO.:			JP 2002-164525 A	20020605
			WO 2003-JP7130 W	20030605

OTHER SOURCE(S): MARPAT 140:42204
GI

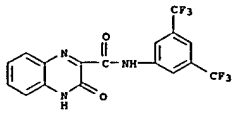


I

AB The title compds. I [X is a connecting group whose main chain has 2 to 5 atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and Z is arene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas O-A (wherein A is as defined above) and X-E (wherein X and E are as defined above)] are prepared Compds. of this invention in vitro at 1 μg/mL gave 90% to 92.6% inhibition of NF-κB activation.

IT 439144-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of immunity-related protein kinase inhibitors)

RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

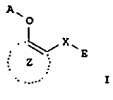


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 54 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991338 CAPLUS
DOCUMENT NUMBER: 140:42203
TITLE: Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives for preventive and/or therapeutic drugs for neurodegenerative diseases and epilepsy
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103657	A1	20031218	WO 2003-JP7128	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488979	AA	20031218	CA 2003-2488979	20030605
AU 2003242124	A1	20031222	AU 2003-242124	20030605
EP 1555018	A1	20050720	EP 2003-730838	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006035944	A1	20060216	US 2005-516293	20050810
PRIORITY APPLN. INFO.:			JP 2002-169640 A	20020611
			WO 2003-JP7128 W	20030605

OTHER SOURCE(S): MARPAT 140:42203
GI

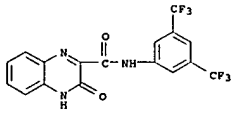


I

AB Disclosed are preventive and/or therapeutic drugs for (1) neurodegenerative diseases including Alzheimer's disease and (2) epilepsy, which contain as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts thereof, and hydrates and solvates of both [wherein A is hydrogen or acetyl; E is 2,5- or 3,5-disubstituted Ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused -polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2) unsubstituted thiazol-2-yl, and (3) unsubstituted benzothiazol-2-yl); and Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above)]. These compds. I are effective for the prevention and/or treatment of Alzheimer's disease and (2) epilepsy based on the simultaneous inhibition of activated protein 1 (AP-1) and transcription factor NF-κB activation. The compds. I including N-phenylhydroxybenzamide (N-phenylsalicylamide), N-phenylhydroxynaphthalenecarboxamide, N-heterocyclylsalicylamide, N-phenylpyridinecarboxamide, N-phenylhydroxythiophenecarboxamide, N-phenylquinoxalinecarboxamide, and N-phenylindolecarboxamide derivs. exhibited the inhibition of (1) TNF-α-stimulated activation of NF-κB in HepG2 cells, (2) TNF-α-stimulated activation of Hela cells, and (3) the activation of AP-1 in HepG2 cells transfected with MEKK-1 expression plasmid. In an Alzheimer's model animal assay, N-[3,5-bis(trifluoromethyl)phenyl]-5-chloro-2-hydroxybenzamide inhibited the memory formation failure in rats injected with human β-amyloid to the hippocampus.

IT 439144-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide preventive and/or therapeutic drugs for Alzheimer's disease and epilepsy)

RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

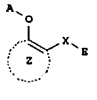


REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 55 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991336 CAPLUS
DOCUMENT NUMBER: 140:42202
TITLE: Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives as anticancer agents
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103655	A1	20031218	WO 2003-JP7121	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488974	AA	20031218	CA 2003-2488974	20030605
AU 2003242108	A1	20031222	AU 2003-242108	20030605
EP 1535610	A1	20050601	EP 2003-730832	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006014811	A1	20060119	US 2005-516292	20050705
PRIORITY APPLN. INFO.:			JP 2002-168332 A	20020610
			WO 2003-JP7121 W	20030605

OTHER SOURCE(S): MARPAT 140:42202
GI

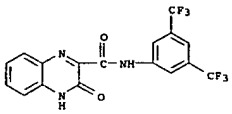


I

AB Disclosed are drugs for the prevention and/or treatment of cancer, which contain as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts thereof, and hydrates and solvates of both [wherein A is hydrogen or acetyl; E is 2,5- or 3,5-disubstituted Ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused -polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2) unsubstituted thiazol-2-yl, and (3) unsubstituted benzothiazol-2-yl); and Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above)]. The compds. I including N-phenylhydroxybenzamide (N-phenylsalicylamide), N-phenylhydroxynaphthalenecarboxamide, N-heterocyclylsalicylamide, N-phenylpyridinecarboxamide, N-phenylhydroxythiophenecarboxamide, N-phenylquinoxalinecarboxamide, and N-phenylindolecarboxamide derivs. in vitro inhibited the proliferation of Jurkat, MIA PACA-2, RD, HepG2, and A549 human cancer cells. N-[3,5-bis(trifluoromethyl)phenyl]-4-chloro-2-hydroxybenzamide in vitro inhibited the proliferation of B16 melanoma, HT-1080 fibrosarcoma, NB-1 neuroblastoma, and HMC-1-8 breast cancer cells and in vivo metastasis of B16 melanoma in mice.

IT 439144-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivs. as anticancer agents)

RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 56 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991335 CAPLUS
DOCUMENT NUMBER: 140:42201
TITLE: Preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivatives as transcription factor NF- κB activation inhibitors
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 286 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

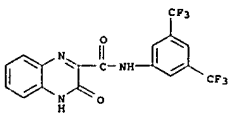
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103654	A1	20031218	WO 2003-JP7119	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488342	AA	20031218	CA 2003-2488342	20030605
AU 2003242137	A1	20031222	AU 2003-242137	20030605
EP 1510207	A1	20050302	EP 2003-730841	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			JP 2002-164524	A 20020605
			WO 2003-JP7131	W 20030605

OTHER SOURCE(S): MARPAT 140:27850
GI

AB Disclosed are drugs having an inhibitory activity against transcription factor NF-κB activation, which contain as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I), pharmacol. acceptable salts thereof, and hydrates and solvates of both [wherein A is hydrogen or acetyl; E is 2,5- or 3,5-disubstituted Ph or an optionally substituted monocyclic or fused-polycyclic heteroaryl group (exclusive of (1) fused -polycyclic heteroaryl whose benzene ring is bonded directly to the -CONH- group, (2) unsubstituted thiazol-2-yl, and (3) unsubstituted benzothiazol-2-yl); and Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above) or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A (wherein A is as defined above) and -CONH-E (wherein E is as defined above)]. Also disclosed are (1) inhibitors against production and release of inflammatory mediators and immunosuppressants and (2) drugs for prevention and/or treatment of chronic articular rheumatism. The compds. I including N-phenylhydroxybenzamide (N-phenylsalicylamide), N-phenylhydroxynaphthalenecarboxamide, N-heterocyclylsalicylamide, N-phenylpyridinecarboxamide, N-phenylhydroxythiophenecarboxamide, N-phenylquinoxalinecarboxamide, and N-phenylindolecarboxamide derivs. exhibited the inhibition of (1) TNF- α-stimulated activation of NF-κB (2) TNF-α-stimulated production of IL-6, IL-8, and PGE2 in human synoviocyte (RA-pos.) cells, (3) collagen-induced inflammation in mice, (4) myocardial ischemic reperfusion disorder in rats, and (5) proliferation of smooth muscle cells of normal coronary artery blood vessel. Some com. available compds. were selected as NF- κB inhibitors (ligands) by virtual screening using a three-dimensional database automated retrieval software based on a protein structure of NF-κB. The activity of the selected compds. were confirmed by reporter assay for inhibition of TNF- α-stimulated activation of NF-κB and an assay for inhibition of NF- α-stimulated production of inflammatory mediators.

IT 439144-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxybenzamide, naphthalenecarboxamide, and hydroxyheterocyclecarboxamide derivs. as transcription factor NF- κB activation inhibitors)

RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 57 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991330 CAPLUS
DOCUMENT NUMBER: 140:27850
TITLE: Preparation of phenol or phenyl acetate derivatives as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan
SOURCE: PCT Int. Appl., 396 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

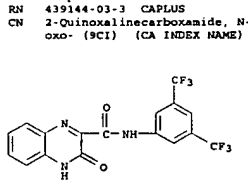
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103648	A1	20031218	WO 2003-JP7131	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488342	AA	20031218	CA 2003-2488342	20030605
AU 2003242137	A1	20031222	AU 2003-242137	20030605
EP 1510207	A1	20050302	EP 2003-730841	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			JP 2002-164524	A 20020605
			WO 2003-JP7131	W 20030605

OTHER SOURCE(S): MARPAT 140:27850
GI

AB Disclosed are medicines for the prevention and/or treatment of diabetes and/or diabetes complications, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E). Also disclosed are medicines possessing insulin-resistance improving, hyperinsulinemia improving, and/or hyperglycemia improving activity. A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamides, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared The compds. I improve insulin resistance by specifically inhibiting IKK- β (I κB kinase β).

IT 439144-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol or Ph acetate derivs. as therapeutic drugs for prevention or treatment of diabetes and/or diabetes complications)

RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 58 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:991329 CAPLUS
DOCUMENT NUMBER: 140:27849
TITLE: Preparation of phenol or phenyl acetate derivatives as inhibitors against the activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT)
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design. Inc., Japan

SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103647	A1	20031218	WO 2003-JP7129	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487891	AA	20031218	CA 2003-2487891	20030605
AU 2003242127	A1	20031222	AU 2003-242127	20030605
EP 1512396	A1	20050309	EP 2003-730839	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			JP 2002-164526	A 20020605
			WO 2003-JP7129	W 20030605
OTHER SOURCE(S):	MARPAT 140:27849			

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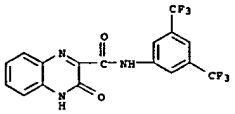
AB Disclosed are medicines for inhibiting the activation of AP-1 or NFAT, containing as the active ingredient substances selected from the group consisting of compds. represented by the general formula (I) and pharmacol. acceptable salts thereof, and hydrates and solvates of both (wherein X is a connecting group whose main chain has 2 to 5 carbon atoms and which may have a substituent; A is hydrogen or acetyl; E is optionally substituted aryl or optionally substituted heteroaryl; and the ring Z is arene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E, or heteroarene which may have a substituent in addition to the groups represented by the general formulas: -O-A and -X-E). A total of .apprx.500 I including N-phenylhydroxybenzamides (N-phenylsalicylamide), N-heterocyclylhydroxybenzamides, N-phenylhydroxycarbazolecarboxamides, N-phenylhydroxynaphthalenecarboxamides, N-phenylhydroxypyridinecarboxamide s, N-phenylhydroxyquinoxalinecarboxamide, and N-phenylhydroxyindolecarboxamide were prepared. The compds. I can exhibit the inhibitory activity against releasing inflammatory cytokines, inflammatory activity, immunosuppressant activity, and antiallergic activity based on inhibiting the activation of AP-1 or NFAT.

IT 439144-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol or Ph acetate derivs. as inhibitors against activation of activator protein-1 (AP-1) and nuclear factor of activated T-cells (NFAT))

RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 59 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:971736 CAPLUS
DOCUMENT NUMBER: 140:16656
TITLE: cis-N-(Quinolin-4-yl)cyclohexane-1,4-diamine derivatives as antagonists of melanin concentrating hormone (MCH) and their pharmaceutical compositions and therapeutic uses, e.g., for treatment of obesity
INVENTOR(S): Kym, Philip R.; Hartandi, Kresna; Gao, Ju; Phelan, Kathleen M.; Akritopoulou-Zanze, Irini; Collins, Christine A.; Vasudevan, Anil; Verzal, Mary K.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003229119	A1	20031211	US 2003-372359	20030221
US 6818772	B2	20041116		
PRIORITY APPLN. INFO.:			US 2002-359081P	P 20020222
OTHER SOURCE(S):	MARPAT 140:16656			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

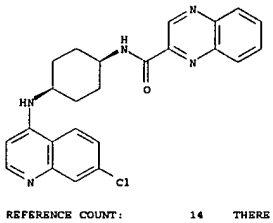
AB The invention is directed to the compds. of formula I, or therapeutically suitable salts, esters, prodrugs, or zwitterions thereof [R1, R2, R3 = H, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, OH, NH2 and derivs.; R4 = H, alkyl; R5 = -(CH2)mYAB; m = 0-6; A = bond, alkoxyalkylene, alkylene, or hydroxyalkylene; B = H, alkyl, aryl, aroyl, arylsulfonyl, aralkenyl, aryloxyalkyl, biaryl, biarylalkyl, cycloalkyl, heterocycyl, heterocyclylcarbonyl, heterocyclylsulfonyl, haloalkyl, NH2 or derivs., carbamoyl or derivs., OH or derivs., SH or derivs.; Y = CO, S, SO, SO2, or bond; R6 = H, alkyl, arylcarboxyalkyl; R7, R8, R9, R10 = H, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, OH; or R7R8 = oxo; with 4 provisos]. The invention further relates to the antagonism of the effects of melanin-concentrating hormone (MCH) through the MCH receptor, which is useful for

the prevention or treatment of eating disorders, weight gain, obesity, abnormalities in reproduction and sexual behavior, thyroid hormone secretion, diuresis and water/electrolyte homeostasis, sensory processing, memory, sleeping, arousal, anxiety, depression, seizures, neurodegeneration and psychiatric disorders. Approx. 450 synthetic examples of I are given. For instance, reaction of N-(7-chloroquinolin-4-yl)cyclohexane-1,4-diamine (cis isomer) with 4-chloro-2,8-bis(trifluoromethyl)quinoline in N-methylpyrrolidinone the presence of Et3N at 150° gave title compound II. In a fluorescence assay for release of intracellular Ca++ induced by activation of MCHR, a more preferred group of compds. I inhibited MCH-induced fluorescence in a range of 90-100% at 10 μM. A more preferred group of I also gave 90-100% inhibition of 125I-MCH binding to human MCHR1 at 2 μM (no addnl. data).

IT 589493-04-9P, cis-N-[4-[(7-Chloroquinolin-4-yl)amino]cyclohexyl]quinoxaline-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinolinylcyclohexanediamine derivs. as MCH receptor antagonists)

RN 589493-04-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[cis-4-[(7-chloro-4-quinolinyl)amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

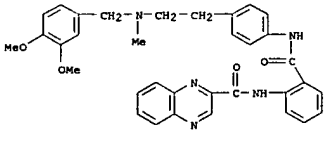
L5 ANSWER 60 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:913160 CAPLUS
DOCUMENT NUMBER: 139:399863
TITLE: Process for the preparation of a hydrate of an anthranilic acid derivative
INVENTOR(S): Hayman, David Frank; Wright, Michael
PATENT ASSIGNEE(S): Xenova Limited, UK
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003095447	A1	20031120	WO 2003-GB2060	20030513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003233899	A1	20031111	AU 2003-233899	20030513
CA 2485430	AA	20031120	CA 2003-2485430	20030513
EP 1506188	A1	20050216	EP 2003-727661	20030513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009990	A	20050222	BR 2003-9990	20030513
CN 1665806	A	20050907	CN 2003-815929	20030513
JP 2005538051	T2	20051215	JP 2004-503463	20030513
US 2005222199	A1	20051006	US 2005-513986	20050408
PRIORITY APPLN. INFO.:			US 2002-379759P	P 20020514
			WO 2003-GB2060	W 20030513
OTHER SOURCE(S):	MARPAT 139:399863			

AB A hydrate of an acid addition bis-salt of an anthranilic acid derivative is produced by a process, which comprises: (a) combining, in any order, the anthranilic acid derivative, a pharmaceutically acceptable organic solvent, an excess of water and a pharmaceutically acceptable strong acid to form a mixture; (b) warming the mixture until a clear solution forms; (c) filtering the solution while it is warm, to yield a filtrate; and (d) recovering the hydrate as defined above from the filtrate. The hydrate has a defined number of moles of water of crystallization and possesses better storage stability and dissoln. characteristics than conventionally produced hydrates of such acid addition bis-salts. Anthranilic acid derivs. and hydrates of their bis-salts are useful as inhibitors of P-glycoprotein for modulating P-glycoprotein mediated multidrug resistance in tumor treatment. For example, bis-mesylate hexahydrate of quinoline-3-carboxylic acid (2-[4-[2-(6,7-dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-ethyl]-phenylcarbamoyl]-4,5-dimethoxy-phenyl)-amide was prepared, using acetone as antisolvent for recovery of hydrate from the filtrate.

IT 206872-43-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anthranilic acid derivs. and their salt hydrates as modulators of multidrug resistance in tumor treatment)

RN 206872-43-7 CAPLUS
CN 3-Quinoxalinecarboxamide, N-[2-[[[4-[2-[[(3,4-dimethoxyphenyl)methyl]methylamino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 61 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:913154 CAPLUS
DOCUMENT NUMBER: 139:381369

TITLE: Process for preparation of 5-(1-amino-2-arylethyl)-3-(3-hydroxy-3-methylbutyl)dihydrofuran-2-ones via treatment of 5-(1-protected-amino-2-arylethyl)-3-(3-methyl-2-butenyl)dihydrofuran-2-ones with phosphoric acid

INVENTOR(S): Urban, Frank John; Jasys, Vytautas John; Li, Zhengong Bryan; Kath, John Charles

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

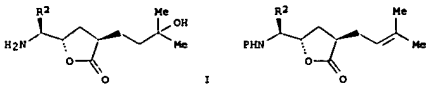
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003095440	A1	20031120	WO 2003-IB1840	20030505
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003223052	A1	20031111	AU 2003-223052	20030505
CA 2484860	AA	20031120	CA 2003-2484860	20030505
BR 2003009930	A	20050209	BR 2003-9930	20030505
EP 1503999	A1	20050209	EP 2003-719022	20030505
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005530775	T2	20051013	JP 2004-503456	20030505
US 2004019217	A1	20040129	US 2003-431276	20030507
US 6858744	B2	20050222		

PRIORITY APPLN. INFO.:
US 2002-380694P P 20020514
US 2002-397138P P 20020718
WO 2003-IB1840 W 20030505

OTHER SOURCE(S): MARPAT 139:381369

GI



I II

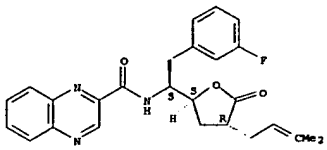
AB Title compds. [I; R2 = (substituted) Ph(CH2)m, naphthyl(CH2)m, cycloalkyl(CH2)m, alkyl(CH2)m, heteroaryl(CH2)m; m = 0-4] were prepared by treatment of alkenes [II; P = protecting group; R2 as above) with H3PO4. Thus, [2-(3-fluorophenyl)-1-[4-(3-methylbut-2-enyl)-5-oxotetrahydrofuran-2-yl]ethyl]carbamic acid tert-Bu ester (preparation given) was stirred with CH2Cl2 and 85% H3PO4 for 7h followed by cooling to 0°, dilution with water, and addition of 20% NaOH to pH 7-8.5 to give 5-[1-amino-2-(3-fluorophenyl)ethyl]-3-(3-hydroxy-3-methylbutyl)dihydrofuran-2-one. The latter was used to prepare quinoxaline-2-carboxylic acid [2-(3-fluorophenyl)-1-[4-(3-hydroxy-3-methylbutyl)-5-oxotetrahydrofuran-2-yl]ethyl]amide.

IT 624736-63-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoarylethylhydroxymethylbutyldihydrofuranones via treatment of protected aminoarylethylmethylbutenyldihydrofuranones with phosphoric acid)

RN 624736-63-6 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1S)-2-(3-fluorophenyl)-1-[(2S,4R)-tetrahydro-4-(3-methyl-2-butenyl)-5-oxo-2-furanyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

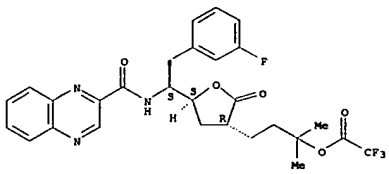


IT 624736-64-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoarylethylhydroxymethylbutyldihydrofuranones via treatment of protected aminoarylethylmethylbutenyldihydrofuranones with phosphoric acid)

RN 624736-64-7 CAPLUS

CN Acetic acid, trifluoro-, 3-[(3R,5S)-5-[(1S)-2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]tetrahydro-2-oxo-3-furanyl]-1,1-dimethylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

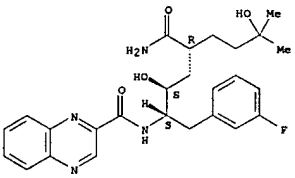


IT 212790-31-3P 624736-60-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminoarylethylhydroxymethylbutyldihydrofuranones via treatment of protected aminoarylethylmethylbutenyldihydrofuranones with phosphoric acid)

RN 212790-31-3 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

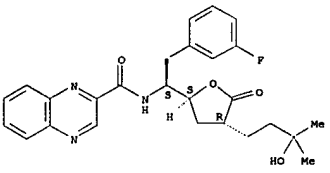
Absolute stereochemistry.



RN 624736-60-3 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1S)-2-(3-fluorophenyl)-1-[(2S,4R)-tetrahydro-4-(3-hydroxy-3-methylbutyl)-5-oxo-2-furanyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 62 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:837079 CAPLUS

DOCUMENT NUMBER: 139:338195

TITLE: Preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease

INVENTOR(S): Pitlik, Janos; Cottrell, Kevin M.; Farmer, Luc J.; Perni, Robert B.; Courtney, Lawrence F.; Van Drie, John H.; Murcko, Mark A.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

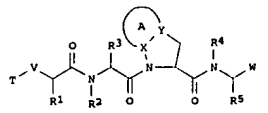
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087092	A2	20031023	WO 2003-US11459	20030411
WO 2003087092	A3	20040910		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481369	AA	20031023	CA 2003-2481369	20030411
AU 2003223602	A1	20031027	AU 2003-223602	20030411
EP 1497282	A2	20050119	EP 2003-719741	20030411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005535574	T2	20051124	JP 2003-584048	20030411
NO 2004004889	A	20050110	NO 2004-4889	20041110

PRIORITY APPLN. INFO.:
US 2002-371846P P 20020411
WO 2003-US11459 W 20030411

OTHER SOURCE(S): MARPAT 139:338195

GI



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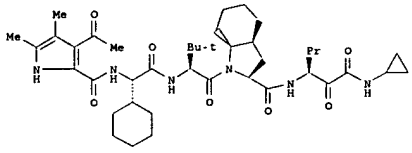


II

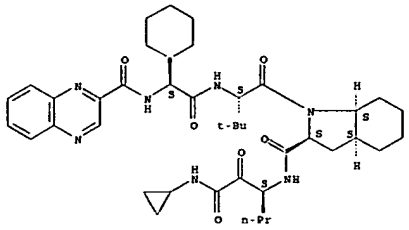
AB The invention relates to compds. I [A together with X and Y is a 3- to 6-membered aromatic or non-aromatic ring having up to 3 heteroatoms; R1, R3 are aliphatic, (un)substituted (cyclo)alk(en)yl, (hetero)aryl, etc.; R2, R4 are H, (un)substituted aliphatic, cycloalkyl or aryl aliphatic; R5 is (un)substituted aliphatic; W is COCOR6, COCO2R6, or COCONR62, where R6 is H, aliphatic, (hetero)aryl, etc.; V is CONR8, SONR8, SO2NR8, where R8 is H or aliphatic; T is (hetero)aryl, aliphatic, sulfonylaminoalkyl, etc.] that inhibit serine protease activity, particularly the activity of hepatitis C virus NS3-NS4A protease. Thus, peptide II was prepared via coupling reactions in solution and showed Ki and IC50 values < 0.5 μM.

IT 615583-77-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease)

RN 615583-77-2 CAPLUS

CN 1H-Indole-2-carboxamide, (2S)-2-cyclohexyl-N-(2-quinoxalinylcarbonyl)glycyl-3-methyl-L-valyl-N-[(1S)-1-[(cyclopropylamino)oxoacetyl]butyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

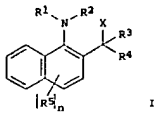
Absolute stereochemistry.



L5 ANSWER 63 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:836605 CAPLUS
DOCUMENT NUMBER: 139:323346
TITLE: Preparation of naphthalene amides as potassium channel openers
INVENTOR(S): Turner, Sean C.; Castle, Neil A.; Carroll, William A.; White, Tammie K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 32 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003199578	A1	20031023	US 2002-125899	20020419
WO 2003089404	A1	20031030	WO 2003-US12023	20030417

W: CA, JP, MX
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR
PRIORITY APPLN. INFO.: US 2002-125899 A 20020419
OTHER SOURCE(S): MARPAT 139:323346
GI



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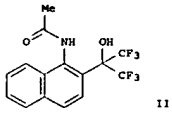
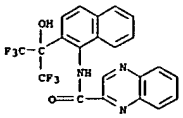


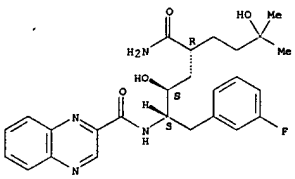
II

AB The title compds. [I; X = (un)substituted OH, SH, NH2; R1, R2 = H, alkyl, alkylcarbonyl, etc.; R3, R4 = H, alkyl, aryl, etc.; R5 = H, alkenyl, alkoxyalkyl, etc.; n = 0-6] that have ability to act as potassium channel openers, were prepared Thus, reacting 1-aminonaphthalene with hexafluoroacetone.3H2O in the presence of p-TsOH followed by treating the resulting 2-(1-aminonaphthalen-2-yl)-1,1,1,3,3,3-hexafluoropropan-2-ol with Ac2O afforded II. The compds. I exhibited a 50% maximum response of membrane hyperpolarization in Guinea pig bladder cells at doses > 1000 nM. Pharmaceutical composition comprising the compound I is claimed.
IT 571166-29-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthalene amides as potassium channel openers)
RN 571166-29-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 64 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:811288 CAPLUS
DOCUMENT NUMBER: 140:35552
TITLE: CP-481,715, a Potent and Selective CCR1 Antagonist with Potential Therapeutic Implications for Inflammatory Diseases
AUTHOR(S): Gladue, Ronald P.; Tylaska, Laurie A.; Brissette, William H.; Lira, Paul D.; Kath, John C.; Poss, Christopher S.; Brown, Matthew F.; Paradis, Timothy J.; Conklyn, Maryrose J.; Ogborne, Kevin T.; McGlynn, Molly A.; Lillie, Brett M.; DiRico, Amy P.; Maire, Erin N.; McElroy, Eric B.; Martin, William H.; Stock, Ingrid A.; Shepard, Richard M.; Showell, Henry J.; Neote, Kuldeep
CORPORATE SOURCE: Pfizer Global Research and Development, Groton, CT, 06340, USA
SOURCE: Journal of Biological Chemistry (2003), 278(42), 40473-40480
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The chemokines CCL3 and CCL5, as well as their shared receptor CCR1, are believed to play a role in the pathogenesis of several inflammatory diseases including rheumatoid arthritis, multiple sclerosis, and transplant rejection. In this study we describe the pharmacol. properties of a novel small mol. weight CCR1 antagonist, CP-481,715 (quinoxaline-2-carboxylic acid [4(R)-carbamoyl-1(S)-(3-fluorobenzyl)-2(S),7-dihydroxy-7-methyloctyl]amide). Radiolabeled binding studies indicate that CP-481,715 binds to human CCR1 with a Kd of 9.2 nM and displaces 125I-labeled CCL3 from CCR1-transfected cells with an IC50 of 74 nM. CP-481,715 lacks intrinsic agonist activity but fully blocks the ability of CCL3 and CCL5 to stimulate receptor signaling (guanosine 5'-O-(thiotriphosphate) incorporation; IC50 = 210 nM), calcium mobilization (IC50 = 71 nM), monocyte chemotaxis (IC50 = 55 nM), and matrix metalloproteinase 9 release (IC50 = 54 nM). CP-481,715 retains activity in human whole blood, inhibiting CCL3-induced CD11b up-regulation and actin polymerization (IC50 = 165 and 57 nM, resp.) on monocytes. Furthermore, it behaves as a competitive and reversible antagonist. CP-481,715 is >100-fold selective for CCR1 as compared with a panel of G-protein-coupled receptors including related chemokine receptors. Evidence for its potential use in human disease is suggested by its ability to inhibit 90% of the monocyte chemotactic activity present in 11/15 rheumatoid arthritis synovial fluid samples. These data illustrate that CP-481,715 is a potent and selective antagonist for CCR1 with therapeutic potential for rheumatoid arthritis and other inflammatory diseases.
IT 212790-31-3, CP 481715
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CP-481715 a potent and selective CCR1 antagonist with potential therapeutic implications for inflammatory diseases)
RN 212790-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 65 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:678662 CAPLUS
DOCUMENT NUMBER: 139:214342
TITLE: cis-N-(Quinolin-4-yl)cyclohexane-1,4-diamine derivatives as antagonists of melanin concentrating hormone (MCH) and their pharmaceutical compositions and therapeutic uses, e.g., for treatment of obesity
INVENTOR(S): Kym, Philip R.; Hartandi, Kresna; Gao, Ju; Phelan, Kathleen M.; Akritopoulou-Zanze, Irini; Collins, Christine A.; Vasudevan, Anil; Verzal, Mary K.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

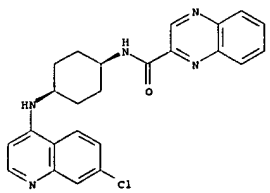
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070244	A1	20030828	WO 2003-US5510	20030221

W: CA, JP, MX
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR
PRIORITY APPLN. INFO.: US 2002-81675 A 20020222
OTHER SOURCE(S): MARPAT 139:214342
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to the compds. of formula I, or therapeutically suitable salts, esters, prodrugs, or zwitterions thereof [R1, R2, R3 = H, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, OH, NH2 and derivs.; R4 = H, alkyl; R5 = -(CH2)mYAB; m = 0-6; A = bond, alkoxyalkylene, alkylene, or hydroxyalkylene; B = H, alkyl, aryl, aroyl, arylsulfonyl, aralkenyl, aryloxyalkyl, biaryl, biarylalkyl, cycloalkyl, heterocyclyl, heterocyclylcarbonyl, heterocyclylsulfonyl, haloalkyl, NH2 or derivs., carbamoyl or derivs., OH or derivs., SH or derivs.; Y = CO, S, SO, SO2, or bond; R6 = H, alkyl, arylcarboxyalkyl; R7, R8, R9, R10 = H, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, OH; or R7R8 = oxo; with 4 provisos]. The invention further relates to the antagonism of the effects of melanin-concentrating hormone (MCH) through the MCH receptor, which is useful for the prevention or treatment of eating disorders, weight gain, obesity, abnormalities in reproduction and sexual behavior, thyroid hormone secretion, diuresis and water/electrolyte homeostasis, sensory processing, memory, sleeping, arousal, anxiety, depression, seizures, neurodegeneration and psychiatric disorders. Approx. 450 synthetic examples of I are given. For instance, reaction of N-(7-chloroquinolin-4-yl)cyclohexane-1,4-diamine (cis isomer) with 4-chloro-2,8-bis(trifluoromethyl)quinoline in N-methylpyrrolidinone the presence of Et3N at 150° gave title compound II. In a fluorescence assay for release of intracellular Ca++ induced by activation of MCHR, a more preferred group of compds. I inhibited MCH-induced fluorescence in a range of 90-100% at 10 μM. A more preferred group of I also gave 90-100% inhibition of 125I-MCH binding to human MCHR1 at 2 μM (no addnl. data).
IT 589493-04-9P, cis-N-[4-[(7-Chloroquinolin-4-yl)amino]cyclohexyl]quinoxaline-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinolinylcyclohexanediamine derivs. as MCH receptor antagonists)
RN 589493-04-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[cis-4-[(7-chloro-4-quinolinyl)amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



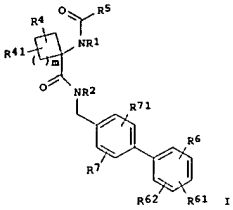
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 66 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:633356 CAPLUS
DOCUMENT NUMBER: 139:179892
TITLE: Preparation of N-biphenylmethyl cycloalkanecarboxamides as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation
INVENTOR(S): Wood, Michael R.; Anthony, Neville J.; Bock, Mark G.; Feng, Dong-mei; Kuduk, Scott D.; Su, Dai-shi; Wai, Jenny Miu-chun
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003065789	A2	20030814	WO 2003-US5782	20030204
WO 2003065789	A3	20040311		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473778	AA	20030814	CA 2003-2473778	20030204
EP 1476419	A2	20041117	EP 2003-713689	20030204
EP 1476419	B1	20060201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005085667	A1	20050421	US 2003-503502	20030204
JP 2005516979	T2	20050609	JP 2003-565227	20030204
PRIORITY APPLN. INFO.:			US 2002-355062P P	20020208
			US 2002-410775P P	20020912
			WO 2003-US5782 W	20030204

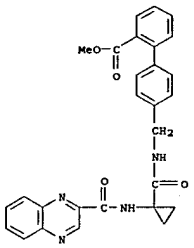
OTHER SOURCE(S): MARPAT 139:179892
GI



AB Title compds. [I; R1, R2 = H, alkyl; R4, R41 = H, halo, (substituted) alkyl; R5 = alkynyl, (substituted) alkyl, cycloalkyl, alkenyl, aryl(alkyl), heterocyclyl(alkyl), etc.; R6 = halo, cyano, NO2, cycloalkyl, (substituted) alkyl, alkenyl, amino, acylamino, heterocyclyl, etc.; R61, R62 = H, R6; R7, R71 = H, halo, cyano, NO2, OH, CO2H, alkyl, haloalkyl, etc.; with provisos], were prepared for treatment of pain and inflammation (no data). Thus, a mixture of THF, H2O, K2CO3, Me 2-iodobenzoate, 4-cyanophenylboronic acid, and bis(tri-o-tolylphosphine)palladium(II) chloride was refluxed 3.5 h, cooled to ambient temperature, and stirred overnight to give Me 4'-cyano-1,1'-biphenyl-2-carboxylate. The latter in 2 M NH3 in MeOH with a 50% aqueous slurry of Raney Ni was stirred under H2 for 9 h to give a residue which was dissolved in Et2O/EtOAc prior to introduction of HCl to give Me 4'-(aminomethyl)-1,1'-biphenyl-2-carboxylate hydrochloride. To the free base of the above in THF was added 1-[(tert-butoxycarbonyl)amino]cyclopropanecarboxylic acid, Et3N, HOBt.H2O, and EDCI and the mixture was stirred overnight to provide Me 4'-[[[1-[(tert-butoxycarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-carboxylate. The latter was treated with HCl in CH2Cl2/MeOH to give the deprotected amine which was treated with HOBt.H2O, 3,3,3-trifluoropropionic acid, Et3N, and EDCI in DMF to give 78% Me 4'-[[[[1-[(3,3,3-trifluoropropanoyl)amino]cyclopropyl]carbonyl]amino]methyl]-1,1'-biphenyl-2-carboxylate.

IT 578766-97-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-biphenylmethyl cycloalkanecarboxamides as bradykinin B1 antagonists or inverse agonists useful in the treatment of pain and inflammation)

RN 578766-97-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[[[1-[(2-quinoxalinylcarbonyl)amino]cyclopropyl]carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 67 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:491039 CAPLUS
DOCUMENT NUMBER: 139:69255
TITLE: Preparation of hydroxyphenyl-pyrazoles as kinase inhibitors and pharmaceutical compositions comprising them for treating cancer and other disorders
INVENTOR(S): Casuscelli, Francesco; D'Alessio, Roberto; Felder, Eduard; Vulpetti, Anna
PATENT ASSIGNEE(S): Pharmacia Italia SPA, Italy
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051358	A1	20030626	WO 2002-EP14087	20021211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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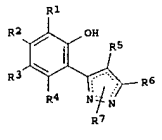
US 2004010027	A1	20040115	US 2001-15630	20011217
CA 2470616	AA	20030626	CA 2002-2470616	20021211
AU 2002358676	A1	20030630	AU 2002-358676	20021211
EP 1458379	A1	20040922	EP 2002-792973	20021211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015063	A	20041019	BR 2002-15063	20021211
JP 2005515209	T2	20050526	JP 2003-552291	20021211
PRIORITY APPLN. INFO.:			US 2001-15630 A	20011217
			WO 2002-EP14087 W	20021211

OTHER SOURCE(S): MARPAT 139:69255
GI



AB The present invention provides a method for treating diseases caused by and/or associated with an altered protein kinase activity which comprises administering to a mammal in need thereof an effective amount of 3-(o-hydroxyphenyl)pyrazoles (shown as I; variables defined below; e.g. 5-cyclopropyl-3-{2-hydroxy-4-(4-methoxybenzoylamino)phenyl}pyrazole-1-carboxylic acid butylamide). The invention also provides some new compds., a library comprising at least two of them, a process for their preparation and the pharmaceutical compns. containing them, which are useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity such as cancer, cell proliferative disorders, viral infections, autoimmune diseases and neurodegenerative disorders. Pharmacol. test methods are described but no results are reported. For I: R1 to R4 = H, halogen, hydroxy, nitro or NR8R9 (R8 and R9 = H or (un)substituted C1-C6 alkyl, aryl, aryl C1-C6 alkyl, C3-C7 cycloalkyl and (un)saturated heterocyclyl), or COR10, CONHR10 or SO2R10 (R10 is H atom or (un)substituted C1-C6 alkyl, aryl, aryl C1-C6 alkyl, (un)saturated C3-C7 cycloalkyl or (un)saturated heterocyclyl), or an (un)substituted straight or branched C1-C6 alkyl, aryl, aryl C1-C6 alkyl, (un)saturated C3-C7 cycloalkyl or cycloalkoxy, (un)saturated heterocyclyl, C1-C6 alkoxy, aryloxy, aryl C1-C6 alkoxy. R5 and R6 = H or (un)substituted C1-C6 alkyl, aryl, aryl C1-C6 alkyl, C3-C7 cycloalkyl and (un)saturated heterocyclyl; R7 is a substituent attached at one of the two N atoms of the pyrazole ring and is CONHR10, CSNHR10, SO2R10, COR10 or COOR10. The example preparation of 5-cyclopropyl-3-[2-hydroxy-4-(4-methoxybenzoylamino)phenyl]pyrazole-1-carboxylic acid butylamide is provided starting from 4-amino-2-hydroxyacetophenone and involving attachment to a polystyrene derivative, amidation using p-anisoyl chloride, coupling with Et cyclopropanecarboxylate, cyclocondensation with hydrazine, addition reaction with BuNCO and removal of the polymer using 50% CF3CO2H in CH2Cl2. Characterization data are provided for .apprx.30 examples of I and .apprx.2700 examples of I are tabulated without data.

IT 550325-85-4P 550325-86-5P 550325-87-6P
550325-88-7P 550325-89-8P 550325-90-1P
550325-91-2P 550325-92-3P 550325-93-4P
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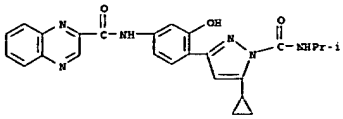
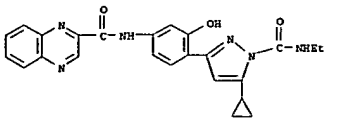
550340-47-1P 550340-48-2P 550340-49-3P
550340-50-6P 550340-51-7P 550340-52-8P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of hydroxyphenyl-pyrazoles as kinase inhibitors and pharmaceutical compns. comprising them for treating cancer and other disorders)

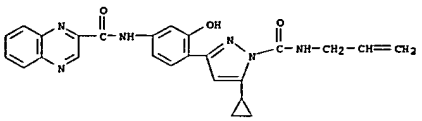
RN 550325-85-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[(1-methylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



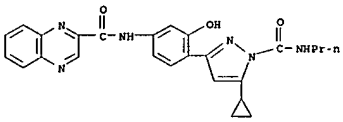
RN 550325-86-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[(ethylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



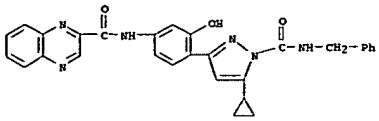
RN 550325-87-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[(2-propenylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



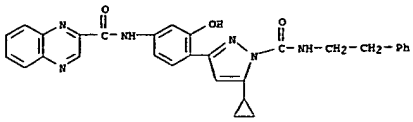
RN 550325-88-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[(propylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



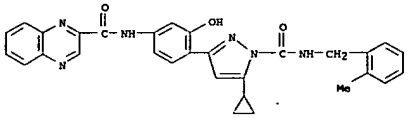
RN 550325-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[(phenylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



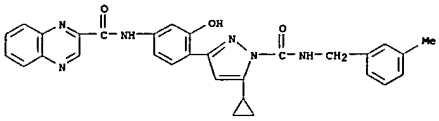
RN 550325-90-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(2-phenylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



RN 550325-91-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(2-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

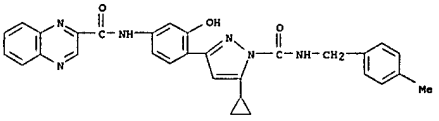


RN 550325-92-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(3-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

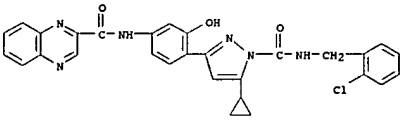


RN 550325-93-4 CAPLUS

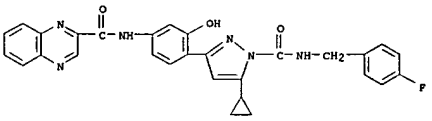
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(4-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



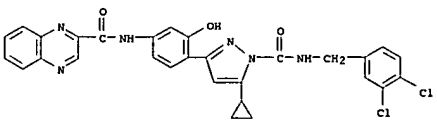
RN 550325-94-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[1-[[[(2-chlorophenyl)methyl]amino]carbonyl]-5-cyclopropyl-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



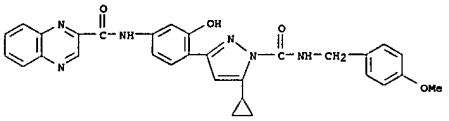
RN 550325-95-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(4-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



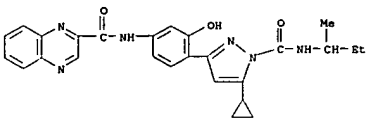
RN 550325-96-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(3,4-dichlorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



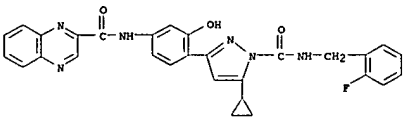
RN 550325-97-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



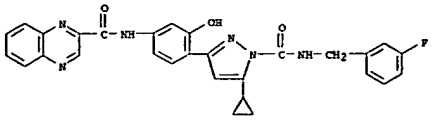
RN 550325-98-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[(1-methylpropyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



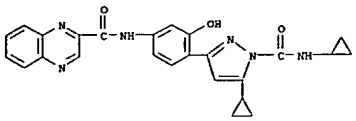
RN 550325-99-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(2-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



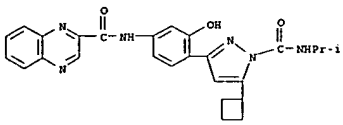
RN 550326-00-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[[[(3-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



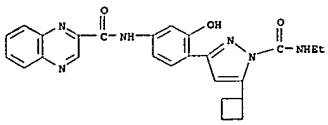
RN 550326-01-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopropyl-1-[(cyclopropylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



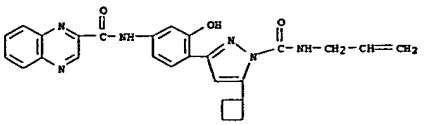
RN 550326-02-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[(1-methylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



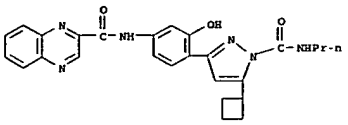
RN 550326-03-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[(ethylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



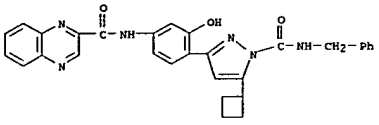
RN 550326-04-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[(2-propenylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



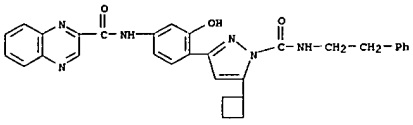
RN 550326-05-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[(propylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



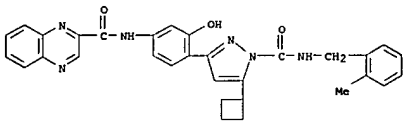
RN 550326-06-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[(phenylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



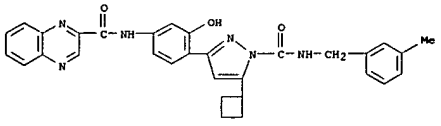
RN 550326-07-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[(2-phenylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



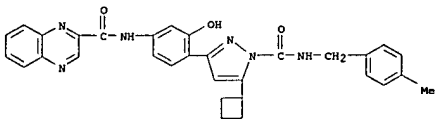
RN 550326-08-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[[(2-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



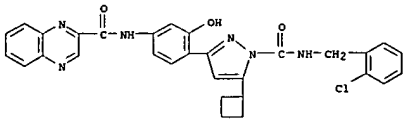
RN 550326-09-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[[(3-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



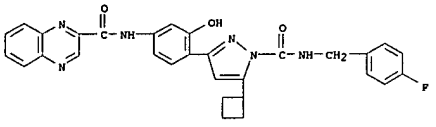
RN 550326-10-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[[(4-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



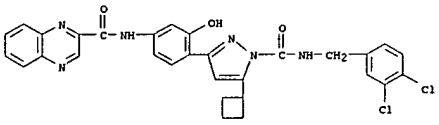
RN 550326-11-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[1-[[[(2-chlorophenyl)methyl]amino]carbonyl]-5-cyclobutyl-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



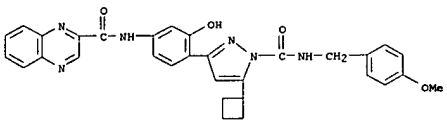
RN 550326-12-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[[(4-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



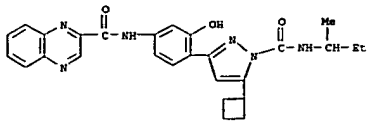
RN 550326-13-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[[(3,4-dichlorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



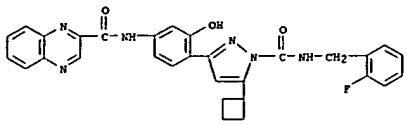
RN 550326-14-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



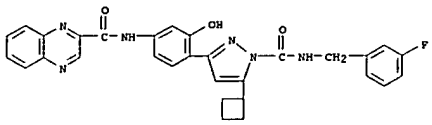
RN 550326-15-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[(1-methylpropyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



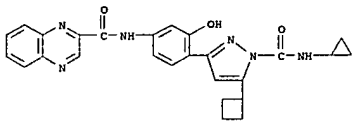
RN 550326-16-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[[(2-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



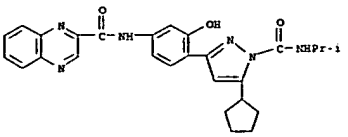
RN 550326-17-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[[[(3-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



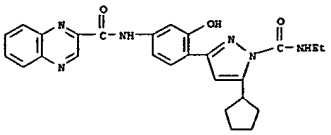
RN 550326-18-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclobutyl-1-[(cyclopropylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



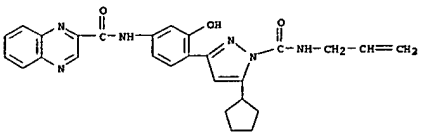
RN 550326-19-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[(1-methylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



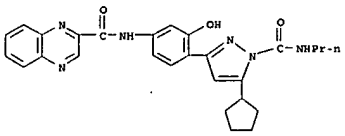
RN 550326-20-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[(ethylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



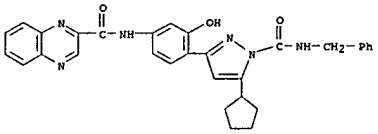
RN 550326-21-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[(2-propenylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



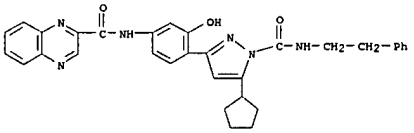
RN 550326-22-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[(propylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



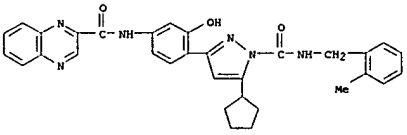
RN 550326-23-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[(phenylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



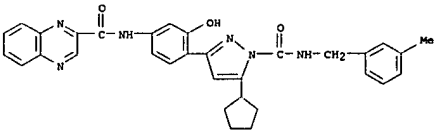
RN 550326-24-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[(2-phenylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



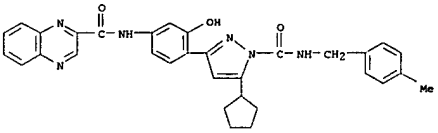
RN 550326-25-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[[(2-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



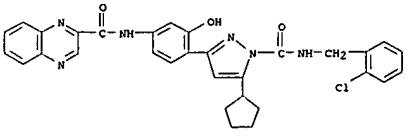
RN 550326-26-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[[(3-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



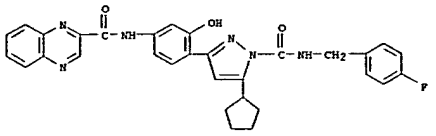
RN 550326-27-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[[(4-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



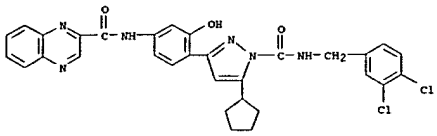
RN 550326-28-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[1-[[[(2-chlorophenyl)methyl]amino]carbonyl]-5-cyclopentyl-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



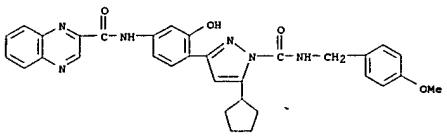
RN 550326-29-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[[(4-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



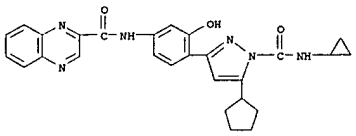
RN 550326-30-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[[(3,4-dichlorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



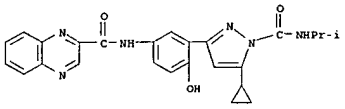
RN 550326-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



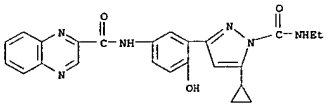
RN 550326-33-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[(1-methylpropyl)amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



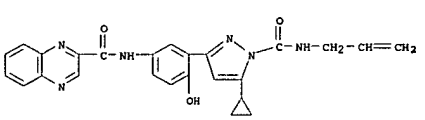
RN 550340-02-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[(1-methylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



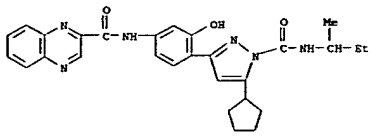
RN 550340-03-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[(ethylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



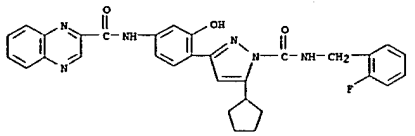
RN 550340-04-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[(2-propenylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



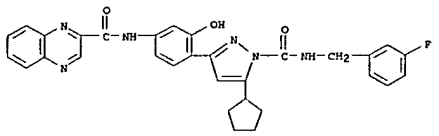
RN 550340-05-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[(propylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



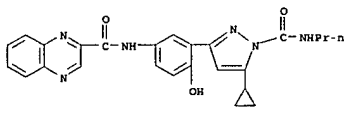
RN 550326-35-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[[(2-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



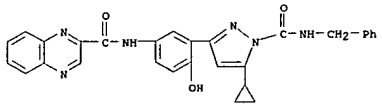
RN 550326-37-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[[[(3-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



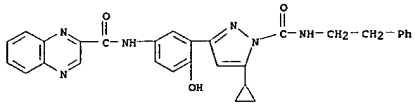
RN 550326-39-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[5-cyclopentyl-1-[(cyclopropylamino)carbonyl]-1H-pyrazol-3-yl]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



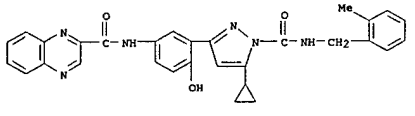
RN 550340-06-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[(phenylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



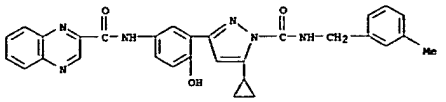
RN 550340-07-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[(2-phenylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



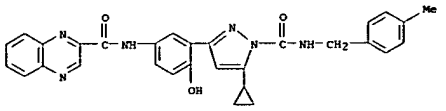
RN 550340-08-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[[(2-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



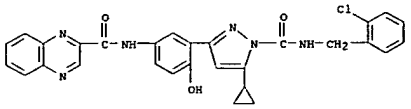
RN 550340-09-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[[(3-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



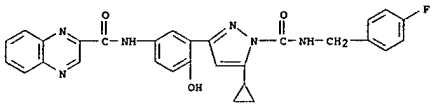
RN 550340-10-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[[(4-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



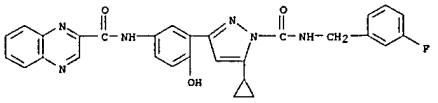
RN 550340-11-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[1-[[[(2-chlorophenyl)methyl]amino]carbonyl]-5-cyclopropyl-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



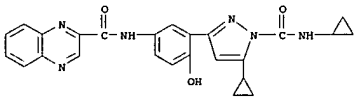
RN 550340-12-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[[(4-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



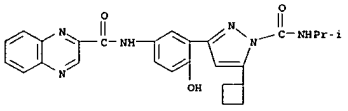
RN 550340-13-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[[(3,4-dichlorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



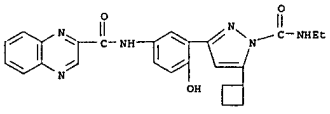
RN 550340-18-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[(cyclopropylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



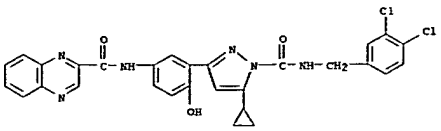
RN 550340-19-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[(1-methylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



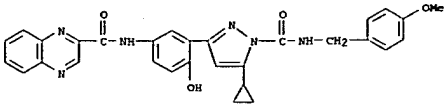
RN 550340-20-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[(ethylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



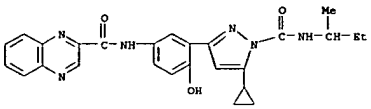
RN 550340-21-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[(2-propenylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



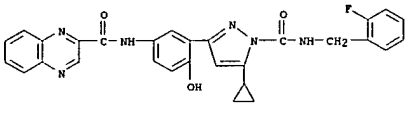
RN 550340-14-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



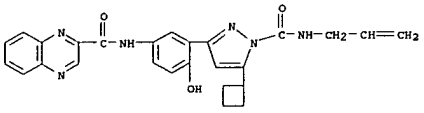
RN 550340-15-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[(1-methylpropyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



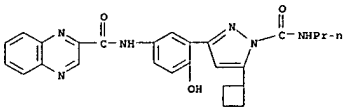
RN 550340-16-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[[(2-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



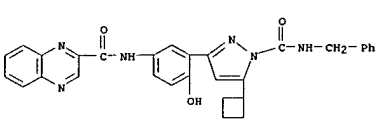
RN 550340-17-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopropyl-1-[[[(3-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



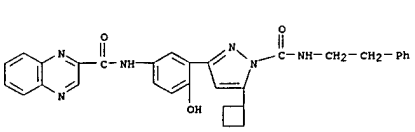
RN 550340-22-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[(propylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



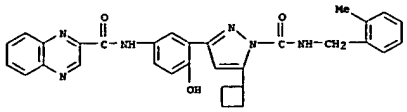
RN 550340-23-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[(phenylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



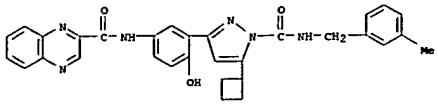
RN 550340-24-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[(2-phenylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



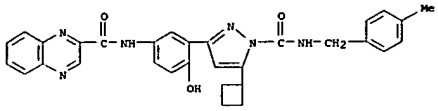
RN 550340-25-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[[(2-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



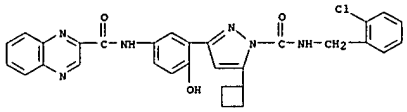
RN 550340-26-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[[(3-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



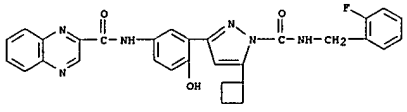
RN 550340-27-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[[(4-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



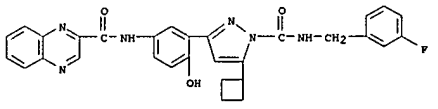
RN 550340-28-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[1-[[[(2-chlorophenyl)methyl]amino]carbonyl]-5-cyclobutyl-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



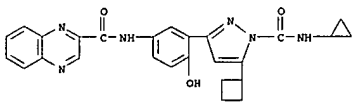
RN 550340-29-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[[(4-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



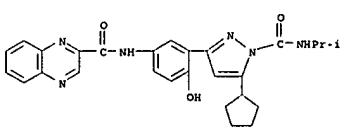
RN 550340-34-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[[(3-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



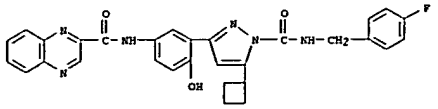
RN 550340-35-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[(cyclopropylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



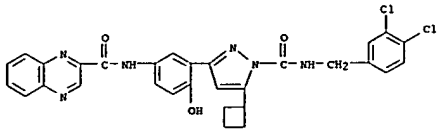
RN 550340-36-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[(1-methylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



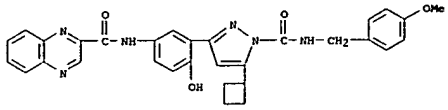
RN 550340-37-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[(ethylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



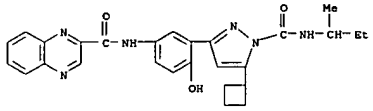
RN 550340-30-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[[(3,4-dichlorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



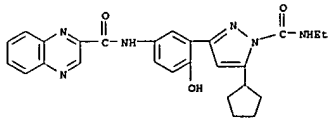
RN 550340-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



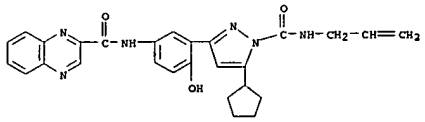
RN 550340-32-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[(1-methylpropyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



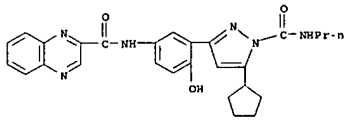
RN 550340-33-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclobutyl-1-[[[(2-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



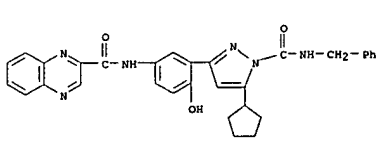
RN 550340-38-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[(2-propenylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



RN 550340-39-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[(propylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

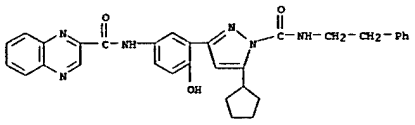


RN 550340-40-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[(phenylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

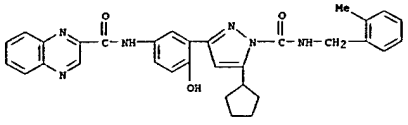


RN 550340-41-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[(2-phenylethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA

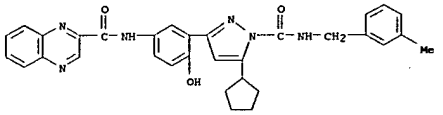
INDEX NAMES)



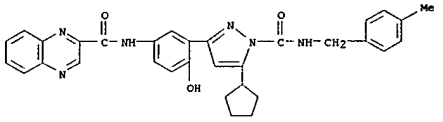
RN 550340-42-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[[(2-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



RN 550340-43-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[[(3-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

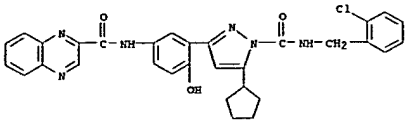


RN 550340-44-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[[(4-methylphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

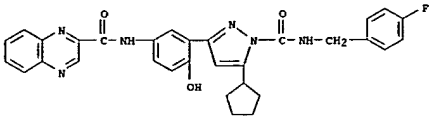


RN 550340-45-9 CAPLUS

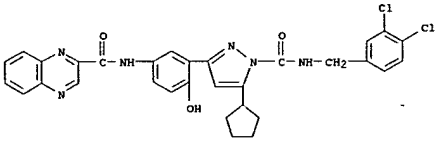
CN 2-Quinoxalinecarboxamide, N-[3-[1-[[[(2-chlorophenyl)methyl]amino]carbonyl]-5-cyclopentyl-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



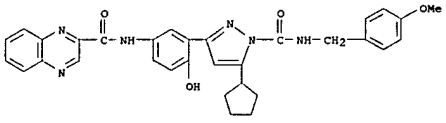
RN 550340-46-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[[(4-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



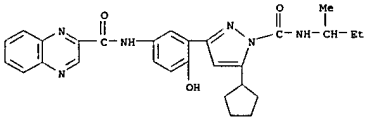
RN 550340-47-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[[(3,4-dichlorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



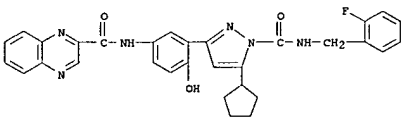
RN 550340-48-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



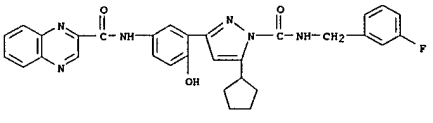
RN 550340-49-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[(1-methylpropyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



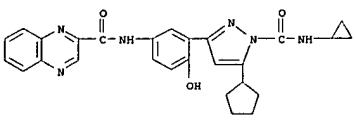
RN 550340-50-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[[(2-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



RN 550340-51-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[[[(3-fluorophenyl)methyl]amino]carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



RN 550340-52-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[5-cyclopentyl-1-[(cyclopropylamino)carbonyl]-1H-pyrazol-3-yl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

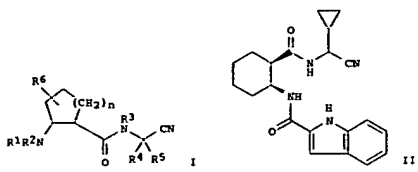


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 68 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:454289 CAPLUS
DOCUMENT NUMBER: 139:36449
TITLE: Substituted 2-aminocycloalkanecarboxamides for use as cysteine protease inhibitors
INVENTOR(S): Gabriel, Thomas; Krauss, Nancy Elisabeth; Mirzadegan, Taraneh; Palmer, Wylie Solang; Smith, David Bernard
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048123	A1	20030612	WO 2002-EP13221	20021125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2467435	AA	20030612	CA 2002-2467435	20021125
AU 2002352126	A1	20030617	AU 2002-352126	20021125
EP 1453801	A1	20040908	EP 2002-787799	20021125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014642	A	20041103	BR 2002-14642	20021125
JP 2005517640	T2	20050616	JP 2003-549315	20021125
NO 2004002719	A	20040628	NO 2004-2719	20040628
PRIORITY APPLN. INFO.:			US 2001-336750P	P 20011204
			WO 2002-EP13221	W 20021125

OTHER SOURCE(S): MARPAT 139:36449
GI



I II

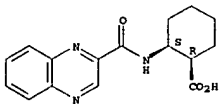
AB Title compds. I [R1 = heteroaryl, (CR7R8)mCOR9, S(O)pR9; R2-R4, R6-R8 = H, alkyl; R5 = H, alkyl, heterocyclic, cycloalkyl, cycloalkylalkyl, alkoxycarbonylalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl; R9 = heteroaryl, heteroarylalkyl, heteroarylalkoxy; m = 0, 1; n = 1-3; p = 1, 2] were prepared for use as cysteine protease inhibitors. The compds. are useful for the treatment of diseases which are associated with cysteine proteases such as osteoporosis, osteoarthritis, rheumatoid arthritis, tumor metastasis, glomerulonephritis, atherosclerosis, myocardial infarction, angina pectoris, instable angina pectoris, stroke, plaque rupture, transient ischemic attacks, amaurosis fugax, peripheral arterial occlusive disease, restenosis after angioplasty and stint placement, abdominal aortic aneurysm formation, inflammation, autoimmune disease, malaria, ocular fundus tissue cytopathy and respiratory disease. Thus, Et (1R,2S)-2-aminocyclohexanecarboxylate-HBr was treated with indole-2-carboxylic acid, followed by ester hydrolysis and amidation with (R,S)-amino(cyclopropyl)acetonitrile to give the amide II which had IC50 for inhibition of cathepsin K of 0.018 nM.

IT 541524-14-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted 2-aminocycloalkanecarboxamides for use as cysteine protease inhibitors)

RN 541524-14-5 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[(2-quinoxalinylcarbonyl)amino]-, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

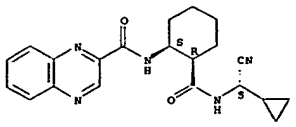


IT 541523-58-4P 541523-71-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 2-aminocycloalkanecarboxamides for use as cysteine protease inhibitors)

RN 541523-58-4 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-[[[(S)-cyanocyclopropylmethyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

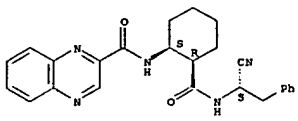
Absolute stereochemistry.



RN 541523-71-1 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-[[[(1S)-1-cyano-2-phenylethyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 69 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:417614 CAPLUS

DOCUMENT NUMBER: 139:6678

TITLE: Preparation of benzoic acid and benzenealkanoic acid dual inhibitors of adipocyte fatty acid binding protein and keratinocyte fatty acid binding protein

INVENTOR(S): Magnin, David R.; Sulsky, Richard B.; Robl, Jeffrey A.; Caulfield, Thomas J.; Parker, Rex A.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

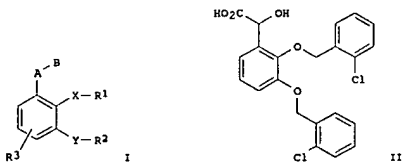
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043624	A1	20030530	WO 2002-US36580	20021115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002348276	A1	20030610	AU 2002-348276	20021115
US 2003225091	A1	20031204	US 2002-295819	20021115
US 6984645	B2	20060110		
EP 1443919	A1	20040811	EP 2002-782300	20021115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-333194P P 20011116
WO 2002-US36580 W 20021115

OTHER SOURCE(S): MARPAT 139:6678

GI



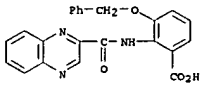
I II

AB Title compds. I [wherein A = a bond or (un)substituted alkylene or alkenylene; B = CO2H or tetrazole; X and Y = independently (un)substituted O(CH2)q, (CH2)qO, (CH2)qNHCO, NHCO(CH2)q, NHCO(CH2)qO, NHCO(CH2)qCO2, NHCOCH=CH, (CH2)qNHSO2, NHSO2(CH2)q, OCO(CH2)q, O(CH2)qCO, (CH2)qOCO, or (CH2)qSOt; R1 and R2 = independently (un)substituted (hetero)aryl, (cyclo)alkyl, (hetero)aralkyl, cycloalkenyl, or heterocyclyl; R3 = H, OH, (hydroxy)alkyl, aryl, NO2, halo, (alkyl)amino, alkoxy, CN, thioalkyl, CO2H, CO2R4, NR7COR4, or NR7CO2R4; R4 = H or (un)substituted (halo)alkyl, aminoalkyl, alkoxyalkyl, hydroxyalkyl, or (hetero)aryl; R7 = H, OH, CN, or (un)substituted alkoxy, alkyl, alkenyl, hydroxyalkyl, (hetero)aryl, (hetero)aralkyl, alkylthio, or (hetero)aryloxy; q = 0-5; t = 0-2; with provisos; and pharmaceutically acceptable salts, prodrug esters, stereoisomers, or solvates thereof] were prepared as dual inhibitors of adipocyte fatty acid binding protein (aP2) and keratinocyte fatty acid binding protein (k-FABP). For example, reaction of 2,3-dihydroxybenzaldehyde with 2-chlorobenzyl chloride in the presence of K2CO3 in EtOH provided the bis ether (71%), which was oxidized using trimethylsilyl cyanide, trimethylsilyl chloride, and TEA in EtOH to give the Et α-hydroxybenzeneacetate (84%). Deesterification with NaOH in THF and recrystn. afforded the free acid II (67%). I, alone or in combination with at least one other antidiabetic agent, are useful for the treatment of diabetes and related diseases, especially Type II diabetes (no data).

IT 533898-23-6P, 3-(Phenylmethoxy)-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533898-29-2P, 3-[(2-Bromophenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533898-35-0P, 3-[(2-Methylphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533898-43-0P, 3-[(3-Methylphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533898-55-4P, 3-[(4-Bromophenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533898-65-6P, 3-[(4-Methylphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533898-76-9P, 2-[(2-Quinoxalinylcarbonyl)amino]-3-[[4-(trifluoromethyl)phenyl]methoxy]benzoic acid 533898-95-2P, 3-[(2-Chlorophenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533899-13-7P, 3-[([1,1'-Biphenyl]-4-yl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533899-24-0P, 3-Butoxy-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533899-36-4P, 3-(Cyclohexylmethoxy)-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533899-49-9P, 3-(1-Naphthalenylmethoxy)-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533899-59-1P, 3-(2-Naphthalenylmethoxy)-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533899-68-2P, 3-[(4-Chlorophenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533899-78-4P, 3-[(3-Phenoxyphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid 533899-87-5P, 3-[(3-Methoxyphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]benzoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antidiabetic agent; preparation of benzoic acid and benzenealkanoic acid dual aP2/k-FABP inhibitors as antidiabetic agents)

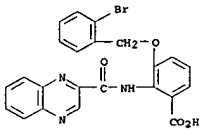
RN 533898-23-6 CAPLUS

CN Benzoic acid, 3-(phenylmethoxy)-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



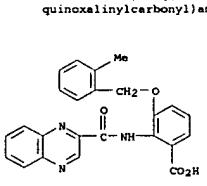
RN 533898-29-2 CAPLUS

CN Benzoic acid, 3-[(2-bromophenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



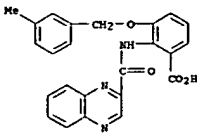
RN 533898-35-0 CAPLUS

CN Benzoic acid, 3-[(2-methylphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)

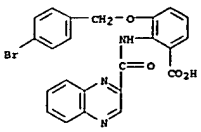


RN 533898-43-0 CAPLUS

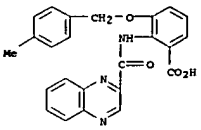
CN Benzoic acid, 3-[(3-methylphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



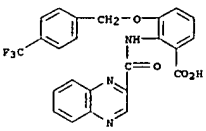
RN 533898-55-4 CAPLUS
CN Benzoic acid, 3-[(4-bromophenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



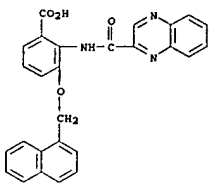
RN 533898-65-6 CAPLUS
CN Benzoic acid, 3-[(4-methylphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



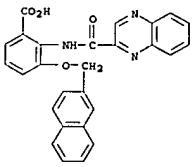
RN 533898-76-9 CAPLUS
CN Benzoic acid, 2-[(2-quinoxalinylcarbonyl)amino]-3-[[4-(trifluoromethyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



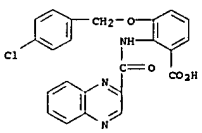
RN 533898-95-2 CAPLUS
CN Benzoic acid, 3-[(2-chlorophenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



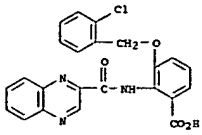
RN 533899-59-1 CAPLUS
CN Benzoic acid, 3-(2-naphthalenylmethoxy)-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



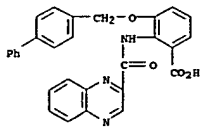
RN 533899-68-2 CAPLUS
CN Benzoic acid, 3-[(4-chlorophenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



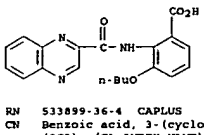
RN 533899-78-4 CAPLUS
CN Benzoic acid, 3-[(3-phenoxyphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



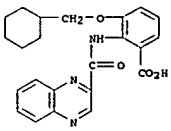
RN 533899-13-7 CAPLUS
CN Benzoic acid, 3-([1,1'-biphenyl]-4-ylmethoxy)-2-[(2-quinoxalinylcarbonyl]amino]- (9CI) (CA INDEX NAME)



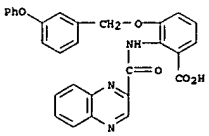
RN 533899-24-0 CAPLUS
CN Benzoic acid, 3-butoxy-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



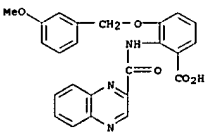
RN 533899-36-4 CAPLUS
CN Benzoic acid, 3-(cyclohexylmethoxy)-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



RN 533899-49-9 CAPLUS
CN Benzoic acid, 3-(1-naphthalenylmethoxy)-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



RN 533899-87-5 CAPLUS
CN Benzoic acid, 3-[(3-methoxyphenyl)methoxy]-2-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

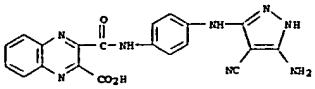
L5 ANSWER 70 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:412773 CAPLUS
DOCUMENT NUMBER: 139:381448
TITLE: Some reactions with ketene dithioacetals. Part II: Novel synthesis of quinoxaline, pyrazole and pyrrolo[3,4-b]quinoxaline derivatives using ketene dithioacetals as antimicrobial activity
AUTHOR(S): El-Sharief, A. M. Sh.; Zahran, M. A.; El-Gaby, M. S. A.; Ammar, Y. A.; El-Said, U. H.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Al-Azhar University, Nasr City, Cairo, Egypt
SOURCE: Afinidad (2003), 60(503), 81-87
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:381448

AB The key compound, [(4-aminophenylaminomethylthio)methylene]malonitrile (I) was synthesized by condensation of ketene dithioacetals and 1,4-phenylenediamine. The reactivity of quinoxaline-2,3-dicarboxylic anhydride (II) towards compound I as nitrogen nucleophile was investigated. Thus, treatment of compound II with I in refluxing ethanol afforded the quinoxaline carboxylic acid derivative (III). On the other hand, fusion of compound II and I at 160°C yielded the corresponding quinoxaline carboxamide (IV). Fusion of compds. III and IV with hydrazine hydrate at 150°C produced the novel corresponding substituted pyrazoles. Refluxing of compound III with acetic anhydride furnished the novel pyrrolo[3,4-b]quinoxaline. By treatment of compound I with aromatic sulfonyl chloride followed by fusion with hydrazine, the novel pyrazole was obtained. The anti-microbial activity of some selected compound was also reported.

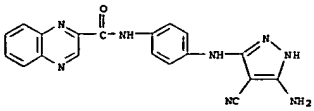
IT 623934-60-1P 623934-61-2P 623934-63-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multi-step preparation of quinoxaline, pyrazole and pyrroloquinoxaline derivs. using ketene dithioacetals and their antimicrobial activity)

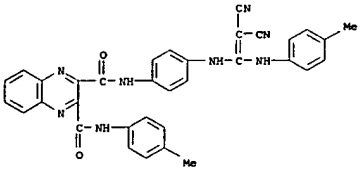
RN 623934-60-1 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-[(5-amino-4-cyano-1H-pyrazol-3-yl)amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 623934-61-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[(5-amino-4-cyano-1H-pyrazol-3-yl)amino]phenyl]- (9CI) (CA INDEX NAME)

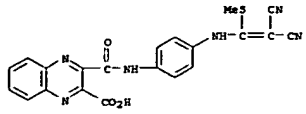


RN 623934-63-4 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-[4-[[2,2-dicyano-1-[(4-methylphenyl)amino]ethenyl]amino]phenyl]-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)

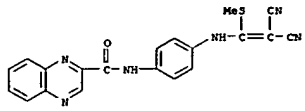


IT 623934-58-7P 623934-59-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(ring closure of; multi-step preparation of quinoxaline, pyrazole and pyrroloquinoxaline derivs. using ketene dithioacetals and their antimicrobial activity)

RN 623934-58-7 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-[[2,2-dicyano-1-(methylthio)ethenyl]amino]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 623934-59-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[2,2-dicyano-1-(methylthio)ethenyl]amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

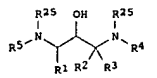
L5 ANSWER 71 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:376819 CAPLUS
DOCUMENT NUMBER: 138:385173
TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 1243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-US36072	20021108
WO 2003040096	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466284	AA	20030515	CA 2002-2466284	20021108
WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

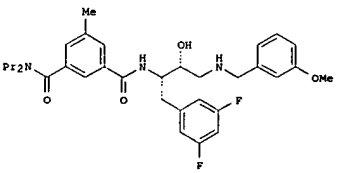
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004171881	A1	20040902	US 2002-291318	20021108
EP 1453789	A2	20040908	EP 2002-793909	20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014035	A	20050426	BR 2002-14035	20021108
JP 2005520791	T2	20050714	JP 2003-542142	20021108
NO 2004002359	A	20040806	NO 2004-2359	20040607
PRIORITY APPLN. INFO.:			US 2001-337122P P	20011108
			US 2001-344086P P	20011228
			US 2002-345635P P	20020103
			WO 2002-US36072 W	20021108

OTHER SOURCE(S): MARPAT 138:385173
GI



I



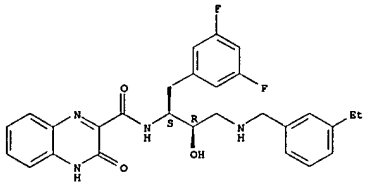
II

AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.]; R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 μM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IT 527722-15-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 527722-15-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

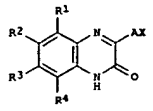
Absolute stereochemistry.



L5 ANSWER 72 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:356431 CAPLUS
DOCUMENT NUMBER: 138:368915
TITLE: Preparation of 2(1H)-quinoxalinones as analgesics
INVENTOR(S): Sattlegger, Michael; Buschmann, Helmut; Przewosny, Michael; Enlgberger, Werner; Koegel, Babette-Yvonne; Schick, Hans
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037879	A1	20030508	WO 2002-EP11832	20021023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10153345	A1	20030508	DE 2001-10153345	20011029
CA 2465061	AA	20030508	CA 2002-2465061	20021023
EP 1444212	A1	20040811	EP 2002-785285	20021023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005512986	T2	20050512	JP 2003-540161	20021023
US 2004224954	A1	20041111	US 2004-832205	20040426
PRIORITY APPLN. INFO.:			DE 2001-10153345 A	20011029

GI

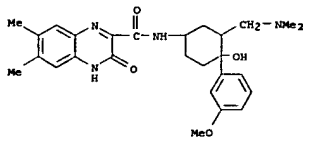


I

AB Title compds. [I; R1-R4 = H, halo, OH, (branched) (saturated) C1-10 aliphatic group, C3-7 cycloaliph. group; whereby the both aliphatic and cycloaliph. groups are bonded by an ether bridge; A = (CH2)n+2, (CH2)nCH:CH, (CH2)nCO2, (CH2)nCONH, (CH2)n+1O(CH2)pCO, (CH2)n+1O, (CH2)n+1NR8, NH(CH2)r; p = 0, 1; n = 0-3; r = 0-2; R8 = H, (branched) (saturated) C1-10 aliphatic group, C3-7 cycloaliph. group, (hetero)aryl; X = (substituted) phenylcyclohexyl, etc.], were prepared Thus, 6,7-dimethyl-3-oxo-3,4-dihydroquinoxaline-2-carboxylic acid (preparation given) was reacted with 4-amino-2-(N,N-dimethylaminomethyl)-1-(3-methoxyphenyl)cyclohexan-1-ol in the presence of N-methylmorpholine, dicyclohexylcarbodiimide, and hydroxybenzotriazole in DMF to give 69% (6,7-dimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-N-[3-(N,N-dimethylaminomethyl)-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl]carboxamide. The latter at 10 mg/kg i.v. in mice gave 72% inhibition of phenylquinone-induced writhing.

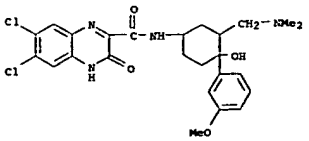
IT 521292-62-6P 521292-63-7P 521292-71-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxalinones as analgesics#)

RN 521292-62-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl]-3,4-dihydro-6,7-dimethyl-3-oxo-, hydrochloride (9CI) (CA INDEX NAME)

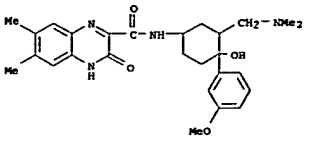


●x HCl

RN 521292-63-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 6,7-dichloro-N-[3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



RN 521292-71-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[(dimethylamino)methyl]-4-hydroxy-4-(3-methoxyphenyl)cyclohexyl]-3,4-dihydro-6,7-dimethyl-3-oxo- (9CI) (CA INDEX NAME)

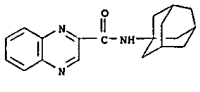


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 73 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:329697 CAPLUS
DOCUMENT NUMBER: 139:128326
TITLE: [3H]R214127: A novel high-affinity radioligand for the mGlu1 receptor reveals a common binding site shared by multiple allosteric antagonists
AUTHOR(S): Lavreysen, Hilde; Janssen, Cor; Bischoff, Francois; Langlois, Xavier; Leysen, Josee E.; Lesage, Anne S. J.
CORPORATE SOURCE: CNS Discovery Research, Johnson and Johnson Pharmaceutical Research and Development, Beerse, B-2340, Belg.
SOURCE: Molecular Pharmacology (2003), 63(5), 1082-1093
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB R214127 was shown to be a potent and noncompetitive metabotropic glutamate 1 (mGlu1) receptor-selective antagonist. The kinetics and pharmacol. of [3H]1-(3,4-dihydro-2H-pyrano[2,3-b]quinolin-7-yl)-2-phenyl-1- ethanone (R214127) binding to rat mGlu1a receptor Chinese hamster ovary (CHO)-dhfr- membranes was investigated, as well as the distribution of [3H]R214127 binding in rat brain tissue and sections. Specific binding to rat mGlu1a receptor CHO-dhfr- membranes was .apprx.92% of total and was optimal at 4°. Full association was reached within 5 min, and [3H]R214127 bound to a single binding site with an apparent KD of 0.90 nM and a Bmax of 6512 fmol/mg of protein. Inhibition expts. showed that [3H]R214127 binding was completely blocked by 2-quinoxaline-carboxamide-N-adamantan-1-yl (NPS 2390), (3aS,6aS)-6a-naphtalan-2-ylmethyl-5-methyliden-hexahydro-cyclopenta[c] furan-1-on (BAY 36-7620), and 7-(hydroxyimino)cyclopropa[b]chromen-1a-carboxylate Et ester (CPCCOEt), but was not displaced by competitive mGlu1 receptor ligands such as glutamate and quisqualate, suggesting that R214127, NPS 2390, BAY 36-7620, and CPCCOEt bind to the same site or mutually exclusive sites. Expts. using rat cortex, striatum, hippocampus and cerebellum revealed that [3H]R214127 labeled a single high-affinity binding site (KD .apprx. 1 nM). Bmax values were highest in the cerebellum (4302 fmol/mg of protein) and were 741, 688, and 471 fmol/mg of protein in the striatum, hippocampus, and cortex, resp. The distribution of [3H]R214127 binding in rat brain was investigated in more detail by radioligand autoradiog. A high d. of binding sites was detected in the mol. layer of the cerebellum. Moderate labeling was seen in the CA3 and dentate gyrus of the hippocampus, thalamus, olfactory tubercle, amygdala, and substantia nigra reticulata. The cerebral cortex, caudate putamen, ventral pallidum, and nucleus accumbens showed lower labeling. The high affinity and selectivity of [3H]R214127 for mGlu1 receptors renders this compound the ligand of choice to study the native mGlu1 receptor in brain.

IT 226878-01-9, NPS 2390
RL: BSU (Biological study, unclassified); BIOL (Biological study) (characterization of R214127 as high-affinity radioligand for mGlu1 receptor reveals common binding site shared by multiple allosteric antagonists)

RN 226878-01-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

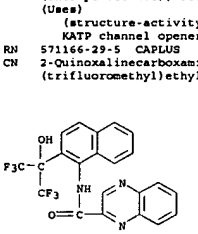


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 74 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:326027 CAPLUS
DOCUMENT NUMBER: 139:143355
TITLE: Structure-activity relationship of a novel class of naphthyl amide KATP channel openers
AUTHOR(S): Turner, Sean C.; Carroll, William A.; White, Tammie K.; Brune, Michael E.; Buckner, Steven A.; Gopalakrishnan, Murali; Fabiyi, Adebola; Coghlan, Michael J.; Scott, Victoria E.; Castle, Neil A.; Daza, Anthony V.; Milicic, Ivan; Sullivan, James P.
CORPORATE SOURCE: Global Pharmaceutical Research and Development, Neuroscience Research, Abbott Laboratories, Abbott Park, IL, 60064, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(10), 1741-1744
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:143355
AB We have discovered a novel series of N-[2-(2,2,2-trifluoro-1-hydroxy-1-trifluoromethyl-ethyl)-naphthalen-1-yl] amides that are potent openers of KATP channels and investigated structure-activity relationships (SAR) around the 1,2-disubstituted naphthyl core. A-151892, a prototype compound of this series, was found to be a potent and efficacious potassium channel opener in vitro in transfected Kir6.2/SUR2B cells and pig bladder strips. Addnl., A-151892 was found to selectively inhibit unstable bladder contractions in vivo in an obstructed rat model of myogenic bladder function.

IT 571166-29-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationship of a novel class of naphthyl amide KATP channel openers)

RN 571166-29-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)



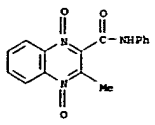
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 75 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:311209 CAPLUS
DOCUMENT NUMBER: 139:190619
TITLE: Synthesis and antimycobacterial activity of new quinoxaline-2-carboxamide 1,4-di-N-Oxide derivatives
AUTHOR(S): Zarranz, Belen; Jaso, Andres; Aldana, Ignacio; Monge, Antonio
CORPORATE SOURCE: Centro de Investigacion en Farmacobiologia Aplicada (CIFA), Unidad en Investigacion y Desarrollo de Medicamentos, Universidad de Navarra, Pamplona, Spain
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(10), 2149-2156
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:190619
AB As a continuation of our research and with the aim of obtaining new antituberculosis agents which can improve the current chemotherapeutic antituberculosis treatments, new series of quinoxaline-2-carboxamide 1,4-di-N-oxide derivs. were synthesized and evaluated for in vitro antituberculosis activity against Mycobacterium tuberculosis strain H37Rv, using the radiometric BACTEC 460-TB methodol. Active compds. were also screened by serial dilution to assess toxicity to a VERO cell line. The results indicate that some compds. exhibited a good antituberculosis activity and the arylcarboxamide analogs 3, 8, and 9 were the most active compds. (EC90/MIC1). Also, the cytotoxic effects indicate that these compds. have a good Selectivity Index (SI).

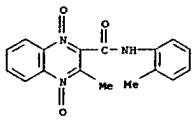
IT 31983-89-8P 111888-46-1P 585527-84-0P 585527-85-1P 585527-86-2P 585527-87-3P 585527-88-4P 585527-89-5P 585527-90-8P 585527-91-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antimycobacterial activity of new quinoxaline-2-carboxamide 1,4-di-N-oxide derivs.)

RN 31983-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX

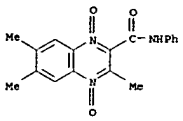
NAME)



RN 111888-46-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 585527-84-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3,6,7-trimethyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 585527-85-1 CAPLUS
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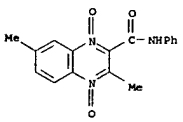

RN 585527-86-2 CAPLUS
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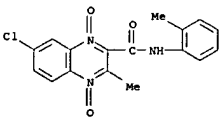
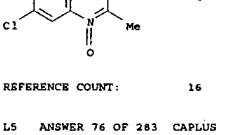


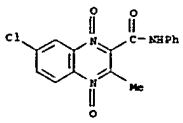
RN 585527-91-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



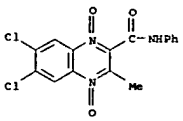
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 76 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:282325 CAPLUS
DOCUMENT NUMBER: 138:321285
TITLE: Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

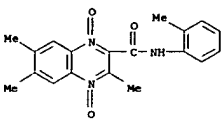
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003028641	A2	20030410	WO 2002-US31059	20020930
WO 2003028641	A3	20030828		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2460594	AA	20030410	CA 2002-2460594	20020930
EP 1432693	A2	20040630	EP 2002-800388	20020930
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				



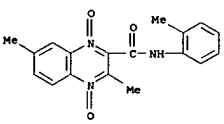
RN 585527-87-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 6,7-dichloro-3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 585527-88-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3,6,7-trimethyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 585527-89-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 3,7-dimethyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



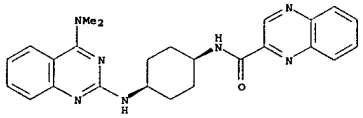
RN 585527-90-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-chloro-3-methyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

JP 2005523237 T2 20050804 JP 2003-531977 20020930
PRIORITY APPLN. INFO.: US 2001-326463P P 20011001
US 2001-326758P P 20011002
WO 2002-US31059 W 20020930
OTHER SOURCE(S): MARPAT 138:321285
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

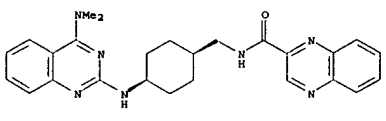
AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepared Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor.
IT 509145-80-6P 510739-53-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline-2,4-diamines as MCH receptor antagonists)
RN 509145-80-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 510739-53-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L5 ANSWER 77 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:150531 CAPLUS
DOCUMENT NUMBER: 138:187765

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen S.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 415 pp., Cont.-in-part of U.S. Ser. No. 196,623.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

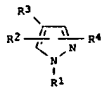
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525059	B1	20030225	US 2000-513351	20000224
US 6514977	B1	20030204	US 1998-196623	19981120
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:	US 1998-196623	A2	19981120
	WO 1999-US26007	A1	19991117
	US 1997-47570P	P	19970522
	US 1998-83670	A2	19980522

OTHER SOURCE(S): MARPAT 138:187765

GI



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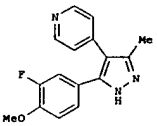


II

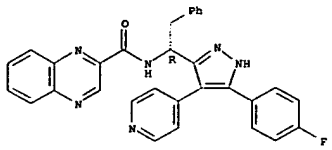
AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepared by solution phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 μM and inhibited tumor necrosis factor α (TNFα) and interleukin 1β (IL-1β) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 μM. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNF α.

IT 216518-34-2P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216518-34-2 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1R)-1-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrazol-3-yl]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 78 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:126021 CAPLUS

DOCUMENT NUMBER: 139:85305

TITLE: On the reactivity of 1H-pyrazino[2,3-c][1,2,6]thiadiazine 2,2-dioxide and derivatives: nucleophilic substitution, amination, aldol-type condensation, oxidation, and hydrolysis

AUTHOR(S): Campillo, Nuria; Paez, Juan Antonio; Goya, Pilar

CORPORATE SOURCE: Inst. de Quimica Medica (CSIC), Madrid, E-28006, Spain

SOURCE: Helvetica Chimica Acta (2003), 86(1), 139-146
CODEN: HCACAV; ISSN: 0018-019X

PUBLISHER: Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal

LANGUAGE: English

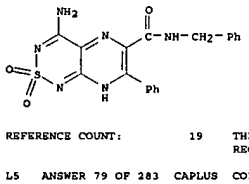
OTHER SOURCE(S): CASREACT 139:85305

AB The reactivity of the 1H-pyrazino[2,3-c][1,2,6]thiadiazine 2,2-dioxide system, structurally related to pteridine, was studied, and a number of novel derivs. were synthesized. The chemical behaviors of these two related fused polyaza systems were compared.

IT 556019-76-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactivity of 1H-pyrazino[2,3-c][1,2,6]thiadiazine 2,2-dioxide and deriv.)

RN 556019-76-2 CAPLUS

CN 1H-Pyrazino[2,3-c][1,2,6]thiadiazine-6-carboxamide, 4-amino-7-phenyl-N-(phenylmethyl)-, 2,2-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 79 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:92403 CAPLUS

DOCUMENT NUMBER: 138:137307

TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors

INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen S.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Khanna, Ish K.; Yu, Yi

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 541 pp., Cont.-in-part of U.S. Ser. No. 83,670.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6514977	B1	20030204	US 1998-196623	19981120
CA 2351725	AA	20000602	CA 1999-2351725	19991117
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144403	A1	20011017	EP 1999-965756	19991117
EP 1144403	B1	20041006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102001	T2	20011221	TR 2001-200102001	19991117
BR 9915420	A	20020122	BR 1999-15420	19991117
EE 200100268	A	20021216	EE 2001-268	19991117
NZ 512344	A	20031128	NZ 1999-512344	19991117
AU 774262	B2	20040624	AU 2000-21454	19991117
AT 278685	E	20041015	AT 1999-965756	19991117
EP 1500657	A1	20050126	EP 2004-23186	19991117
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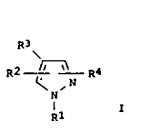
PT 1144403	T	20050131	PT 1999-965756	19991117
ES 2229809	T3	20050416	ES 1999-965756	19991117
US 6525059	B1	20030225	US 2000-513351	20000224
ZA 2001003882	A	20021014	ZA 2001-3882	20010514
NO 2001002456	A	20010719	NO 2001-2456	20010518
BG 105620	A	20020131	BG 2001-105620	20010619
US 6423713	B1	20020723	US 2001-918481	20010731
HK 1040705	A1	20050304	HK 2002-102213	20020322
US 6617324	B1	20030909	US 2002-114297	20020402
US 2004176433	A1	20040909	US 2003-374781	20030225

PRIORITY APPLN. INFO.:	US 1997-47570P	P	19970522
	US 1998-83670	A2	19980522
	US 1998-196623	A	19981120
	EP 1999-965756	A3	19991117
	WO 1999-US26007	W	19991117
	US 2001-918481	A3	20010731
	US 2002-114297	A3	20020402

OTHER SOURCE(S): MARPAT 138:137307

GI



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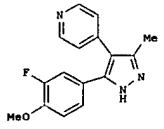


II

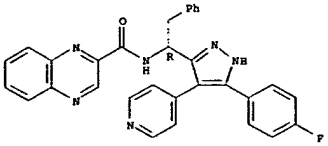
AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = (un)substituted piperidinyl or piperazinyl; R3 = (un)substituted pyrimidinyl; R4 = (un)substituted Ph; and pharmaceutically acceptable salts or tautomers thereof] were prepared by solution phase and solid phase parallel array reactions of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO to give the butenone (80%), which was cyclocondensed with TsNHNH2 to afford the title compound II (20.7%). The latter inhibited human p38 kinase activity in vitro with IC50 of 4.6 μM and inhibited tumor necrosis factor α (TNFα) and interleukin 1β (IL-1β) release from human peripheral blood mononuclear cells following stimulation with lipopolysaccharide with IC50 of 0.5 μM. Thus, I are useful for the treatment of inflammation, arthritis, asthma, and other disorders mediated by p38 kinase and TNFα.

IT 216518-34-2P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216518-34-2 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1R)-1-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrazol-3-yl]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 80 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5811 CAPLUS
DOCUMENT NUMBER: 138:78458
TITLE: Pharmaceutical compositions containing a solid dispersion of a poorly-soluble drug in a matrix and a solubility-enhancing polymer
INVENTOR(S): Babcock, Walter Christian; Curatolo, William John; Friesen, Dwayne Thomas; Ketner, Rodney James; Lo, Julian Belknap; Nightingale, James Alan Schriver; Shanker, Ravi Mysore; West, James Blair
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

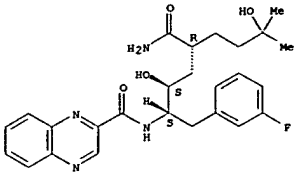
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000294	A1	20030103	WO 2002-IB1800	20020513
WO 2003000294	C1	20031106		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448864	AA	20030103	CA 2002-2448864	20020513
EP 1401503	A1	20040331	EP 2002-733019	20020513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010520	A	20040622	BR 2002-10520	20020513
JP 2005500313	T2	20050106	JP 2003-506936	20020513
US 2003104063	A1	20030605	US 2002-175640	20020619
PRIORITY APPLN. INFO.:			US 2001-300261P	P 20010622
			WO 2002-IB1800	W 20020513

AB A pharmaceutical composition comprises a dispersion containing a low-solubility drug and a matrix combined with a concentration-enhancing polymer. At least a major portion of the drug is amorphous in the dispersion. The compns. improve the stability of the drug in the dispersion, and/or the concentration of drug in a use environment. For example, a solid drug/matrix dispersion comprised of 10% 3,5-dimethyl-4-(3'-pentoxy)-2-(2',4',6'-trimethylphenoxy)pyridine and 90% polyethylene glycol was prepared by a melt-congeal process. The solid drug/matrix dispersion was then combined with the concentration-enhancing polymer hydroxypropyl Me cellulose acetate succinate (HPMCAS). Addition of HPMCAS increased maximum concentration of drug in solution during the first 90 min (Cmax90) and the area under the aqueous concentration vs. time curve after 90 min (AUC90) by 1.12-fold and 1.19-fold, resp., compared to the solid drug/matrix dispersion with no concentration-enhancing polymer and by 2.38-fold and 2.25-fold, resp., compared to pure drug.

IT 212790-31-3
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. containing poorly-soluble drug/matrix solid dispersion and solubility-enhancing polymer)

RN 212790-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 81 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5809 CAPLUS
DOCUMENT NUMBER: 138:61352
TITLE: Pharmaceutical compositions comprising low-solubility and/or acid-sensitive drugs and neutralized acidic polymers
INVENTOR(S): Crew, Marshall David; Friesen, Dwayne Thomas; Ketner, Rodney James; Shanker, Ravi Moysore; West, James Blair
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

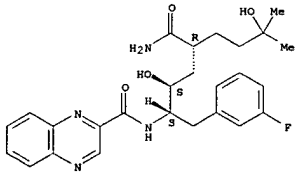
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000292	A1	20030103	WO 2002-IB1710	20020508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2450762	AA	20030103	CA 2002-2450762	20020508
NZ 529608	A	20031219	NZ 2002-529608	20020508
EP 1399190	A1	20040324	EP 2002-730571	20020508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200400033	A	20040615	EE 2004-33	20020508
BR 2002010530	A	20040622	BR 2002-10530	20020508
CN 1545421	A	20041110	CN 2002-816333	20020508
JP 2004534822	T2	20041118	JP 2003-506934	20020508
US 2003054038	A1	20030320	US 2002-175566	20020617
ZA 2003008989	A	20041119	ZA 2003-8989	20031119
BG 108488	A	20050131	BG 2003-108488	20031222
US 2006003011	A1	20060105	US 2005-213118	20050826
PRIORITY APPLN. INFO.:			US 2001-300256P	A1 20010622
			WO 2002-IB1710	W 20020508
			US 2002-175566	A1 20020617

AB Pharmaceutical compns. comprised of low-solubility and/or acid-sensitive drugs and neutralized acidic polymers are disclosed. A dispersion of the acid-sensitive drug quinoxaline-5 2-carboxylic acid [(4(R)-carbamoyl-1-(S)-3-fluorobenzyl)-2(S),7-dihydroxy-7-methyl-octyl] amide (I) 1.25%, neutralized acidic enteric polymer hydroxypropyl Me cellulose acetate succinate (II) 3.75%, and sodium acetate 0.513% in methanol/water (9/1) was prepared Stability of I in the dispersions was determined after storage for five days at 40° and 75% RH. The stability of I was significantly improved in comparison to the stability of the dispersion with unneutralized II.

IT 212790-31-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. comprising low-solubility and or acid-sensitive drugs and neutralized acidic polymers)

RN 212790-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 82 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5760 CAPLUS
DOCUMENT NUMBER: 138:78451
TITLE: Pharmaceutical compositions of adsorbates of amorphous drug
INVENTOR(S): Babcock, Walter Christian; Friesen, Dwayne Thomas; Shanker, Ravi Mysore; Smithey, Daniel Tod; Tadday, Ralph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 218 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

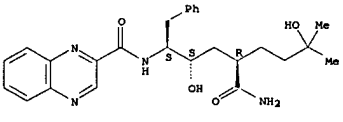
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000238	A1	20030103	WO 2002-IB1792	20020521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448825	AA	20030103	CA 2002-2448825	20020521
EP 1404302	A1	20040407	EP 2002-730596	20020521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200400034	A	20040615	EE 2004-34	20020521
BR 2002010519	A	20040622	BR 2002-10519	20020521
CN 1523979	A	20040825	CN 2002-812503	20020521
JP 2005501820	T2	20050120	JP 2003-506885	20020521
NZ 529490	A	20050826	NZ 2002-529490	20020521
US 2003054037	A1	20030320	US 2002-173987	20020617
ZA 2003008735	A	20040915	ZA 2003-8735	20031110
BG 108489	A	20040730	BG 2003-108489	20031222
PRIORITY APPLN. INFO.:			US 2001-300260P	P 20010622
			WO 2002-IB1792	W 20020521

AB Pharmaceutical compns. comprise a low-solubility drug adsorbed onto a high surface area substrate to form an adsorbate. The compns. in some embodiments include a concentration-enhancing polymer. A drug/substrate adsorbate comprising quinoxaline-2-carboxylic acid[4(R)-carbamoyl-1(S)-3-fluorobenzyl-2(S), 7-dihydroxy-7-methyl-octyl]amide 10, and zinc oxide 90% (the substrate) was prepared The Cmax,90 provided by the above adsorbate was 3.3-fold that of the crystalline control, while the AUC90 was 2.6-fold that of the control.

IT 212790-30-2 212790-31-3 479541-07-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. of adsorbates of amorphous drug)

RN 212790-30-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2,7-dihydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

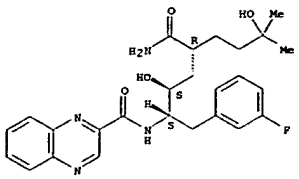
Absolute stereochemistry.



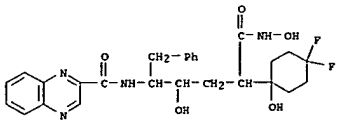
RN 212790-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-

fluorophenyl]methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 479541-07-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(4,4-difluoro-1-hydroxycyclohexyl)-2-hydroxy-5-(hydroxyamino)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 83 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5757 CAPLUS
DOCUMENT NUMBER: 138:78449
TITLE: Pharmaceutical compositions of dispersions of drugs and neutral polymers
INVENTOR(S): Friesen, Dwayne Thomas; Gumkowski, Michael Jon; Ketner, Rodney James; Lorenz, Douglas Alan; Nightingale, James Alan Schriver; Shanker, Ravi Mysore; West, James Blair
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000235	A1	20030103	WO 2002-IB1783	20020510
WO 2003000235	C2	20031224		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2450957	AA	20030103	CA 2002-2450957	20020510
EP 1404300	A1	20040407	EP 2002-727944	20020510

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2002010518	A	20040622	BR 2002-10518	20020510
JP 2004534812	T2	20041118	JP 2003-506882	20020510
US 2003091643	A1	20030515	US 2002-175132	20020618
			US 2001-300255P	A1 20010622
			WO 2002-IB1783	W 20020510

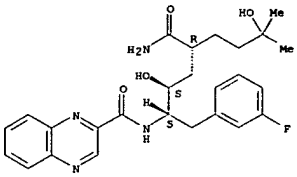
PRIORITY APPLN. INFO.:

AB Pharmaceutical compns. comprising dispersions of an acid-sensitive drug and a neutral dispersion polymer are disclosed. The acid-sensitive drug has improved chemical stability relative to dispersions of the drug and acidic polymers. In another aspect, pharmaceutical compns. of poorly-soluble drugs and amphiphilic, hydroxy-functional vinyl copolymers are disclosed. A dispersion of quinoxaline-2-carboxylic acid [(4(R)-carbamoyl-1(S)-3-fluorobenzyl)-2(S),7-dihydroxy-7-methyloctyl]amide and the neutral polymer hydroxypropyl Me cellulose (HPMC) was made by preparing a solution containing 0.125% drug and 0.375% HPMC in methanol, and spraying the solution into a drying chamber by using an atomizing spray nozzle.

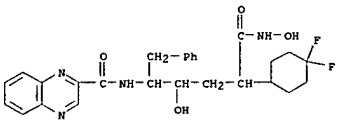
IT 212790-31-3 479541-06-5 479541-07-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. of dispersions of drugs and neutral polymers)

RN 212790-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

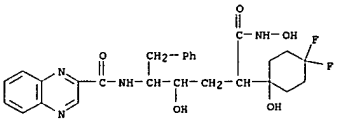
Absolute stereochemistry.



RN 479541-06-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(4,4-difluorocyclohexyl)-2-hydroxy-5-(hydroxyamino)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)



RN 479541-07-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(4,4-difluoro-1-hydroxycyclohexyl)-2-hydroxy-5-(hydroxyamino)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 84 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:849607 CAPLUS
DOCUMENT NUMBER: 137:353007
TITLE: Preparation of β-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases
INVENTOR(S): Bhisetti, Govinda R.; Saunders, Jeffrey O.; Murcko, Mark A.; Lepre, Christopher A.; Britt, Shawn D.; Come, Jon H.; Deninger, David D.; Wang, Tianshang
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088101	A2	20021107	WO 2002-US13741	20020429
WO 2002088101	A3	20030103		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

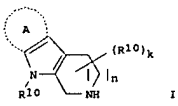
US 2003095958	A1	20030522	US 2002-136576	20020429
EP 1389194	A2	20040218	EP 2002-725881	20020429

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004534017	T2	20041111	JP 2002-585403	20020429
			US 2001-287169P	P 20010427
			US 2001-301049P	P 20010626
			US 2001-342263P	P 20011218
			WO 2002-US13741	W 20020429

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 137:353007
GI

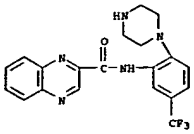


I

AB The present invention relates to a wide variety of inhibitors (e.g. naphthalene-1-carboxylic acid N-[2-(3,4-dichlorophenyl)-4-(piperazin-1-yl)pyrimidin-5-yl]amide; 9-[(naphthalen-2-yl)methyl]-6-[(3-trifluoromethylbenzyl)oxy]-2,3,4,9-tetrahydro-1H- β-carboline; 4-(biphenyl-4-yl)piperidine-3-carboxylic acid N-(1-(naphthalen-2-yl)ethyl)amide) of aspartic proteinases, particularly, BACE. The present invention also relates to compns. thereof and methods therewith for inhibiting BACE activity in a mammal, and for treating Alzheimer's Disease and other BACE-mediated diseases. The inhibitors have the following structural features: HB-1, HPB-4; and at least one of HPB-2 and HPB-3, wherein: HB-1 is a 1st H bonding moiety capable of forming up to four H bonds with the carboxylate O atoms of Asp-228 and Asp-32 of BACE-1; HPB-2 is a 2nd hydrophobic moiety capable of associating with substantially all residues in the flap binding pocket; HPB-3 is a 3rd hydrophobic moiety capable of associating with substantially all residues in the P2' binding pocket; HPB-4 is a 4th hydrophobic moiety capable of inducing favorable interactions with the Ph ring of at least two of Tyr-71, Phe-108 and Trp-76. In I (e.g. [6-(2-difluoromethoxybenzyloxy)-1,2,3,4-tetrahydro-β-carbolin-9-yl]naphthalen-1-ylmethanone), one set of the claimed compds., A is a five or six membered aryl ring having 0-2 heteroatoms independently selected from N, O or S, wherein: A has at least one R10 substituent and up to three more substituents selected from R10 or J; k is 0 or 1; n is 0-2; J is halogen, -R', -OR', -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R')2, -SR', -S(O)R', -S(O)N(R')2, -SO2R', -C(O)R', -CO2R', -C(O)N(R')2, -N(R')C(O)R', -N(R')C(O)OR', -N(R')C(O)N(R')2, or -OC(O)N(R')2, wherein R' is H, aliphatic, heterocyclyl, heterocyclyl-alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; wherein R' is optionally substituted with up to 3 substituents selected independently from -R11, -OR11, -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R11)2, -SR11, -S(O)R11, -S(O)N(R11)2, -SO2R11, -C(O)R11, -CO2R11, -C(O)N(R11)2, -N(R11)C(O)R', -N(R11)C(O)OR11, -N(R11)C(O)N(R11)2, or -OC(O)N(R11)2. R11 is H, (C1-C6)-alkyl, (C2-C6)-alkenyl or alkynyl, or (C3-C6)cycloalkyl; R10 is P1-R1-P2-R2-W; P1 and P2 each are independently: absent or aliphatic; R1 and R2 each are independently: absent or R; R is a suitable linker; W is a five to eleven membered monocyclic or bicyclic, aromatic or nonarom. ring having zero to three heteroatoms independently selected from O, S, N, or NH, wherein W has up to 3 substituents independently selected from J. Ranges of Ki values (>30, 3-30 and <3 μM) for inhibition of BACE-1 are tabulated for .apprx.500 compds. Although the methods of preparation are not claimed, 30 example prepns. are included.

IT 474331-59-4P, Quinoxaline-2-carboxylic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of β-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases)

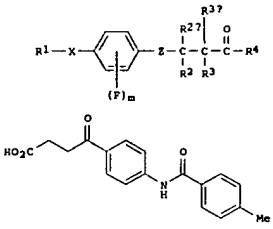
RN 474331-59-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 85 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:833521 CAPLUS
DOCUMENT NUMBER: 137:337683
TITLE: Preparation of benzenebutyric acids as inhibitors of matrix metalloproteinases
INVENTOR(S): Purchase, Claude Forsey; Roth, Bruce David; White, Andrew David
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp., Division of U. S. Ser. No. 351,549.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002161050	A1	20021031	US 2001-23288	20011217
US 6624196	B2	20030923		
US 6541521	B1	20030401	US 1999-351549	19990712
PRIORITY APPLN. INFO.:			US 1999-351549	A3 19990712
OTHER SOURCE(S):	MARPAT 137:337683			

GI



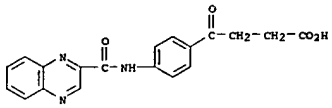
I

II

AB The title compds. with general formula of I [wherein R1 = H, (cyclo)alkyl, (hetero)aryl, (hetero)arylalkyl, or heterocyclyl(alkyl); R2, R2a, R3, and R3a = independently H, F, R5, NR7CO-alkyl, alkanoyl(oxy), alkoxycarbonyl, alkanoylthio, NR7-alkyl, alkylsulfinyl, alkylsulfonyl(amino), CN, CF3, or (un)substituted alkyl-R5; R5 = H, (hetero)aryl, heterocyclyl, N-naphthalimido, N-2,3-naphthylimido, indol-3-yl, imidazol-4-yl, pyridyl, 2,4-dioxo-1,5,5-trimethylimidazolidin-3-yl, or a side chain of an (un)naturally occurring amino acid; R4 = SH, OR4a, or NHOR4a; R4a = H, (aryl)alkyl, cycloalkyl, or aryloxymethyl; X = COCH2, CONR6, NR6CO, CO2, OCO, CO, CH(OH), C(=NH)NR6, OCO2, OCONR6, NR6CO2, NR6CONR6a, CSNR6, NR6CS, CSO, OCS, OCSO, OCSNR6, NR6CSO, or NR6CSNR6a; R6 and R6a = independently H or CH3; or R1 and R6 together form a ring containing (un)substituted 4-7 carbons, etc.; Z = CO, CN(OR7), C(OH)R7, CHF, or CF2; R7 = H or alkyl; m = 0-4; or isomers and pharmaceutically acceptable salts thereof] where prepared as inhibitors of matrix metalloproteinases (MMP), particularly gelatinase A, collagenase-3, and stromelysin-1. For example, reaction of acetanilide and succinic anhydride in DMF in the presence of AlCl3 gave 4-[4-acetylaminophenyl]-4-oxobutyric acid. The above compound was treated with 1.0 M aqueous HCl, followed by 50% weight/weight aqueous NaOH, and again by 1.0 M aqueous HCl to give 4-(4-aminophenyl)-4-oxobutyric acid. Subsequent esterification, amidation, and hydrolysis of the above compound afforded 4-[4-(4-methylbenzoylamino)phenyl]-4-oxobutyric acid (II). II showed the activity vs. MMP-2CD, MMP-3CD, and MMP-13CD with IC50 values of 0.22 μM, 1.55 μM, and 5.8 μM, resp. I are useful for the treatment of multiple sclerosis, atherosclerotic plaque rupture, aortic aneurysm, heart failure, left ventricular dilation, restenosis, periodontal disease, corneal ulceration, treatment of burns, decubital ulcers, wound healing, cancer, inflammation, pain, arthritis, osteoporosis, renal disease, or other autoimmune or inflammatory disorders dependent upon tissue invasion by leukocytes or other activated migrating cells, acute and chronic neurodegenerative disorders including stroke, head trauma, spinal cord injury, Alzheimer's disease, amyotrophic lateral sclerosis, cerebral amyloid angiopathy, AIDS, Parkinson's disease, Huntington's disease, prion diseases, myasthenia gravis, and Duchenne's muscular dystrophy (no data).

IT 474019-14-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MMP inhibitor; preparation of benzenebutyric acids as inhibitors of matrix metalloproteinases)

RN 474019-14-2 CAPLUS
CN Benzenebutanoic acid, γ-oxo-4-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 86 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:754381 CAPLUS
DOCUMENT NUMBER: 137:279208
TITLE: Preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors
INVENTOR(S): Nagarathnam, Dhanapalan; Asgari, Davoud; Shao, Jianxing; Liu, Xiao-Gao; Khire, Uday; Wang, Chunguang; Hart, Barry; Boyer, Stephen; Weber, Olaf; Lynch, Mark; Bankston, Donald
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076976	A2	20021003	WO 2002-US8659	20020322
WO 2002076976	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2441492	AA	20021003	CA 2002-2441492	20020322
US 2003125344	A1	20030703	US 2002-103566	20020322
EP 1370553	A2	20031217	EP 2002-719303	20020322
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JP 2004524350	T2	20040812	JP 2002-576234	20020322
US 2003220357	A1	20031127	US 2002-252369	20020924
CA 2507381	AA	20040408	CA 2003-2507381	20030924
WO 2004029045	A2	20040408	WO 2003-US29538	20030924
WO 2004029045	A3	20040722		
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AU 2003270785	A1	20040419	AU 2003-270785	20030924
EP 1542992	A2	20050622	EP 2003-752497	20030924
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PRIORITY APPLN. INFO.:			US 2001-277974P	P 20010323
			US 2001-315341P	P 20010829
			WO 2002-US8659	W 20020322
			US 2002-252369	A 20020924
			WO 2003-US29538	W 20030924
OTHER SOURCE(S):	CASREACT 137:279208; MARPAT 137:279208			

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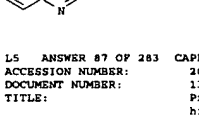

L5 ANSWER 87 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:754339 CAPLUS
DOCUMENT NUMBER: 137:279100
TITLE: Preparation of non-imidazole aryl alkylamines as histamine H3 receptor antagonists
INVENTOR(S): Beavers, Lisa Selsam; Gadski, Robert Alan; Hipskind, Philip Arthur; Lindsley, Craig William; Lobb, Karen Lynn; Nixon, James Arthur; Pickard, Richard Todd; Schaus, John Mehnert; Takakuwa, Takako; Watson, Brian Morgan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076925	A2	20021003	WO 2002-US6644	20020321
WO 2002076925	A3	20030918		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2441080	AA	20021003	CA 2002-2441080	20020321
EP 1379493	A2	20040114	EP 2002-723329	20020321
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JP 2004532834	T2	20041028	JP 2002-576168	20020321
US 2004110748	A1	20040610	US 2003-472675	20030918
PRIORITY APPLN. INFO.:			US 2001-278230P	P 20010323
			WO 2002-US6644	W 20020321
OTHER SOURCE(S):	MARPAT 137:279100			

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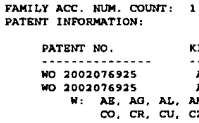
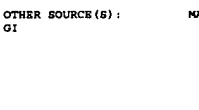


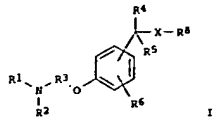
IT 461036-92-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors)

RN 461036-92-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-cyanophenyl)- (9CI) (CA INDEX NAME)

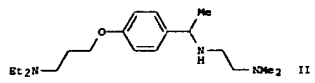


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = N, CR17; X = alkyl, alkoxy, thioalkoxy, amido, etc.; p = 0-3; a, c = CR5, NR6, etc.; b = CR5, N; A = H, halo, carboxy, cyano, alkoxy, etc.; B = (un)substituted up to 3 times in any position by R5; R1,6 = H, alkyl; R2-5 = H, alkyl, alkenyl; R17 = H, alkyl, CN with provisions] were prepared For instance, 2,4-Dichloroquinazoline (preparation given) was reacted with 5-aminoindazole (THF/H2O, KOAc) to give 2-(N-(1H-indazol-5-yl)amino)-4-chloroquinazoline in 92% yield. This was coupled to 2,4-dichlorophenylboronic acid (ethylene glycol di-Me ether, Pd(dppf)Cl2, NaHCO3, reflux) to give II. I are rho-kinase inhibitors and are useful for inhibiting tumor growth, treating erectile dysfunction and coronary heart disease.



I



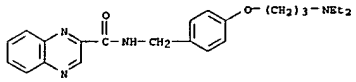
II

AB The title compds. [I; X = O, NR7, S; R1 = H, alkyl, haloalkyl, etc.; R2 = R1, COR1; or NR1R2 = (un)substituted 4-6 membered carbon ring wherein one of said carbons is optionally replaced by one of O, S, NR1 or CO; R3 = cycloalkylene, (un)substituted alkylene; R4 = H, halo, alkyl, etc.; R5 = H, alkyl; R6 = H, halo, etc.; R7 = H, alkyl, haloalkyl, etc.; R8 = H, a bond, alkyl, etc.] and their pharmaceutically acceptable salts which have selective histamine-H3 receptor antagonist activity (biol. data given), and are useful in treating obesity and other histamine H3 receptor-related diseases, were prepared Thus, reacting p-hydroxyacetophenone with 3-chloro-N,N-diethyl-N-propylamine in the presence of NaH in THF and DMF (92% yield) followed by reductive amination of the resulting intermediate with 2-(dimethylamino)ethylamine in the presence of NaCNBH3 in EtOH afforded 93% II.

IT 464898-03-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of non-imidazole aryl alkylamines as histamine H3 receptor antagonists)

RN 464898-03-1 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[[4-[3-(diethylamino)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 88 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:675985 CAPLUS

DOCUMENT NUMBER: 137:201606

TITLE: Preparation of bicyclic excitatory amino acid derivatives

INVENTOR(S): Massey, Steven Marc; Monn, James Allen; Prieto, Lourdes; Valli, Matthew John

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068380	A1	20020906	WO 2002-US1247	20020212
WO 2002068380	C1	20050623		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1370519	A1	20031217	EP 2002-705812	20020212

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2004116489	A1	20040617	US 2003-467429	20031208

PRIORITY APPLN. INFO.:

US 2001-270512P	P	20010222
US 2001-340607P	P	20011030
WO 2002-US1247	W	20020212

OTHER SOURCE(S): MARPAT 137:201606

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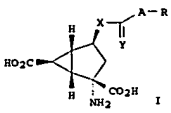


I

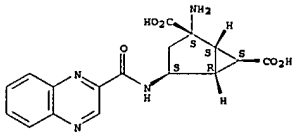
AB Bicyclic amino acids I [X = CH2, O, NH; Y = O, S, N, H2; A = a bond, O, N, C1-10 alkyl, C2-10 alkenyl or alkynyl, (un)substituted aryl, heterocyclyl or the group XC(:Y)AR is 2-benzoxazolylmethyl, 2-benzothiazolylmethyl, or 2-benzimidazolylmethyl] or their pharmaceutically-acceptable salts were prepared as modulators of metabotropic glutamate receptor function and are useful in the treatment of neurol. and psychiatric disorders. Thus, (1S*,2S*,4S*,5R*,6S*)-2-amino-4-[3-(3-chlorophenyl)ureido]bicyclo[3.1.0]hexane-2,6-dicarboxylic acid [I; XC(:Y)AR = 3-(3-chlorophenyl)ureido] (claimed compound) was prepared by treatment of (1S*,2S*,4S*,5R*,6S*)-2-[(tert-butoxycarbonyl)amino]-4-aminobicyclo[3.1.0]hexane-2,6-dicarboxylic acid di-Et ester (preparation given) with 3-chlorophenyl isocyanate in CH2Cl2 and then HCl gas in EtOAc. Compds. of the invention have improved affinity for the mGlu3 receptors when compared to compds. of United States patent 5,958,960.

IT 452974-70-8P 452976-51-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic excitatory amino acid derivs.)

RN 452974-70-8 CAPLUS

CN Bicyclo[3.1.0]hexane-2,6-dicarboxylic acid, 2-amino-4-[(2-quinoxalinylcarbonyl)amino]-, (1R,2R,4R,5S,6R)-rel- (9CI) (CA INDEX NAME)

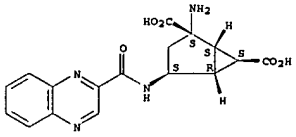
Relative stereochemistry.



RN 452976-51-1 CAPLUS

CN Bicyclo[3.1.0]hexane-2,6-dicarboxylic acid, 2-amino-4-[(2-quinoxalinylcarbonyl)amino]-, hydrochloride (5:2), (1R,2R,4R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



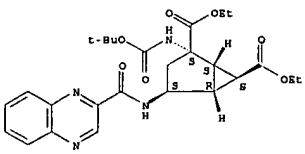
●2/5 HCl

IT 452976-38-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic excitatory amino acid derivs.)

RN 452976-38-4 CAPLUS

CN Bicyclo[3.1.0]hexane-2,6-dicarboxylic acid, 2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[(2-quinoxalinylcarbonyl)amino]-, diethyl ester, (1R,2R,4R,5S,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 89 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:637636 CAPLUS

DOCUMENT NUMBER: 137:185515

TITLE: Preparation of acylated indanyl amines and their use as remedies in upregulation of endothelial nitric oxide synthase

INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Safarova, Alena; Walser, Armin; Suzuki, Teri; Dharanipragada, Ramalinga M.

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064545	A1	20020822	WO 2002-EP1444	20020212

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2437944	AA	20020822	CA 2002-2437944	20020212
EE 200300369	A	20031015	EE 2003-369	20020212
EP 1373191	A1	20040102	EP 2002-722067	20020212

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

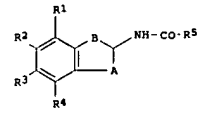
BR 2002007211	A	20040127	BR 2002-7211	20020212
CN 1491207	A	20040421	CN 2002-804836	20020212
JP 2004518719	T2	20040624	JP 2002-564478	20020212
NZ 527470	A	20050429	NZ 2002-527470	20020212
US 2003055093	A1	20030320	US 2002-73160	20020213
ZA 2003005413	A	20040428	ZA 2003-5413	20030714
BG 108076	A	20050531	BG 2003-108076	20030807
NO 2003003565	A	20031013	NO 2003-3565	20030812

PRIORITY APPLN. INFO.:

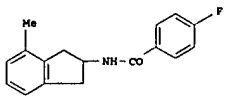
EP 2001-102850	A	20010213
WO 2002-EP1444	W	20020212

OTHER SOURCE(S): MARPAT 137:185515

GI



I

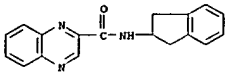


II

AB Title compds. [I; R1-R4 = ; A = CH2, CHOH, CH(C1-C3-alkyl); B = CH2, CH(C1-C3-alkyl); R5 = aryl, heteroaryl] are prepared and are useful in the upregulation of endothelial nitric oxide synthase (eNOS). Title compds. I may therefore be useful for the manufacture of medicaments for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinymetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA(percutaneous trans-luminal coronary angioplasty), hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes or diabetes complications, nephropathy or retinopathy, angiogenesis, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance, a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives. Thus, the title compound II was prepared from 2-amino-4-methylindane and 4-fluorobenzoyl chloride, purified by HPLC and was in vitro tested on human umbilical vein cord endothelial cells for activation effect of eNOS transcription with EC-50(μM) = 6.0 and TIR(max) = 2.80.

IT 226878-15-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation method of acylated indanyl amines and use as remedies in upregulation of endothelial nitric oxide synthase)

RN 226878-15-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2,3-dihydro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



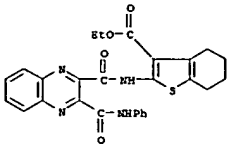
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 90 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:560149 CAPLUS
DOCUMENT NUMBER: 138:24692
TITLE: Some reactions with quinoxaline-2,3-dicarboxylic acid anhydride: Novel synthesis of thieno[2,3-d]pyrimidines and pyrrolo[3,4-b]quinoxalines as antimicrobial agents
AUTHOR(S): Ammar, Y. A.; Ismail, M. M. F.; El-Gaby, M. S. A.; Zahran, M. A.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Al-Azhar University, Nasr City, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2002), 41B(7), 1486-1491
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:24692

AB The reactivity of quinoxaline-2,3-dicarboxylic anhydride (I) towards some heterocyclic amines as N nucleophiles was studied. E.g., treatment of I with 2-aminobenzo[b]thiophenes led to preparation of polyheterocyclic compds. such as pyrroloquinoxalines and thieno[2,3-d]pyrimidines. Some quinoxaline derivs. were tested for their antibacterial and antifungal activity. None of the tested compds. showed activity superior to that of Streptomycin or Mycostatin.

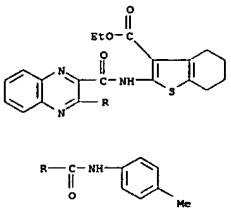
IT 478060-41-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of benzothienylaminocarbonylquinoxalines)

RN 478060-41-2 CAPLUS
CN Benzo[b]thiophene-3-carboxylic acid, 4,5,6,7-tetrahydro-2-[[[3-[(phenylamino)carbonyl]-2-quinoxalinyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

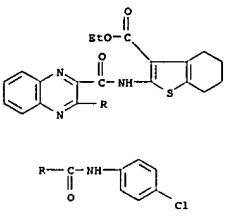


IT 478060-43-4P 478060-45-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of quinoxaline-2,3-dicarboxylic anhydride with aminobenzothiophenes)

RN 478060-43-4 CAPLUS
CN Benzo[b]thiophene-3-carboxylic acid, 4,5,6,7-tetrahydro-2-[[[3-[[(4-methylphenyl)amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 478060-45-6 CAPLUS
CN Benzo[b]thiophene-3-carboxylic acid, 2-[[[3-[[(4-chlorophenyl)amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]-4,5,6,7-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



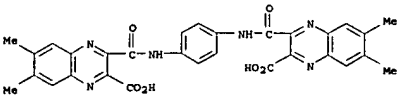
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 91 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:560148 CAPLUS
DOCUMENT NUMBER: 138:24691
TITLE: Synthesis of novel quinoxaline carboxylic acid derivatives for antimicrobial investigation
AUTHOR(S): El-Gaby, M. S. A.; Ismail, M. M. F.; Ammar, Y. A.; Zahran, M. A.; Shmeiss, N. A. M. M.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Al-Azhar University at Assiut, Assiut, 71524, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2002), 41B(7), 1480-1485
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:24691

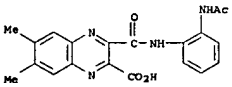
AB Treatment of 6,7-dimethylquinoxaline-2,3-dicarboxylic acid anhydride with binucleophiles such as phenylenediamines and aminophenols led to 2-aminocarbonylquinoxaline-3-carboxylic acid derivs. such as quinoxalinecarboxamides and pyrrolo[3,4-d]quinoxalines. Some of the prepared compds. were tested in vitro for their antimicrobial activities. 6,7-Dimethyl-2-(4-chlorobenzylidenaminophenylaminocarbonyl)quinoxaline-3-carboxylic acid exhibited the best bactericidal and fungicidal activity.

IT 478048-93-0P 478049-01-3P 478049-09-1P
478049-13-7P 478049-15-9P 478049-17-1P
478049-23-9P 478049-25-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of quinoxaline derivs.)

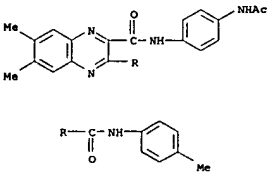
RN 478048-93-0 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3,3'-[1,4-phenylenebis(iminocarbonyl)]bis[6,7-dimethyl- (9CI) (CA INDEX NAME)



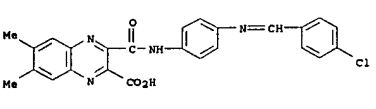
RN 478049-01-3 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[2-(acetylamino)phenyl]amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



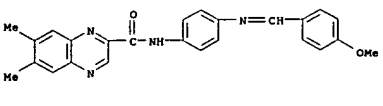
RN 478049-09-1 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-[4-(acetylamino)phenyl]-6,7-dimethyl-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)



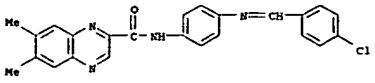
RN 478049-13-7 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-[[(4-chlorophenyl)methylene]amino]phenyl]amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



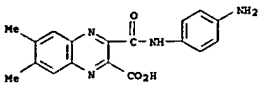
RN 478049-15-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[(4-methoxyphenyl)methylene]amino]phenyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



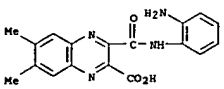
RN 478049-17-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[(4-chlorophenyl)methylene]amino]phenyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



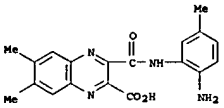
RN 478049-23-9 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-aminophenyl]amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



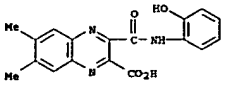
RN 478049-25-1 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(2-aminophenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



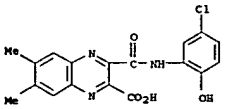
IT 478048-85-0P 478048-87-2P 478048-89-4P
478048-91-8P 478048-99-6P 478049-07-9P
478049-11-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrroloquinoxalines and quinoxalinecarboxamides)
RN 478048-85-0 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(2-amino-5-methylphenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



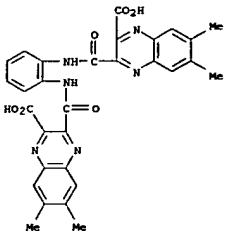
RN 478048-87-2 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(2-hydroxyphenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



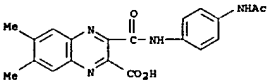
RN 478048-89-4 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(5-chloro-2-hydroxyphenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



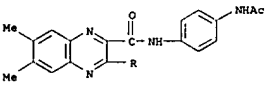
RN 478048-91-8 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3,3'-[1,2-phenylenebis(iminocarbonyl)]bis[6,7-dimethyl- (9CI) (CA INDEX NAME)

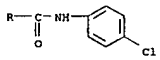


RN 478048-99-6 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-(acetylamino)phenyl]amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)

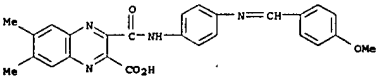


RN 478049-07-9 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-[4-(acetylamino)phenyl]-N'-(4-chlorophenyl)-6,7-dimethyl- (9CI) (CA INDEX NAME)





RN 478049-11-5 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-[[(4-methoxyphenyl)methylene]amino]phenyl]amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



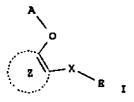
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 92 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:487387 CAPLUS
DOCUMENT NUMBER: 137:63257
TITLE: Preparation of benzamides as inhibitors of production and release of inflammatory cytokines
INVENTOR(S): Muto, Susumu; Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design Inc., Japan
SOURCE: PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

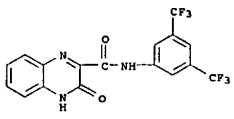
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049632	A1	20020627	WO 2001-JP11084	20011218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431083	AA	20020627	CA 2001-2431083	20011218
AU 2002022683	A5	20020701	AU 2002-22683	20011218
EP 1352650	A1	20031015	EP 2001-271124	20011218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004259877	A1	20041223	US 2004-433619	20040219

PRIORITY APPLN. INFO.: JP 2000-383202 A 20001218
WO 2001-JP11084 W 20011218

OTHER SOURCE(S): MARPAT 137:63257
GI



AB The title compds. I (wherein X is a connecting group; A is hydrogen or acetyl; E is aryl or heteroaryl; and Z is arene or heteroarene) are prepared In an in vitro test using cells, 5-chloro-2-hydroxy-N-(4-methoxynaphthalen-2-yl)benzamide at 1 μg/mL gave 95.1% inhibition of NF- κB activation.
IT 439144-03-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamides as inhibitors of production and release of inflammatory cytokines)
RN 439144-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

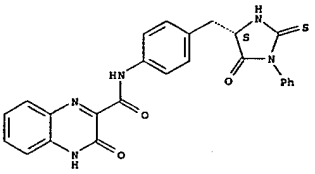
L5 ANSWER 93 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:424638 CAPLUS
DOCUMENT NUMBER: 137:140770
TITLE: A Novel Peptide-Based Encoding System for "One-Bead One-Compound" Peptidomimetic and Small Molecule Combinatorial Libraries
AUTHOR(S): Liu, Ruiwu; Marik, Jan; Lam, Kit S.
CORPORATE SOURCE: Division of Hematology & Oncology Department of Internal Medicine, UC Davis Cancer Center University of California Davis, Sacramento, CA, 95817, USA
SOURCE: Journal of the American Chemical Society (2002), 124(26), 7678-7680
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The "one-bead one-compound" (OBOC) combinatorial library method is highly efficient, especially when used with well-established on-bead binding or functional assays. Literally, millions of compds. can be screened concurrently within 1 to 2 days. However, structure determination of

peptidomimetic and small mol. compds. on one single bead is not trivial. A novel, highly efficient, and robust peptide-based encoding system has been developed for OBOC peptidomimetic and small mol. combinatorial libraries. In this system, topol. segregated bifunctional beads, which are made by a simple biphasic solvent strategy, are employed for the preparation and screening of an OBOC combinatorial peptidomimetic and small mol. libraries. Testing mols. are on the outer layer, and the coding tags in the interior of the bead do not interfere with screening. The coding tag is a peptide containing a large number of unnatural α-amino acids derived from different building blocks used for generating the peptidomimetic or small mol. By coupling common building blocks simultaneously to the scaffold of the testing compound and to the side chains of the α-amino acids on the coding peptide, extra synthetic steps are eliminated and the amount of undesirable side products is minimized. Pos. bead decoding is easy and straightforward as there is no need for cleavage and retrieval of the coding tag, and pos. beads can be sequenced directly with Edman degradation The authors demonstrate the efficiency and simplicity of their peptidyl encoding system by generating an encoded 158 400-member model peptidomimetic library and screening it for ligands that bind to streptavidin. Potent and novel ligands with clear motifs have been identified.

IT 444795-41-9
RL: CUS (Combinatorial use); PRP (Properties); CMBI (Combinatorial study); USES (Uses)
(HPLC retention times of aminophenylalanine phenylisothiocyanate derivs. used in the the encoding system for the "one-bead one-compound" combinatorial peptide library)

RN 444795-41-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3,4-dihydro-3-oxo-N-[4-[[(4S)-5-oxo-1-phenyl-2-thioxo-4-imidazolidinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



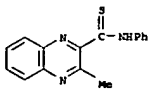
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 94 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:348242 CAPLUS
DOCUMENT NUMBER: 137:63231
TITLE: Synthesis of Zwitterionic Compounds: Fully Saturated Pyrimidinylium and 1,3-Diazepinylium Derivatives via the Novel Rearrangement of 3-Oxobutanoic Acid Thioanilide Derivatives
AUTHOR(S): Zaleska, Barbara; Bazanek, Tomasz; Socha, Robert; Karelus, Marcin; Grochowski, Jacek; Serda, Pawe-l
CORPORATE SOURCE: Department of Organic Chemistry and Regional Laboratory of Physicochemical Analyses and Structural Research, Jagiellonian University, Krakow, PL 30-060, Pol.
SOURCE: Journal of Organic Chemistry (2002), 67(13), 4526-4529
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:63231

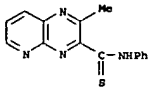
AB An unusual rearrangement following cyclization of 2-anilino-2-ethoxy-3-oxothiobutanoic acid with aliphatic 1,3- as well as 1,4-diamine leads to zwitterionic derivs. of 2-hydroxypropanoic acid. Moreover, with aromatic 1,2-diamines, fused heterocyclic systems such as pteridine, quinoxaline, and pyrido[2,3-b]pyrazine are obtained.

IT 439863-91-9P 439863-92-0P 439863-93-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of zwitterionic derivs. of pyrimidine and diazepine compds. from reaction of 2-anilino-2-ethoxy-3-oxothiobutanoic acid and aliphatic diamines)

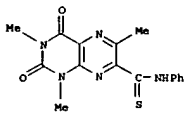
RN 439863-91-9 CAPLUS
CN 2-Quinoxalinecarbothioamide, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 439863-92-0 CAPLUS
CN Pyrido[2,3-b]pyrazine-3-carbothioamide, 2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 439863-93-1 CAPLUS
CN 7-Pteridinecarbothioamide, 1,2,3,4-tetrahydro-1,3,6-trimethyl-2,4-dioxo-N-phenyl- (9CI) (CA INDEX NAME)

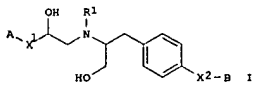


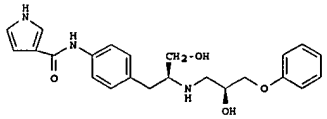
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 95 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:240716 CAPLUS
DOCUMENT NUMBER: 136:279196
TITLE: Preparation and use of amino alcohol derivatives for treatment of urinary incontinence
INVENTOR(S): Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Nakajima, Yutaka; Ohtake, Hiroaki; Korada, Satoru; Murata, Masayoshi; Kayakiri, Hiroshi; Fujii, Naoaki; Taniguchi, Kiyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024635	A2	20020328	WO 2001-JP8155	20010919
WO 2002024635	A3	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090246	A5	20020402	AU 2001-90246	20010919
JP 2004509162	T2	20040325	JP 2002-528649	20010919
US 2004037022	A1	20040226	US 2003-380627	20030321
US 6826033	B2	20041130		
PRIORITY APPLN. INFO.:			AU 2000-340 A	20000925
			WO 2001-JP8155 W	20010919

OTHER SOURCE(S): MARPAT 136:279196
GI





AB Title compds. I [X1 = bond, OCH2; X2 = (NR2CO)n, NHCOY1; R2 = H, alkyl; n = 1-2; Y1 = NR3; R3 = H, alkyl, etc.; R1 = H, amino protective group; A = Ph, indolyl, carbazolyl; B = H, halo, alkyl, alkoxycarbonyl, cycloalkyl, heterocyclic, naphthyl, 1,2,3,4-tetrahydronaphthyl, benzyl, phenyl] were prepared For instance, (2S)-2-(phenoxymethyl)oxirane was reacted with (2S)-2-amino-3-(4-nitrophenyl)-1-propanol to give (2S)-3-(4-nitrophenyl)-2-[[(2S)-2-hydroxy-3-phenoxypropyl]amino]-1-propanol. This intermediate was protected as the N-Boc derivative which was then reduced (MeOHaq, 10% Pd-C, H2-1 atm) to give the corresponding aminophenyl derivative Carbodiimide coupling of this amine with 3-carboxypyrrole followed by deprotection provided II. II showed 2.6 ± 0.05 mm Hg increase in intravesical pressure (compared to 7.0 ± 1.0 mm Hg control) induced by carbachol in anesthetized dog. I are useful for the prophylactic and/or the therapeutic treatment of pollakiures or urinary incontinence.

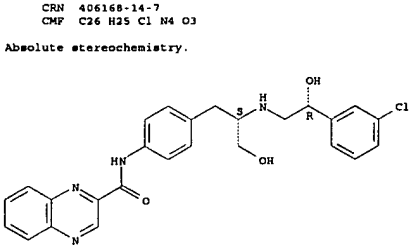
IT 406168-15-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation and use of amino alc. derivs. for treatment of urinary incontinence)

RN 406168-15-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[(2S)-2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406168-14-7
CMF C26 H25 Cl N4 O3

Absolute stereochemistry.



CM 2

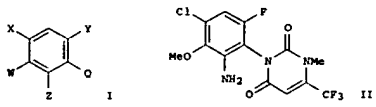
CRN 76-05-1
CMF C2 H F3 O2

L5 ANSWER 96 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:182202 CAPLUS
DOCUMENT NUMBER: 136:232317
TITLE: Preparation of heterocyclylbenzenes as herbicides and defoliants.
INVENTOR(S): Gupta, Sandeep; Wu, Shao-Yong; Tsukamoto, Masamitsu; Pulman, David A.; Ying, Bai-Ping
PATENT ASSIGNEE(S): ISK Americas Incorporated, USA

SOURCE: U.S., 74 pp., Cont.-in-part of U.S. Ser. No. 958,313.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6355799	B1	20020312	US 2000-530373	20000427
WO 9921837	A1	19990506	WO 1998-US17197	19980821
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002133007	A1	20020919	US 2001-930149	20010816
US 6545161	B2	20030408		
PRIORITY APPLN. INFO.:			US 1997-958313	A2 19971027
			WO 1998-US17197	W 19980821
			US 2000-530373	A3 20000427

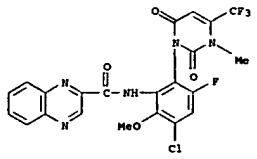
OTHER SOURCE(S): MARPAT 136:232317
GI



AB Title compds. [I; X = H, halo, NO2, amino, NHR, NR2, amide, thioamide, cyano, alkylcarbonyl, alkoxycarbonyl, alkylsulfonamide, (substituted) alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyloxy, PhCH2O, aryloxy, heteroaryloxy; Y = H, halo, NO2; W = H, OR, SR, NHR, NR2, CH2R, CHR2, CR3, halo, NO2, cyano; R = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, alkoxy, cycloalkoxy, aryloxy, heteroaryloxy, alkylsulfonyl, PhCH2, alkylcarbonyl, aryloxycarbonyl, etc.; Q = (substituted) heterocyclyl; Z = amino, OH, SH, CHO, CO2H, cyano, alkylcarbonyl, arylcarbonyl, N3, etc.] were prepared Thus, 3-(4-chloro-6-fluoro-3-methoxy-2-nitrophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (preparation given) was stirred with Fe powder in HOAc to give title compound (II). II at 7.8 g/ha post-emergent gave 100% control of Amaranthus retroflexus and Abutilon theophrasti.

IT 224164-07-2P, 2-Quinoxalinecarboxamide, N-[3-chloro-6-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-5-fluoro-2-methoxyphenyl]-
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylbenzenes as herbicides and defoliants)

RN 224164-07-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-chloro-6-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-5-fluoro-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

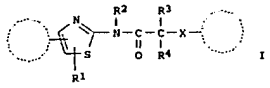


REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 97 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:126362 CAPLUS
DOCUMENT NUMBER: 136:177998
TITLE: Thiazole compounds as selective protein kinase C γ inhibitors and sedatives containing them
INVENTOR(S): Inaba, Takayuki; Sagawa, Shoichi; Okamoto, Yoshihisa
PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 113 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002053566	A2	20020219	JP 2000-244080	20000811
PRIORITY APPLN. INFO.:			JP 2000-244080	20000811

OTHER SOURCE(S): MARPAT 136:177998
GI

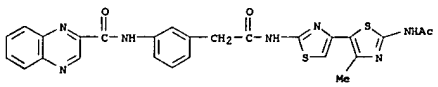


AB Protein kinase C inhibitors contain thiazole compds. I [R1 = H, halo, C1-6 alkyl; R2 = H, (un)substituted C1-6 alkyl (substituents are given); R3, R4 = H, (un)substituted C1-6 alkyl, ORa1 (Ra1 = H, C1-6 alkyl, C1-6 alkylcarbonyl), NRa2Ra3 (Ra2, Ra3 = H, C1-6 alkyl, C1-6 alkoxycarbonyl); NRa2Ra3 may be a ring; R2 and R3 may be bonded together with NCOCR4 to form a (hetero)cycle; X = direct bond, C1-4 alkylene, O, S, CO2, OCO, NRa4, CONRa4, NRa4CO [Ra4 = H, (un)substituted C1-6 alkyl]; ring Hy = (un)substituted heterocyclyl containing 1-4 O, N, and/or S; Z = H, (un)substituted C1-6 alkyl, C6-14 aryl, C3-7 cycloalkyl, C3-7 cycloalkenyl, heterocyclyl; ring Cy = C6-14 aryl, C3-7 cycloalkyl, heterocyclyl] or their pharmaceutically acceptable salts. Drug compns. and sedatives containing I or their salts are also claimed. I selectively inhibit protein kinase C γ-isoenzyme. IC50 values of N-[4-[2-(cyclopropylcarbonylamino)-4-methylthiazol-5-yl]thiazol-2-yl]-N-[2-(dimethylamino)ethyl]-2-(2-fluorophenyl)acetamide (II, preparation given) to PKCα, PHCβII, and PKCγ were 0.8691, 2.9062, and 0.0369 μM, resp. Sedative effect of II was shown in formalin test for rats.

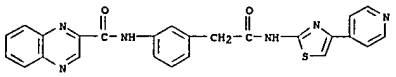
Tablets containing II were also formulated.

IT 400004-35-5P 400004-39-9P 400004-40-2P
400004-49-1P 400004-65-1P 400004-96-8P
400004-97-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazole compds. as selective protein kinase C γ inhibitors for sedatives)

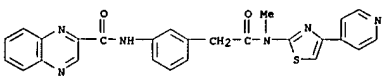
RN 400004-35-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[2-[[2'-(acetylamino)-4'-methyl[4,5'-bithiazol]-2-yl]amino]-2-oxoethyl]phenyl]- (9CI) (CA INDEX NAME)



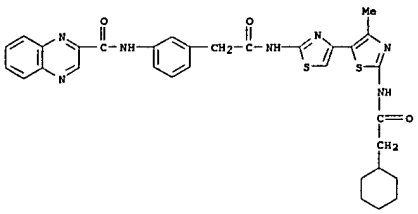
RN 400004-39-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[2-oxo-2-[[4-(4-pyridinyl)-2-thiazolyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



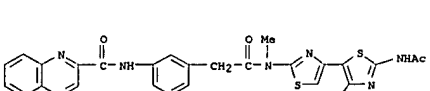
RN 400004-40-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[2-[methyl[4-(4-pyridinyl)-2-thiazolyl]amino]-2-oxoethyl]phenyl]- (9CI) (CA INDEX NAME)



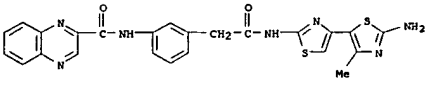
RN 400004-49-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[2-[[2'-[(cyclohexylacetyl)amino]-4'-methyl[4,5'-bithiazol]-2-yl]amino]-2-oxoethyl]phenyl]- (9CI) (CA INDEX NAME)



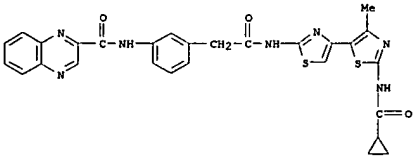
RN 400004-65-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[2-[[2'-(acetylamino)-4'-methyl[4,5'-bithiazol]-2-yl]methylamino]-2-oxoethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 400004-96-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[2-[(2'-amino-4'-methyl[4,5'-bithiazol]-2-yl)amino]-2-oxoethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 400004-97-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[2-[[2'-[(cyclopropylcarbonyl)amino]-4'-methyl[4,5'-bithiazol]-2-yl]amino]-2-oxoethyl]phenyl]- (9CI) (CA INDEX NAME)

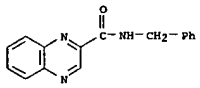


L5 ANSWER 98 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:71116 CAPLUS
DOCUMENT NUMBER: 136:369341
TITLE: Reductive cleavage of N-substituted aromatic amides as tert-butyl acylcarbamates
AUTHOR(S): Ragnarsson, Ulf; Grehn, Leif; Maia, Hernani L. S.; Monteiro, Luis S.
CORPORATE SOURCE: Department of Biochemistry, Biomedical Center, University of Uppsala, Uppsala, SE-751 23, Swed.
SOURCE: Journal of the Chemical Society, Perkin Transactions 1 (2002), (1), 97-101
CODEN: JCSPCE; ISSN: 1472-7781
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:369341

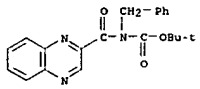
AB Synthetic and spectroscopic details relating to a set of heteroarom. N-benzyl carboxamides and in particular the corresponding tert-Bu acylcarbamates are reported. These compds. were required to study the postulated effect of various heterocycles (pyridine and pyrazine with and without condensed benzene rings) on the cleavage of acyl-N bonds by reduction All compds. were initially characterized by cyclic voltammetry (CV) which indicated various degrees of facilitated reduction, reflecting a direct influence of the heterocyclic component. Selected acylcarbamates were studied with respect to acyl-N bond cleavage by mild reducing agents, and selectively deacylated by activated Al and Na borohydride. Conversion to acylcarbamates followed by reduction might therefore be a mild, efficient two-step procedure to effect cleavage of amides, allowing isolation of carbamates and with Na borohydride also the corresponding alcs.

IT 7066-32-2P 423158-16-1P
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(reductive cleavage of N-substituted aromatic amides as tert-Bu acylcarbamates)

RN 7066-32-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(phenylmethyl)- (9CI) (CA INDEX NAME)

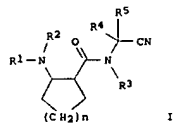


RN 423158-16-1 CAPLUS
CN Carbamic acid, (phenylmethyl)(2-quinoxalinylcarbonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

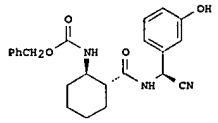


REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 99 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN



I



II

AB Compds. of formula I [R1 = H, aryl, C(O)Ra, or SO2Rb (Ra = lower alkyl, lower-alkoxy, cycloalkyl, cycloalkyl-lower-alkyl, cycloalkyl-lower alkoxy, cycloalkoxy, aryl, aryloxy, etc.; Rb = aryl, aryl-lower-alkyl, or heteroaryl); R2, R3, R4 = H or lower-alkyl; R5 = H, lower-alkyl, cycloalkyl, or aryl; n = 1,2] were prepared. Thus, (1R,2R)-(2-{(S)-[cyano(3-hydroxyphenyl)methyl]carbamoyl}cyclohexyl)carbamic acid benzyl ester (II) was produced from (1R,2R)-2-benzyloxycarbonylaminocyclohexane carboxylic acid and (S)-2-amino-2-(3-hydroxyphenyl)acetonitrile. II was assayed against cathepsins K, S, L, and B and the inhibitory activity (IC50) was determined to be 0.005, >10, 4.7, and 4.6 μMol/L, resp. The compds. and pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof are useful for the treatment of diseases which are associated with cysteine proteases such as osteoporosis, osteoarthritis, rheumatoid arthritis, tumor metastasis, glomerulonephritis, atherosclerosis, myocardial infarction, angina pectoris, instable angina pectoris, stroke, plaque rupture, transient ischemic attacks, amaurosis fugax, peripheral arterial occlusive disease, restenosis after angioplasty and stent placement, abdominal aortic aneurysm formation, inflammation, autoimmune disease, malaria, ocular fundus tissue cytopathy and respiratory disease. A discussion of pharmaceutical compns. is also included.

IT 381240-13-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of beta-amino acid nitrile derivs. useful for the treatment of diseases which are associated with cysteine proteases)

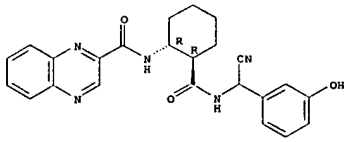
RN 381240-13-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1R,2R)-2-[[[cyano(3-hydroxyphenyl)methyl]amino]carbonyl]cyclohexyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ACCESSION NUMBER: 2001:923748 CAPLUS
DOCUMENT NUMBER: 136:53544
TITLE: β-amino acid nitrile derivs. useful for the treatment of diseases which are associated with cysteine proteases
INVENTOR(S): Gabriel, Tobias; Pech, Michael; Rodriguez Sarmiento, Rosa Maria
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096285	A1	20011220	WO 2001-EP6541	20010608
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002016361	A1	20020207	US 2001-872927	20010601
US 6462076	B2	20021008		
CA 2410303	AA	20011220	CA 2001-2410303	20010608
EP 1294679	A1	20030326	EP 2001-943489	20010608
EP 1294679	B1	20050921		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011733	A	20030527	BR 2001-11733	20010608
JP 2004503525	T2	20040205	JP 2002-510429	20010608
NZ 522587	A	20040730	NZ 2001-522587	20010608
RU 2245871	C2	20050210	RU 2002-135634	20010608
AT 304997	E	20051015	AT 2001-943489	20010608
ZA 2002009415	A	20040219	ZA 2002-9415	20021119
NO 2002005823	A	20021204	NO 2002-5823	20021204
PRIORITY APPLN. INFO.:			EP 2000-112577 A	20000614
			WO 2001-EP6541 W	20010608

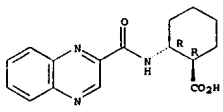
OTHER SOURCE(S): MARPAT 136:53544
GI



IT 381241-65-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of beta-amino acid nitrile derivs. useful for the treatment of diseases which are associated with cysteine proteases)

RN 381241-65-2 CAPLUS
CN Cyclohexanecarboxylic acid, 2-[(2-quinoxalinylcarbonyl)amino]-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



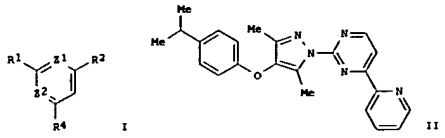
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 100 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:851126 CAPLUS
DOCUMENT NUMBER: 135:371760
TITLE: Preparation of pyrazolylpyrimidines and analogs as TNF-α signaling modulators
INVENTOR(S): Sneddon, Scott F.; Kane, John L.; Hirth, Bradford H.; Vinick, Fred; Qiao, Shuang; Nahill, Sharon R.
PATENT ASSIGNEE(S): Genzyme Corporation, USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087849	A2	20011122	WO 2001-US15027	20010510
WO 2001087849	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408408	AA	20011122	CA 2001-2408408	20010510

US 2002119988	A1	20020829	US 2001-852965		20010510
US 6969728	B2	20051129			
EP 1294699	A2	20030326	EP 2001-933253		20010510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003533515	T2	20031111	JP 2001-584245		20010510
BR 2001011158	A	20040406	BR 2001-11158		20010510
NO 2002005405	A	20030109	NO 2002-5405		20021111
US 2004171617	A1	20040902	US 2004-797244		20040310
PRIORITY APPLN. INFO.:			US 2000-203784P	P	20000512
			US 2000-205213P	P	20000518
			US 2001-852965	A3	20010510
			WO 2001-US15027	W	20010510

OTHER SOURCE(S): MARPAT 135:371760
GI

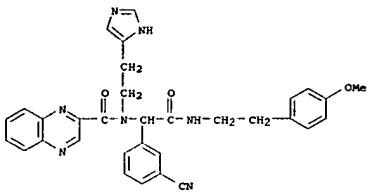


AB Title compds. [I; R1 = H or NH2; R2 = ZZ3(CH2)nR; R = (un)substituted Ph or -heterocyclyl; R4 = (alkyl-substituted) 2-pyridinyl or -pyrazinyl; Z = (un)substituted pyrazole-1,4-diyl; Z1,Z2 = N or CH; Z3 = O, CH2, S, SO2; n = 0-2] were prepared Thus, 4-(Me2HC)C6H4OH was condensed with (MeCO)2CHN2 and the product cyclocondensed with 4-(2-pyridinyl)-2-pyrimidinylhydrazine to give title compound II. Data for biol. activity of I were given.

IT 374080-51-0P 374080-57-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolylpyrimidines and analogs as TNF- α signaling modulators)

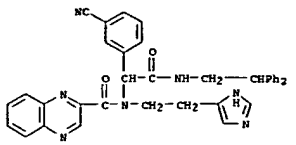
RN 374080-51-0 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[1-(3-cyanophenyl)-2-[[2-(4-methoxyphenyl)ethyl]amino]-2-oxoethyl]-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 374080-57-6 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[1-(3-cyanophenyl)-2-[(2,2-diphenylethyl)amino]-2-oxoethyl]-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

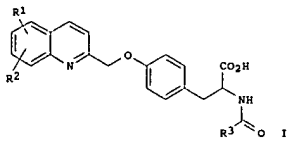


L5 ANSWER 101 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2001:597979 CAPLUS
DOCUMENT NUMBER:	135:167035
TITLE:	Preparation of tyrosine derivatives having anti-leukotriene activity
INVENTOR(S):	Makovec, Francesco; Peris, Walter; Rovati, Lucio Claudio
PATENT ASSIGNEE(S):	Rotta Research Laboratorium S.P.A., Italy
SOURCE:	PCT Int. Appl., 27 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058892	A1	20010816	WO 2001-EP1315	20010207
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
IT 1320162	B1	20031118	IT 2000-TO127	20000209
CA 2399451	AA	20010816	CA 2001-2399451	20010207
EP 1255749	A1	20021113	EP 2001-905744	20010207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522768	T2	20030729	JP 2001-558442	20010207
AU 776214	B2	20040902	AU 2001-33742	20010207
US 2003087910	A1	20030508	US 2002-203424	20020808
US 6605722	B2	20030812		
PRIORITY APPLN. INFO.:			IT 2000-TO127	A 20000209
			WO 2001-EP1315	W 20010207

OTHER SOURCE(S): MARPAT 135:167035
GI

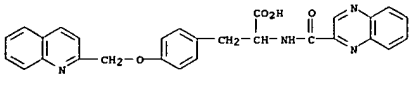


AB Compds. I [R1, R2 = H, C1-4 alkyl, halo, MeO, cyano, CF3; R3 = (un)substituted Ph, pyridyl or (iso)quinolinyl, 1- or 2-naphthyl, 2- or 3-indolyl or N-alkyl derivs., 2-, 5- or 6-quinoxalyl, cinnolyl, benzimidazolyl], which may have the L- or D-configuration or be racemic, were prepared and are useful in the treatment of pathol. conditions sensitive to leukotriene inhibition. Thus, O-(2-quinolinylmethyl)-N-quinaldoyl-DL-tyrosine was prepared by acylation of DL-tyrosine Me ester with quinaldic acid, O-alkylation with 2-chloromethylquinoline hydrochloride, and saponification The product showed IC50x10-9 M = 20.0 for inhibition of binding of [3H]-LTD4 to guinea pig lung membranes.

IT 353798-99-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tyrosine derivs. having anti-leukotriene activity)

RN 353798-99-9 CAPLUS

CN Tyrosine, O-(2-quinolinylmethyl)-N-(2-quinoxalinylcarbonyl)- (9CI) (CA INDEX NAME)



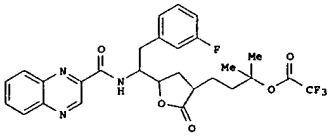
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 102 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

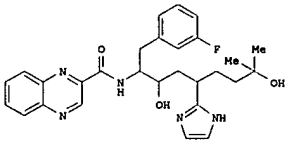
ACCESSION NUMBER:	2001:581874 CAPLUS
DOCUMENT NUMBER:	135:152821
TITLE:	Preparation of N-(hydroxyalkyl)quinoxaline-2-carboxamides and analogs as CCR1 antagonists for treatment of inflammation and other immune disorders
INVENTOR(S):	Brown, Matthew Frank; Poss, Christopher Stanley
PATENT ASSIGNEE(S):	Pfizer Products Inc., USA
SOURCE:	PCT Int. Appl., 70 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057023	A1	20010809	WO 2001-IB107	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2399214	AA	20010809	CA 2001-2399214	20010126
AU 2001026997	A5	20010814	AU 2001-26997	20010126
BR 2001008002	A	20021029	BR 2001-8002	20010126
EP 1252154	A1	20021030	EP 2001-901328	20010126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522164	T2	20030722	JP 2001-557855	20010126
EE 200200432	A	20031215	EE 2002-432	20010126
NZ 520075	A	20040227	NZ 2001-520075	20010126
EP 1498417	A1	20050119	EP 2004-22270	20010126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 2002132810	A1	20020919	US 2001-774871	20010131
US 6548671	B2	20030415		
BG 106922	A	20030331	BG 2002-106922	20020715
ZA 2002006140	A	20030801	ZA 2002-6140	20020801
NO 2002003675	A	20020910	NO 2002-3675	20020802
US 2003204086	A1	20031030	US 2003-360059	20030206
US 6689886	B2	20040210		
PRIORITY APPLN. INFO.:			US 2000-180159P	P 20000204
			EP 2001-901328	A3 20010126
			WO 2001-IB107	W 20010126
			US 2001-774871	A3 20010131

OTHER SOURCE(S): MARPAT 135:152821
GI



II



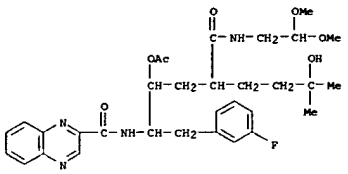
III

AB The title compds. R1CONHCHR2CH(OH)CH2CHR3R4 [I; wherein R1 = (un)substituted heteroaryl; R2 = (un)substituted Ph(CH2)m, naphthyl-(CH2)m, (cyclo)alkyl-(CH2)m, or heteroaryl-(CH2)m; m = 0-4; R3 = H, D, or (un)substituted alkyl, cycloalkyl-(CH2)n, heterocycloalkyl-(CH2)n, or (hetero)aryl-(CH2)n; n = 0-6; or R3 and the C to which it is

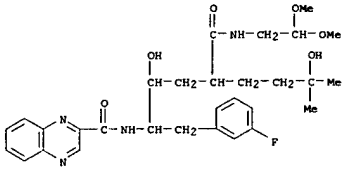
attached form a 5-7 membered (un)substituted ring; R4 = heteroaryl, heterocycloalkyl, or (un)substituted sulfamoyl, thiocarbamoyl, or carboximidamide; stereoisomers or pharmaceutically acceptable salt thereof] were prepared as CCR1 antagonists for the treatment of inflammation and other immune disorders. For example, ring opening and amidation of the lactone II with aminoacetaldehyde di-Me acetal (91%), O-protection (96%), conversion to the imidazole using ammonium acetate in the presence of AcOH (17%), and deprotection (100%) gave III. All of the compds. of the invention that were tested for inhibition of chemotaxis of various chemokines exhibited IC50 < 25 μM.

IT 352536-96-0P 352536-97-1P 352536-98-2P
352537-00-9P 352537-02-1P 352537-03-2P
352537-07-6P 352537-10-1P 352537-11-2P
352537-12-3P 352537-13-4P 352537-20-3P
352537-22-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-(hydroxyalkyl)quinoxalinecarboxamide CCR1 antagonists from lactones for treatment of inflammation and other immune disorders)

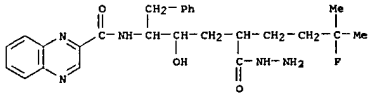
RN 352536-96-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(acetyloxy)-4-[[(2,2-dimethoxyethyl)amino]carbonyl]-1-[(3-fluorophenyl)methyl]-7-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)



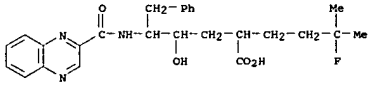
RN 352536-97-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[[(2,2-dimethoxyethyl)amino]carbonyl]-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)



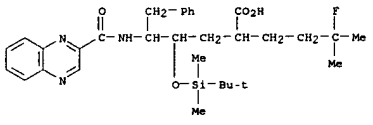
RN 352536-98-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(acetyloxy)-1-[(3-fluorophenyl)methyl]-7-hydroxy-4-(1H-imidazol-2-yl)-7-methyloctyl]- (9CI) (CA INDEX NAME)



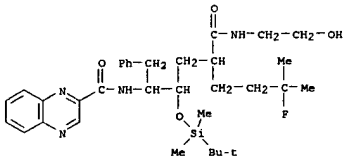
RN 352537-10-1 CAPLUS
CN Benzenehexanoic acid, α-(3-fluoro-3-methylbutyl)-γ-hydroxy-δ-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



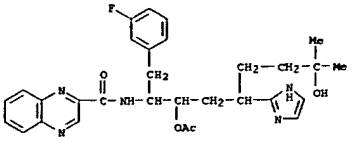
RN 352537-11-2 CAPLUS
CN Benzenehexanoic acid, γ-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-α-(3-fluoro-3-methylbutyl)-δ-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



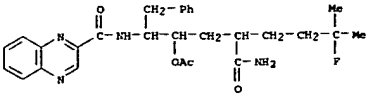
RN 352537-12-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-fluoro-4-[[(2-hydroxyethyl)amino]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



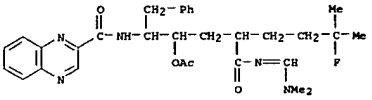
RN 352537-13-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(4,5-dihydro-2-oxazolyl)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-fluoro-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



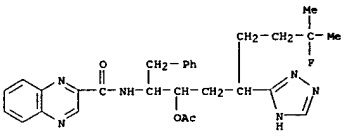
RN 352537-00-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(acetyloxy)-4-(aminocarbonyl)-7-fluoro-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



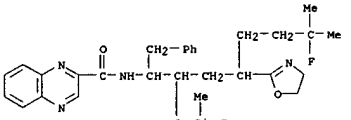
RN 352537-02-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(acetyloxy)-4-[[[(dimethylamino)methylene]amino]carbonyl]-7-fluoro-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



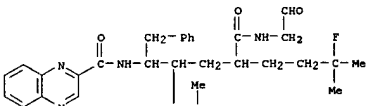
RN 352537-03-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(acetyloxy)-7-fluoro-7-methyl-1-(phenylmethyl)-4-(1H-1,2,4-triazol-3-yl)octyl]- (9CI) (CA INDEX NAME)



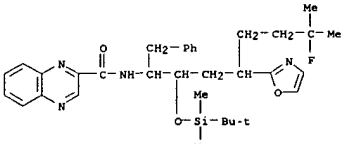
RN 352537-07-6 CAPLUS
CN Benzenehexanoic acid, α-(3-fluoro-3-methylbutyl)-γ-hydroxy-δ-[(2-quinoxalinylcarbonyl)amino]-, hydrazide (9CI) (CA INDEX NAME)



RN 352537-20-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-fluoro-7-methyl-4-[[(2-oxoethyl)amino]carbonyl]-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



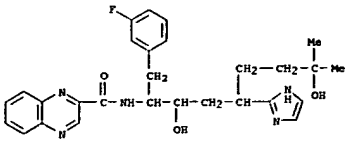
RN 352537-22-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-fluoro-7-methyl-4-(2-oxazolyl)-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



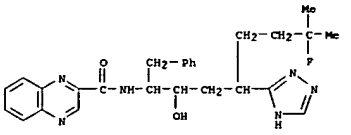
IT 352536-94-8P 352536-99-3P 352537-04-3P
352537-06-5P 352537-08-7P 352537-09-8P
352537-16-7P 352537-25-8P 352537-28-1P
352537-29-2P 352537-30-5P 352537-31-6P
352537-32-7P 352537-33-8P 352537-34-9P
352537-35-0P 352537-36-1P 352537-37-2P
352537-38-3P 352537-39-4P 352537-40-7P
352537-41-8P 352537-43-0P 352537-44-1P
352537-45-2P 352537-46-3P 352542-82-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(hydroxyalkyl)quinoxalinecarboxamide CCR1 antagonists from lactones for treatment of inflammation and other immune disorders)

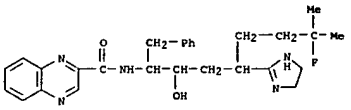
RN 352536-94-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-4-(1H-imidazol-2-yl)-7-methyloctyl]- (9CI) (CA INDEX NAME)



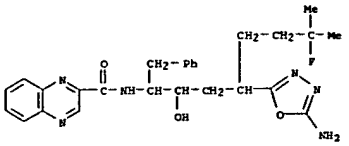
RN 352536-99-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-(1H-1,2,4-triazol-3-yl)octyl]- (9CI) (CA INDEX NAME)



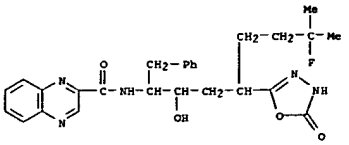
RN 352537-04-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(4,5-dihydro-1H-imidazol-2-yl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



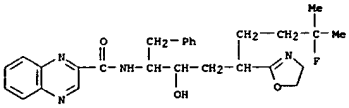
RN 352537-06-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(5-amino-1,3,4-oxadiazol-2-yl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



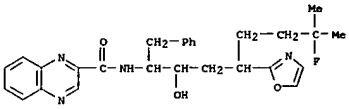
RN 352537-08-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(4,5-dihydro-5-oxo-1,3,4-oxadiazol-2-yl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



RN 352537-09-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(4,5-dihydro-2-oxazolyl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

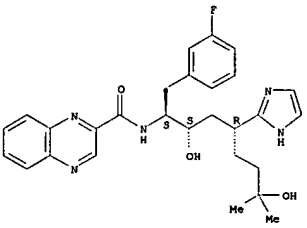


RN 352537-16-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[7-fluoro-2-hydroxy-7-methyl-4-(2-oxazolyl)-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



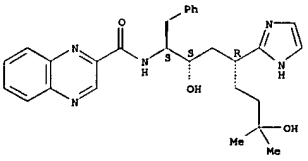
RN 352537-25-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-4-(1H-imidazol-2-yl)-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



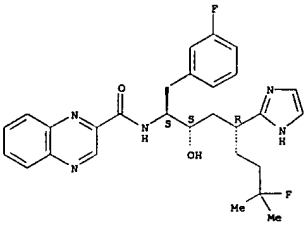
RN 352537-28-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2,7-dihydroxy-4-(1H-imidazol-2-yl)-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



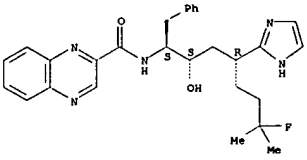
RN 352537-29-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-1-[(3-fluorophenyl)methyl]-2-hydroxy-4-(1H-imidazol-2-yl)-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



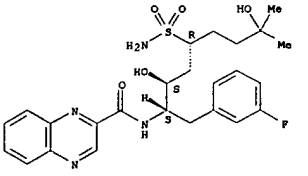
RN 352537-30-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-(1H-imidazol-2-yl)-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



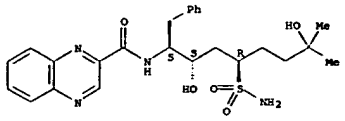
RN 352537-31-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminosulfonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



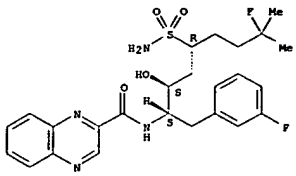
RN 352537-32-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminosulfonyl)-2,7-dihydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



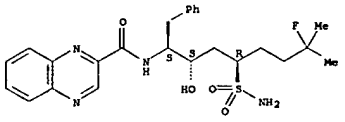
RN 352537-33-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminosulfonyl)-7-fluoro-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



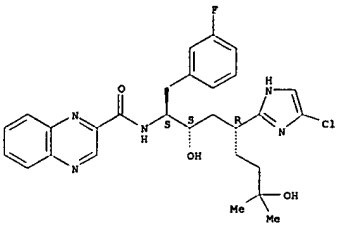
RN 352537-34-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminosulfonyl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



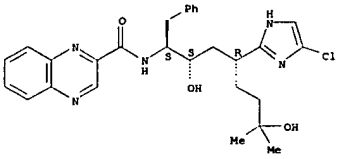
RN 352537-35-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyl-4-[(methylamino)sulfonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



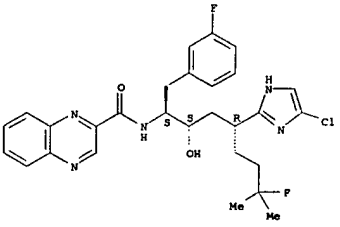
RN 352537-39-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(4-chloro-1H-imidazol-2-yl)-2,7-dihydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

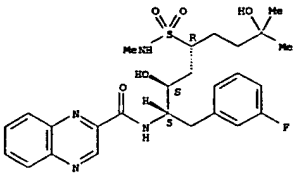
Absolute stereochemistry.



RN 352537-40-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(4-chloro-1H-imidazol-2-yl)-7-fluoro-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

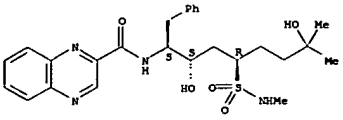
Absolute stereochemistry.





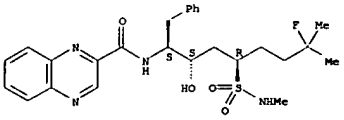
RN 352537-36-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2,7-dihydroxy-7-methyl-4-[(methylamino)sulfonyl]-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 352537-37-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-4-[(methylamino)sulfonyl]-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

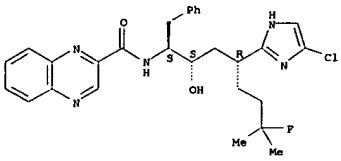


RN 352537-38-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(4-chloro-1H-imidazol-2-yl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

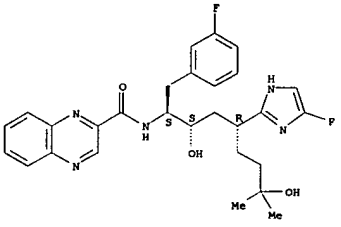
RN 352537-41-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(4-chloro-1H-imidazol-2-yl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



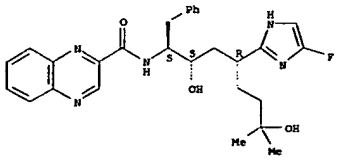
RN 352537-43-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(4-fluoro-1H-imidazol-2-yl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



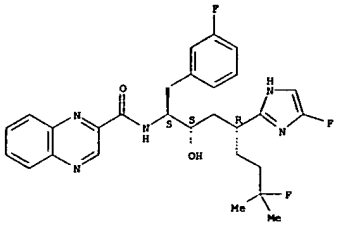
RN 352537-44-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(4-fluoro-1H-imidazol-2-yl)-2,7-dihydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



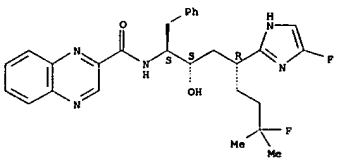
RN 352537-45-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-4-(4-fluoro-1H-imidazol-2-yl)-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



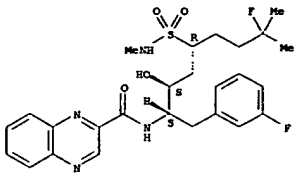
RN 352537-46-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-4-(4-fluoro-1H-imidazol-2-yl)-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

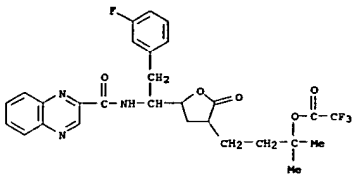


RN 352542-82-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyl-4-[(methylamino)sulfonyl]octyl]- (9CI) (CA INDEX NAME)

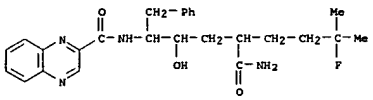
Absolute stereochemistry.



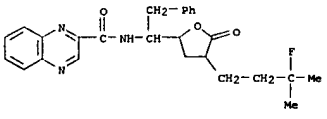
IT 352536-95-9 352537-01-0 352537-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of N-(hydroxyalkyl)quinoxalinecarboxamide CCR1 antagonists from lactones for treatment of inflammation and other immune disorders)
RN 352536-95-9 CAPLUS
CN Acetic acid, trifluoro-, 3-[5-[2-(3-fluorophenyl)-1-[(2-quinoxalinylcarbonyl)amino]ethyl]tetrahydro-2-oxo-3-furanyl]-1,1-dimethylpropyl ester (9CI) (CA INDEX NAME)



RN 352537-01-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(aminocarbonyl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)



RN 352537-05-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[1-[4-(3-fluoro-3-methylbutyl)tetrahydro-5-oxo-2-furanyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)



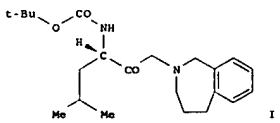
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 103 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:565014 CAPLUS
DOCUMENT NUMBER: 135:152826
TITLE: Preparation of benz-fused heterocycle derivatives and remedies containing the same as cysteine proteases inhibitors
INVENTOR(S): Ohmoto, Kazuyuki; Itagaki, Iori
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 273 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055118	A1	20010802	WO 2001-JP473	20010125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001028810	A5	20010807	AU 2001-28810	20010125
EP 1254898	A1	20021106	EP 2001-946856	20010125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003162964	A1	20030828	US 2002-181713	20020722
US 6809092	B2	20041026		
US 2005009755	A1	20050113	US 2004-901263	20040729

PRIORITY APPLN. INFO.: JP 2000-17045 A 20000126
WO 2001-JP473 W 20010125
US 2002-181713 A3 20020722

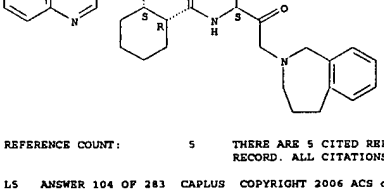
OTHER SOURCE(S): MARPAT 135:152826
GI



I

AB Title compds. {RAA1AA2NR9CR7R8CO(CH2)mZ(R10)n; R = H, C1-8alkyl, NO2, CF3, alkylsulfonyl, alkoxycarbonyl, alkylcarbonyl; AA1 = single bond, carbonylalkylamino, heterocyclylaminocarbonyl, heterocycle; AA2 = single bond, carbonylalkylamino, carbonylcycloalkylamino; R7, R8 independently = H, C1-8alkyl; R9 = H, C1-8alkyl, aryl; Z = benzazepine; R10 = C1-8alkyl, cycloalkyl, amino, alkoxy, OH] and nontoxic salts are prepared Title compds. exhibit inhibitory activities against cysteine proteases (no data) and are useful as preventive and/or therapeutic drugs for immune disorders (such as autoimmune diseases and infectious diseases), inflammatory diseases (such as inflammatory diseases of intestine, multiple encephalosclerosis, and arthritis), nerve degeneration diseases (such as Alzheimer's disease and muscular dystrophy), bone resorptive diseases (such as osteoporosis), respiratory diseases, diabetes, shock, etc. Thus, the title compound I was prepared
IT 352355-40-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzazepine derivs. and remedies as cysteine proteases inhibitors)
RN 352355-40-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-[[[(1S)-3-methyl-1-[(1,3,4,5-tetrahydro-2H-2-benzazepin-2-yl)acetyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 104 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:545674 CAPLUS
DOCUMENT NUMBER: 135:137516
TITLE: Synthesis of heteroarylbenzamides and analogs used for inhibiting protein kinases
INVENTOR(S): Bender, Steven Lee; Bhumralkar, Dilip; Collins, Michael Raymond; Crippe, Stephan James; Deal, Judith

Gail; Nambu, Mitchell David; Palmer, Cynthia Louise; Peng, Zhengwei; Varney, Michael David; Jia, Lei

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053274	A1	20010726	WO 2001-US1723	20010119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2394703	AA	20010726	CA 2001-2394703	20010119
US 2002103203	A1	20020801	US 2001-764306	20010119
US 6635641	B2	20031021		
EP 1252146	A1	20021030	EP 2001-906592	20010119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001008025	A	20021105	BR 2001-8025	20010119
JP 2003529558	T2	20031007	JP 2001-553276	20010119
US 2004092747	A1	20040513	US 2003-621979	20030717

PRIORITY APPLN. INFO.: US 2000-177059P P 20000121
US 2001-764306 A3 20010119
WO 2001-US1723 W 20010119

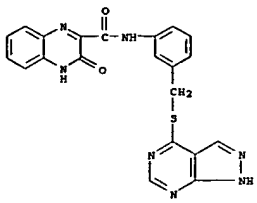
OTHER SOURCE(S): MARPAT 135:137516
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = CH, NH; Q = moiety such that ring A is (un)substituted mono- or bicyclic heteroaryl which has at least 2 carbon atoms in the heteroaryl ring system; X = CH2, O, S, NH; Y = CH2, O, S, provided at least one of X and Y = CH2 or X and Y form a cyclopropyl ring; R2-3 = H, Me, halo, CF3, CN; R4 = CONHR5, NHCOR6; where R5 = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R6 = (un)substituted aryl, heteroaryl, cycloalkyl, etc] are prepared Examples include synthetic procedures for over 150 compds., 11 biol. assays and 3 sample formulations. For instance, 3-mercaptobenzoic acid was treated with α-chloro-N-methoxy-N-methylacetamide followed by carbodiimide coupling to 2-methyl-6-aminoquinoline to give II. II was converted to a β-thiono-ketone with thioacetanilide/n-BuLi followed by treatment with hydrazine to give pyrazole III. III gave 85% inhibition of an lck protein tyrosine kinase at 5 μM and had Ki = 2.21 nM for VEGF-R2Δ50. Treatment of cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis are claimed uses of the invention.

IT 351323-60-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heteroarylbenzamides used for inhibiting protein kinases)

RN 351323-60-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3,4-dihydro-3-oxo-N-[3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



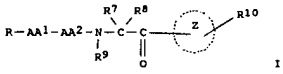
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 105 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:453041 CAPLUS
DOCUMENT NUMBER: 135:46457
TITLE: Preparation of dipeptide analogs containing oxadiazole derivatives as cysteine protease inhibitors
INVENTOR(S): Ohmoto, Kazuyuki; Itagaki, Iori
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 424 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044214	A1	20010621	WO 2000-JP8514	20001201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001016504	A5	20010625	AU 2001-16504	20001201
EP 1234820	A1	20020828	EP 2000-979048	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003166573	A1	20030904	US 2002-148612	20020821

PRIORITY APPLN. INFO.: JP 1999-344389 A 19991203
WO 2000-JP8514 W 20001201

OTHER SOURCE(S): MARPAT 135:46457
GI



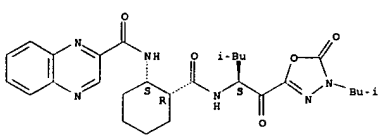
I

AB The title compds. I [R represents hydrogen, alkyl, etc.; AA1 represents a single bond, an amino acid residue, etc.; AA2 represents a single bond, an amino acid residue, etc.; R7 and R8 represent each hydrogen, alkyl, etc.; R9 represents hydrogen or alkyl; and R10 represents hydrogen, alkyl, etc; ring Z is 2-oxo-1,3,4-oxadiazoline, etc.] are prepared I are useful in the treatment of inflammatory diseases, autoimmune diseases, etc. In an in vitro test for inhibiting activity against cathepsin K, one compound of this invention showed the Ki value of 1.3 nM. Formulations are given.

IT 345214-16-6P 345215-25-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide analogs containing oxadiazole deriva. as cysteine protease inhibitors)

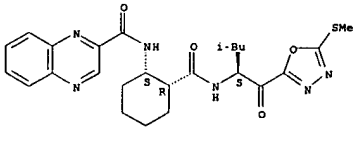
RN 345214-16-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-[[[(1S)-1-[[4,5-dihydro-4-(2-methylpropyl)-5-oxo-1,3,4-oxadiazol-2-yl]carbonyl]-3-methylbutyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 345215-25-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-[[[(1S)-3-methyl-1-[(5-(methylthio)-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

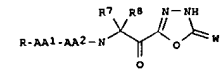
L5 ANSWER 106 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:416917 CAPLUS
DOCUMENT NUMBER: 135:5823
TITLE: Preparation of dipeptide analogs containing 1,3,4-oxadiazoline derivatives as cysteine protease inhibitors and drugs containing these derivatives as the active ingredient
INVENTOR(S): Ohmoto, Kazuyuki; Itagaki, Iori
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

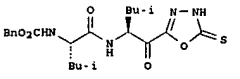
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040204	A1	20010607	WO 2000-JP8515	20001201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001016505	A5	20010612	AU 2001-16505	20001201
EP 1234821	A1	20020828	EP 2000-979049	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003166574	A1	20030904	US 2002-148613	20020828
US 6797720	B2	20040928		

PRIORITY APPLN. INFO.: JP 1999-344451 A 19991203
WO 2000-JP8515 W 20001201

OTHER SOURCE(S): MARPAT 135:5823
GI



I



II

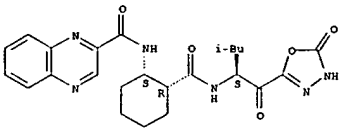
AB 1,3,4-Oxadiazoline derivs. represented by general formula (I) and nontoxic salts thereof (wherein W represents O or S; R represents hydrogen, alkyl, CycA, (un)substituted alkyl, R16CO, R16 O2C, R16N(R17)CO, R16SO2, R16COCH2, etc. (R16 = C1-8-alkyl, CC2-8 alkenyl, C2-8 alkynyl; R17 = H, C1-4 alkyl); AA1 represents a single bond, an amino acid residue, etc.; AA2 represents a single bond, an amino acid residue, etc.; R7 and R8 represent each hydrogen, alkyl, CycA, substituted C1-8 alkyl; or R7 and R8

are combined together to represent C2-8 alkylene optionally substituted by (un)substituted OH or NH2 and optionally replacing one of the carbons of alkylene with O, S, (un)substituted (un)substituted NH; R9 represents hydrogen, alkyl, Ph, phenyl-C1-8 alkyl; or R9 and R7 combined together to represent C2-8 alkylene optionally substituted by (un)substituted OH or NH2 and optionally replacing one of the carbons of alkylene with O, S, (un)substituted (un)substituted NH} are prepared Because of having a cysteine protease inhibitory activity, the compds. of general formula I are useful as preventives and/or remedies for inflammatory diseases, diseases induced by apoptosis, diseases induced in immune response failure, autoimmune diseases, diseases induced by the degradation of biol. constituting proteins, shock, circulatory disorder, blood coagulation system disorder, malignant tumor, acquired immune deficiency syndrome (AIDS) and AIDS-related complex (ARC), parasitosis, neurodegenerative diseases, lung disorder, bone resorption diseases, endocrine hyperenergia diseases, etc. These compds. I at 10 μM inhibited ≥50% cysteine protease and more specifically 2-oxo-1,3,4-oxadiazoline-containing dipeptide analog (II) at 1 μM inhibited 99% cysteine protease. An ampule containing N-[(1S)-2-(2-oxo-1,3,4-oxadiazolin-5-yl)-1-(2-methylpropyl)-2-oxoethyl]-(2S,1R)-2-(phenylcarbonylamino)cyclohexane-1-carboxamide. was formulated.

IT 341973-22-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide analogs containing oxadiazoline deriv. as cysteine protease inhibitors and preventives and/or remedies for diseases such as inflammatory, autoimmune, and apoptosis-induced diseases.)

RN 341973-22-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-[[[(1S)-1-[(4,5-dihydro-5-oxo-1,3,4-oxadiazol-2-yl)carbonyl]-3-methylbutyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 107 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:380562 CAPLUS
DOCUMENT NUMBER: 134:366881
TITLE: Preparation of triazoles as farnesyl transferase inhibitors
INVENTOR(S): Saha, Ashis Kumar; End, David William; De Corte, Bart Lieven Daniel; Breslin, Henry Joseph; Liu, Li
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036395	A1	20010525	WO 2000-EP11393	20001115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2389280	AA	20010525	CA 2000-2389280	20001115
EP 1232147	A1	20020821	EP 2000-988714	20001115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003514804	T2	20030422	JP 2001-538884	20001115
AU 779426	B2	20050127	AU 2001-25063	20001115
US 2005234117	A1	20051020	US 2005-143814	20050602
PRIORITY APPLN. INFO.:			US 1999-165434P	P 19991115
			WO 2000-EP11393	W 20001115
			US 2002-130322	A3 20020513

OTHER SOURCE(S): MARPAT 134:366881
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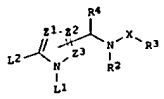

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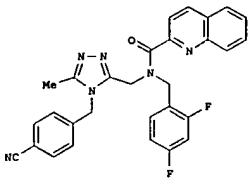


II

AB The title compds. [I; L1, L2 = YR1; R1 = H, CN, aryl, (un)substituted heterocyclyl; Z1Z2:Z3 = NN:CH, NCH:N, CHN:N; X = SO2, (CH2)n (n = 1-4), CO, etc.; R2 = aryl, (un)substituted cycloalkyl, etc.; R3 = aryl, NR5R6, (un)substituted heterocyclyl, etc.; R4 = H, aryl, cycloalkyl, etc.; R5, R6 = H, (un)substituted heterocyclyl, aryl, etc.] and their N-oxides, addition salts, quaternary amines which are useful as novel class of peptidomimetic FTPase inhibitors and also show antiviral activity against RSV, were prepared E.g., a 4-step synthesis of the triazole II which showed an inhibition of FTPase activity of at least 10% at 10-7 M, was given.

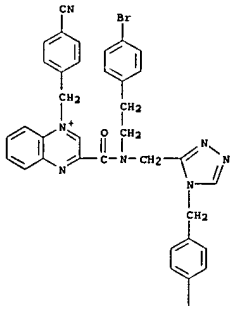
IT 340730-10-1P 340732-17-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazoles as farnesyl transferase inhibitors)

RN 340730-10-1 CAPLUS
CN Quinoxalinium, 3-[[[2-(4-bromophenyl)ethyl][[4-[(4-cyanophenyl)methyl]-4H-1,2,4-triazol-3-yl]methyl]amino]carbonyl]-1-[(4-cyanophenyl)methyl]-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 340730-09-8
CMF C36 H28 Br N8 O

PAGE 1-A



PAGE 2-A

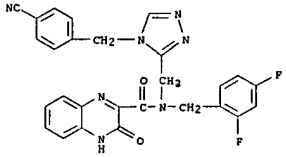
CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 340732-17-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[(4-cyanophenyl)methyl]-4H-1,2,4-triazol-3-yl]methyl]-N-[(2,4-difluorophenyl)methyl]-3,4-dihydro-3-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 340732-16-3
CMF C27 H19 F2 N7 O2

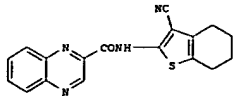


CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 108 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:340055 CAPLUS
DOCUMENT NUMBER: 136:102343
TITLE: Synthesis and anticancer activity of some novel 2-(quinoxalin-2-yl)-5,6,7,8-tetrahydrobenzo[4,5]thieno[2,3-d]pyrimidine derivatives
AUTHOR(S): Ismail, M. M. F.; Zahran, Medhat A.; El-Gaby, M. S. A.; Ammar, Y. A.
CORPORATE SOURCE: Chemistry Department, Faculty of Pharmacy (Girl's), Al-Azhar University, Nasr City, Egypt
SOURCE: Al-Azhar Bulletin of Science (1999), 10(1), 41-50
CODEN: ABSCE7; ISSN: 1110-2535
PUBLISHER: Al-Azhar University, Faculty of Science
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:102343
GI



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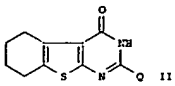
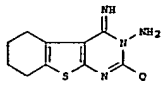


II

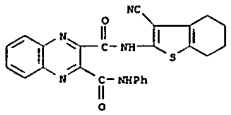


III

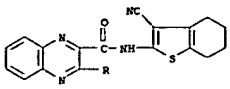
AB 2-Carboxamide derivative I was obtained by a fusion reaction and refluxing of I in acetic anhydride afforded thieno[2,3-d]pyrimidine II (Q = 2-quinoxalinyl). Cyclization of the intermediate I with hydrazine hydrate in refluxing butanol furnished a thieno[2,3-d]pyrimidine derivative III (Q = 2-quinoxalinyl). Reaction of III with aromatic aldehydes led to the formation of Schiff's bases. A thiourea derivative was obtained by refluxing of III with Ph isothiocyanate in pyridine. Preliminary pharmacol. screening revealed that some of the new compds. exhibited anticancer activity.

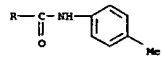
IT 389085-83-0P 389085-84-1P 389085-85-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anticancer activity of (quinoxalinyl)tetrahydrobenzo[4,5]thieno[2,3-d]pyrimidine derivs.)

RN 389085-83-0 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-(3-cyano-4,5,6,7-tetrahydrobenzo[b]thien-2-yl)-N'-phenyl- (9CI) (CA INDEX NAME)

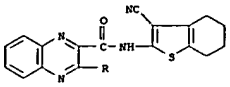


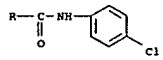
RN 389085-84-1 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-(3-cyano-4,5,6,7-tetrahydrobenzo[b]thien-2-yl)-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)





RN 389085-85-2 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-(4-chlorophenyl)-N'-(3-cyano-4,5,6,7-tetrahydrobenzo[b]thien-2-yl)- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

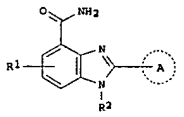
L5 ANSWER 109 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:228885 CAPLUS
DOCUMENT NUMBER: 134:252339
TITLE: Preparation of benzimidazole derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors
INVENTOR(S): Takayama, Kazuhisa; Koga, Yuji; Masuda, Naoyuki; Miyazaki, Yoji; Kimura, Takenori; Nagashima, Shinya; Okamoto, Yoshinori; Okada, Yohei; Takeuchi, Makoto
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021615	A1	20010329	WO 2000-JP6319	20000914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	JP 1999-264431	A	19990917
	JP 2000-170715	A	20000607

OTHER SOURCE(S): MARPAT 134:252339
GI



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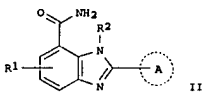
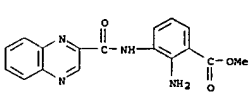


II

AB Benzimidazole derivs. having heterocyclic groups at the 2-position and carbamoyl at the 4-position as represented by general formula (I) or (II) or salts thereof (wherein R1 is H, lower alkyl, halo, or halo-lower alkyl; R2 is H, lower alkyl, or lower alkyl-carbonyl; and A is an optionally substituted heterocyclic group), which are useful in the prevention or the treatment of various PARP-related diseases such as inflammations (in particular chronic articular rheumatism), autoimmune diseases, and ischemic reperfusion disorders, are prepared. Thus, 3.58 g Me 2-(pyridin-4-yl)-1H-benzimidazole-4-carboxylate was added to 35 mL NH4(1) at -50° in a metal sealed tube and heated at 140° for 3 days to give 2.58 g 2-(pyridin-4-yl)-1H-benzimidazole-4-carboxamide (III). III and 15 other compds. of I and II in vitro showed IC50 of 7-50 nM against PARP.

IT 330948-40-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole deriv. as poly(ADP-ribose) polymerase (PARP) inhibitors in prevention or treatment of various PARP-related diseases)

RN 330948-40-8 CAPLUS
CN Benzoic acid, 2-amino-3-[(2-quinoxalinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 110 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:152650 CAPLUS
DOCUMENT NUMBER: 134:207831
TITLE: Preparation, composition and use of heterocyclic aromatic amides as fungicides
INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Henry, Matthew James; Adamski, Butz Jenifer Lynn; Gajewski, Robert Peter
PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014339	A2	20010301	WO 2000-US21523	20000804
WO 2001014339	A3	20011115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6521622	B1	20030218	US 2000-620662	20000720
CA 2376275	AA	20010301	CA 2000-2376275	20000804
AU 2000065267	A5	20010319	AU 2000-65267	20000804
AU 776108	B2	20041118		
US 6355660	B1	20020312	US 2000-632930	20000804
EP 1204643	A2	20020515	EP 2000-952599	20000804
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EP 1234823	A3	20030618		
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EP 1234824	A1	20020828	EP 2002-9584	20000804
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EP 1234825	A2	20020828	EP 2002-9585	20000804
EP 1234825	A3	20030618		
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EP 1234826	A2	20020828	EP 2002-9586	20000804
EP 1234826	A3	20030618		
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EP 1234827	A2	20020828	EP 2002-9590	20000804
EP 1234827	A3	20030618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200409	T2	20030321	TR 2002-200200409	20000804
BR 2000013469	A	20030429	BR 2000-13469	20000804
JP 2003527324	T2	20030916	JP 2001-518428	20000804
EP 1486489	A2	20041215	EP 2004-22082	20000804
EP 1486489	A3	20050511		
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EP 1493733	A2	20050105	EP 2004-22081	20000804
EP 1493733	A3	20050126		
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US 2002177578	A1	20021128	US 2001-22413	20011213
US 2003016052	A1	20030123	US 2001-22207	20011213
US 2003018012	A1	20030123	US 2001-22511	20011213
US 6706740	B2	20040316		
US 2003022902	A1	20030130	US 2001-22483	20011213

US 2003022903	A1	20030130	US 2001-23497		20011213
ZA 2002000435	A	20030117	ZA 2002-435		20020117
US 2004034025	A1	20040219	US 2002-307844		20021202
US 2004048864	A1	20040311	US 2002-307710		20021202
US 6927225	B2	20050809			
PRIORITY APPLN. INFO.:			US 1999-149977P	P	19990820
			US 1999-150248P	P	19990823
			US 2000-620662	A	20000720
			US 1999-144676P	P	19990720
			EP 2000-952599	A3	20000804
			US 2000-632930	A3	20000804
			WO 2000-US21523	W	20000804

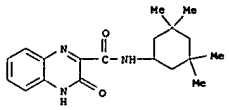
OTHER SOURCE(S): MARPAT 134:207831
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

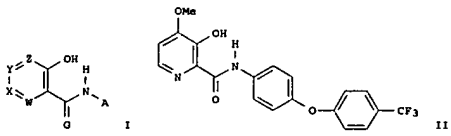
AB Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2,C1-4 alkoxy; R2 = H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, C2R4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl] are prepared as fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compound II was prepared and tested as fungicide.

IT 321601-18-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and fungicidal activity of heterocyclic aromatic amides)

RN 321601-18-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3,4-dihydro-3-oxo-N-(3,3,5,5-tetramethylcyclohexyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 111 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:63978 CAPLUS
DOCUMENT NUMBER: 134:131431
TITLE: Fungicidal heterocyclic aromatic amides and their compositions, methods of use and preparation
INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie;

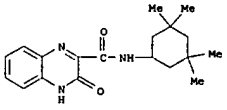


I II

AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxymethyl, CHF2, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.) bearing a hydroxy group adjacent to the amide functionality are prepared and disclosed as antifungal agents, particularly for plants. Thus, pyridinyl carboxamide II was prepared via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal composition consists of a compound of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., Plasmopara viticola (Downy Mildew of Grape), Phytophthora infestans (Late Blight of Tomato), and Venturia inaequalis (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT 321601-18-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and fungicidal activity of heterocyclic aromatic amides)

RN 321601-18-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3,4-dihydro-3-oxo-N-(3,3,5,5-tetramethylcyclohexyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 112 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:894633 CAPLUS
DOCUMENT NUMBER: 134:157715
TITLE: The discovery of orally-active pseudopeptide antagonists of the atrial natriuretic peptide clearance receptor
AUTHOR(S): Jacobs, Robert T.; Aharony, David; Alford, Vernon; Bialecki, Russell A.; Cook, Steven E.; Dantzman, Cathy L.; Davenport, Timothy W.; Dock, Steven T.; Edwards, Philip D.; Hostetler, Greg A.; Kirschner, Alan; Mauger, Russell C.; Murphy, Megan; Palmer, William E.; Pine, Kara K.; Rumsey, William L.; Steelman, Gary B.;

Meyer, Kevin Gerald; Niyaz, Noorechamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter
PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005769	A2	20010125	WO 2000-US19794	20000720
WO 2001005769	A3	20011122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2374995	AA	20010125	CA 2000-2374995	20000720
EP 1196388	A2	20020417	EP 2000-950470	20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003528806	T2	20030930	JP 2001-511430	20000720
BR 2000012615	A	20040330	BR 2000-12615	20000720
TR 200200587	T2	20041221	TR 2002-200200587	20000720
EP 1516874	A1	20050323	EP 2004-27006	20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1516875	A1	20050323	EP 2004-27015	20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AU 780698	B2	20050414	AU 2000-63572	20000720
US 6355660	B1	20020312	US 2000-632930	20000804
US 2002177578	A1	20021128	US 2001-22413	20011213
US 2003018052	A1	20030123	US 2001-22207	20011213
US 2003018012	A1	20030123	US 2001-22511	20011213
US 6706740	B2	20040316		
US 2003022902	A1	20030130	US 2001-22483	20011213
US 2003022903	A1	20030130	US 2001-23497	20011213
ZA 2002000436	A	20040302	ZA 2002-436	20020117
US 2004034025	A1	20040219	US 2002-307844	20021202
US 2004048864	A1	20040311	US 2002-307710	20021202
US 6927225	B2	20050809		
PRIORITY APPLN. INFO.:			US 1999-144676P	P 19990720
			US 1999-149977P	P 19990820
			US 1999-150248P	P 19990823
			EP 2000-950470	A3 20000720
			US 2000-620662	A3 20000720
			WO 2000-US19794	W 20000720
			US 2000-632930	A3 20000804

OTHER SOURCE(S): MARPAT 134:131431
GI

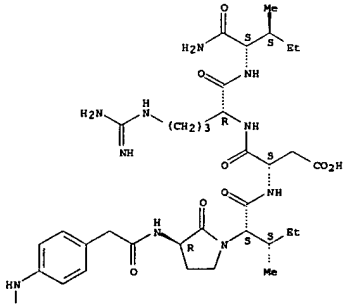
Surian, Jean M.; Sylvester, Mark; Vacek, Edward P.; Veale, Chris A.
CORPORATE SOURCE: AstraZeneca, Wilmington, DE, 19897, USA
SOURCE: Peptides for the New Millennium, Proceedings of the American Peptide Symposium, 16th, Minneapolis, MN, United States, June 26-July 1, 1999 (2000), Meeting Date 1999, 253-255. Editor(s): Fields, Gregg B.; Tam, James P.; Barany, George. Kluwer Academic Publishers: Dordrecht, Neth.
CODEN: 69ATHX
DOCUMENT TYPE: Conference
LANGUAGE: English

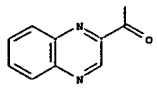
AB Due to the important role of atrial natriuretic peptide (ANP) and its receptor (ANP-CR) in pulmonary hypertension, the authors explored the structure-activity relationships (SAR) of a series of ANP-CR antagonists. The authors briefly describe some of their findings. The initial efforts focused on gaining an understanding of the relative importance of individual amino acid residues, as well as the C- and the N-terminal substituents, on affinity for the ANP-CR. The effects of modification of AP-811, an ANP derivative, on in vitro potency and in vivo activities is discussed, as well as the concerns regarding solubility and lipophilicity.

IT 301839-08-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(discovery of orally-active pseudopeptide antagonists of atrial natriuretic peptide clearance receptor)

RN 301839-08-7 CAPLUS
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-asparty1-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





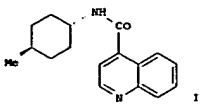
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 113 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:861662 CAPLUS
DOCUMENT NUMBER: 134:29325
TITLE: Preparation of metabotropic glutamate receptor antagonists and their use for treating central nervous system diseases
INVENTOR(S): Van Wagenen, Bradford C.; Moe, Scott T.; Smith, Daryl L.; Sheehan, Susan M.; Shcherbakova, Irina; Travato, Richard; Walton, Ruth; Barmore, Robert; Delmar, Eric G.; Stormann, Thomas M.
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073283	A1	20001207	WO 2000-US15222	20000602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376024	AA	20001207	CA 2000-2376024	20000602
EP 1196397	A1	20020417	EP 2000-936465	20000602
EP 1196397	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500480	T2	20030107	JP 2000-621349	20000602
NZ 515894	A	20030926	NZ 2000-515894	20000602
AU 778063	B2	20041111	AU 2000-51780	20000602
AT 302194	E	20050915	AT 2000-936465	20000602
EP 1595871	A2	20051116	EP 2005-17791	20000602
EP 1595871	A3	20051130		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: US 1999-137272P P 19990602
EP 2000-936465 A3 20000602
WO 2000-US15222 W 20000602

OTHER SOURCE(S): MARPAT 134:29325
GI



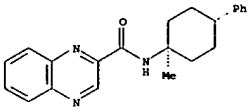
I

AB Title compds. [R1NHCOR; R = quinolinyl, quinoxalinyl, thiazolidinyl, Ph, benzimidazoyl, pyridyl, naphthyridinyl; R1 = phenylpropyl, cyclopentyl, pentyl, cyclohexyl, quinolinyl], stereoisomers, and pharmaceutically acceptable salts are prepared and are active as metabotropic glutamate receptor antagonists (no data). Title compds. are useful for treating neurol. diseases and disorders in pharmaceutical compns. Thus, the title compound I was prepared for treating disease associated with glutamate-induced neuronal damage.

IT 311346-58-4P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of mGluR antagonists for treating central nervous system diseases)

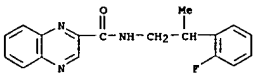
RN 311346-58-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(trans-1-methyl-4-phenylcyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

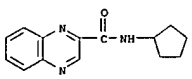


IT 311346-84-6P 311346-87-9P 311346-91-5P
311346-96-0P 311346-97-1P 311347-11-2P
311347-24-7P 311347-25-8P 311347-26-9P
311347-27-0P 311347-30-5P 311347-31-6P
311347-32-7P 311347-33-8P 311347-38-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of mGluR antagonists for treating ophthalmol. disorder)

RN 311346-84-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(2-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)

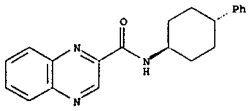


RN 311346-87-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclopentyl- (9CI) (CA INDEX NAME)

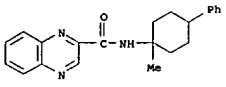


RN 311346-91-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(trans-4-phenylcyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

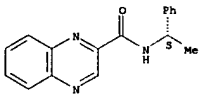


RN 311346-96-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(1-methyl-4-phenylcyclohexyl)- (9CI) (CA INDEX NAME)

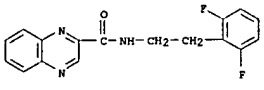


RN 311346-97-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



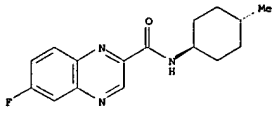
RN 311347-11-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(2,6-difluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 311347-24-7 CAPLUS

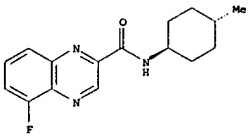
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-(trans-4-methylcyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



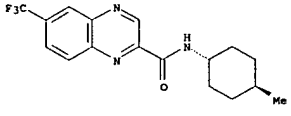
RN 311347-25-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 5-fluoro-N-(trans-4-methylcyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



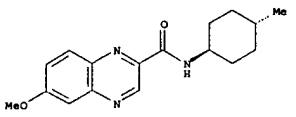
RN 311347-26-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(trans-4-methylcyclohexyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

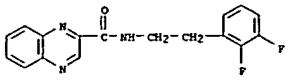


RN 311347-27-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-methoxy-N-(trans-4-methylcyclohexyl)- (9CI) (CA INDEX NAME)

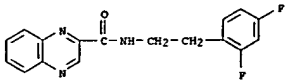
Relative stereochemistry.



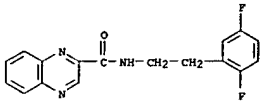
RN 311347-30-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(2,3-difluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



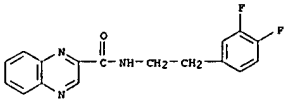
RN 311347-31-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(2,4-difluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



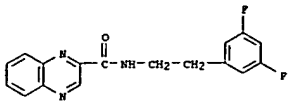
RN 311347-32-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(2,5-difluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 311347-33-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(3,4-difluorophenyl)ethyl]- (9CI) (CA INDEX NAME)

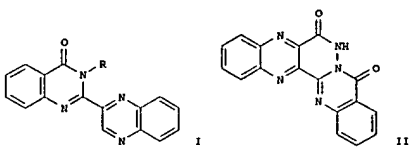


RN 311347-38-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(3,5-difluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

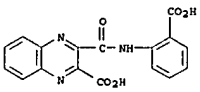
L5 ANSWER 114 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:813403 CAPLUS
DOCUMENT NUMBER: 134:115915
TITLE: Some reactions with quinoxaline-2,3-dicarboxylic acid anhydride. Synthesis of quinazolinoquinoxalines and quinazolino [2,3:6,1]pyridazino[4,5-b]quinoxalines
AUTHOR(S): Zahran, Medhat A.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Al-Azhar University, Nasr City, Egypt
SOURCE: Journal of the Indian Chemical Society (2000), 77(10), 494-496
CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER: Indian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:115915
GI



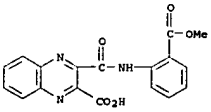
AB Quinazolinoquinoxalines, e.g. I (R = H, NH2, 4-ClC6H4CH:N, 4-MeOC6H4CH:N, PhCONH, 4-MeC6H4SO2NH, 4-BrC6H4SO2NH, PhNHCONH, 4-ClC6H4NHCONH, 4-MeOC6H4, 4-ClC6H4), and quinazolinopyridazinoquinoxaline II have been prepared starting from quinoxaline-2,3-dicarboxylic acid anhydride.

IT 320590-45-2P 320590-46-3P 320590-47-4P
320590-48-5P 320590-50-9P 320590-51-0P
320590-52-1P 320590-53-2P 320590-56-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazolinoquinoxalines and quinazolinopyridazinoquinoxaline s via condensation of quinoxalinedicarboxylic acid anhydride with anthranilic acid or Me anthranilate)

RN 320590-45-2 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(2-carboxyphenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 320590-46-3 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[2-(methoxycarbonyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 320590-47-4 CAPLUS
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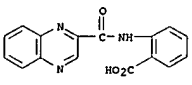
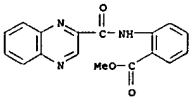
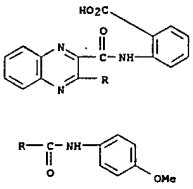


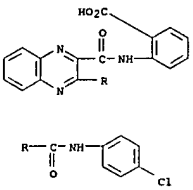
RN 320590-48-5 CAPLUS
CN Benzoic acid, 2-[(2-quinoxalinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



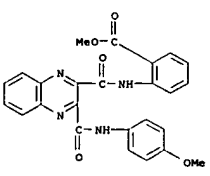
RN 320590-50-9 CAPLUS
CN Benzoic acid, 2-[[[3-[[(4-methoxyphenyl)amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



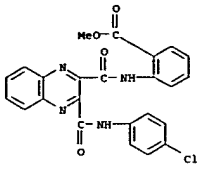
RN 320590-51-0 CAPLUS
CN Benzoic acid, 2-[[[3-[[(4-chlorophenyl)amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



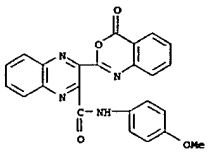
RN 320590-52-1 CAPLUS
CN Benzoic acid, 2-[[[3-[[(4-methoxyphenyl)amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



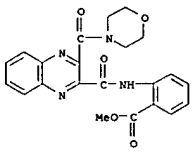
RN 320590-53-2 CAPLUS
CN Benzoic acid, 2-[[[3-[[(4-chlorophenyl)amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



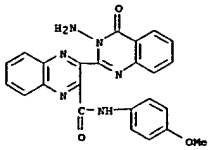
RN 320590-56-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-3-(4-oxo-4H-3,1-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)



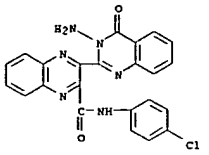
IT 320590-54-3P 320590-58-7P 320590-59-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinazolinoquinoxalines and quinazolinopyridazinoquinoxaline s via condensation of quinoxalinedicarboxylic acid anhydride with anthranilic acid or Me anthranilate)
RN 320590-54-3 CAPLUS
CN Benzoic acid, 2-[[[3-(4-morpholinylcarbonyl)-2-quinoxalinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 320590-58-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 320590-59-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 115 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:742139 CAPLUS
DOCUMENT NUMBER: 133:310145
TITLE: Preparation of modified pentapeptide antagonists of the atrial natriuretic peptide clearance receptor
INVENTOR(S): Veale, Chris Allan; Edwards, Philip Duke; Jacobs, Robert Toms; Davenport, Timothy Wayne; Warwick, Paul James
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061631	A1	20001019	WO 2000-GB1319	20000407

W: JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

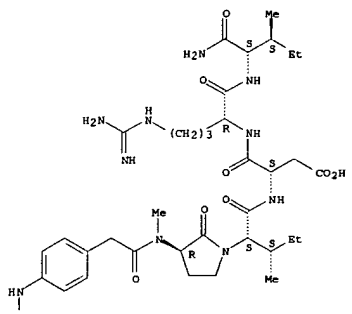
PRIORITY APPLN. INFO.: US 1999-128890P P 19990412
OTHER SOURCE(S): MARPAT 133:310145
AB Compds. R5-R4-R3-CH2CONR2-X-NR1CHR6CO[NHCH(CH2CO2H)CO-R7-R8-(S)] [X = CR1:CH, CHR1CO (I), or CR1CO; R12 = CH2CH2, CH2CH2CH2, :CHCH:CH, N:CH; R2 = H, Me; R3 = CH2CH2CH2, (E)-CH:CHCONH, CH2CH2CONH, phenylene, or a single bond; R4 = NHCO, CONH, SO2NH; R5 = 1- or 2-naphthyl, CH2CH2NHCH2CH:CHPh, CH2CH2Ph, CH:CHPh, 2-, 3-, 4-, or 6-quinolyl, 3-isoquinolyl, 2-quinoxaline, 5-chloro-2-indolyl, 2-indolyl, (un)substituted Ph,

CH2CH2CH2Ph, 6-quinolylcarbonyl, 2-quinoxalinecarbonyl, 5-chloro-2-benzimidazolyl, fluorenylmethoxycarbonyl, 4-chlorobenzyl, 4-methylbenzyl, 3-quinoxalinyl, 3,4-difluorophenyl, 4-fluorophenyl; R6 = iso-Bu, sec-butyl; R7 = N-methylglycine, NHCH2CH2NHCO, L- or D-arginine or -ornithine, histidine, citrulline, proline, etc.; R8 = L- or D-isoleucine-NH2, CH2-cyclopentyl, CH2-2-furanyl, tert-butylglycine-NH2, Bu, etc.] were prepared as antagonists of the atriel natriuretic peptide clearance receptor. Thus, inhibitory test data are tabulated for 156 compds. of the invention, including I [R12 = CH2CH2 (S-configuration); R2 = H; R3 = p-phenylene; R4 = CONH; R5 = 2-naphthyl; R6 = s-Bu (S-configuration); R7 = N-MeGly; R8 = Ile-NH2] (Ki = 2.17 nM).

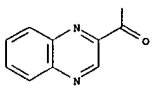
IT 301838-48-2P 301839-08-7P 301839-95-2P
301839-97-4P 301840-15-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of modified pentapeptide antagonists of the atrial natriuretic peptide clearance receptor)
RN 301838-48-2 CAPLUS
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-2-[(3R)-3-[methyl[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-2-oxo-1-pyrrolidinyl]-1-oxopentyl]-L-α-aspartyl-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

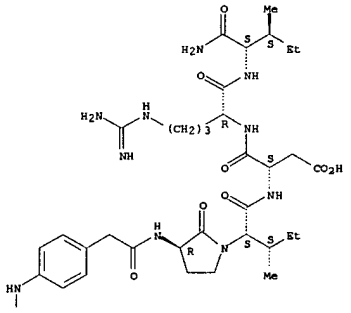


RN 301839-08-7 CAPLUS

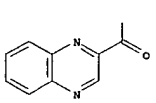
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-aspartyl-D-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



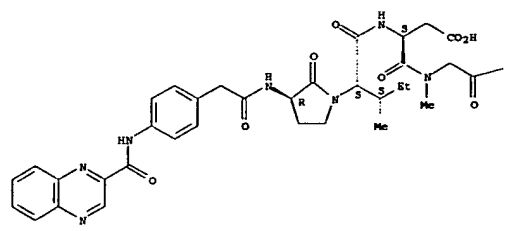
PAGE 2-A



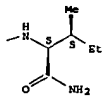
RN 301839-95-2 CAPLUS
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl]amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-aspartyl-N-methylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



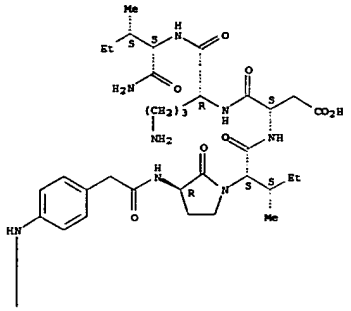
PAGE 1-B



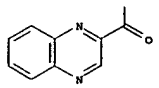
RN 301839-97-4 CAPLUS
CN L-Isoleucinamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-aspartyl-D-ornithyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



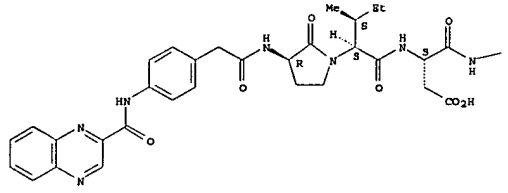
PAGE 2-A



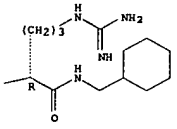
RN 301840-15-3 CAPLUS
CN D-Argininamide, N-[(2S,3S)-3-methyl-1-oxo-2-[(3R)-2-oxo-3-[[[4-[(2-quinoxalinylcarbonyl)amino]phenyl]acetyl]amino]-1-pyrrolidinyl]pentyl]-L-α-asparty1-N-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

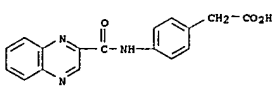


PAGE 1-B

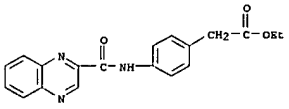


IT 301840-52-8P 301840-53-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of modified pentapeptide antagonists of the atrial natriuretic peptide clearance receptor)

RN 301840-52-8 CAPLUS
CN Benzeneacetic acid, 4-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



RN 301840-53-9 CAPLUS
CN Benzeneacetic acid, 4-[(2-quinoxalinylcarbonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

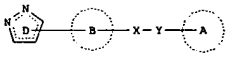


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 116 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:658115 CAPLUS
DOCUMENT NUMBER: 133:238010
TITLE: Preparation of pyrazole derivatives as blockers of calcium release-activated calcium channel (CRACC)
INVENTOR(S): Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori; Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi; Takeuchi, Makoto
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000256358	A2	20000919	JP 1999-62900	19990310
PRIORITY APPLN. INFO.:			JP 1999-62900	19990310
OTHER SOURCE(S):	MARPAT 133:238010			

GI



I

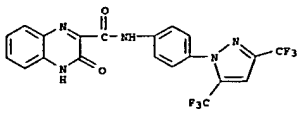
AB The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO2H, lower alkoxycarbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR1CO, CONR1, NR1SO2, SO2NR1; wherein R1 = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thienyl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies, inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5-carbonyl chloride and Et3N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH2Cl2 and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I

inhibited thapsigargin-stimulated increase in calcium concentration with IC50 of
≤1 μM and the production of interleukin-2 with IC50 of ≤0.1 μM in Jurkat cell.

IT 292610-57-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

RN 292610-57-2 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



L5 ANSWER 117 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:609841 CAPLUS

DOCUMENT NUMBER: 133:309882

TITLE: New pyrazolo[3,4-b]pyrazines: synthesis and biological activity

AUTHOR(S): El-Kashef, H. S.; El-Emary, T. I.; Gasquet, M.; Timon-David, P.; Maldonado, J.; Vanelle, P.

CORPORATE SOURCE: Faculty of Science, Assiut University, Assiut, Egypt

SOURCE: Pharmazie (2000), 55(8), 572-576
CODEN: PHARAT; ISSN: 0031-7144

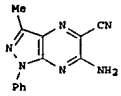
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:309882

GI



I

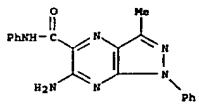
II

AB Some new pyrazolo[3,4-b]pyrazines and related heterocycles were synthesized and evaluated for their antifungal and antiparasitic activities. The key intermediate, 6-amino-3-methyl-1-phenyl-1H-pyrazolo[3,4-b]pyrazine-5-carbonitrile (I), was obtained in a one-pot synthesis from the reaction of 5-amino-3-methyl-4-nitroso-1-phenylpyrazole (II) with malononitrile.

IT 302584-69-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antifungal and antiparasitic activities of pyrazolo[3,4-b]pyrazines)

RN 302584-69-6 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyrazine-5-carboxamide, 6-amino-3-methyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 118 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:452347 CAPLUS

DOCUMENT NUMBER: 133:89798

TITLE: Preparation of peptidyl boronic ester and acid compounds as proteasome inhibitors

INVENTOR(S): Adams, Julian; Ma, Yu-Ting; Stein, Ross; Baevsky, Matthew; Grenier, Louis; Plamondon, Louis

PATENT ASSIGNEE(S): Leukosite, Inc., USA

SOURCE: U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 330,525, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6083903	A	20000704	US 1995-442581	19950516
CA 2203936	AA	19960509	CA 1995-2203936	19951027
CA 2203936	C	20050412		
CA 2496538	AA	19960509	CA 1995-2496538	19951027
WO 9613266	A1	19960509	WO 1995-US14117	19951027
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641398	A1	19960523	AU 1996-41398	19951027
AU 710564	B2	19990923		
ZA 9509119	A	19960527	ZA 1995-9119	19951027
EP 788360	A1	19970813	EP 1995-939670	19951027
EP 788360	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1168633	A	19971224	CN 1995-196590	19951027
US 5780454	A	19980714	US 1995-549318	19951027
JP 10510245	T2	19981006	JP 1996-514834	19951027
JP 3717934	B2	20051116		
NZ 337211	A	20001222	NZ 1995-337211	19951027
IL 115790	A1	20021201	IL 1995-115790	19951027
EP 1312609	A1	20030521	EP 2003-4280	19951027
EP 1312609	B1	20051228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 241631	E	20030615	AT 1995-939670	19951027
PT 788360	T	20031031	PT 1995-939670	19951027
ES 2199257	T3	20040216	ES 1995-939670	19951027
IL 133831	A1	20040328	IL 1995-133831	19951027
IL 137726	A1	20040831	IL 1995-137726	19951027
AT 314378	E	20060115	AT 2003-4280	19951027
EP 1627880	A1	20060222	EP 2005-23462	19951027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
FI 9701746	A	19970606	FI 1997-1746	19970423
NO 9701929	A	19970612	NO 1997-1929	19970425
NO 310558	B1	20010723		
HK 1002059	A1	20030905	HK 1998-100951	19980207
US 6066730	A	20000523	US 1998-85404	19980526
US 6297217	B1	20011002	US 2000-490511	20000125
US 6465433	B1	20021015	US 2001-953540	20010914
US 2002173488	A1	20021121	US 2002-100295	20020318
US 6548668	B2	20030415		
US 6617317	B1	20030909	US 2002-125997	20020419
US 2003199561	A1	20031023	US 2003-392165	20030319
US 6747150	B2	20040608		
US 2004167332	A1	20040826	US 2003-730231	20031208

PRIORITY APPLN. INFO.:

US 1994-330525	B2	19941028
US 1995-442581	A	19950516
CA 1995-2203936	A3	19951027
EP 1995-939670	A3	19951027
EP 2003-4280	A3	19951027
IL 1995-115790	A3	19951027
NZ 1995-296717	A1	19951027
US 1995-549318	A3	19951027
WO 1995-US14117	W	19951027
US 1998-85404	A3	19980526
US 2000-490511	A1	20000125
US 2001-953540	A1	20010914
US 2002-100295	A1	20020318
US 2002-125997	A1	20020419
US 2003-392165	A1	20030319

OTHER SOURCE(S): MARPAT 133:89798

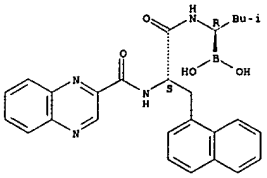
AB Peptidyl boronic acid and ester compds. P-NRCHR2-X2-CHR3BZ1Z2 [P = 2- or 8-quinolinyl-, 2-quinoxalinyl-, 2- or 3-pyridyl-, piperazinyl-, 3-furanyl-, or 3-pyrrolylcarbonyl, or -sulfonyl, or morpholinylcarbonyl; X2 = CONH, CH2NH, CH(OH)CH2, CH(OH)CH(OH), CH(OH)CH2NH, CH:CH, COCH2, SO2NH, SO2CH2, or CH(OH)CH2CONH; R = H or alkyl; R2, R3 = H, alkyl, cycloalkyl, aryl, heterocyclyl, CH2-R5 (R5 = aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl) or alkyl-chalcogen; Z1, Z2 = alkyl, hydroxy, alkoxy, aryloxy, or together form a dihydroxy compound] were prepared as proteasome inhibitors. Thus, coupling of (1S,2S,3R,5S)-pinanediol leucine boronate trifluoroacetate salt with N-Boc- β-(1-naphthyl)-L-alanine, followed by deprotection, acylation with 4-morpholinylcarbonyl chloride and cleavage of the pinanediol moiety afforded N-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronic acid [MG-273], which inhibited 20S proteasome with Ki = 0.18 nM.

IT 179324-42-6P, MG 299
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidyl boronic ester and acid compds. as proteasome inhibitors)

RN 179324-42-6 CAPLUS

CN Boronic acid, [(1R)-3-methyl-1-[[(2S)-3-(1-naphthalenyl)-1-oxo-2-[(2-quinoxalinylcarbonyl)amino]propyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 119 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:431292 CAPLUS

DOCUMENT NUMBER: 133:164438

TITLE: A new polymer-bound N-hydroxysuccinimidyl active ester linker

AUTHOR(S): Shao, Hui; Zhang, Qiang; Goodnow, Robert; Chen, Li; Tam, Steve

CORPORATE SOURCE: Department of Discovery Chemistry, Roche Research Center, Hoffmann-La Roche, Inc., Nutley, NJ, 07110, USA

SOURCE: Tetrahedron Letters (2000), 41(22), 4257-4260
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

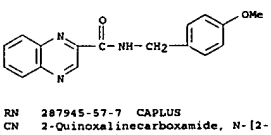
LANGUAGE: English

AB Synthesis of a new N-hydroxysuccinimidyl resin is described and the N-acylation with this resin provides amide products in high yields and excellent purities. This new linker is suitable for combinatorial library synthesis.

IT 287945-56-6P 287945-57-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polymer-bound N-hydroxysuccinimidyl active ester linker for N-acylation)

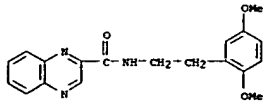
RN 287945-56-6 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 287945-57-7 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[2-(2,5-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 120 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:421161 CAPLUS
DOCUMENT NUMBER: 133:53708
TITLE: Substituted heterocyclic acyl-tripeptides useful as thrombin receptor modulators
INVENTOR(S): McComsey, David F.; Maryanoff, Bruce E.; Hawkins, Michael J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035942	A1	20000622	WO 1999-US27570	19991119
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355818	AA	20000622	CA 1999-2355818	19991119
EP 1140985	A1	20011010	EP 1999-961738	19991119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916811	A	20020115	BR 1999-16811	19991119
TR 200102502	T2	20020521	TR 2001-200102502	19991119
AU 771844	B2	20040401	AU 2000-18256	19991119
NO 2001002939	A	20010809	NO 2001-2939	20010614

PRIORITY APPLN. INFO.:

US 1998-112313P	P	19981214
US 1999-444327	A	19991119
WO 1999-US27570	W	19991119

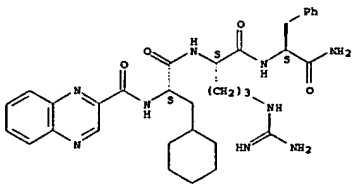
OTHER SOURCE(S): MARPAT 133:53708

AB Substituted heterocyclic acyl-tripeptides, useful as thrombin receptor modulators, are disclosed, as is their use in wound healing and preventing platelet aggregation. Pharmaceutical compns. comprising the substituted heterocyclic acyl-tripeptides of the invention, as well as methods of treating conditions mediated by the thrombin receptor, are also disclosed.

IT 231608-75-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic acyl-tripeptide derivs. for thrombin receptor modulators)

RN 231608-75-6 CAPLUS
CN L-Phenylalaninamide, 3-cyclohexyl-N-(2-quinoxalinylcarbonyl)-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 121 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:368337 CAPLUS
DOCUMENT NUMBER: 133:4656
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Z.; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Graneto, Matthew J.; Hanau, Cathleen E.; Hanson, Gunnar J.; Hartmann, Susan J.; Hepperle, Michael; Huang, He; Khanna, Ish K.; Koszyk, Francis J.; Liao, Shuyuan; Metz, Suzanne; Partis, Richard A.; Perry, Thao D.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Talley, John Jeffrey; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong; Yu, Yi
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 1210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

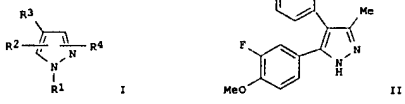
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000031063	A1	20000602	WO 1999-US26007	19991117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6514977	B1	20030204	US 1998-196623	19981120
CA 2351725	AA	20000602	CA 1999-2351725	19991117
EP 1144403	A1	20011017	EP 1999-965756	19991117
EP 1144403	B1	20041006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9915420	A	20020122	BR 1999-15420	19991117
EE 200100268	A	20021216	EE 2001-268	19991117
NZ 512344	A	20031128	NZ 1999-512344	19991117
AU 774262	B2	20040624	AU 2000-21454	19991117
AT 278685	E	20041015	AT 1999-965756	19991117
ES 2229809	T3	20050416	ES 1999-965756	19991117
US 6525059	B1	20030225	US 2000-513351	20000224
NO 2001002456	A	20010719	NO 2001-2456	20010518
BG 105620	A	20020131	BG 2001-105620	20010619
HK 1040705	A1	20050304	HK 2002-102213	20020322

PRIORITY APPLN. INFO.:

US 1998-196623	A	19981120
US 1997-47570P	P	19970522
US 1998-83670	A2	19980522
WO 1999-US26007	W	19991117

OTHER SOURCE(S): MARPAT 133:4656

GI

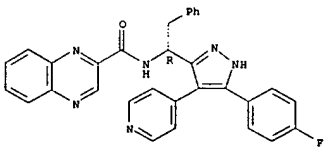


AB Title compds. [I; R1 = H, OH, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, (un)substituted piperidinyl, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepared by reaction of ketones with hydrazines. Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compound II. Data for biol. activity of I were given.

IT 216518-34-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylpyrazole p38 kinase inhibitors by cyclocondensation of hydrazines with ketones)

RN 216518-34-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1R)-1-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrazol-3-yl]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



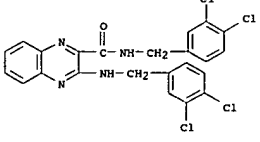
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 122 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:242342 CAPLUS
DOCUMENT NUMBER: 133:37715
TITLE: Quinoxaline chemistry. Part 13: 3-carboxy-2-benzylamino-substituted quinoxalines and N-[4-[(3-carboxyquinoxalin-2-yl)aminomethyl]benzoyl]-L-glutamates: synthesis and evaluation of in vitro anticancer activity
AUTHOR(S): Corona, Paola; Vitale, Gabriella; Loriga, Mario; Paglietti, Giuseppe
CORPORATE SOURCE: Dipartimento Farmaco Chimico Tossicologico, Universita di Sassari, Sassari, 07100, Italy
SOURCE: Farmaco (2000), 55(2), 77-86
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English

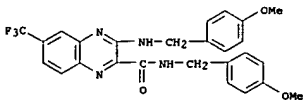
AB Among a new series of 28 3-carboxy or carbethoxy quinoxalines bearing a substituted benzylamino or N-[4-(aminomethyl)benzoyl]glutamate group on position 2 of the ring and various substituents at C-6, 7 positions, 21 were selected at the National Cancer Institute for evaluation of their in vitro anticancer activity. The results obtained seem to confirm that the carboxy or carbethoxy group on position 3 is not helpful, with a few exceptions, for the anticancer activity.

IT 274686-94-1P 274686-95-2P
RL: BYP (Byproduct); PREP (Preparation)
(synthesis and evaluation of in vitro anticancer activity of carboxybenzylamino-substituted quinoxalines and [4-[(3-carboxyquinoxalinyl)aminomethyl]benzoyl]-L-glutamates in relation to structure)

RN 274686-94-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(3,4-dichlorophenyl)methyl]-3-[[(3,4-dichlorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 274686-95-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-methoxyphenyl)methyl]-3-[[(4-methoxyphenyl)methyl]amino]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



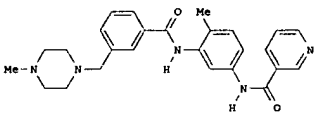
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 123 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:227634 CAPLUS
DOCUMENT NUMBER: 132:265091
TITLE: Preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors
INVENTOR(S): Brown, Dearg Sutherland; Brown, George Robert
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018738	A1	20000406	WO 1999-GB3144	19990921
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2340454	AA	20000406	CA 1999-2340454	19990921
AU 9961034	A1	20000417	AU 1999-61034	19990921
AU 761361	B2	20030605		
BR 9913947	A	20010612	BR 1999-13947	19990921
EP 1115707	A1	20010718	EP 1999-947653	19990921
EP 1115707	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100840	T2	20011022	TR 2001-200100840	19990921
JP 2002525358	T2	20020813	JP 2000-572198	19990921
NZ 509836	A	20030630	NZ 1999-509836	19990921
AT 254105	E	20031115	AT 1999-947653	19990921
RU 2219171	C2	20031220	RU 2001-111320	19990921
PT 1115707	T	20040430	PT 1999-947653	19990921
ES 2211172	T3	20040701	ES 1999-947653	19990921
ZA 2001002185	A	20020618	ZA 2001-2185	20010315
NO 2001001492	A	20010523	NO 2001-1492	20010323
NO 318800	B1	20050509		
US 6455520	B1	20020924	US 2001-787882	20010323
HK 1038556	A1	20040430	HK 2001-107980	20011113

PRIORITY APPLN. INFO.:
GB 1998-20770 A 19980925
GB 1998-26938 A 19981209
GB 1999-5969 A 19990317
WO 1999-GB3144 W 19990921

OTHER SOURCE(S): MARPAT 132:265091
GI

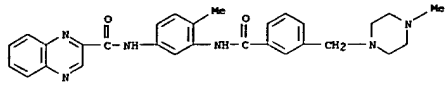


II

AB R4Z4ZCONHZ1NHCOZ2R2 [I; R2 = Z3R3; R3 = (un)substituted heteroaryl; R4 = (di)(alkyl)amino(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl), etc.; Z = (un)substituted phenylene; Z1= 2-halo- or -alkyl-1,5-phenylene; Z2 = bond or (CH2)1-4; Z3 = bond , O, NH, alkyleneoxy, alkyleneamino, etc.; Z4 = bond, alkylene(oxy), alkyleneamino,, etc.] were prepared as p38 kinase inhibitors. Thus, 3-(ClCH2)C6H4COCl was amidated by 2-methyl-5-nitroaniline and the product aminated by 1-methylpiperazine to give, after reduction and pyridine-3-carbonyl chloride amidation, title compound II. Data for biol. activity of I were given.

IT 263267-83-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors)

RN 263267-83-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-methyl-3-[[3-[(4-methyl-1-piperazinyl)methyl]benzoyl]amino]phenyl]- (9CI) (CA INDEX NAME)



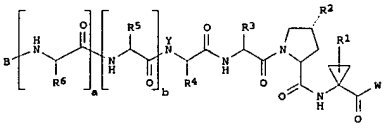
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 124 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:133728 CAPLUS
DOCUMENT NUMBER: 132:175808
TITLE: Hepatitis C inhibitor peptides
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Ghiro, Elise; Goudreau, Nathalie; Poupart, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009558	A1	20000224	WO 1999-CA737	19990809
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6767991	B1	20040727	US 1999-368670	19990805
CA 2336597	AA	20000224	CA 1999-2336597	19990809
CA 2336597	C	20060214		
AU 9952732	A1	20000306	AU 1999-52732	19990809
AU 764655	B2	20030828		
BR 9912943	A	20010508	BR 1999-12943	19990809
EP 1105422	A1	20010613	EP 1999-938085	19990809
EP 1105422	B1	20060215		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
TR 200100438	T2	20010621	TR 2001-200100438	19990809
JP 2002522557	T2	20020723	JP 2000-565004	19990809
ES 200100080	A	20020815	ES 2001-80	19990809
NZ 510395	A	20031219	NZ 1999-510395	19990809
TW 577895	B	20040301	TW 1999-88113587	19990809
NO 2001000604	A	20010205	NO 2001-604	20010205
ZA 2001000972	A	20020718	ZA 2001-972	20010205
BG 105230	A	20011031	BG 2001-105230	20010208
HR 2001000101	A1	20020228	HR 2001-101	20010208
HK 1039947	A1	20050225	HK 2002-101472	20020226

PRIORITY APPLN. INFO.:
US 1998-95945P P 19980810
US 1997-55186P P 19970811
US 1998-131758 B2 19980810
US 1998-219939 B1 19981223
WO 1999-CA737 W 19990809

OTHER SOURCE(S): MARPAT 132:175808
GI



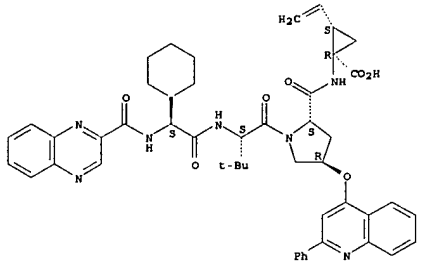
I

AB The invention provides peptides I (a, b = 0, 1; Y = H, C1-6 alkyl; B = H, acyl derivative, sulfonyl derivative; W = OH, N-substituted amino), or a pharmaceutically acceptable salt or ester thereof, for use in the treatment of hepatitis C virus infection. Preparation of peptides is included.

IT 259221-54-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hepatitis C inhibitor peptides and preparation thereof)

RN 259221-54-0 CAPLUS
CN Cyclopropanecarboxylic acid, (2S)-2-cyclohexyl-N-(2-quinoxalinylcarbonyl]glycyl-3-methyl-L-valyl-(4R)-4-[(2-phenyl-4-quinolinyl]oxy]-L-prolyl-1-amino-2-ethenyl-, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



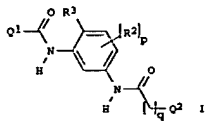
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 125 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:117025 CAPLUS
DOCUMENT NUMBER: 132:166125
TITLE: Preparation of heteroarylcarboxamides as inhibitors of the production of cytokines
INVENTOR(S): Brown, Dearg Sutherland; Brown, George Robert
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007991	A1	20000217	WO 1999-GB2489	19990729
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338121	AA	20000217	CA 1999-2338121	19990729
AU 9951788	A1	20000228	AU 1999-51788	19990729
AU 753741	B2	20021024		
BR 9912729	A	20010502	BR 1999-12729	19990729
EP 1102750	A1	20010530	EP 1999-936810	19990729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100300	T2	20010723	TR 2001-200100300	19990729
JP 2002522421	T2	20020723	JP 2000-563625	19990729
NZ 509318	A	20021025	NZ 1999-509318	19990729
RU 2216541	C2	20031120	RU 2001-105961	19990729
NO 2001000534	A	20010315	NO 2001-534	20010131
NO 320289	B1	20051121		
US 6432949	B1	20020813	US 2001-762107	20010202

US 2003105142 A1 20030605 US 2002-192495 20020711
PRIORITY APPLN. INFO.: GB 1998-16838 A 19980804
GB 1998-24939 A 19981113
WO 1999-GB2489 W 19990729
US 2001-762107 A3 20010202

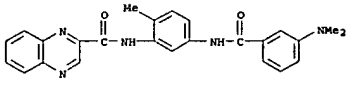
OTHER SOURCE(S): MARPAT 132:166125
GI



AB The title compds. [I; R3 = alkyl, halo; Q1 = (un)substituted heteroaryl; p = 0-2; R2 = OH, halo; q = 0-4; Q2 = (un)substituted aryl, cycloalkyl, heteroaryl, heterocyclyl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prepared and formulated. Thus, reacting 6-chloropyridine-3-carbonyl chloride with N-(3-amino-4-methylphenyl)-3-dimethylaminobenzamide (preparation given) in the presence of K2CO3 in DMF/CH2Cl2 afforded I [R3 = Me; R2 = H; Q1 = 6-chloropyrid-3-yl; q = 0; Q2 = 3-(Me2N)C6H4]. Biol. data (e.g., inhibition of p38 kinase and TNFα production) for compds. I were given.

IT 258502-83-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylcarboxamides as inhibitors of the production of cytokines)

RN 258502-83-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[5-[[3-(dimethylamino)benzoyl]amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 126 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:98525 CAPLUS
DOCUMENT NUMBER: 132:137396
TITLE: Phenylazole compounds, process for producing the same and drugs for hyperlipemia
INVENTOR(S): Umeda, Nobuhiro; Mochizuki, Nobuo; Uchida, Seiichi; Nishibe, Tadayuki; Yamada, Hirokazu; Ito, Kunihito; Horikoshi, Hiromi
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006550	A1	20000210	WO 1999-JP4070	19990729
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339123	AA	20000210	CA 1999-2339123	19990729
AU 9949297	A1	20000221	AU 1999-49297	19990729
AU 753360	B2	20021017		
EP 1101759	A1	20010523	EP 1999-933152	19990729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1131217	B	20031217	CN 1999-809019	19990729
JP 2000290280	A2	20001017	JP 1999-216581	19990730
JP 2000281656	A2	20001010	JP 1999-221789	19990804
JP 2000281658	A2	20001010	JP 1999-221790	19990804
US 6342516	B1	20020129	US 2001-744786	20010126

PRIORITY APPLN. INFO.:
JP 1998-218316 A 19980731
JP 1998-222157 A 19980805
JP 1999-16846 A 19990126
JP 1999-19670 A 19990128
JP 1999-24318 A 19990201
WO 1999-JP4070 W 19990729

OTHER SOURCE(S): MARPAT 132:137396
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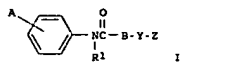

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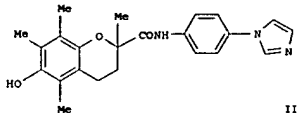
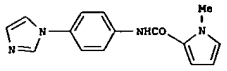


II



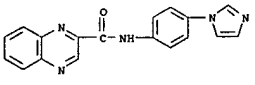
III

AB Phenylpyrazole and phenylimidazole compds. represented by general formula (I; wherein A represents (un)substituted imidazolyl or pyrazolyl; B represents (un)substituted (CH2)k or (CH:CH)k; Y = bond, O, S, SO2, CO, OCH2, C1-5 alkyl-(un)substituted NHCO or NH; Z = (un)substituted and saturated or unsatd. heterocycle containing 1 to 4 N, O or S atoms, (un)substituted

benzoquinonyl or naphthoquinonyl) or pharmaceutically acceptable salts thereof are prepared Claimed are drugs for hyperlipemia which contain these compds. I as the active ingredient. Among all, compds. wherein Z is substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect of inhibiting the formation of lipid peroxides too. Thus, 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid, 1-(4-aminophenyl)imidazole 4.0, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 2.82, 1-hydroxybenzotriazole 2.72 g, and 2.5 mL Et3N were added to 30 mL DMF and stirred at room temperature for 20 h to give title compound (II). II and N-[4-(imidazol-1-yl)phenyl]-1-methyl-3-pyrrrolecarboxamide (III) at 25 mg/kg p.o. lowered total serum level of cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and 91%, resp. A tablet formulation containing I was prepared

IT 256661-53-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)

RN 256661-53-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(1H-imidazol-1-yl)phenyl]- (9CI) (CA INDEX NAME)

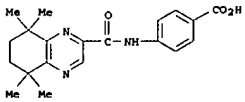


L5 ANSWER 127 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:57974 CAPLUS
DOCUMENT NUMBER: 132:222511
TITLE: Syntheses and Structure-Activity Relationships of 5,6,7,8-Tetrahydro-5,5,8,8-tetramethyl-2-quinoxaline Derivatives with Retinoic Acid Receptor α Agonistic Activity
AUTHOR(S): Kikuchi, Kouichi; Hibi, Shigeki; Yoshimura, Hiroyuki; Tokuhara, Naoki; Tai, Kenji; Hida, Takayuki; Yamauchi, Toshihiko; Nagai, Mitsuo
CORPORATE SOURCE: Tsukuba Basic Research Laboratories for Drug Discovery, Eisai Co. Ltd., Tsukuba-shi Ibaraki, 300-2635, Japan
SOURCE: Journal of Medicinal Chemistry (2000), 43(3), 409-419
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In the course of studies on retinoic acid receptor (RAR) agonists, a series of quinoxaline derivs. were designed and synthesized. One of them, 4-[5-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)-1H-2-pyrrolyl]benzoic acid, which possesses a 2,5-disubstituted pyrrole moiety, showed selectivity for the RAR α receptor and exerted highly potent cell-differentiating activity on HL-60 cells.

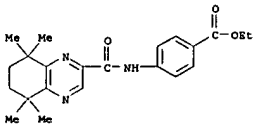
IT 187401-11-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-activity relationships of tetramethylquinoxaline derivs. with retinoic acid receptor α agonistic activity)

RN 187401-11-2 CAPLUS
CN Benzoic acid, 4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



IT 261162-86-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationships of tetramethylquinoxaline derivs. with retinoic acid receptor α agonistic activity)

RN 261162-86-1 CAPLUS
CN Benzoic acid, 4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

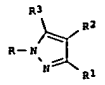
L5 ANSWER 128 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:784082 CAPLUS
DOCUMENT NUMBER: 132:22963
TITLE: Preparation of N-(pyrazolylphenyl)alkanamides and analogs as IL-2 production inhibitors
INVENTOR(S): Betageri, Rajashekhar; Cywin, Charles L.; Hargrave, Karl; Hoermann, Mary Ann; Kirrane, Thomas M.; Parks, Thomas M.; Patel, Usha R.; Proudfoot, John R.; Sharma, Rajiv; Sun, Sanxing; Wang, Xiao-Jun
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962885	A1	19991209	WO 1999-US12295	19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
CA 2332957	AA	19991209	CA 1999-2332957	19990603
AU 9942299	A1	19991220	AU 1999-42299	19990603
JP 2002516909	T2	20020611	JP 2000-552097	19990603
US 6506747	B1	20030114	US 1999-324933	19990603

PRIORITY APPLN. INFO.: US 1998-88154P P 19980605
WO 1999-US12295 W 19990603

OTHER SOURCE(S): MARPAT 132:22963

GI

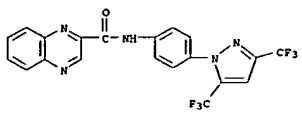


AB Title compds. [I; R = R4Z1Z; R1,R3 = halo, CF3, alkyl, alkoxy, etc.; R2 = H, halo, Me; R4 = (cyclo)alkyl, alkoxy, alkylamino, etc.; Z = 1,4-phenylene; Z1 = CONH, CO2NH, NH, etc.] were prepared Thus, I [R = 4-(R5HN)C6H4, R1 = R3 = CF3, R2 = H](II; R5 = H) was amidated by cyclohexanecarboxylic acid to give II (R5 = cyclohexylcarbonyl). Data for biol. activity of I were given.

IT 251655-89-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(4-aminophenyl)pyrazoles and their use as anti-inflammatory agents)

RN 251655-89-7 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 129 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:737917 CAPLUS

DOCUMENT NUMBER: 132:93285

TITLE: Synthesis of new fluorine-containing derivatives of quinoxaline 1,4-dioxides and condensed systems derived from them

AUTHOR(S): Chupakhin, O. N.; Kotovskaya, S. K.; Perova, N. M.; Baskakova, Z. M.; Charushin, V. N.

CORPORATE SOURCE: Ural'e State Technical University, Yekaterinburg, 620002, Russia

SOURCE: Chemistry of Heterocyclic Compounds (New York)(Translation of Khimiya Geterotsiklicheskikh Soedinenii) (1999), 35(4), 459-469
CODEN: CHCCAL; ISSN: 0009-3122

PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal

LANGUAGE: English

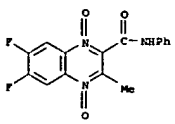
OTHER SOURCE(S): CASREACT 132:93285

AB The Beirut reaction of 5,6-difluorobenzofuroxan with 1,3-diketones, β-keto esters, and β-keto amides produces 6,7-difluoroquinoxaline 1,4-dioxides. The condensation of 2-ethoxycarbonyl-6,7-difluoro-3-methylquinoxaline 1,4-dioxide is studied. Fluorinated furo[3,4-b]- and pyrrolo[3,4-b]quinoxaline 4,9-dioxides are synthesized and further functionalized by nucleophilic substitution of fluorine and reduction of the N-O bond.

IT 254754-85-3P 254754-89-7P 254754-95-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(fluorinated quinoxaline 1,4-dioxides and condensed systems derived from them)

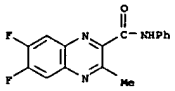
RN 254754-85-3 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-difluoro-3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



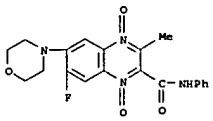
RN 254754-89-7 CAPLUS

CN 2-Quinoxalinecarboxamide, 6,7-difluoro-3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 254754-95-5 CAPLUS

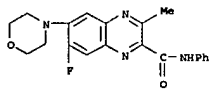
CN 2-Quinoxalinecarboxamide, 7-fluoro-3-methyl-6-(4-morpholinyl)-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



IT 254755-05-0P 254755-06-1P 254755-07-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(fluorinated quinoxaline 1,4-dioxides and condensed systems derived from them)

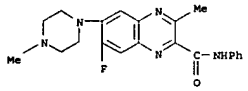
RN 254755-05-0 CAPLUS

CN 2-Quinoxalinecarboxamide, 7-fluoro-3-methyl-6-(4-morpholinyl)-N-phenyl- (9CI) (CA INDEX NAME)



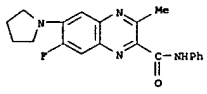
RN 254755-06-1 CAPLUS

CN 2-Quinoxalinecarboxamide, 7-fluoro-3-methyl-6-(4-methyl-1-piperazinyl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 254755-07-2 CAPLUS

CN 2-Quinoxalinecarboxamide, 7-fluoro-3-methyl-N-phenyl-6-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 130 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:511130 CAPLUS

DOCUMENT NUMBER: 131:157767

TITLE: Preparation of quinoxalinecarboxylic acid 4-carbamoyl-2,7-dihydroxy-7-methyloctylamides for treatment of inflammation and immune disorders.

INVENTOR(S): Kath, John Charles; Brown, Matthew Frank; Poss, Christopher Stanley

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940061	A2	19990812	WO 1999-IB67	19990118
WO 9940061	A3	19991021		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

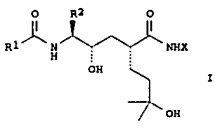
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2320388	AA	19990812	CA 1999-2320388	19990118
CA 2320388	C	20050503		
AU 9917789	A1	19990823	AU 1999-17789	19990118
AU 752407	B2	20020919		
BR 9907655	A	20001024	BR 1999-7655	19990118
EP 1051405	A2	20001115	EP 1999-900098	19990118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
TR 200002248	T2	20001221	TR 2000-200002248	19990118
JP 2002502839	T2	20020129	JP 2000-530493	19990118
JP 3693916	B2	20050914		
NZ 505724	A	20030228	NZ 1999-505724	19990118
NZ 523610	A	20040827	NZ 1999-523610	19990118
TW 470744	B	20020101	TW 1999-88101505	19990201
ZA 9900873	A	20000804	ZA 1999-873	19990204
AP 992	A	20010806	AP 1999-1457	19990204
W: BW, GM, GH, KE, MW, SD, UG, ZM, ZW				
US 6673801	B1	20040106	US 2000-403218	20000302
NO 2000003965	A	20001003	NO 2000-3965	20000804
HR 2000000529	A1	20010831	HR 2000-529	20000804
HR 20000529	B1	20041231		
BG 104726	A	20010430	BG 2000-104726	20000829
HK 1034969	A1	20050708	HK 2001-105717	20010815
US 2003018033	A1	20030123	US 2002-200844	20020722

PRIORITY APPLN. INFO.:

US 1998-73801P	P	19980205
NZ 1999-505724	A1	19990118
WO 1999-IB67	W	19990118
US 2000-403218	A1	20000302

GI



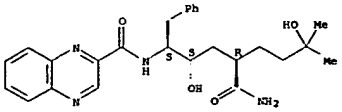
AB Title compds. (I; all variables undefined), were prepared as antagonists of CCR1 receptors. Thus, [1(S)-[5-oxotetrahydrofuran-2(S)-yl]-2-phenylethyl]carbamic acid tert-Bu ester in THF was added dropwise to a mixture of BuLi and HN(SiMe3)2 in THF at -78°; 4-bromo-2-methyl-2-butane in THF was added after 30 min. and the mixture was stirred 3h to -60° to give 77% [1(S)-[4(R)-(3-methylbut-2-enyl)-5-oxotetrahydrofuran-2(S)-yl]-2-phenylethyl]carbamic acid tert-Bu ester. The latter was stirred with CF3CO2H and the residue was stirred with 2-quinoxalyl chloride and Et3N in CH2Cl2 to give 72% quinoxaline-2-carboxylic acid 1(S)-benzyl-4(R)-carbamoyl-2(S),7-dihydroxy-7-methyloctylamide. Tested I inhibited chemotaxis with IC50 <25 μM.

IT 212790-30-2P 212790-31-3P 212790-33-5P
212790-38-0P 212790-42-6P 212790-44-8P
212790-45-9P 212790-46-0P 212790-47-1P
236733-84-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxalinecarboxylic acid 4-carbamoyl-2,7-dihydroxy-7-

methyloctylamides for treatment of inflammation and immune disorders)

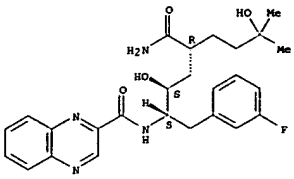
RN 212790-30-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2,7-dihydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



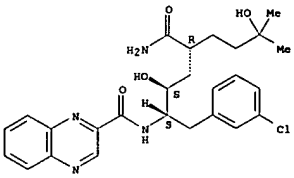
RN 212790-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



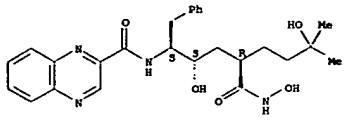
RN 212790-33-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-chlorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



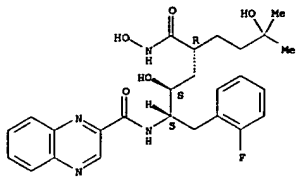
RN 212790-38-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



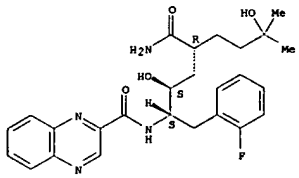
RN 212790-42-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(2-fluorophenyl)methyl]-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



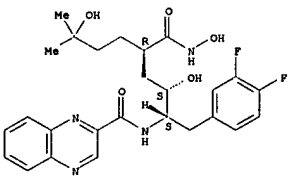
RN 212790-44-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(2-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



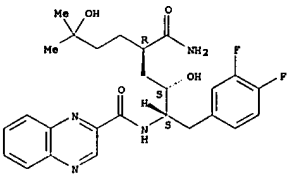
RN 212790-45-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(3,4-difluorophenyl)methyl]-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



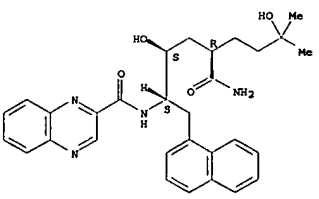
RN 212790-46-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3,4-difluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



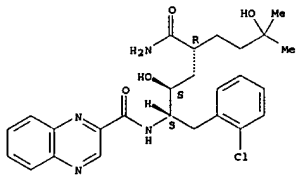
RN 212790-47-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2,7-dihydroxy-7-methyl-1-(1-naphthalenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 236733-84-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(2-chlorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 131 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:388171 CAPLUS
DOCUMENT NUMBER: 131:44827
TITLE: Preparation of N-[(imidazolyl- and triazolylalkyl)phenyl]acetamides and analogs as retinoid metabolism inhibitors
INVENTOR(S): Mabire, Dominique; Adelinet, Christophe Denis; Csoka, Imre Christian; Venet, Marc Gaston
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929674	A1	19990617	WO 1998-EP8126	19981208
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2312720	AA	19990617	CA 1998-2312720	19981208
AU 9921608	A1	19990628	AU 1999-21608	19981208
EP 1037880	A1	20000927	EP 1998-965820	19981208
EP 1037880	B1	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200001645	T2	20001221	TR 2000-200001645	19981208
JP 2001525400	T2	20011211	JP 2000-524271	19981208
AT 270277	E	20040715	AT 1998-965820	19981208
PT 1037880	T	20041130	PT 1998-965820	19981208
ES 2224462	T3	20050301	ES 1998-965820	19981208
TW 523503	B	20030311	TW 1998-87120384	19981209
ZA 9811351	A	20000612	ZA 1998-11351	19981210
US 6319939	B1	20011120	US 2000-555775	20000601
BG 104499	A	20010831	BG 2000-104499	20000602
US 2002115653	A1	20020822	US 2001-962551	20010925
US 6936626	B2	20050830		
US 2005165018	A1	20050728	US 2005-81393	20050316
PRIORITY APPLN. INFO.:			EP 1997-203866 A	19971211
			WO 1998-EP8126 W	19981208
			US 2000-555775 A3	20000601

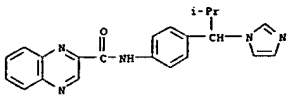
US 2001-962551 A3 20010925

OTHER SOURCE(S): MARPAT 131:44827

AB R4C(:X)NR3ZCRR1R2 [I; R = pyrrolyl, imidazolyl, triazolyl, pyridinyl, etc.; R1 = H, OH, alkyl, aryl; R2 = H, (un)substituted alkyl, (hetero)aryl, etc.; R3 = H, (ar)alkyl, (hetero)aryl, etc.; R4 = H, OH, (un)substituted alkyl, alkoxy, etc.; X = O, S, NR3; Z = 1,4-phenylene] were prepared Thus, 4-(AcHN)C6H4CHRCHMe2 (II; R = OH) was O-mesylated and the product condensed with 1H-1,2,4-triazole to give II (R = 1H-1,2,4-triazol-1-yl). Data for biol. activity of I were given.

IT 227281-65-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(imidazolyl- and triazolylalkyl)phenyl]acetamides and analogs as retinoid metabolism inhibitors)

RN 227281-65-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[1-(1H-imidazol-1-yl)-2-methylpropyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 132 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:354484 CAPLUS
DOCUMENT NUMBER: 131:31954
TITLE: Preparation of quinoxalinecarboxamides and analogs as metabotropic glutamate receptor antagonists
INVENTOR(S): Van Wagenen, Bradford C.; Moe, Scott T.; Smith, Daryl L.; Sheehan, Susan M.; Shcherbakova, Irina; Travato, Richard; Walton, Ruth; Barmore, Robert; Delmar, Eric G.; Stormann, Thomas M.
PATENT ASSIGNEE(S): NPS Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926927	A2	19990603	WO 1998-US24833	19981120
WO 9926927	A3	19991021		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2311131	AA	19990603	CA 1998-2311131	19981120
AU 9915317	A1	19990615	AU 1999-15317	19981120
AU 771358	B2	20040318		
EP 1037878	A2	20000927	EP 1998-959535	19981120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001524468	T2	20011204	JP 2000-522085	19981120
NZ 505207	A	20031031	NZ 1998-505207	19981120
CN 1554649	A	20041215	CN 2004-10047699	19981120
US 6429207	B1	20020806	US 2000-573347	20000519
US 2003013715	A1	20030116	US 2002-211523	20020805

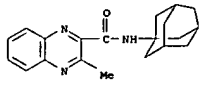
PRIORITY APPLN. INFO.:
US 1997-66758P P 19971121
WO 1998-US24833 W 19981120
US 1999-137272P P 19990602
US 2000-573347 A3 20000519

OTHER SOURCE(S): MARPAT 131:31954

AB RZR1 [R = (ar)alkyl, (alkyl)cycloalkyl; R1 = (hetero)aryl(alkyl); Z = (CO- and heteroatom-interrupted)(CH2)2-6, -alkenylene, -alkynylene] were prepared as metabotropic glutamate receptor antagonists (no data). Thus, 2-quinoxalinecarboxylic acid was amidated by 2-adamantanamine to give N-(2-adamantyl)-2-quinoxalinecarboxamide.

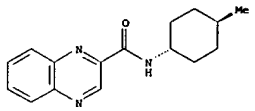
IT 88996-81-0P 226877-90-3P 226877-99-2P
226878-00-8P 226878-01-9P 226878-03-1P
226878-04-2P 226878-05-3P 226878-06-4P
226878-07-5P 226878-08-6P 226878-09-7P
226878-10-0P 226878-11-1P 226878-12-2P
226878-13-3P 226878-14-4P 226878-15-5P
226878-16-6P 226878-17-7P 226878-18-8P
226878-21-3P 226878-22-4P 226878-23-5P
226878-24-6P 226878-25-7P 226878-26-8P
226878-27-9P 226878-28-0P 226878-29-1P
226878-30-4P 226878-31-5P 226878-39-3P
226878-40-6P 226878-57-5P 226878-82-6P
226878-83-7P 226878-86-0P 226878-88-2P
226878-89-3P 226878-90-6P 226878-92-8P
226878-93-9P 226878-94-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxalinecarboxamides and analogs as metabotropic glutamate receptor antagonists)

RN 88996-81-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

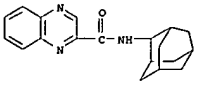


RN 226877-90-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(trans-4-methylcyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

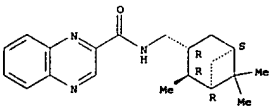


RN 226877-99-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)

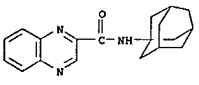


RN 226878-00-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[(1R,2R,3R,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

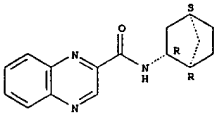


RN 226878-01-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



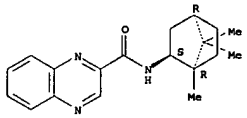
RN 226878-03-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

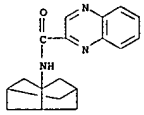


RN 226878-04-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1R,2S,4R)-1,7,7-trimethylbicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

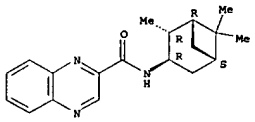


RN 226878-05-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(hexahydro-2,5-methanopentalen-3a(1H)-yl)- (9CI) (CA INDEX NAME)



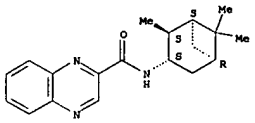
RN 226878-06-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1R,2R,3R,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

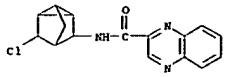


RN 226878-07-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

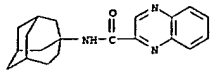
Absolute stereochemistry.



RN 226878-08-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(5-chlorotricyclo[2.2.1.02,6]hept-3-yl)- (9CI) (CA INDEX NAME)

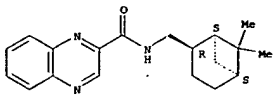


RN 226878-09-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-tricyclo[4.3.1.13,8]undec-3-yl- (9CI) (CA INDEX NAME)



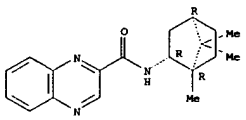
RN 226878-10-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[(1S,2R,5S)-6,6-dimethylbicyclo[3.1.1]hept-2-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



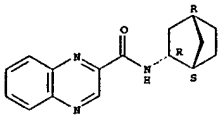
RN 226878-11-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1R,2R,4R)-1,7,7-trimethylbicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



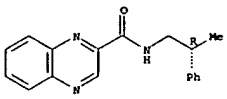
RN 226878-12-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(1R,2S,4S)-bicyclo[2.2.1]hept-2-yl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



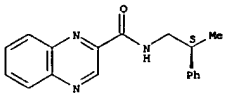
RN 226878-13-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(2R)-2-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

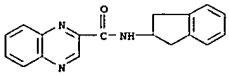


RN 226878-14-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(2S)-2-phenylpropyl]- (9CI) (CA INDEX NAME)

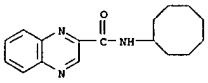
Absolute stereochemistry.



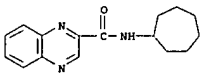
RN 226878-15-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2,3-dihydro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



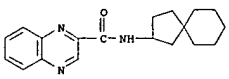
RN 226878-16-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclooctyl- (9CI) (CA INDEX NAME)



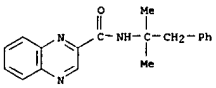
RN 226878-17-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cycloheptyl- (9CI) (CA INDEX NAME)



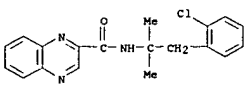
RN 226878-18-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-spiro[4.5]dec-2-yl- (9CI) (CA INDEX NAME)



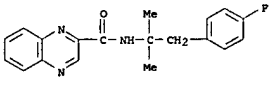
RN 226878-21-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(1,1-dimethyl-2-phenylethyl)- (9CI) (CA INDEX NAME)



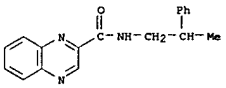
RN 226878-22-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(2-chlorophenyl)-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



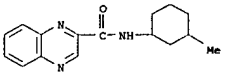
RN 226878-23-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(4-fluorophenyl)-1,1-dimethylethyl]- (9CI) (CA INDEX NAME)



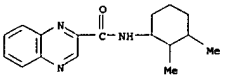
RN 226878-24-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-phenylpropyl)- (9CI) (CA INDEX NAME)



RN 226878-25-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(3-methylcyclohexyl)- (9CI) (CA INDEX NAME)

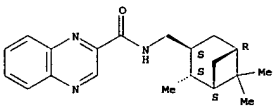


RN 226878-26-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2,3-dimethylcyclohexyl)- (9CI) (CA INDEX NAME)

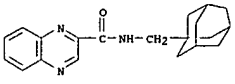


RN 226878-27-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]methyl]- (9CI) (CA INDEX NAME)

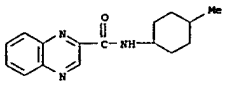
Absolute stereochemistry.



RN 226878-28-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(tricyclo[3.3.1.13,7]dec-1-ylmethyl)- (9CI) (CA INDEX NAME)

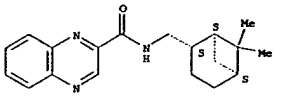


RN 226878-29-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methylcyclohexyl)- (9CI) (CA INDEX NAME)



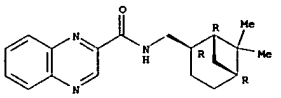
RN 226878-30-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[(1S,2S,5S)-6,6-dimethylbicyclo[3.1.1]hept-2-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

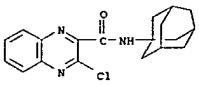


RN 226878-31-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[(1R,2R,5R)-6,6-dimethylbicyclo[3.1.1]hept-2-yl]methyl]- (9CI) (CA INDEX NAME)

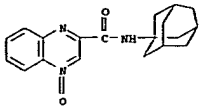
Absolute stereochemistry.



RN 226878-39-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-chloro-N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

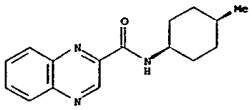


RN 226878-40-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-tricyclo[3.3.1.13,7]dec-1-yl-, 4-oxide (9CI) (CA INDEX NAME)

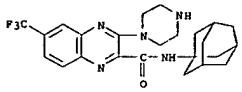


RN 226878-57-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(cis-4-methylcyclohexyl)- (9CI) (CA INDEX NAME)

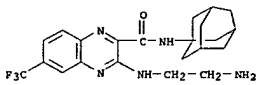
Relative stereochemistry.



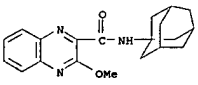
RN 226878-82-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(1-piperazinyl)-N-tricyclo[3.3.1.13,7]dec-1-yl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



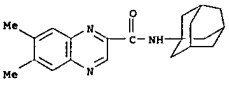
RN 226878-83-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-[(2-aminoethyl)amino]-N-tricyclo[3.3.1.13,7]dec-1-yl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 226878-86-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methoxy-N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

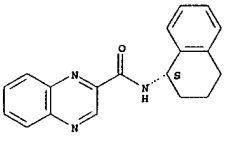


RN 226878-88-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 6,7-dimethyl-N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

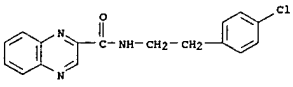


RN 226878-89-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

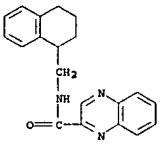
Absolute stereochemistry.



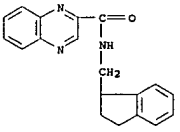
RN 226878-90-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(4-chlorophenyl)ethyl]- (9CI) (CA INDEX NAME)



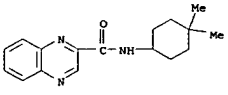
RN 226878-92-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)



RN 226878-93-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(2,3-dihydro-1H-inden-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 226878-94-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4,4-dimethylcyclohexyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 133 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:348254 CAPLUS
DOCUMENT NUMBER: 131:102532
TITLE: Heterocycle-peptide hybrid compounds. Aminotriazole-containing agonists of the thrombin receptor (PAR-1)
AUTHOR(S): McComsey, David F.; Hawkins, Michael J.; Andrade-Gordon, Patricia; Addo, Michael F.; Oksenberg, Donna; Maryanoff, Bruce E.
CORPORATE SOURCE: Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(10), 1423-1428
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The thrombin receptor PAR-1 is activated by α-thrombin to stimulate cells, including platelets, through the tethered-ligand sequence SFLLRN.

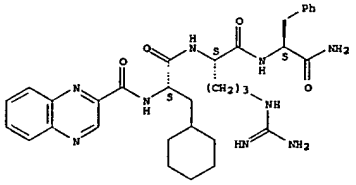
The authors have discovered a novel series of heterocycle-peptide hybrids comprised of a tripeptide segment, such as Cha-Arg-Phe (Cha = cyclohexylalanine), and an N-terminal heterocyclic group, many of which behave as full PAR-1 agonists. Certain compds. with an aminotriazole group, such as RCO-Cha-Arg-Phe-NH2 (R = 5-amino-1,2,4-triazole-3-yl) and RCO-Pha-Arg-Phe-NH2 (R = 5-amino-1,2,4-triazole-3-yl), are nearly as potent as SFLLRN-NH2 in inducing platelet aggregation. Also, some arylethenoyl "N-capped" compds., such as RCO-Cha-Arg-Phe-NH2 [R = 5-(o-chlorocinnamido)-1,2,4-triazol-3-yl; 5-(2-thienyl)acrylamido-1,2,4-triazol-3-yl], exhibit mixed PAR-1 agonist-antagonist activity.

IT 231608-75-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of aminotriazole-containing tripeptides as agonists of the thrombin receptor (PAR-1))

RN 231608-75-6 CAPLUS

CN L-Phenylalaninamide, 3-cyclohexyl-N-(2-quinoxalinylcarbonyl)-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 134 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:327924 CAPLUS
DOCUMENT NUMBER: 131:141312
TITLE: Structure-based discovery and in-parallel optimization of novel competitive inhibitors of thymidylate synthase
AUTHOR(S): Tondi, Donatella; Slomczynska, Ursula; Costi, M. Paola; Watterson, D. Martin; Ghelli, Stefano; Shoichet, Brian K.
CORPORATE SOURCE: Department of Molecular Pharmacology and Biological Chemistry, Northwestern University, Chicago, IL, 60611-3008, USA
SOURCE: Chemistry & Biology (1999), 6(5), 319-331
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Current Biology Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

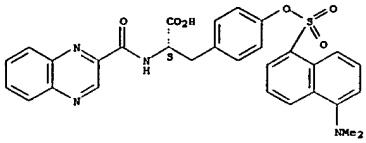
AB The substrate sites of enzymes are attractive targets for structure-based inhibitor design. Two difficulties hinder efforts to discover and elaborate new (nonsubstrate-like) inhibitors for these sites. First, novel inhibitors often bind at nonsubstrate sites. Second, a novel scaffold introduces chemical that is frequently unfamiliar, making synthetic elaboration challenging. In an effort to discover and elaborate a novel scaffold for a substrate site, we combined structure-based screening with in-parallel synthetic elaboration. These techniques were used to find new inhibitors that bound to the folate site of Lactobacillus casei thymidylate synthase (LcTS), an enzyme that is a potential target for proliferative diseases, and is highly studied. The available chems. directory was screened, using a mol.-docking computer program, for mols. that complemented the three-dimensional structure of this site. Five high-ranking compds. were selected for testing. Activity and docking studies led to a derivative of one of these, dansyltyrosine (Ki 65 μM). Using solid-phase in-parallel techniques 33 derivs. of this lead were synthesized and tested. These analogs are dissimilar to the substrate but bind competitively with it. The most active analog had a Ki of 1.3 μM. The tighter binding inhibitors were also the most specific for LcTS vs. related enzymes. TS can recognize inhibitors that are dissimilar to, but that bind competitively with, the folate substrate. Combining structure-based discovery with in-parallel synthetic techniques allowed the rapid elaboration of this series of compds. More automated versions of this approach can be envisaged.

IT 236430-18-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(structure-based discovery and in-parallel optimization of novel competitive inhibitors of thymidylate synthase)

RN 236430-18-5 CAPLUS

CN L-Tyrosine, N-(2-quinoxalinylcarbonyl)-, 5-(dimethylamino)-1-naphthalenesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 135 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:325961 CAPLUS
DOCUMENT NUMBER: 130:352553
TITLE: Synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins
INVENTOR(S): Altmann, Eva; Betschart, Claudia; Gohda, Keigo; Horiuchi, Miyuki; Lattmann, Rene; Missbach, Martin; Sakaki, Junichi; Takai, Michihiro; Teno, Naoki; Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924460	A2	19990520	WO 1998-EP6937	19981103
WO 9924460	A3	19990902		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306313	AA	19990520	CA 1998-2306313	19981103
AU 9914873	A1	19990531	AU 1999-14873	19981103
AU 751669	B2	20020822		
EP 1028942	A2	20000823	EP 1998-958887	19981103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813197	A	20000829	BR 1998-13197	19981103
TR 200001189	T2	20000921	TR 2000-200001189	19981103
JP 2001522862	T2	20011120	JP 2000-520468	19981103
RU 2201420	C2	20030327	RU 2000-114821	19981103
ZA 9810073	A	19990505	ZA 1998-10073	19981104
TW 527362	B	20030411	TW 1998-87118553	19981105
NO 2000002320	A	20000704	NO 2000-2320	20000502
US 6153017	B1	20020305	US 2000-643639	20000822
US 2004029814	A1	20040212	US 2003-342872	20030115
US 2004110806	A1	20040610	US 2003-694672	20031028
PRIORITY APPLN. INFO.:			GB 1997-23407 A	19971105
			US 1997-108160P P	19971205
			US 1997-985973 A	19971205
			WO 1998-EP6937 W	19981103
			US 1998-186223 B1	19981104
			US 2000-643639 A1	20000822
			US 2002-54590 B1	20020122
			US 2003-342872 A1	20030115

OTHER SOURCE(S): MARPAT 130:352553

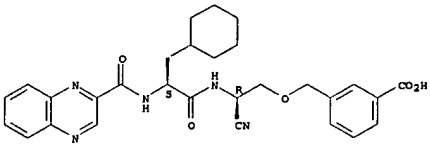
AB N-terminal substituted dipeptide nitriles R(L)xX1NHCR2R3C(:Y)NHCR4R5CN [R is optionally substituted aryl, alkyl, alkenyl, alkynyl, heterocyclyl; R2, R3 = H, optionally substituted alkyl, cycloalkyl, bicycloalkyl, or aryl-, biaryl-, cycloalkyl, bicycloalkylalkyl; R2 and R3 together represent alkylene, optionally interrupted by O, S, or NR6, where R6 is H, alkyl, arylalkyl; or R2 or R3 are linked by alkylene to the adjacent nitrogen to form a ring; R4, R5 = H, optionally substituted alkyl, arylalkyl, CO2R7, CONR7R8 (R7 is optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, or heterocyclyl and R8 is H or optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, heterocyclyl), etc.; R4 and R5 together represent alkylene, optionally interrupted by O, S, or NR6; X1 = CO, CS, SO, SO2, P(O)OR6; Y = O, S; L is optionally substituted Het, Het-CH2, CH2-Het (Het = O, N, or S); x = zero or 1] were prepared as inhibitors of cysteine cathepsins, e.g., cathepsins B, K, L and S, and can be used for the treatment of cysteine cathepsin dependent diseases and conditions. Thus, N-[2-[(3-carboxyphenyl)methoxy]-1(S)-cyanoethyl]-3-methyl-Na-(2,2-diphenylacetyl)-L-phenylalaninamide was prepared and shown to have IC50 = 5 nM for inhibition of cathepsin B.

IT 225121-86-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins)

RN 225121-86-8 CAPLUS

CN Benzoic acid, 3-[[(2R)-2-cyano-2-[[(2S)-3-cyclohexyl-1-oxo-2-[(2-quinoxalinylcarbonyl)amino]propyl]amino]ethoxy]methyl]- (9CI) (CA INDEX NAME)

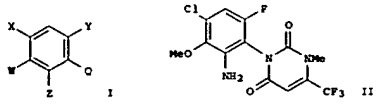
Absolute stereochemistry.



L5 ANSWER 136 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:297407 CAPLUS
DOCUMENT NUMBER: 130:338118
TITLE: Preparation of heterocyclylbenzenes as herbicides and defoliants.
INVENTOR(S): Gupta, Sandeep; Tsukamoto, Masamitsu; Pulman, David A.; Ying, Bai-ping; Wu, Shao-yong
PATENT ASSIGNEE(S): ISK Americas Incorporated, USA
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921837	A1	19990506	WO 1998-US17197	19980821
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2307815	AA	19990506	CA 1998-2307815	19980821
AU 9895650	A1	19990517	AU 1998-95650	19980821
AU 749237	B2	20020620		
EP 1030843	A1	20000830	EP 1998-949302	19980821
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001521027	T2	20011106	JP 2000-517949	19980821
BR 9814104	A	20011226	BR 1998-14104	19980821
ZA 9809639	A	19990426	ZA 1998-9639	19981022
TW 533200	B	20030521	TW 1998-87117635	19981023
EG 22047	A	20020630	EG 1998-1309	19981027
US 6355799	B1	20020312	US 2000-530373	20000427
US 2002133007	A1	20020919	US 2001-930149	20010816
US 6545161	B2	20030408		
PRIORITY APPLN. INFO.:			US 1997-958313 A2	19971027
			WO 1998-US17197 W	19980821
			US 2000-530373 A3	20000427

OTHER SOURCE(S): MARPAT 130:338118
GI



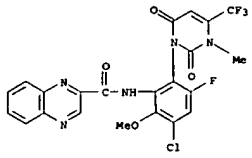
I II

AB Title compds. [I; X = H, halo, NO2, amino, NHR, NR2, amide, thioamide, cyano, alkylcarbonyl, alkoxycarbonyl, alkylsulfonamide, (substituted) alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyloxy, PhCH2O, aryloxy, heteroaryloxy; Y = H, halo, NO2; W = H, OR, SR, NHR, NR2, CH2R, CHR2, CR3, halo, NO2, cyano; R = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, alkoxy, cycloalkoxy, aryloxy, heteroaryloxy, alkylsulfonyl, PhCH2, alkylcarbonyl, aryloxycarbonyl, etc.; Q = (substituted) heterocyclyl; Z = amino, OH, SH, CHO, CO2H, cyano, alkylcarbonyl, arylcarbonyl, N3, etc.], were prepared Thus, 3-(4-chloro-6-fluoro-3-methoxy-2-nitrophenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione (preparation given) was stirred with Fe powder in HOAc to give title compound (II). II at 7.8 g/ha postemergent gave 100% control of Amaranthus retroflexus and Abutilon theophrasti.

IT 224164-07-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylbenzenes as herbicides and defoliants)

RN 224164-07-2 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[3-chloro-6-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-5-fluoro-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 137 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:254079 CAPLUS
DOCUMENT NUMBER: 130:267770
TITLE: Synthesis of N,N-disubstituted amino acids as endothelin inhibitors with pharmaceutical effects
INVENTOR(S): Puhl, Michael; Zechel, Johann-Christian; Ditrich, Klaus; Hillen, Heinz; Kohl, Tanja; Erhardt, Melanie; Hergenroeder, Stefan; Markert, Claus Otto
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19745146	A1	19990415	DE 1997-19745146	19971014
CA 2305499	AA	19990422	CA 1998-2305499	19980918
WO 9919320	A1	19990422	WO 1998-EP5945	19980918
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9910235	A1	19990503	AU 1999-10235	19980918
EP 1023282	A1	20000802	EP 1998-952597	19980918
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE, FI				
BR 9813037	A	20000815	BR 1998-13037	19980918
JP 2001519427	T2	20011023	JP 2000-515892	19980918
ZA 9809312	A	20000413	ZA 1998-9312	19981013
MX 200003357	A	20001113	MX 2000-3357	20000406
NO 2000001846	A	20000410	NO 2000-1846	20000410
US 6469056	B1	20021022	US 2000-529181	20000410
PRIORITY APPLN. INFO.:			DE 1997-19745146 A	19971014
			WO 1998-EP5945 W	19980918

OTHER SOURCE(S): MARPAT 130:267770

AB Title compds. R2C(O)NHCH(R1)CH2N(C(O)R3)CH(R)CO2H [(I); R,R1 independently = (substituted)(branched) alkyl, alkylaryl, alkyl-hetaryl, (substituted) aryl or hetaryl; R2 = fluorenyl-methoxy, 2-fluorenyl-Et, R4CH2CH(SY), naphthyl, quinolinyl; R3 = (substituted) aryl or O, S, or N-hetaryl; R4 = alkyl, alkylaryl, aryl, hetaryl; Y = H, CH3C(O)], useful as endothelin inhibitors in the treatment of diseases such as hypertension, myocardial infarction, chronic heart insufficiency, angina pectoris, acute or chronic kidney disease, cerebral vasospasm or ischemia, subarachnoidal hemorrhage, migraine, asthma, atherosclerosis, endo-toxemic shock or organ failure, intravascular coagulation, prostatic hyperplasty or cancer, metastasis and growth of mesenchymal tumors, pancreatitis, and gastrointestinal ulcers, were synthesized and tested. Thus, resin-supported L-phenylalanine and N-Fmoc-L-phenylalaninal were reacted and the product condensed with 5-chloro-2-thiophen-carbonyl chloride, then freed from the resin support to give I [R, R1 = (S)-CH2Ph; R2 = fluorenyl-methoxy; R3 = (5-chloro)thiophen-2-yl; (II)]. In in vitro tests, II had IC50 2 μm against ECE, while its IC50 against other proteases (angiotensin converting enzyme, neutral endopeptidase) was >100 μm.

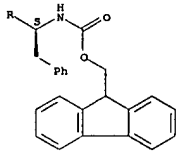
IT 222023-61-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of as endothelin inhibitors with pharmaceutical effects)

RN 222023-61-2 CAPLUS

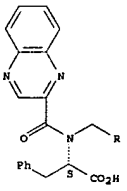
CN L-Phenylalanine, N-[(2S)-2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-phenylpropyl]-N-(2-quinoxalinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



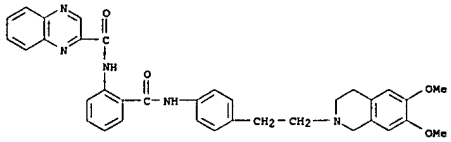
L5 ANSWER 138 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:188605 CAPLUS
DOCUMENT NUMBER: 131:340
TITLE: Reversal of P-glycoprotein mediated multidrug resistance by novel anthranilamide derivatives
AUTHOR(S): Roe, Michael; Folkes, Adrian; Ashworth, Philip; Brumwell, Julie; Chima, Lal; Hunjan, Sukhjit; Pretswell, Ian; Dangerfield, Wendy; Ryder, Hamish; Charlton, Peter
CORPORATE SOURCE: Xenova Ltd., Slough, SL1 4EF, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(4), 595-600
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We have synthesized and evaluated a series of anthranilamide based modulators of P-glycoprotein. These studies have identified XR9576, a potent inhibitor of P-glycoprotein in vitro and in vivo. The general synthesis and the SAR of these compds. are described.

IT 206873-50-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel anthranilamide derivs. for reversal of P-glycoprotein mediated multidrug resistance)

RN 206873-50-9 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

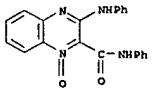
L5 ANSWER 139 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:176102 CAPLUS
DOCUMENT NUMBER: 130:267404
TITLE: Exceptional products of the desulfurization of N,2-diaryl-5-(arylimino)-2,5-dihydro-4-nitroisothiazol-3-amines
AUTHOR(S): Moya Argilagos, Dally; Linden, Anthony; Heimgartner, Heinz
CORPORATE SOURCE: Organisch-Chemisches Institut, Universitaet Zuerich, Zurich, CH-8057, Switz.
SOURCE: Helvetica Chimica Acta (1999), 82(2), 238-260
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:267404

AB The desulfurization of several N,2-diaryl-5-(arylimino)-2,5-dihydro-4-nitroisothiazol-3-amines with Ph3P led to complex mixts. of products in low yields by desulfurization and subsequent rearrangement. For instance, quinoxaline-2-carboxamide 1-oxides and, in some cases, also 3-nitroquinolines were isolated with a different substitution pattern from that expected from the starting materials. Reaction mechanisms involving intermediate ketene imines and O transfer from the NO2 group to the neighboring ketene imine are proposed.

IT 222056-37-3P 222056-53-3P 222056-55-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure)

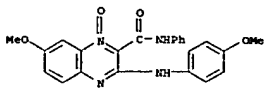
RN 222056-37-3 CAPLUS

CN 2-Quinoxalinecarboxamide, N-phenyl-3-(phenylamino)-, 1-oxide (9CI) (CA INDEX NAME)

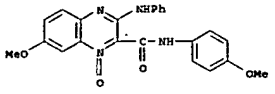


RN 222056-53-3 CAPLUS

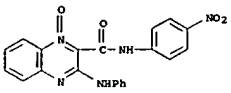
CN 2-Quinoxalinecarboxamide, 7-methoxy-3-[(4-methoxyphenyl)amino]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



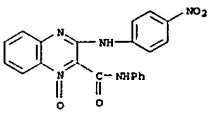
RN 222056-55-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-methoxy-N-(4-methoxyphenyl)-3-(phenylamino)-, 1-oxide (9CI) (CA INDEX NAME)



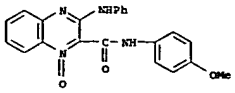
IT 222056-39-5P 222056-41-9P 222056-43-1P
222056-45-3P 222056-47-5P 222056-49-7P
222056-51-1P 222056-52-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinoxalinecarboxamide oxides and nitroquinolines by desulfurization and rearrangement of aryliminohydronitroisothiazolamine s)
RN 222056-39-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-nitrophenyl)-3-(phenylamino)-, 1-oxide (9CI) (CA INDEX NAME)



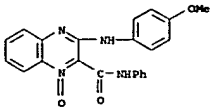
RN 222056-41-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-[(4-nitrophenyl)amino]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



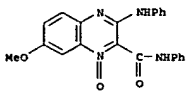
RN 222056-43-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-3-(phenylamino)-, 1-oxide (9CI) (CA INDEX NAME)



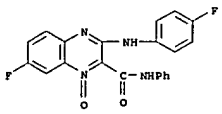
RN 222056-45-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-[(4-methoxyphenyl)amino]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



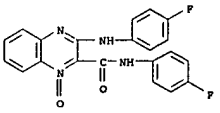
RN 222056-47-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-methoxy-N-phenyl-3-(phenylamino)-, 1-oxide (9CI) (CA INDEX NAME)



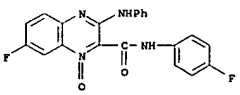
RN 222056-49-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-fluoro-3-[(4-fluorophenyl)amino]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



RN 222056-51-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-fluorophenyl)-3-[(4-fluorophenyl)amino]-, 1-oxide (9CI) (CA INDEX NAME)



RN 222056-52-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-fluoro-N-(4-fluorophenyl)-3-(phenylamino)-, 1-oxide (9CI) (CA INDEX NAME)

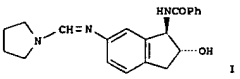


REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 140 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:96109 CAPLUS
DOCUMENT NUMBER: 130:172992
TITLE: Indan muscarinic agonists
INVENTOR(S): Hollinshead, Sean Patrick; Huff, Bret Eugene; Hughes, Philip Floyd; Mendoza, Jose Serafin; Mitch, Charles Howard; Staszak, Michael Alexander; Ward, John Stanley; Wilson, Joseph Wendell
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9904778	A1	19990204	WO 1998-US15475	19980721
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2297906	AA	19990204	CA 1998-2297906	19980721
AU 9885918	A1	19990216	AU 1998-85918	19980721
EP 1003495	A1	20000531	EP 1998-937136	19980721
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001510797	T2	20010807	JP 2000-503835	19980721
US 2001012848	A1	20010809	US 2000-740380	20001219
US 6395735	B2	20020528		
PRIORITY APPLN. INFO.:			US 1997-53404P	P 19970722
			US 1998-116408	B3 19980716
			WO 1998-US15475	W 19980721

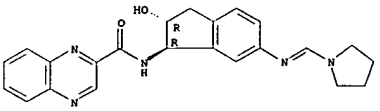
OTHER SOURCE(S): MARPAT 130:172992
GI



I

AB The present invention provides novel indan-like compds. which can be useful for treating psychosis and other conditions associated with the modulation of a muscarinic receptor. Examples are given for formulations of the compds. Among a large number of compds. prepared was I. Muscarinic receptor binding data are also given.
IT 194028-33-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(indan muscarinic agonist pharmaceuticals)
RN 194028-33-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1R,2R)-2,3-dihydro-2-hydroxy-6-[(1-pyrrolidinylmethylene)amino]-1H-inden-1-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

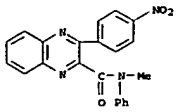
L5 ANSWER 141 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:12562 CAPLUS
DOCUMENT NUMBER: 130:139152
TITLE: Unsolvated vic-tricarbonyl compounds via ozonation. Part 4. Ozonation of acylynamines without C,C cleavage
AUTHOR(S): Schank, Kurt; Beck, Horst; Himbert, Gerhard
CORPORATE SOURCE: Fachrichtung 11.2 Organische Chemie, Univ. Saarland, Saarbruecken, D-66041, Germany
SOURCE: Synthesis (1998), (12), 1718-1720
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:139152
AB Acylethynamines RPhNC.tplbond.CCOR1 (R = Me; R1 = 4-MeOC6H4, 4-ClC6H4, 4-O2NC6H4, Me3CNH or R = Ph, R1 = cyclohexylamino) and O3/O2 react at -50° in CH2Cl2 yielding the corresponding unsolvated 1,2-dioxo carboxamides RPhN(CO)3R1 as exclusive reaction products. Two important details of this conversion are emphasized. Neither peroxidic intermediates which usually must be reduced before workup are detected

after O3 is consumed nor is any evidence for a C,C cleavage of the triple bond found. Ph2N(CO)C6H4-4-NO2 partially loses its NO2-substituent during ozonization and was fully characterized by derivatization with 1,2-C6H4(NH2)2 and formation of its quinoxaline-2-carboxamide.

IT 220079-38-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 220079-38-9 CAPLUS

CN 2-Quinoxalinecarboxamide, N-methyl-3-(4-nitrophenyl)-N-phenyl- (9CI) (CA INDEX NAME)

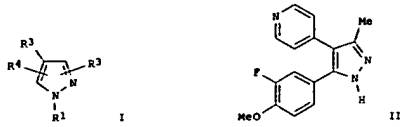


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 142 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:789144 CAPLUS
DOCUMENT NUMBER: 130:38377
TITLE: Preparation of heteroarylpyrazoles as p38 kinase inhibitors
INVENTOR(S): Anantanarayan, Ashok; Clare, Michael; Collins, Paul W.; Crich, Joyce Zuowu; Devraj, Rajesh; Flynn, Daniel L.; Geng, Lifeng; Hanson, Gunnar J.; Koszyk, Francis J.; Liao, Shuyuan; Partis, Richard A.; Rao, Shashidhar N.; Selness, Shaun Raj; South, Michael S.; Stealey, Michael A.; Weier, Richard M.; Xu, Xiangdong
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; et al.
SOURCE: PCT Int. Appl., 828 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852940	A1	19981126	WO 1998-US10436	19980522
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2291115	AA	19981126	CA 1998-2291115	19980522
AU 9875883	A1	19981211	AU 1998-75883	19980522
AU 754830	B2	20021128		
ZA 9804358	A	19990524	ZA 1998-4358	19980522
EP 1000055	A1	20000517	EP 1998-923642	19980522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200000235	T2	20000522	TR 2000-200000235	19980522
EE 9900527	A	20000615	EE 1999-527	19980522
BR 9809147	A	20000801	BR 1998-9147	19980522
JP 2002508754	T2	20020319	JP 1998-550650	19980522
NZ 501112	A	20021025	NZ 1998-501112	19980522
AP 1246	A	20040207	AP 1999-1715	19980522
W: GM, GH, KE, LS, MW, SD, SZ, UG, ZW				
NO 9905695	A	20000121	NO 1999-5695	19991119
MX 9910759	A	20000531	MX 1999-10759	19991122
BG 64313	B1	20040930	BG 1999-103964	19991208
PRIORITY APPLN. INFO.:			US 1997-47570P	P 19970522
			WO 1998-US10436	W 19980522

OTHER SOURCE(S): MARPAT 130:38377
GI



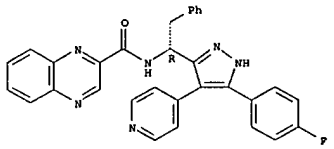
AB Title compds. [I; R1 = H, NH2, (cyclo)alk(en)yl, acyl, aryl, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = pyridyl, pyrimidinyl, quinolyl, etc.; R4 = H, alkyl, heterocyclyl, aryl, etc.] were prepared Thus, R3CH2COMe (R3 = 4-pyridinyl) was condensed with 3,4-F(MeO)C6H3CHO and the product cyclocondensed with TsNHNH2 to give title compound II. Data for biol. activity of I were given.

IT 216518-34-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylpyrazoles as p38 kinase inhibitors)

RN 216518-34-2 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1R)-1-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrazol-3-yl]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

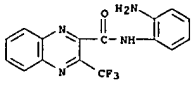
L5 ANSWER 143 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:686792 CAPLUS
DOCUMENT NUMBER: 130:25029
TITLE: Reactions of fluoroalkyl-containing 2-hydroxyimino-1,3-dicarbonyl compounds with o-phenylenediamine
AUTHOR(S): Burgart, A. V.; Kuzueva, O. G.; Kodess, M. I.; Saloutin, V. I.
CORPORATE SOURCE: Institute of Organic Synthesis, Ural Division, Russian Academy of Sciences, Yekaterinburg, 620219, Russia
SOURCE: Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (1998), 34(3), 375-380
CODEN: RJOCEQ; ISSN: 1070-4280
PUBLISHER: MAIK Nauka/Interperiodica Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fluoroalkyl-containing 2-hydroxyimino-3-oxo esters react with o-phenylenediamine in methanol (benzene, toluene) with formation of substituted quinoxalines. The same reaction in di-Et ether affords the corresponding 4-fluoroalkyl-4-hydroxy-3-hydroxyimino-2,3,4,5-tetrahydro-H-1,5-benzodiazepin-2-ones. The reaction of 1,1,1-trifluoro-3-hydroxyimino-4-phenyl-2,4-butanedione in methanol results in cleavage of the latter and formation of 3-trifluoromethylquinoxalin-2-one; the same reactants in di-Et ether give rise to 2-hydroxy-3-hydroxyimino-4-phenyl-2-trifluoromethyl-2,3-dihydro-1H-1,5-benzodiazepine.

IT 216307-09-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of fluoroalkyl-containing (hydroxyimino)-substituted 1,3-dicarbonyl compds. with phenylenediamine)

RN 216307-09-4 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 144 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:608600 CAPLUS
DOCUMENT NUMBER: 129:230740
TITLE: Heteroaryl-hexanoic acid amide derivatives, their preparation and their use as selective inhibitors of MIP-1α binding to its CCR1 receptor
INVENTOR(S): Brown, Matthew Frank; Kath, John Charles; Poss, Christopher Stanley
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838167	A1	19980903	WO 1998-US1568	19980205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9861354	A1	19980918	AU 1998-61354	19980205
AU 745687	B2	20020328		
EP 966443	A1	19991229	EP 1998-906013	19980205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
TR 9902056	T2	20000121	TR 1999-9902056	19980205
BR 9807858	A	20000222	BR 1998-7858	19980205
JP 2000513740	T2	20001017	JP 1998-537644	19980205
CA 2282834	C	20041005	CA 1998-2282834	19980205
CA 2282834	AA	19980903		
IL 131163	A1	20050619	IL 1998-131163	19980205
ZA 9801602	A	19990921	ZA 1998-1602	19980226
AP 1056	A	20020405	AP 1998-1200	19980226
W: BW, GM, KE, MW, UG, ZM, ZW				
BG 103688	A	20001130	BG 1999-103688	19990824
NO 9904101	A	19990825	NO 1999-4101	19990825
NO 313877	B1	20021216		
US 6403587	B1	20020611	US 2000-380269	20000518
US 2002198207	A1	20021226	US 2002-154145	20020522
PRIORITY APPLN. INFO.:			US 1997-39169P	P 19970226
			WO 1998-US1568	W 19980205
			US 2000-380269	A3 20000518

OTHER SOURCE(S): MARPAT 129:230740
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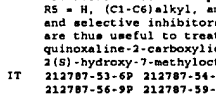

AB I [R1 = optionally substituted (C2-C9)heteroaryl; R2 = optionally substituted phenyl-(CH2)m-, naphthyl-(CH2)m-, (C3-C10)cycloalkyl-(CH2)m-, (C1-C6)alkyl or (C2-C9)heteroaryl-(CH2)m-; m = integer from zero to four; R3 = H, optionally substituted (C1-C10)alkyl, (C3-C10)cycloalkyl-(CH2)n-, (C2-C9)heterocycloalkyl-(CH2)n-, (C2-C9)heteroaryl-(CH2)n-, aryl-(CH2)n-; n = integer from zero to six; R3 and the carbon to which it is attached form an optionally substituted and/or fused five to seven membered carbocyclic ring; R4 = H, (C1-C6)alkyl, hydroxy, (C1-C6)alkoxy, hydroxy-(C1-C6)alkyl, (C1-C6)alkoxyCO, (C3-C10)cycloalkyl-(CH2)p-, optionally substituted (C2-C9)heterocycloalkyl-(CH2)p-, (C2-C9)heteroaryl-(CH2)p-, phenyl-(CH2)p- or naphthyl-(CH2)p-, p = integer from zero to four; R4 and R5 together with the nitrogen atom to which they are attached form an optionally substituted (C2-C9)heterocycloalkyl group; R5 = H, (C1-C6)alkyl, amino] were prepared The present compds. are potent and selective inhibitors of MIP-1 α binding to its receptor CCR1, and are thus useful to treat inflammation and other immune disorders. E.g., quinoxaline-2-carboxylic acid [1(S)-benzyl-4(R)-benzylcarbamoyl-7-fluoro-2(S)-hydroxy-7-methyloctyl]amide was prepared

IT 212787-53-6P 212787-54-7P 212787-55-8P
212787-56-9P 212787-59-2P 212787-62-7P
212787-64-9P 212787-70-7P 212787-81-0P
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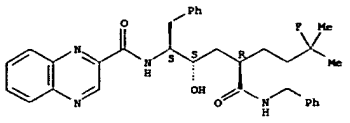
212835-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroaryl-substituted hexanamides and their use as selective inhibitors of MIP-1 α binding to its CCR1 receptor)

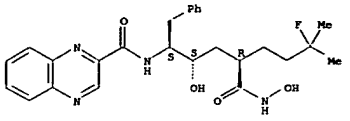
RN 212787-53-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[(phenylmethyl)amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



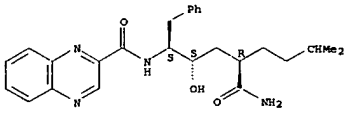
RN 212787-54-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[(hydroxyamino)carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



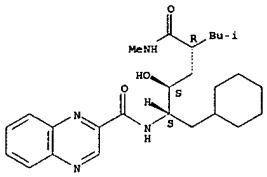
RN 212787-55-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



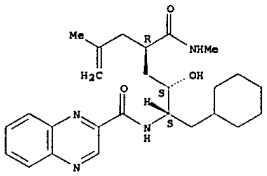
RN 212787-56-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-(cyclohexylmethyl)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



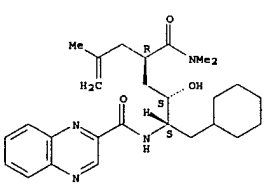
RN 212787-59-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-(cyclohexylmethyl)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]-6-heptenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



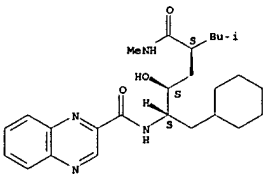
RN 212787-62-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-(cyclohexylmethyl)-4-[(dimethylamino)carbonyl]-2-hydroxy-6-methyl-6-heptenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



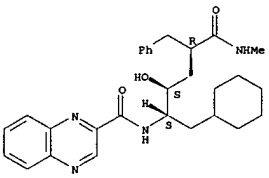
RN 212787-64-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-1-(cyclohexylmethyl)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



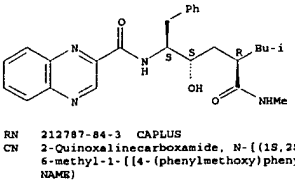
RN 212787-70-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-(cyclohexylmethyl)-2-hydroxy-5-(methylamino)-5-oxo-4-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



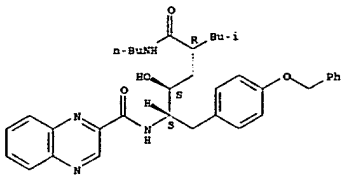
RN 212787-81-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



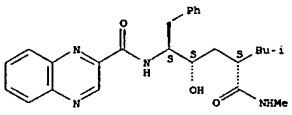
RN 212787-84-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(butylamino)carbonyl]-2-hydroxy-6-methyl-1-[[4-(phenylmethoxy)phenyl]methyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



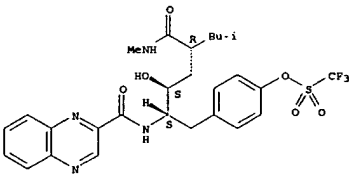
RN 212787-94-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



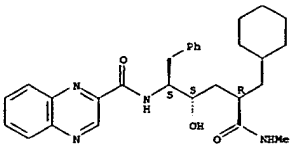
RN 212787-96-7 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[(2S,3S,5R)-3-hydroxy-7-methyl-5-[(methylamino)carbonyl]-2-[(2-quinoxalinylcarbonyl)amino]octyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



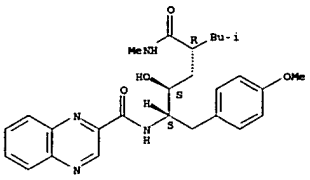
RN 212787-98-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(cyclohexylmethyl)-2-hydroxy-5-(methylamino)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



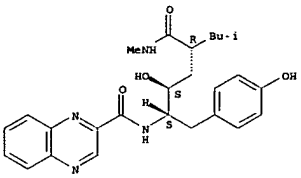
RN 212788-06-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-1-[(4-methoxyphenyl)methyl]-6-methyl-4-[(methylamino)carbonyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



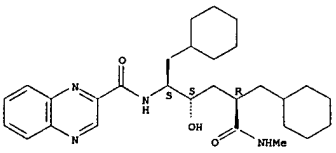
RN 212788-10-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-1-[(4-hydroxyphenyl)methyl]-6-methyl-4-[(methylamino)carbonyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



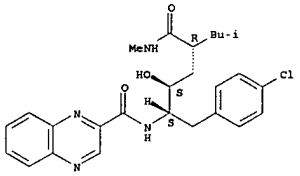
RN 212788-11-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1,4-bis(cyclohexylmethyl)-2-hydroxy-5-(methylamino)-5-oxopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



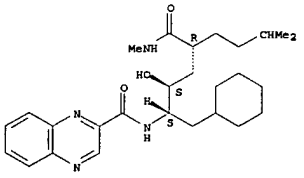
RN 212788-13-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(4-chlorophenyl)methyl]-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



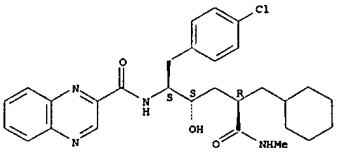
RN 212788-15-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-(cyclohexylmethyl)-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



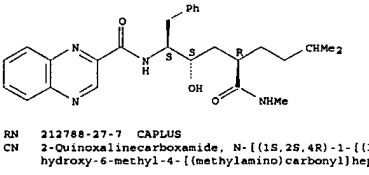
RN 212788-17-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(4-chlorophenyl)methyl]-4-(cyclohexylmethyl)-2-hydroxy-5-(methylamino)-5-oxopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



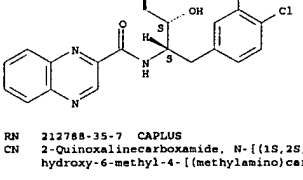
RN 212788-24-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



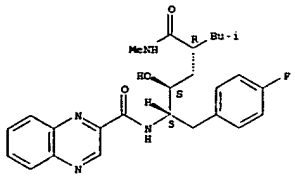
RN 212788-27-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(3,4-dichlorophenyl)methyl]-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



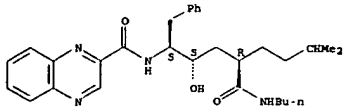
RN 212788-35-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(4-fluorophenyl)methyl]-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



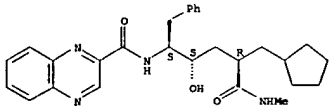
RN 212788-43-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(butylamino)carbonyl]-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



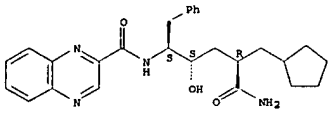
RN 212788-47-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(cyclopentylmethyl)-2-hydroxy-5-(methylamino)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212788-50-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-4-(cyclopentylmethyl)-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

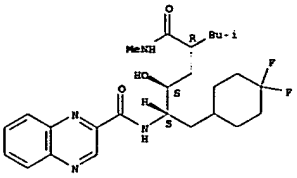
Absolute stereochemistry.



RN 212788-52-8 CAPLUS

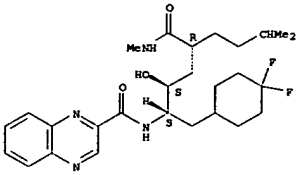
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(4,4-difluorocyclohexyl)methyl]-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



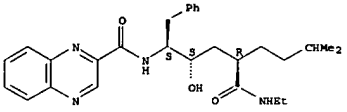
RN 212788-53-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(4,4-difluorocyclohexyl)methyl]-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



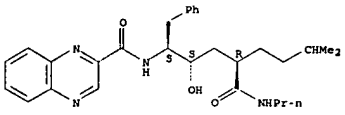
RN 212788-54-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(ethylamino)carbonyl]-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



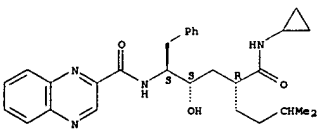
RN 212788-55-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[(propylamino)carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



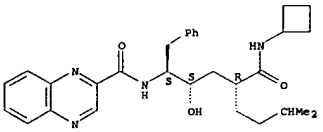
RN 212788-56-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(cyclopropylamino)carbonyl]-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



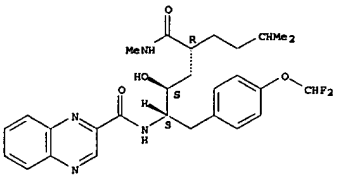
RN 212788-57-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(cyclobutylamino)carbonyl]-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



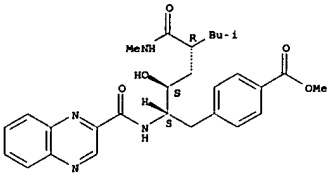
RN 212788-58-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[[4-(difluoromethoxy)phenyl]methyl]-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



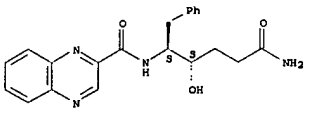
RN 212788-59-5 CAPLUS
CN Benzoic acid, 4-[(2S,3S,5R)-3-hydroxy-7-methyl-5-[(methylamino)carbonyl]-2-[(2-quinoxalinylcarbonyl)amino]octyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



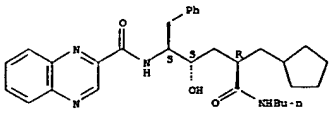
RN 212788-60-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



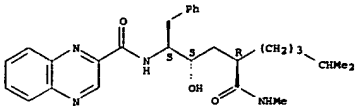
RN 212788-65-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-(butylamino)-4-(cyclopentylmethyl)-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



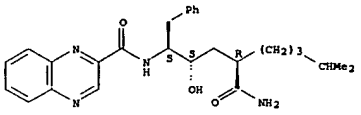
RN 212788-67-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-8-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)nonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



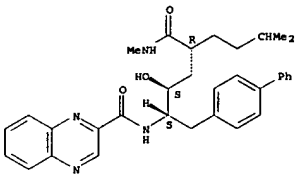
RN 212788-68-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-8-methyl-1-(phenylmethyl)nonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212788-69-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-([1,1'-biphenyl]-4-ylmethyl)-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]octyl]- (9CI) (CA INDEX NAME)

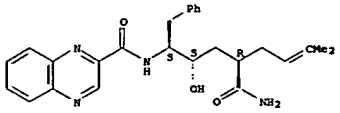
Absolute stereochemistry.



RN 212788-70-0 CAPLUS

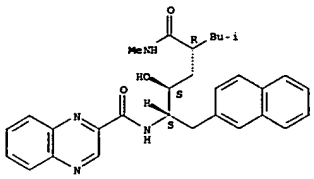
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-7-methyl-1-(phenylmethyl)-6-octenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



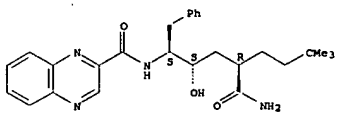
RN 212788-71-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]-1-(2-naphthalenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



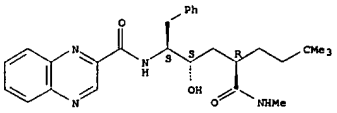
RN 212788-72-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-7,7-dimethyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



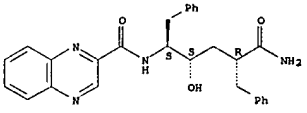
RN 212788-73-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-7,7-dimethyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



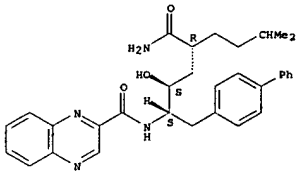
RN 212788-74-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-5-oxo-1,4-bis(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



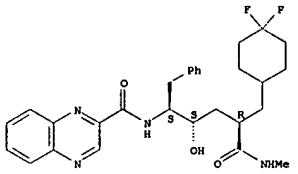
RN 212788-75-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-([1,1'-biphenyl]-4-ylmethyl)-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



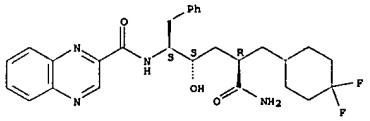
RN 212788-76-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(4,4-difluorocyclohexyl)methyl]-2-hydroxy-5-(methylamino)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



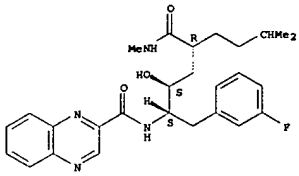
RN 212788-77-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-4-[(4,4-difluorocyclohexyl)methyl]-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



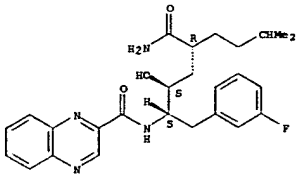
RN 212788-78-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



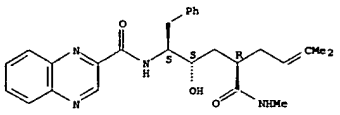
RN 212788-79-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



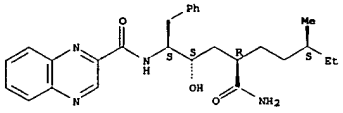
RN 212788-80-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)-6-octenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



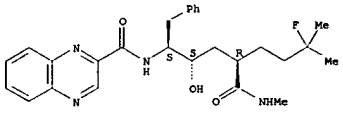
RN 212788-82-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R,7S)-4-(aminocarbonyl)-2-hydroxy-7-methyl-1-(phenylmethyl)nonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



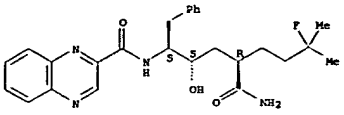
RN 212788-83-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



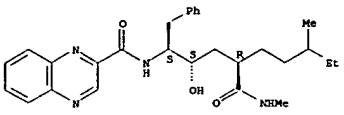
RN 212788-84-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



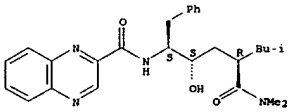
RN 212788-85-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]-1-(phenylmethyl)nonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



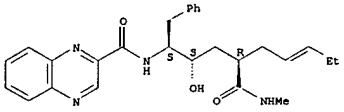
RN 212788-86-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(dimethylamino)carbonyl]-2-hydroxy-6-methyl-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



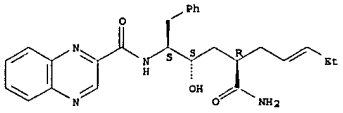
RN 212788-90-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-4-[(methylamino)carbonyl]-1-(phenylmethyl)-6-nonenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



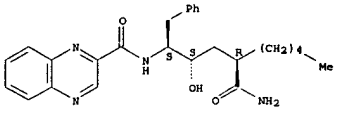
RN 212788-91-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-1-(phenylmethyl)-6-nonenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



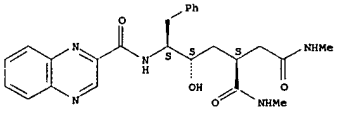
RN 212788-94-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-1-(phenylmethyl)nonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



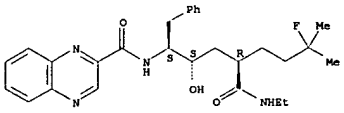
RN 212788-95-9 CAPLUS
CN Butanediamide, 2-[(2S,3S)-2-hydroxy-4-phenyl-3-[(2-quinoxalinylcarbonyl)amino]butyl]-N,N'-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



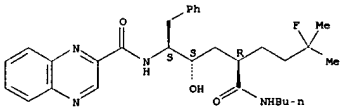
RN 212788-96-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(ethylamino)carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



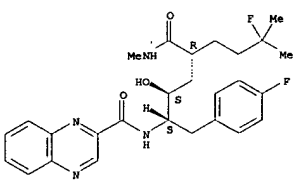
RN 212788-97-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[(butylamino)carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



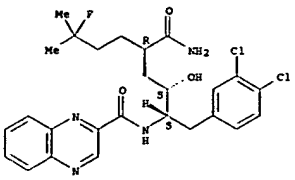
RN 212788-98-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-1-[(4-fluorophenyl)methyl]-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



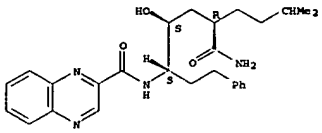
RN 212788-99-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3,4-dichlorophenyl)methyl]-7-fluoro-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



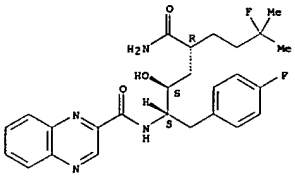
RN 212789-01-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-7-methyl-1-(2-phenylethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



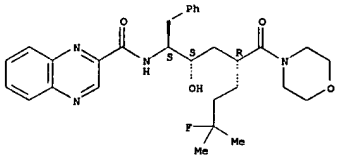
RN 212789-03-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-fluoro-1-[(4-fluorophenyl)methyl]-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



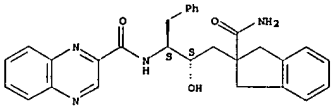
RN 212789-04-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



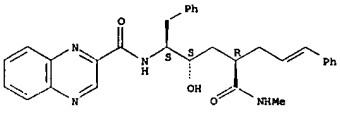
RN 212789-08-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S)-3-[2-(aminocarbonyl)-2,3-dihydro-1H-inden-2-yl]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



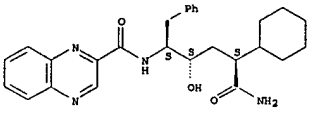
RN 212789-09-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-4-[(methylamino)carbonyl]-7-phenyl-1-(phenylmethyl)-6-heptenyl]- (9CI) (CA INDEX NAME)

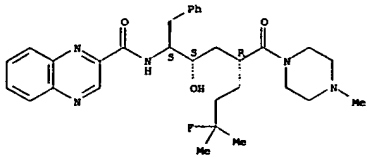
Absolute stereochemistry.
Double bond geometry unknown.



RN 212789-12-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-4-cyclohexyl-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

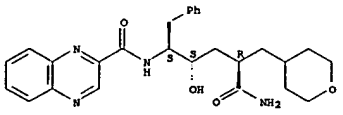
Absolute stereochemistry.





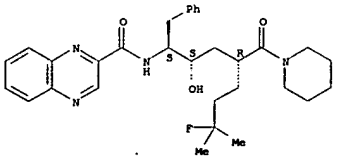
RN 212789-05-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-[(tetrahydro-2H-pyran-4-yl)methyl]pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212789-06-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-(1-piperidinylcarbonyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

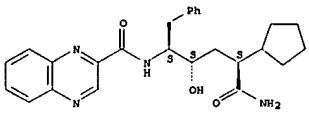


RN 212789-07-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-4-(4-morpholinylcarbonyl)-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

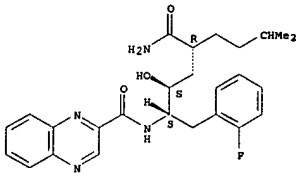
RN 212789-13-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-4-cyclopentyl-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



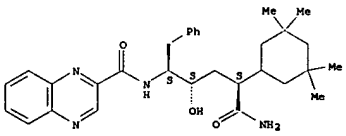
RN 212789-16-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(2-fluorophenyl)methyl]-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



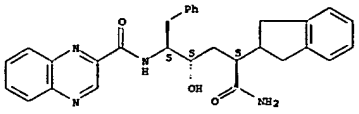
RN 212789-19-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-(3,3,5,5-tetramethylcyclohexyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



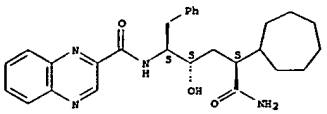
RN 212789-20-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-4-(2,3-dihydro-1H-inden-2-yl)-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



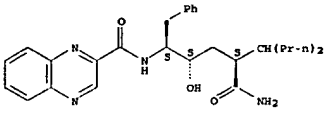
RN 212789-21-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-4-cycloheptyl-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



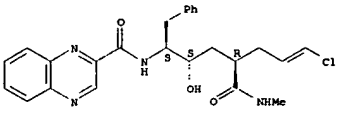
RN 212789-22-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-4-(aminocarbonyl)-2-hydroxy-1-(phenylmethyl)-5-propyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



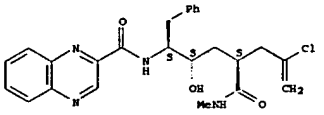
RN 212789-23-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-chloro-2-hydroxy-4-[(methylamino)carbonyl]-1-(phenylmethyl)-6-heptenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



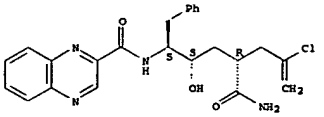
RN 212789-24-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-6-chloro-2-hydroxy-4-[(methylamino)carbonyl]-1-(phenylmethyl)-6-heptenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



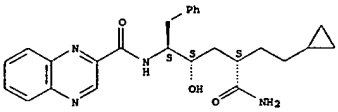
RN 212789-25-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-6-chloro-2-hydroxy-1-(phenylmethyl)-6-heptenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



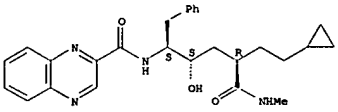
RN 212789-26-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-4-(aminocarbonyl)-6-cyclopropyl-2-hydroxy-1-(phenylmethyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



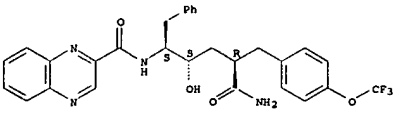
RN 212789-27-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-6-cyclopropyl-2-hydroxy-4-[(methylamino)carbonyl]-1-(phenylmethyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



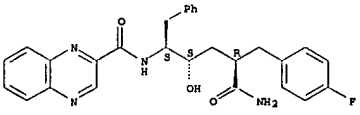
RN 212789-28-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-[[4-(trifluoromethoxy)phenyl]methyl]pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



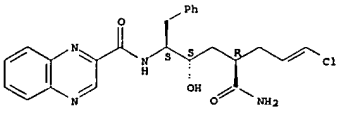
RN 212789-29-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-4-[(4-fluorophenyl)methyl]-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



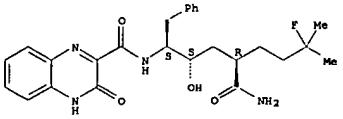
RN 212789-30-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-chloro-2-hydroxy-1-(phenylmethyl)-6-heptenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



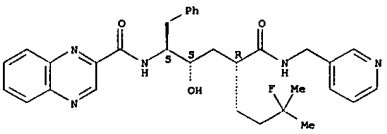
RN 212789-31-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



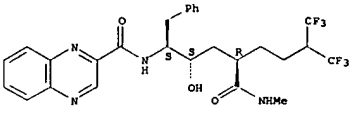
RN 212789-32-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[(3-pyridinylmethyl)amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



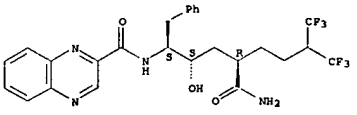
RN 212789-33-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-8,8,8-trifluoro-2-hydroxy-4-[(methylamino)carbonyl]-1-(phenylmethyl)-7-(trifluoromethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



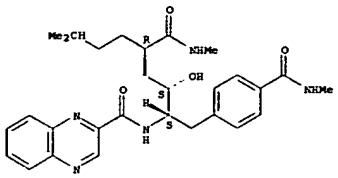
RN 212789-34-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-8,8,8-trifluoro-2-hydroxy-1-(phenylmethyl)-7-(trifluoromethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



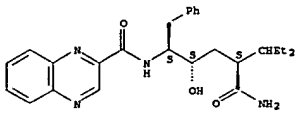
RN 212789-35-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-7-methyl-4-[(methylamino)carbonyl]-1-[[4-[(methylamino)carbonyl]phenyl]methyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



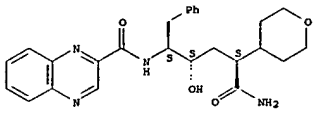
RN 212789-36-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-4-(aminocarbonyl)-5-ethyl-2-hydroxy-1-(phenylmethyl)heptyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



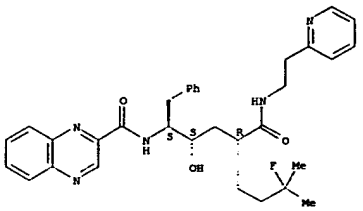
RN 212789-37-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-(tetrahydro-2H-pyran-4-yl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



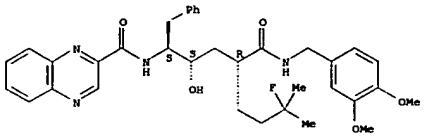
RN 212789-38-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



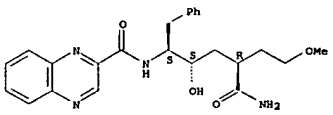
RN 212789-39-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[[(3,4-dimethoxyphenyl)methyl]amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



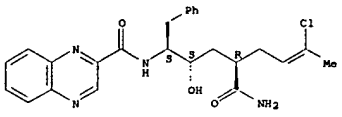
RN 212789-40-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-6-methoxy-1-(phenylmethyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



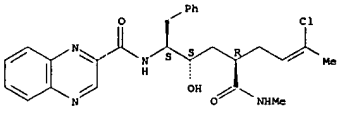
RN 212789-41-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-chloro-2-hydroxy-1-(phenylmethyl)-6-octenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



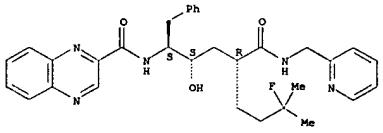
RN 212789-42-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-chloro-2-hydroxy-4-[(methylamino)carbonyl]-1-(phenylmethyl)-6-octenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



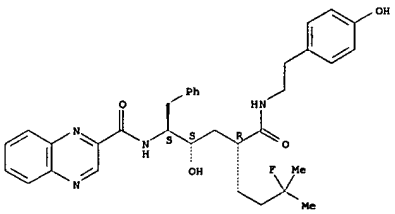
RN 212789-43-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[(2-pyridinylmethyl)amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



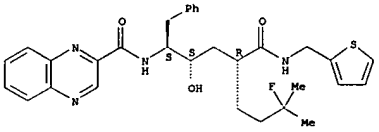
RN 212789-44-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[[[2-(4-hydroxyphenyl)ethyl]amino]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



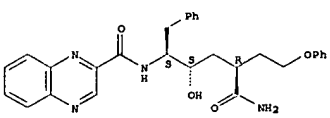
RN 212789-45-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[(2-thienylmethyl)amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



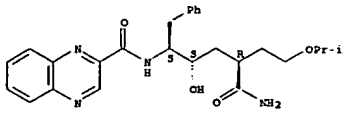
RN 212789-46-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-6-phenoxy-1-(phenylmethyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



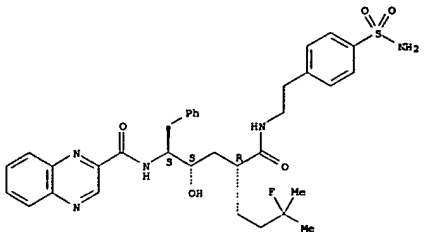
RN 212789-47-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2-hydroxy-6-(1-methylethoxy)-1-(phenylmethyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



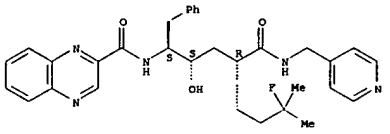
RN 212789-48-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[[2-[4-(aminosulfonyl)phenyl]ethyl]amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



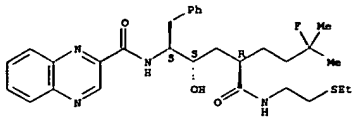
RN 212789-49-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[(4-pyridinylmethyl)amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



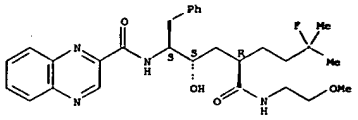
RN 212789-50-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[[2-(ethylthio)ethyl]amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



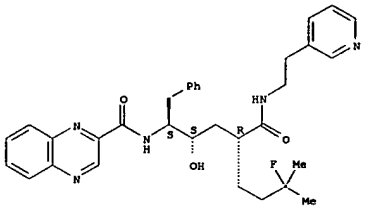
RN 212789-51-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[[(2-methoxyethyl)amino]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



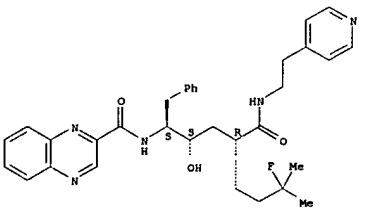
RN 212789-52-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[[2-(3-pyridinyl)ethyl]amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



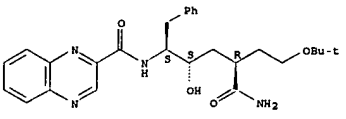
RN 212789-53-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[[2-(4-pyridinyl)ethyl]amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



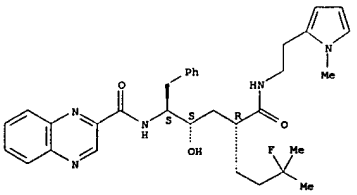
RN 212789-55-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-6-(1,1-dimethylethoxy)-2-hydroxy-1-(phenylmethyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



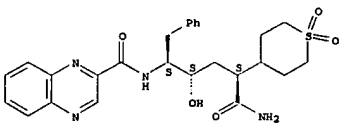
RN 212789-56-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-4-[[[2-(1-methyl-1H-pyrrol-2-yl)ethyl]amino]carbonyl]-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



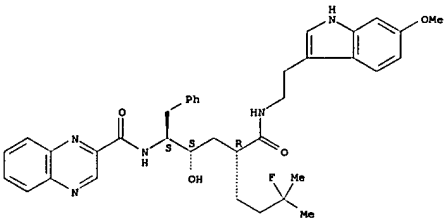
RN 212789-57-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl]pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



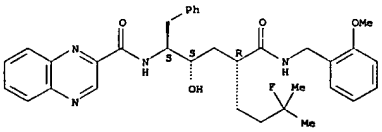
RN 212789-58-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[[[2-(6-methoxy-1H-indol-3-yl)ethyl]amino]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



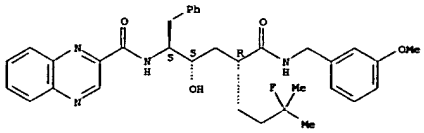
RN 212789-59-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[[[(2-methoxyphenyl)methyl]amino]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



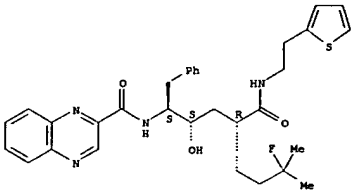
RN 212789-60-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[[[(3-methoxyphenyl)methyl]amino]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



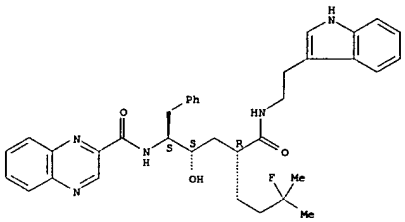
RN 212789-61-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[[2-(2-thienyl)ethyl]amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



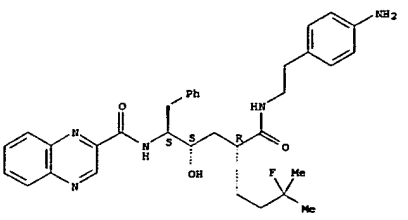
RN 212789-62-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[[[2-(1H-indol-3-yl)ethyl]amino]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



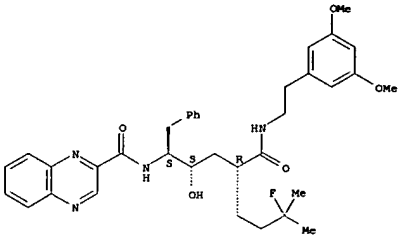
RN 212789-63-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[[2-(4-aminophenyl)ethyl]amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



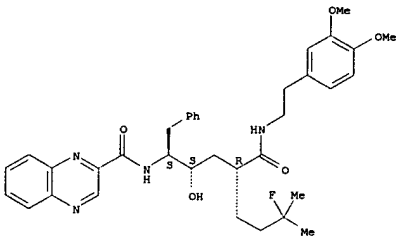
RN 212789-64-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[[2-(3,5-dimethoxyphenyl)ethyl]amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



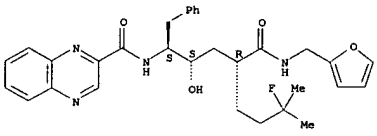
RN 212789-65-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



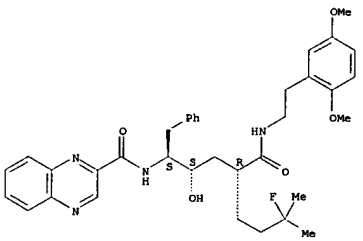
RN 212789-66-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-4-[[(2-furanylmethyl)amino]carbonyl]-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



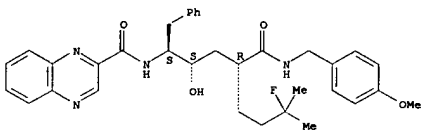
RN 212789-67-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[[2-(2,5-dimethoxyphenyl)ethyl]amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



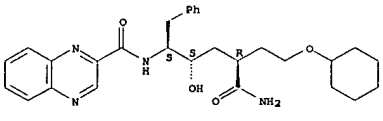
RN 212789-68-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



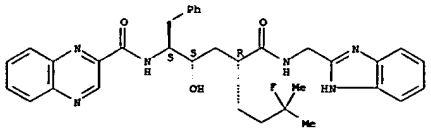
RN 212789-69-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-6-(cyclohexyloxy)-2-hydroxy-1-(phenylmethyl)hexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



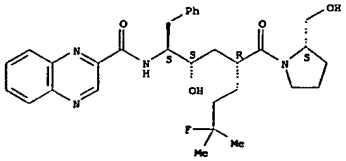
RN 212789-70-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[(1H-benzimidazol-2-ylmethyl)amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



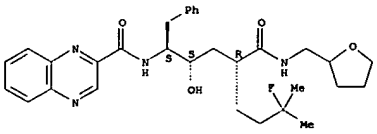
RN 212789-71-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-4-[[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



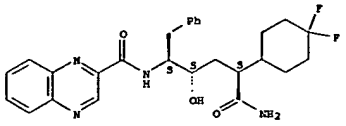
RN 212789-72-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



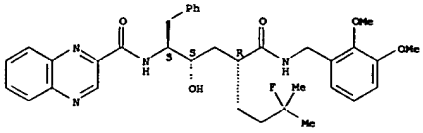
RN 212789-73-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-4-(4,4-difluorocyclohexyl)-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



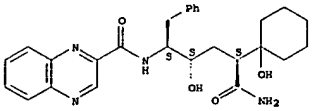
RN 212789-74-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-[[[(2,3-dimethoxyphenyl)methyl]amino]carbonyl]-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



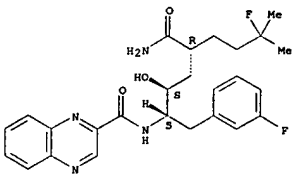
RN 212789-75-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(1-hydroxycyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



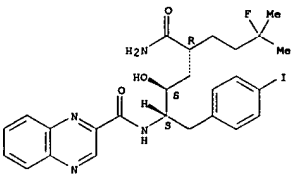
RN 212789-76-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-fluoro-1-[(3-fluorophenyl)methyl]-2-hydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



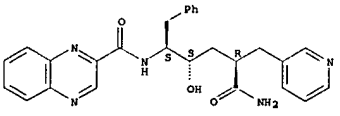
RN 212789-81-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-fluoro-2-hydroxy-1-[(4-iodophenyl)methyl]-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



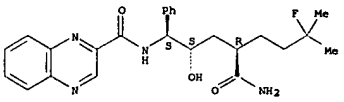
RN 212789-90-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-(3-pyridinylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



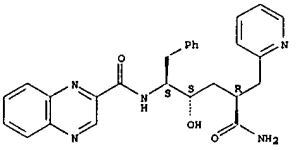
RN 212789-95-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-7-fluoro-2-hydroxy-7-methyl-1-phenyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



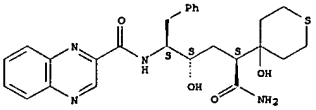
RN 212789-96-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-(2-pyridinylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



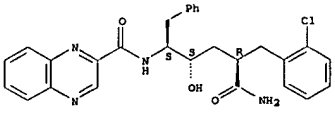
RN 212789-98-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



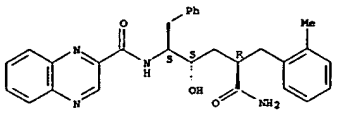
RN 212790-01-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-4-[(2-chlorophenyl)methyl]-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



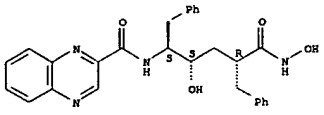
RN 212790-02-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-4-[(2-methylphenyl)methyl]-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



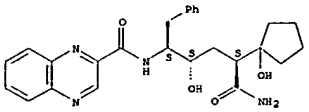
RN 212790-03-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2-hydroxy-5-(hydroxyamino)-5-oxo-1,4-bis(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



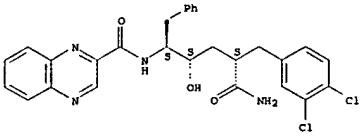
RN 212790-04-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(1-hydroxycyclopentyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212790-05-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-4-[(3,4-dichlorophenyl)methyl]-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

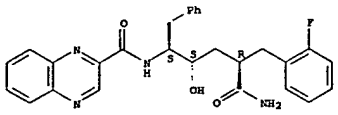
Absolute stereochemistry.



RN 212790-06-2 CAPLUS

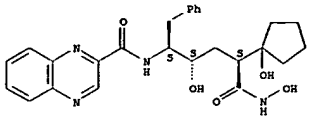
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-4-[(2-fluorophenyl)methyl]-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



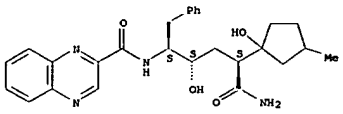
RN 212790-07-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-2-hydroxy-5-(hydroxyamino)-4-(1-hydroxycyclopentyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



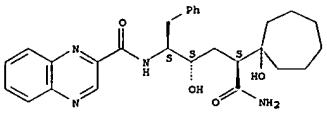
RN 212790-08-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(1-hydroxy-3-methylcyclopentyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212790-13-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(1-hydroxycycloheptyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

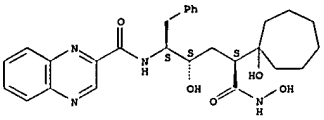
Absolute stereochemistry.



RN 212790-14-2 CAPLUS

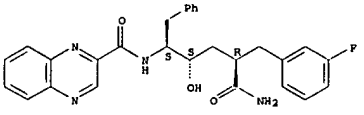
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-4-[(2-fluorophenyl)methyl]-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



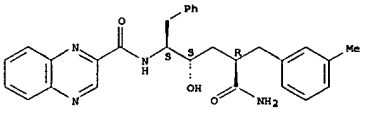
RN 212790-15-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-4-[(3-fluorophenyl)methyl]-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



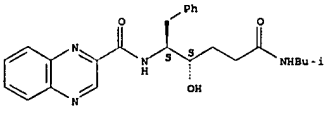
RN 212790-16-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-4-[(3-methylphenyl)methyl]-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212790-17-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S)-2-hydroxy-5-[(2-methylpropyl)amino]-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

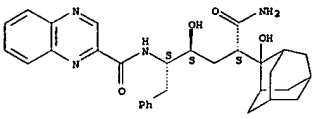
Absolute stereochemistry.



RN 212790-18-6 CAPLUS

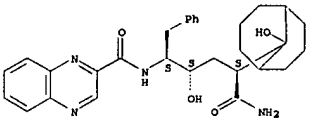
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-[(2-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



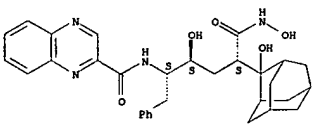
RN 212790-19-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(9-hydroxybicyclo[3.3.1]non-9-yl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



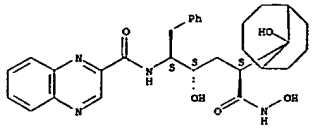
RN 212790-20-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-2-hydroxy-5-(hydroxyamino)-4-(2-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



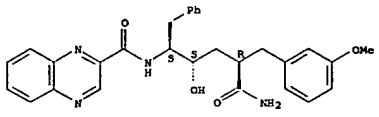
RN 212790-21-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-2-hydroxy-5-(hydroxyamino)-4-(9-hydroxybicyclo[3.3.1]non-9-yl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



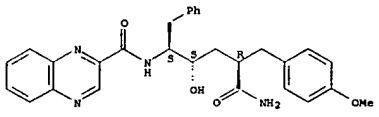
RN 212790-22-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-4-[(3-methoxyphenyl)methyl]-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



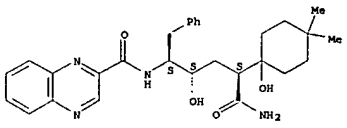
RN 212790-23-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-2-hydroxy-4-[(4-methoxyphenyl)methyl]-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212790-24-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(1-hydroxy-4,4-dimethylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

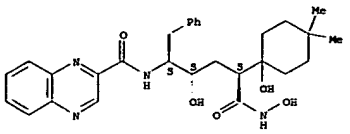
Absolute stereochemistry.



RN 212790-25-5 CAPLUS

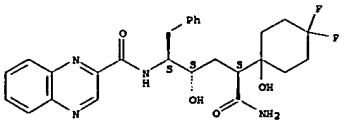
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-2-hydroxy-5-(hydroxyamino)-4-(1-hydroxy-4,4-dimethylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



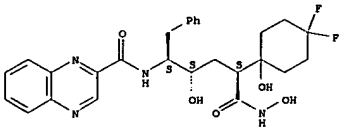
RN 212790-26-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-4-(4,4-difluoro-1-hydroxycyclohexyl)-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



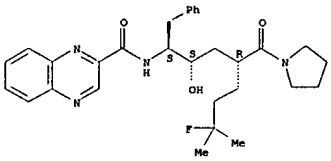
RN 212790-27-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-4-(4,4-difluoro-1-hydroxycyclohexyl)-2-hydroxy-5-(hydroxyamino)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



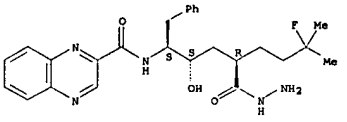
RN 212790-28-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-7-fluoro-2-hydroxy-7-methyl-1-(phenylmethyl)-4-(1-pyrrolidinylcarbonyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



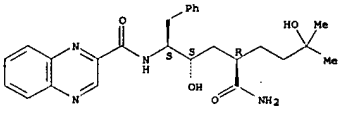
RN 212790-29-9 CAPLUS
CN Benzenehexanoic acid, α-(3-fluoro-3-methylbutyl)-γ-hydroxy-δ-[(2-quinoxalinylcarbonyl)amino]-, hydrazide, (αR,γS,δS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



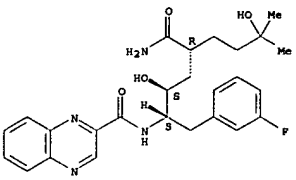
RN 212790-30-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2,7-dihydroxy-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



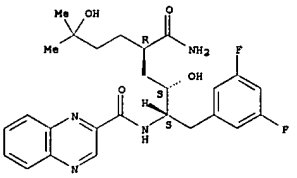
RN 212790-31-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



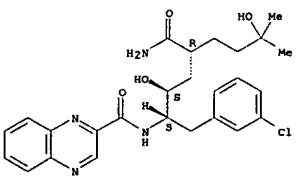
RN 212790-32-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3,5-difluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



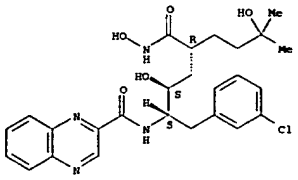
RN 212790-33-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3-chlorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



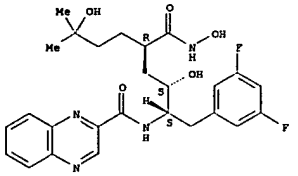
RN 212790-34-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(3-chlorophenyl)methyl]-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



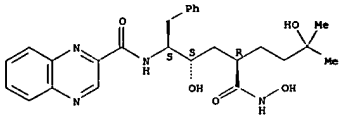
RN 212790-37-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



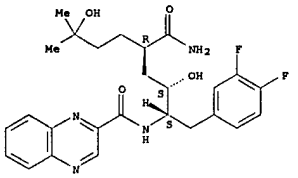
RN 212790-38-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyl-1-(phenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



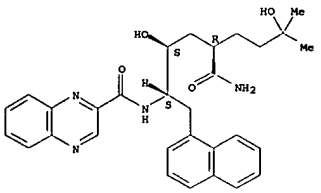
RN 212790-42-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(2-fluorophenyl)methyl]-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



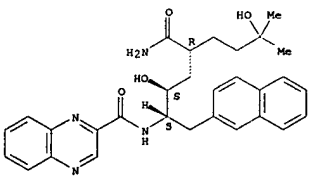
RN 212790-47-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2,7-dihydroxy-7-methyl-1-(1-naphthalenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



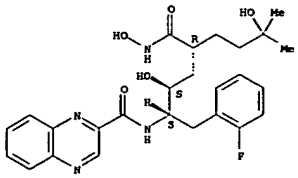
RN 212790-49-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-2,7-dihydroxy-7-methyl-1-(2-naphthalenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



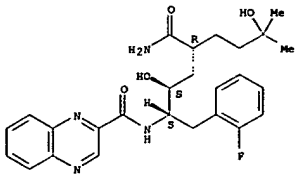
RN 212790-50-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyl-1-(2-naphthalenylmethyl)octyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



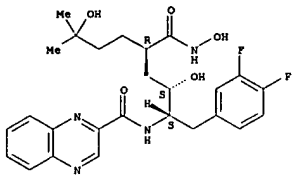
RN 212790-44-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(2-fluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



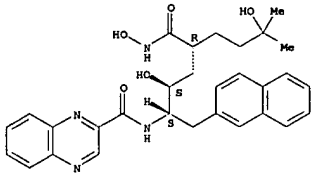
RN 212790-45-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-1-[(3,4-difluorophenyl)methyl]-2,7-dihydroxy-4-[(hydroxyamino)carbonyl]-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

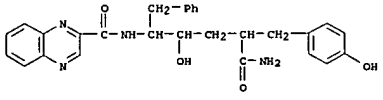


RN 212790-46-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-4-(aminocarbonyl)-1-[(3,4-difluorophenyl)methyl]-2,7-dihydroxy-7-methyloctyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

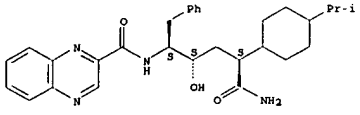


RN 212790-52-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[5-amino-2-hydroxy-4-[(4-hydroxyphenyl)methyl]-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)



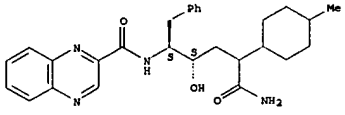
RN 212835-27-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-[4-(1-methylethyl)cyclohexyl]-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212835-28-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S)-5-amino-2-hydroxy-4-(4-methylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

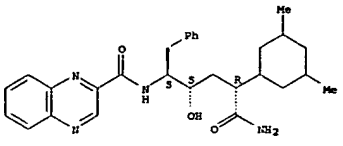
Absolute stereochemistry.



RN 212835-29-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4R)-5-amino-4-(3,5-dimethylcyclohexyl)-

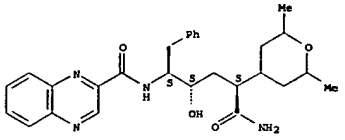
2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



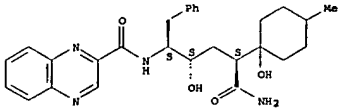
RN 212835-30-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-5-oxo-1-(phenylmethyl)-4-(tetrahydro-2,6-dimethyl-2H-pyran-4-yl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



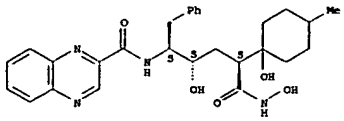
RN 212835-32-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(1-hydroxy-4-methylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



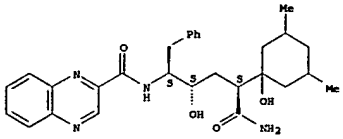
RN 212835-33-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-2-hydroxy-5-(hydroxyamino)-4-(1-hydroxy-4-methylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



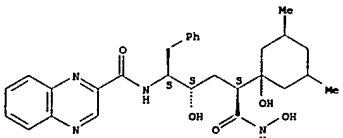
RN 212835-34-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(1-hydroxy-3,5-dimethylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



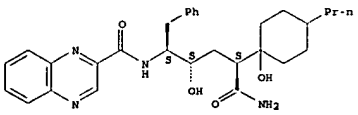
RN 212835-35-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-2-hydroxy-5-(hydroxyamino)-4-(1-hydroxy-3,5-dimethylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



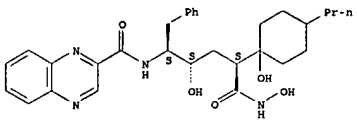
RN 212835-36-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-(1-hydroxy-4-propylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



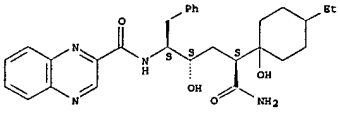
RN 212835-37-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-2-hydroxy-5-(hydroxyamino)-4-(1-hydroxy-4-propylcyclohexyl)-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



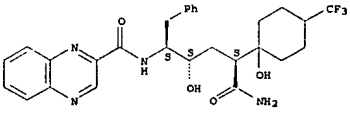
RN 212835-38-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-4-(4-ethyl-1-hydroxycyclohexyl)-2-hydroxy-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



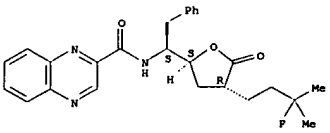
RN 212835-39-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S,4S)-5-amino-2-hydroxy-4-[1-hydroxy-4-(trifluoromethyl)cyclohexyl]-5-oxo-1-(phenylmethyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 212790-56-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroaryl-substituted hexanamides and their use as selective inhibitors of MIP-1 α binding to its CCR1 receptor)
RN 212790-56-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S)-1-[(2S,4R)-4-(3-fluoro-3-methylbutyl)tetrahydro-5-oxo-2-furanyl]-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

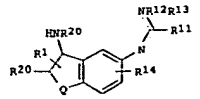


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 145 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:509179 CAPLUS
DOCUMENT NUMBER: 129:148906
TITLE: Preparation of 1-acylamino-2-hydroxy-6-iminoindanes and related compounds having muscarinic receptor activity.
INVENTOR(S): Huff, Bret E.; Staszak, Michael A.; Ward, John S.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831660	A1	19980723	WO 1998-US1145	19980121
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2278424	AA	19980723	CA 1998-2278424	19980121
AU 9859266	A1	19980807	AU 1998-59266	19980121
EP 971885	A1	20000119	EP 1998-902664	19980121
EP 971885	B1	20031210		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001510481	T2	20010731	JP 1998-534672	19980121
AT 256104	E	20031215	AT 1998-902664	19980121
ES 2212263	T3	20040716	ES 1998-902664	19980121
US 6211364	B1	20010403	US 1999-319652	19990610
PRIORITY APPLN. INFO.:			US 1997-35428P	P 19970122
			WO 1998-US1145	W 19980121
OTHER SOURCE(S):	MARPAT 129:148906			

GI



I

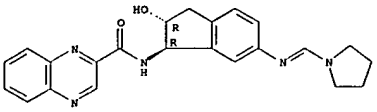
AB Title compds. [I; R1 = OR4, SR5, alkyl, alkenyl, halo, cyano, acyl(oxy); R20 = protecting group; R4, R5 = H, alkyl; R10 = H, carbonyl, halo, alkyl; R11 = H, alkyl; R12, R13 = H, alkyl, aryl; R12R13 = specified (substituted) heterocyclyl; R11R12N = 3-6 membered ring; R14 = H, halo, alkyl, alkoxy, etc.; Q = (CH2)n; n = 0-3], were prepared by reaction of [II; R21, R22 = H, O; R20 = protecting group; R = OR4, SR5, alkyl, alkenyl, halo, cyano, acyl(oxy)] with R13R12NCR11(OMe)2 (variables as above). I stimulated cAMP production in CHO-m4 cells by <20 % to 214% compared to oxotremorine-M.

IT 194028-33-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-acylamino-2-hydroxy-6-iminoindanes and related compds. having muscarinic receptor activity)

RN 194028-33-6 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1R,2R)-2,3-dihydro-2-hydroxy-6-[(1-pyrrolidinylmethylene)amino]-1H-inden-1-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



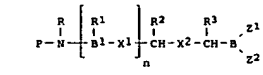
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 146 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:479021 CAPLUS
DOCUMENT NUMBER: 129:122868
TITLE: Preparation of peptidylboronic ester and acid compounds as proteasome inhibitors
INVENTOR(S): Adams, Julian; Ma, Yu-Ting; Stein, Ross; Baevsky, Matthew; Grenier, Louis; Plamondon, Louis
PATENT ASSIGNEE(S): Proscript, Inc., USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 442,581.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5780454	A	19980714	US 1995-549318	19951027
US 6083903	A	20000704	US 1995-442581	19950516
US 6066730	A	20000523	US 1998-85404	19980526
US 6297217	B1	20011002	US 2000-490511	20000125
US 6465433	B1	20021015	US 2001-953540	20010914
US 2002173488	A1	20021121	US 2002-100295	20020318
US 6548668	B2	20030415		
US 6617317	B1	20030909	US 2002-125997	20020419
US 2003199561	A1	20031023	US 2003-392165	20030319
US 6747150	B2	20040608		
US 2004167332	A1	20040826	US 2003-730231	20031208

PRIORITY APPLN. INFO.:
US 1994-330525 B2 19941028
US 1995-442581 A2 19950516
US 1995-549318 A3 19951027
US 1998-85404 A3 19980526
US 2000-490511 A1 20000125
US 2001-953540 A1 20010914
US 2002-100295 A1 20020318
US 2002-125997 A1 20020419
US 2003-392165 A1 20030319

OTHER SOURCE(S): MARPAT 129:122868
GI



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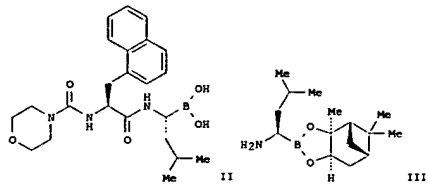


II III

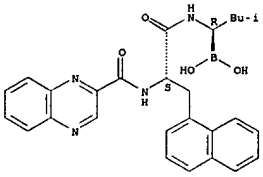
AB Disclosed herein is a method for reducing the rate of degradation of proteins in an animal comprising contacting cells of the animal with certain boronic ester and acid compds I [P = aryl-, aralkyl-, heteroaryl-, or heteroarylalkylcarbonyl or -sulfonyl; B1 = N, CH; X1, X2 = CONH, CH(OH)CH2, COCH2; n = 0, 1, 2; R = H, alkyl; RR1 or RR2 (for n = 0) may form a ring; R1, R2, R3 = H, alkyl, cycloalkyl, aryl, etc.; Z1, Z2 = alkyl, hydroxy, alkoxy, aryloxy; Z1Z2 may form a moiety derived from a dihydroxy compound]. Also disclosed herein are novel boronic ester and acid compds., their synthesis and uses. Thus, peptidylboronic acid II was prepared by coupling pinanediol leucine boronate ester III with N-Boc-β-(1-naphthyl)-L-alanine, followed by deprotection, acylation with 4-morpholinecarbonyl chloride, and cleavage of the pinanediol moiety. II inhibited proteasome 20S with Ki = 0.18 nM.

IT 179324-42-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidylboronic ester and acid compds. as proteasome inhibitors)

RN 179324-42-6 CAPLUS

CN Boronic acid, [(1R)-3-methyl-1-[[(2S)-3-(1-naphthalenyl)-1-oxo-2-[(2-quinoxalinylcarbonyl)amino]propyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

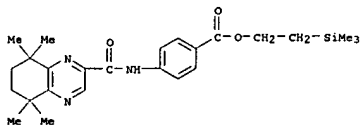
L5 ANSWER 147 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:450918 CAPLUS
DOCUMENT NUMBER: 129:197559
TITLE: Synthesis and Structure-Activity Relationships of 2-Pyrazinylcarboxamidobenzoates and β-Ionylideneacetamidobenzoates with Retinoidal Activity
AUTHOR(S): Jones, Paul; Villeneuve, Gerald B.; Fei, Chengpei; DeMarte, Josie; Haggarty, Allison J.; Nwe, Khin Than; Martin, Deborah A.; Lebuis, Anne-Marie; Finkelstein, Joshua M.; Gour-Salin, Barbara J.; Chan, Tak Hang; Leyland-Jones, Brian R.
CORPORATE SOURCE: Department of Oncology, McGill University, Montreal, QC, H3G 1Y6, Can.
SOURCE: Journal of Medicinal Chemistry (1998), 41(16), 3062-3077
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:197559

AB The structure-activity relationships of two series of novel retinoids (2-pyrazinylcarboxamidobenzoates and β-ionylideneacetamidobenzoates) have been investigated by evaluating their ability to induce differentiation in both human promyelocytic leukemia (HL60) cells and mouse embryonal carcinoma (P19) cells. The most active compound (ED50 = 8.3+10-9 M) of the 2-pyrazinylcarboxamidobenzoates is 4-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethylquinoxalyl)carboxamido]benzoic acid, while the most active analog of the β-ionylideneacetamidobenzoates is 4-[3-methyl-5-(2',6',6'-trimethyl-1'-cyclohexen-1'-yl)-(2E,4E)-pentadienamido]benzoic acid (ED50 = 3.2+10-8 M). The authors studies identify an absolute requirement for the carboxylic acid moiety on the aromatic ring to be para relative to the amide linkage for activity. Benzoate substitutions in the ortho position relative to the terminal carboxylate are well-tolerated; however, a methoxy substituent meta relative to the terminal carboxylate gives rise to only weakly active analogs. Conformational studies (NMR, x-ray crystallog.) of the 2-pyrazinylcarboxamidobenzoates indicate that the preferred conformation exhibits a trans-amide bond and an internal hydrogen bond between the quinoxaline N1 and HN amide which locks the torsional angle between C2 and CO in the s-trans conformation. N-methylation results in loss of activity. Studies indicate that there is now a cis-amide bond present which redirects the carboxylate toward the pharmacophoric gem-di-Me groups. The distance between the gem-di-Me group and the terminal carboxylate appears to be too short to activate the retinoid receptor. N-Methylation in the β-ionylideneacetamidobenzoate series also results in the formation of a cis-amide bond and loss of activity.

IT 212118-18-8P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis and structure-activity relationships of 2-pyrazinylcarboxamidobenzoates and β-ionylideneacetamidobenzoates with retinoidal activity in inducing differentiation in cancer)

RN 212118-18-8 CAPLUS

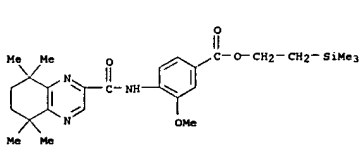
CN Benzoic acid, 4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



IT 212118-19-9P 212118-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis and structure-activity relationships of 2-pyrazinylcarboxamidobenzoates and β-ionylideneacetamidobenzoates with retinoidal activity in inducing differentiation in cancer)

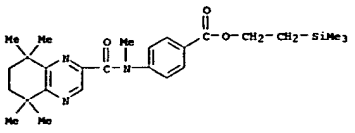
RN 212118-19-9 CAPLUS

CN Benzoic acid, 3-methoxy-4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

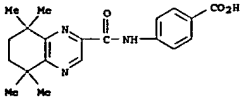


RN 212118-20-2 CAPLUS

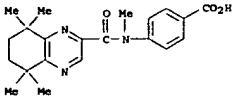
CN Benzoic acid, 4-[methyl[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)



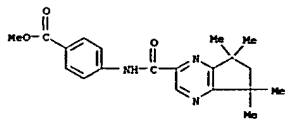
IT 187401-11-2P 212118-23-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and structure-activity relationships of 2-pyrazinylcarboxamidobenzoates and β-ionylideneacetamidobenzoates with retinoidal activity in inducing differentiation in cancer)
RN 187401-11-2 CAPLUS
CN Benzoic acid, 4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



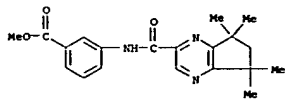
RN 212118-23-5 CAPLUS
CN Benzoic acid, 4-[methyl[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



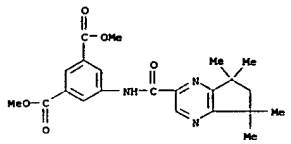
IT 212117-89-0P 212117-90-3P 212117-91-4P
212117-92-5P 212117-94-7P 212117-95-8P
212117-96-9P 212117-97-0P 212117-98-1P
212117-99-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and structure-activity relationships of 2-pyrazinylcarboxamidobenzoates and β-ionylideneacetamidobenzoates with retinoidal activity in inducing differentiation in cancer)
RN 212117-89-0 CAPLUS
CN Benzoic acid, 4-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



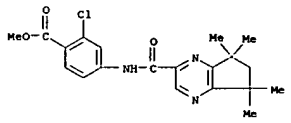
RN 212117-90-3 CAPLUS
CN Benzoic acid, 3-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 212117-91-4 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)

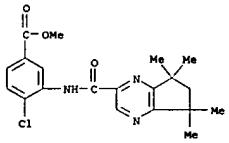


RN 212117-92-5 CAPLUS
CN Benzoic acid, 2-chloro-4-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

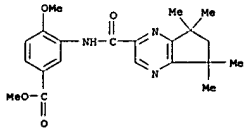


RN 212117-94-7 CAPLUS
CN Benzoic acid, 4-chloro-3-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX

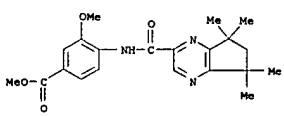
NAME)



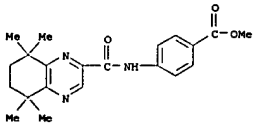
RN 212117-95-8 CAPLUS
CN Benzoic acid, 3-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-4-methoxy-, methyl ester (9CI) (CA INDEX NAME)



RN 212117-96-9 CAPLUS
CN Benzoic acid, 4-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-3-methoxy-, methyl ester (9CI) (CA INDEX NAME)

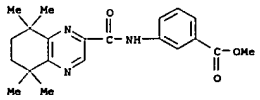


RN 212117-97-0 CAPLUS
CN Benzoic acid, 4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

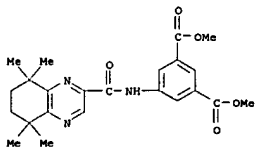


RN 212117-98-1 CAPLUS

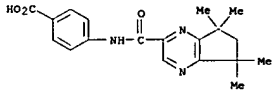
CN Benzoic acid, 3-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



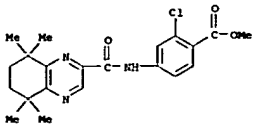
RN 212117-99-2 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)



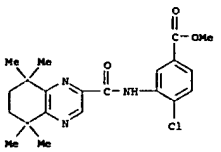
IT 187401-12-3P 212118-00-8P 212118-01-9P
212118-02-0P 212118-03-1P 212118-04-2P
212118-05-3P 212118-06-4P 212118-07-5P
212118-08-6P 212118-09-7P 212118-10-0P
212118-22-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and structure-activity relationships of 2-pyrazinylcarboxamidobenzoates and β-ionylideneacetamidobenzoates with retinoidal activity in inducing differentiation in cancer)
RN 187401-12-3 CAPLUS
CN Benzoic acid, 4-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



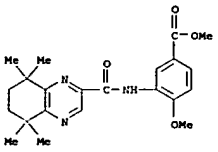
RN 212118-00-8 CAPLUS
CN Benzoic acid, 2-chloro-4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



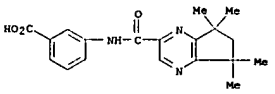
RN 212118-01-9 CAPLUS
CN Benzoic acid, 4-chloro-3-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



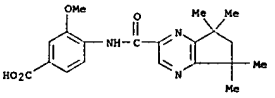
RN 212118-02-0 CAPLUS
CN Benzoic acid, 4-methoxy-3-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



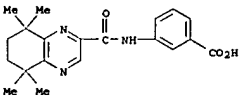
RN 212118-03-1 CAPLUS
CN Benzoic acid, 3-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



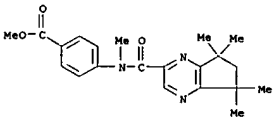
RN 212118-04-2 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



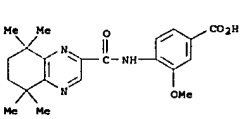
RN 212118-09-7 CAPLUS
CN Benzoic acid, 3-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 212118-10-0 CAPLUS
CN Benzoic acid, 4-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]methylamino]-, methyl ester (9CI) (CA INDEX NAME)



RN 212118-22-4 CAPLUS
CN Benzoic acid, 3-methoxy-4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 148 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:424263 CAPLUS
DOCUMENT NUMBER: 129:95714
TITLE: Preparation of new heterocyclic amides as nitric oxide production inhibitors
INVENTOR(S): Yatabe, Takumi; Inoue, Takayuki; Hamashima, Hitoshi; Shima, Ichiro; Ohne, Kazuhiko; Yoshihara, Kousei; Oku, Teruo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Itoh, Yoshikuni
SOURCE: PCT Int. Appl., 533 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

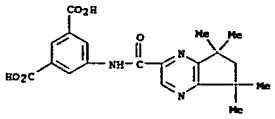
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827108	A2	19980625	WO 1997-JP4243	19971120
WO 9827108	A3	19980730		
W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9749680	A1	19980715	AU 1997-49680	19971120
EP 946587	A2	19991006	EP 1997-912529	19971120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001505585	T2	20010424	JP 1998-527528	19971120
ZA 9710603	A	19980625	ZA 1997-10603	19971125
PRIORITY APPLN. INFO.:			AU 1996-4219 A	19961216
			AU 1997-5929 A	19970401
			AU 1997-9030 A	19970909
			WO 1997-JP4243 W	19971120

OTHER SOURCE(S): MARPAT 129:95714
GI

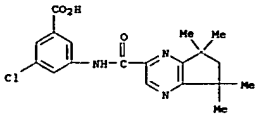
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = S, NR9; Y = CHR3, (un)substituted phenylene; R1 = (un)substituted indolyl, (un)substituted benzofuranyl; R2 = H, phenyl-lower alkyl; R3 = H, (CH2)nR6; R4 = H, (un)substituted Ph, (un)substituted pyridyl; R5 = H, imidazolyl, Ph, nitrophenyl, phenyl-lower alkyl, optionally esterified carboxy, CONR7R8; R4R5 = CH:CHCH:CH; R6 = optionally protected OH, acyl, carboxy, acylamino, lower alkoxy, phenyl-lower alkoxy, lower alkylthio, (un)substituted Ph; R7, R8 = independently H, Ph, phenyl-lower alkyl, lower alkyl, lower alkoxy; R9 = H, lower alkyl, lower cycloalkyl, (un)substituted benzyl; m = 0, 1; n = 0-3] and pharmaceutically acceptable salts thereof are described as strong inhibitors of the production of nitric oxide. Compds. I are useful for prevention and treatment of nitric oxide-mediated diseases such as adult respiratory distress syndrome, cardiovascular ischemia, myocarditis, heart failure, synovitis, shock, diabetes, diabetic nephropathy, diabetic retinopathy, diabetic neuropathy, glomerulonephritis, peptic ulcer, inflammatory bowel disease, cerebral infarction, cerebral ischemia, cerebral hemorrhage, migraine, rheumatoid arthritis, gout, neuritis, post-herpetic neuralgia, osteoarthritis, osteoporosis, systemic lupus erythematosus, rejection by organ transplantation, asthma, metastasis, Alzheimer's disease, arthritis, CNS disorders, dermatitis, hepatitis, liver cirrhosis, multiple sclerosis, pancreatitis, atherosclerosis, and the like in humans and animals. Thus, 2-step cyclocondensation of amino ketone II (preparation given) with protected 3-(2-pyridyl)-L-alanine and methylamine gave protected imidazole III (Boc = Me3CO2C). Deprotection of III followed by acylation with indole-2-carboxylic acid gave desired compound IV. IV inhibited nitric oxide production 100% in murine macrophage cell line RAW264.7 at 10-5 M.

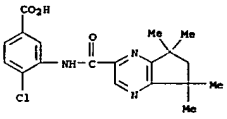
IT 209523-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new heterocyclic amides as nitric oxide production inhibitors)



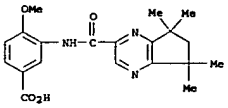
RN 212118-05-3 CAPLUS
CN Benzoic acid, 3-chloro-5-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 212118-06-4 CAPLUS
CN Benzoic acid, 4-chloro-3-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



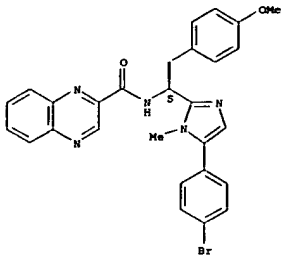
RN 212118-07-5 CAPLUS
CN Benzoic acid, 3-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-4-methoxy- (9CI) (CA INDEX NAME)



RN 212118-08-6 CAPLUS
CN Benzoic acid, 4-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 209523-39-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S)-1-[5-(4-bromophenyl)-1-methyl-1H-imidazol-2-yl]-2-(4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 149 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:402403 CAPLUS
DOCUMENT NUMBER: 129:81964
TITLE: Preparation and use of ketobenzamides as calpain inhibitors
INVENTOR(S): Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg
PATENT ASSIGNEE(S): BASF A.-G., Germany; Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825883	A1	19980618	WO 1997-EP6655	19971128
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2274464	AA	19980618	CA 1997-2274464	19971128
AU 9857523	A1	19980703	AU 1998-57523	19971128
AU 721620	B2	20000713		
EP 944582	A1	19990929	EP 1997-953714	19971128
EP 944582	B1	20030702		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
CN 1245486	A	20000223	CN 1997-181748	19971128
NZ 335981	A	20000428	NZ 1997-335981	19971128
BR 9713704	A	20000509	BR 1997-13704	19971128
JP 2001506614	T2	20010522	JP 1998-526156	19971128
RU 2190599	C2	20021010	RU 1999-115765	19971128
SK 282680	B6	20021106	SK 1999-745	19971128
AT 244216	E	20030715	AT 1997-953714	19971128
ES 2202663	T3	20040401	ES 1997-953714	19971128
HR 970680	B1	20020831	HR 1997-970680	19971210
ZA 9711141	A	19990611	ZA 1997-11141	19971211
TW 536530	B	20030611	TW 1997-86118865	19971211
US 6103720	A	20000815	US 1999-319511	19990608
NO 9902821	A	19990611	NO 1999-2821	19990610
KR 2000057495	A	20000915	KR 1999-705172	19990610
BG 63382	B1	20011231	BG 1999-103485	19990611

PRIORITY APPLN. INFO.: DE 1996-19651316 A 19961211; WO 1997-EP6655 W 19971128

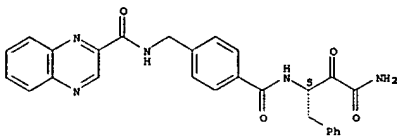
OTHER SOURCE(S): MARPAT 129:81964

AB The invention concerns ketobenzamides of formula R1X(R2)n-C6H3-CONHCH(R3)COCOR4 [(I) R1 = Ph, naphthyl, (substituted)(hetero)cycle; R2 = Cl, Br, F, NO2, NH2, NHR5, CO2H, (substituted)-alkyl, -alkenyl, -alkynyl, R5 = CO-alkyl, COPh, CO-C10H7, SO2-alkyl, CO-alkoxy, ureido, alkoxy; R3 = (substituted) alkyl; X = (substituted)(functionalized)chain from 0-10 atoms, or R2-substituted-C6H3; R4 = OH, (substituted)alkoxy, (substituted)NH2, heterocyclic ring], useful as calpain inhibitors. The invention further concerns their preparation The novel compds. are suitable for combating diseases. Thus, 3(S)-3-amino-2-hydroxy-4-phenylbutyric acid Me ester was condensed with 2-phenylbenzoic acid to give (S)-I [R1 = Ph; X = null; n = 0; R3 = CH2Ph; R4 = OMe(II)]. In in vitro calpain-inhibition tests, II had KI of <10 μM.

IT 209174-18-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and use of ketobenzamides as calpain inhibitors)

RN 209174-18-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[[[(1S)-3-amino-2,3-dioxo-1-(phenylmethyl)propyl]amino]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

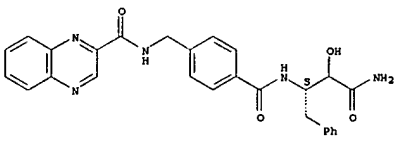
Absolute stereochemistry.



IT 209174-17-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and use of ketobenzamides as calpain inhibitors)

RN 209174-17-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[[[(1S)-3-amino-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]amino]carbonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 150 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:268489 CAPLUS
DOCUMENT NUMBER: 128:321568
TITLE: Anthranilic acid derivatives as multi drug resistance modulators
INVENTOR(S): Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth; Hunjan, Sukhjit; Polkes, Adrian John; Sanderson, Jason Terry; Williams, Susannah; Maximen, Levi Michael; et al.
PATENT ASSIGNEE(S): Xenova Ltd., UK; Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell, Julie Elizabeth; Hunjan, Sukhjit; Polkes, Adrian John; Sanderson, Jason Terry; Williams, Susannah; Maximen, Levi Michael
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817648	A1	19980430	WO 1997-GB2885	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268403	AA	19980430	CA 1997-2268403	19971017
AU 9746339	A1	19980515	AU 1997-46339	19971017
AU 741922	B2	20011213		
ZA 9709329	A	19990419	ZA 1997-9329	19971017
EP 934276	A1	19990811	EP 1997-945030	19971017
EP 934276	B1	20031217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9711935	A	19990824	BR 1997-11935	19971017
GB 2334521	A1	19990825	GB 1999-8193	19971017
GB 2334521	B2	20001004		
CN 1241181	A	20000112	CN 1997-180708	19971017
JP 2001502683	T2	20010227	JP 1998-519108	19971017
RU 2195454	C2	20021227	RU 1999-109990	19971017
AT 256663	E	20040115	AT 1997-945030	19971017
PT 934276	T	20040531	PT 1997-945030	19971017
ES 2210586	T3	20040701	ES 1997-945030	19971017
SK 284649	B6	20050804	SK 1999-509	19971017
TW 498074	B	20020811	TW 1997-86115402	19971018
BG 103327	A	20001130	BG 1999-103327	19990413
NO 9901836	A	19990617	NO 1999-1836	19990416
NO 313591	B1	20021028		
KR 2000049278	A	20000725	KR 1999-703389	19990417
US 6218393	B1	20010417	US 1999-284642	19990609
HK 1019330	A1	20010112	HK 1999-103771	19990901

PRIORITY APPLN. INFO.: WO 1996-GB2552 A 19961018; GB 1997-17576 A 19970819; WO 1997-GB2885 W 19971017

OTHER SOURCE(S): MARPAT 128:321568

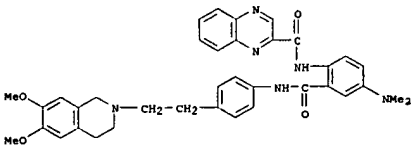
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anthranilic acid derivs. I [R, R1, R2 = H, alkyl, OH, alkoxy, halo, NO2, amino; or R1R2 = OCH2O or OCH2CH2O; R3 = H, alkyl; R4 = alkyl, or CH2 or CH2CH2 bridged to either Ph ring; R5 = H, OH, alkyl; X = bond, O, S, S(CH2)p, O(CH2)p; p = 1-6; R6 = H, alkyl, alkoxy; q = 0 or 1; Ar = (un)saturated carbo- or heterocyclic; R7, R8 = H, (un)substituted alkyl, alkoxy, OH, halo, Ph, NHOH, NO2, amino, SH, alkylthio; or R7R8 = CH:CHCH:CH or OCH2O; n = 0, 1; m = 0-6] and their pharmaceutically acceptable salts are disclosed. The compds. are inhibitors of P-glycoprotein, and may thus be used, inter alia, as modulators of multidrug resistance in the treatment of multidrug-resistant cancers, for example, to potentiate the cytotoxicity of a cancer drug. For instance, amidation of 3-quinolinecarboxylic acid with the corresponding aminothiophene derivative via the acid chloride gave title compound II in 44% yield. In a test for potentiation of doxorubicin toxicity to AR 1.0 cells, II had a potentiation index of 142 at 30 nM.

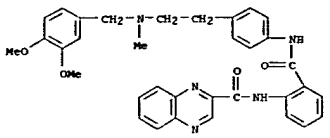
IT 206872-36-8P 206872-43-7P 206872-45-9P 206872-58-4P 206873-43-0P 206873-50-9P 206873-51-0P 206873-52-1P 206873-53-2P 206873-54-3P 206873-55-4P 206873-56-5P 206873-57-6P 206873-58-7P 206873-59-8P 206874-28-4P 206874-29-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranilic acid derivs. as multi-drug resistance modulators)

RN 206872-36-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-(dimethylamino)phenyl]- (9CI) (CA INDEX NAME)

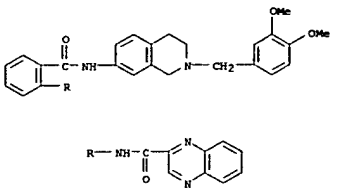


RN 206872-43-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-[[(3,4-

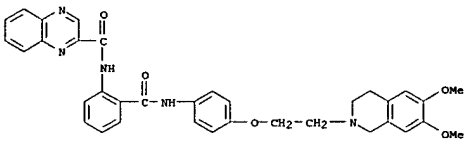
dimethoxyphenyl)methyl]methylamino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



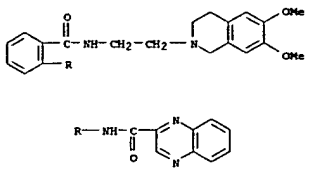
RN 206872-45-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[2-[[3,4-dimethoxyphenyl)methyl]-1,2,3,4-tetrahydro-7-isoquinolinyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



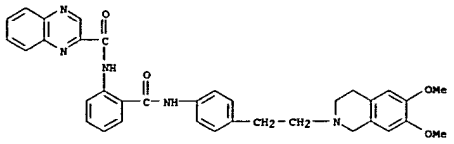
RN 206872-58-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethoxy]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



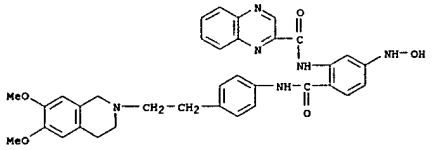
RN 206873-43-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



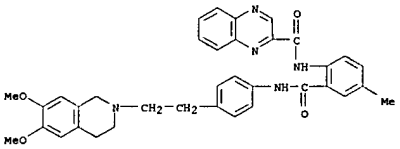
RN 206873-50-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



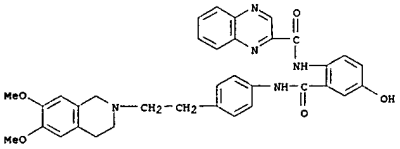
RN 206873-51-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-5-(hydroxyamino)phenyl]- (9CI) (CA INDEX NAME)



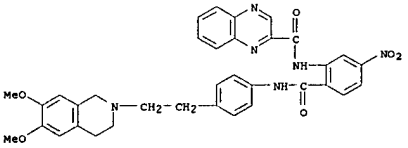
RN 206873-52-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-methylphenyl]- (9CI) (CA INDEX NAME)



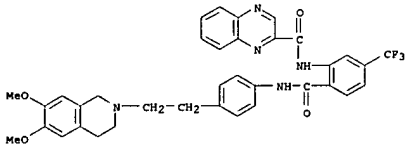
RN 206873-53-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



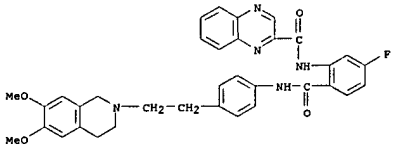
RN 206873-54-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-5-nitrophenyl]- (9CI) (CA INDEX NAME)



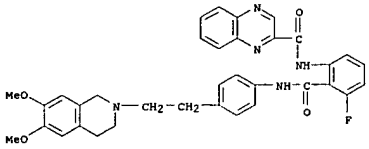
RN 206873-55-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



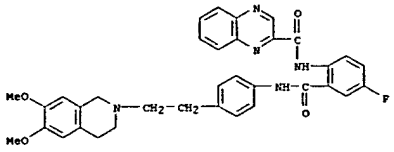
RN 206873-56-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-5-fluorophenyl]- (9CI) (CA INDEX NAME)



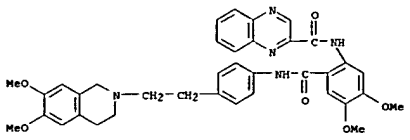
RN 206873-57-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-3-fluorophenyl]- (9CI) (CA INDEX NAME)



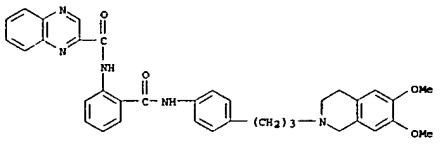
RN 206873-58-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-fluorophenyl]- (9CI) (CA INDEX NAME)



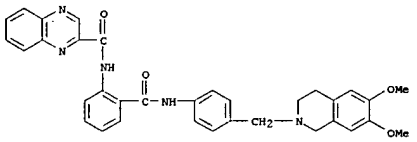
RN 206873-59-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)



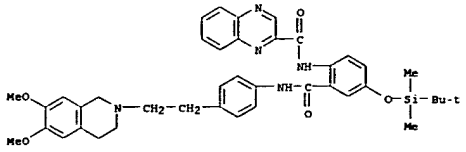
RN 206874-28-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 206874-29-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)methyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

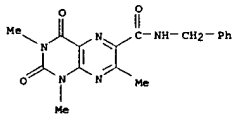


IT 206874-80-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anthranilic acid derivs. as multi-drug resistance modulators)
RN 206874-80-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 151 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:224554 CAPLUS
DOCUMENT NUMBER: 128:243871
TITLE: Side chain reactions of 6-acetyl-1,3,7-trimethyllumazine
AUTHOR(S): Kim, Yeonhee; Kim, Jaeseung; Kang, Yonghan
CORPORATE SOURCE: Department of Chemistry, Hanyang University, Kyungki-Do, 425-791, S. Korea
SOURCE: Chemistry and Biology of Pteridines and Folates 1997, Proceedings of the International Symposium on Pteridines and Folates, 11th, Berchtesgaden, Germany, June 15-20, 1997 (1997), 41-44. Editor(s): Pfleiderer, Wolfgang; Rokos, Hartmut. Blackwell Wissenschafts-Verlag GmbH: Berlin, Germany. CODEN: 65VBAF
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Reactions of the 6-acetyl-1,3,7-trimethyllumazine side chain are explored.
IT 109879-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(side chain reactions of acetyltrimethyllumazine)
RN 109879-41-6 CAPLUS
CN 6-Pteridinecarboxamide, 1,2,3,4-tetrahydro-1,3,7-trimethyl-2,4-dioxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 152 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:38306 CAPLUS
DOCUMENT NUMBER: 128:114786
TITLE: Substituted benzoic acid derivatives for use in treating type II diabetes
INVENTOR(S): Hemmerle, Horst; Below, Peter; Burger, Hans-Joerg; Herling, Andreas; Jaehne, Gerhard
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 74 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19624155	A1	19980108	DE 1996-19624155	19960618
EP 816329	A1	19980107	EP 1997-108869	19970603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 10182551	A2	19980707	JP 1997-175170	19970617
PRIORITY APPLN. INFO.:			DE 1996-19624155 A	19960618
OTHER SOURCE(S):	MARPAT 128:114786			

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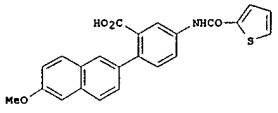
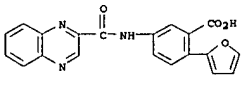
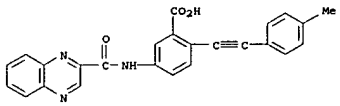


I

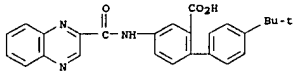
AB 2,5-R1R2C6H3CO2R3 [R1 = alkyl, perfluoroalkyl, hydroxyalkyl, alkynyl, aralkyl, aralkynyl, aryl, heteroaryl, alkoxy, aralkoxy; R2 = alkyl, alkenyl, alkynyl, aralkyl, aralkynyl, aryl, cycloalkenylalkynyl, heteroaryl, acylamino, sulfonylamino, acyl; R3 = H, alkyl] were prepared by solution or solid-phase methods for use in treating type II diabetes. The acid I caused 93% glucose-6-phosphatase inhibition at 100 μM in vitro.
IT 201660-59-5P 201660-73-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzoic acid derivs. for use in treating type II diabetes)
RN 201660-59-5 CAPLUS
CN Benzoic acid, 2-(2-furanyl)-5-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



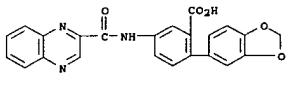
RN 201660-73-3 CAPLUS
CN Benzoic acid, 2-[(4-methylphenyl)ethynyl]-5-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



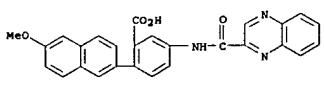
IT 201661-37-2P 201661-80-5P 201661-89-4P 201662-04-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzoic acid derivs. for use in treating type II diabetes)
RN 201661-37-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-(1,1-dimethylethyl)-4-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



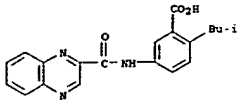
RN 201661-80-5 CAPLUS
CN Benzoic acid, 2-(1,3-benzodioxol-5-yl)-5-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



RN 201661-89-4 CAPLUS
CN Benzoic acid, 2-(6-methoxy-2-naphthalenyl)-5-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



RN 201662-04-6 CAPLUS
CN Benzoic acid, 2-(2-methylpropyl)-5-[(2-quinoxalinylcarbonyl)amino]- (9CI) (CA INDEX NAME)

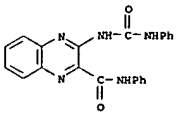


L5 ANSWER 153 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:620417 CAPLUS
DOCUMENT NUMBER: 127:318935
TITLE: Behavior of N-benzenesulfonyloxy- and N-acetoxy-2,3-quinoxalinedicarboximides towards some nucleophiles
AUTHOR(S): Youssef, Mohamed Salah Kamel; Abbady, Mohamed Saad
CORPORATE SOURCE: Chem. Dep., Faculty Science, Assiut University, Assiut, Egypt
SOURCE: Heterocycles (1997), 45(9), 1671-1678
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

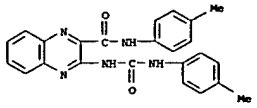
AB The reactions of N-(benzenesulfonyloxy)2,3-quinoxalinedicarboximide (I) and N-acetoxy-2,3-quinoxalinedicarboximide (II) with different nucleophilic reagents have been investigated. Thus, treatment of I with aromatic amines gave N-aryl-3-(arylureido)-2-quinoxalinecarboxamides via ring opening followed by Lossen rearrangement with the loss of sulfonate ion to give an intermediate isocyanate which adds another mole of aromatic amine. II failed to undergo Lossen rearrangement when treated with aromatic amines; it reacted with PhNH2 to give N-phenylquinoxaline-2,3-dicarboxylic acid imide and AcNHPh.

IT 197641-25-1P 197641-26-2P 197641-27-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(addition of nucleophiles to N-acetoxy- and N-(benzenesulfonyloxy)quinoxalinedicarboximides)

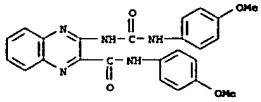
RN 197641-25-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-phenyl-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 197641-26-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methylphenyl)-3-[[[(4-methylphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 197641-27-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-3-[[[(4-methoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



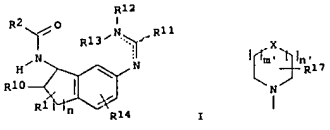
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 154 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:499097 CAPLUS
DOCUMENT NUMBER: 127:176278
TITLE: Preparation of indanes as antipsychotics
INVENTOR(S): Hollinshead, Sean P.; Huff, Bret E.; Hughes, Philip F.; Mendoza, Jose S.; Mitch, Charles H.; Staszak, Michael A.; Ward, John S.; Wilson, Joseph W.; et al.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725983	A1	19970724	WO 1997-US997	19970122
WO 9725983	A	19970724		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2243500	AA	19970724	CA 1997-2243500	19970122
CA 2243717	AA	19970724	CA 1997-2243717	19970122
AU 9718349	A1	19970811	AU 1997-18349	19970122
EP 874625	A1	19981104	EP 1997-903904	19970122
EP 874625	B1	20050316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000504320	T2	20000411	JP 1997-526290	19970122
AT 290859	E	20050415	AT 1997-903904	19970122
PT 874625	T	20050729	PT 1997-903904	19970122
ES 2237788	T3	20050801	ES 1997-903904	19970122

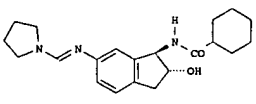
US 6429317 B1 20020806 US 2000-117089 20000905
PRIORITY APPLN. INFO.: US 1996-10287P P 19960122
WO 1997-US997 W 19970122

OTHER SOURCE(S): MARPAT 127:176278
GI



I

II



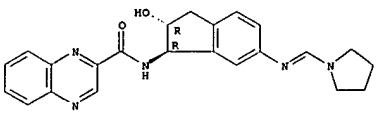
III

AB The title compds. [I; R1 = H, C1-3 alkyl, C2-3 alkenyl, etc.; R2 = C1-10 alkyl, C2-10 alkenyl, aryl, etc.; R10 = H, halo, C1-3 alkyl, C(O); R11 = H, C1-3 alkyl; R12 = R13 = H, C1-10 alkyl, aryl; R12R13 = II (wherein X = C, O, S, etc.; m' = 0-2; n' = 0-2; R17 = H, halo, C1-3 alkyl, etc.); R11R12 = 3-6-membered ring; R14 = H, halo, C1-3 alkyl, etc.], useful for treating psychosis and other conditions associated with the modulation of a muscarinic receptor, were prepared and formulated. For example, the title compound III showed 34% maximum stimulation of cAMP production in CHO m4 cells compared to Oxotremorine-M.

IT 194028-33-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indanes as antipsychotics)

RN 194028-33-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1R,2R)-2,3-dihydro-2-hydroxy-6-[(1-pyrrolidinylmethylene)amino]-1H-inden-1-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



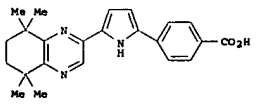
L5 ANSWER 155 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:189938 CAPLUS
DOCUMENT NUMBER: 126:186111
TITLE: Preparation of heterocyclic carboxylic acid derivatives as retinoid receptor agonists
INVENTOR(S): Kikuchi, Kouichi; Tagami, Katsuya; Yoshimura, Hiroyuki; Hibi, Shigeki; Nagai, Mitsuo; Abe, Shinya; Okita, Makoto; Hida, Takayuki; Higashi, Seiko; Tokuhara, Naoki; Kobayashi, Seiichi; et al.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9702244	A1	19970123	WO 1996-JP1782	19960627
W: AU, CA, CN, HU, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 09071566	A2	19970318	JP 1996-141433	19960604
AU 9662422	A1	19970205	AU 1996-62422	19960627
EP 838453	A1	19980429	EP 1996-921104	19960627
EP 838453	B1	20050427		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 294160	E	20050515	AT 1996-921104	19960627
EP 1559709	A1	20050803	EP 2005-1823	19960627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 5977108	A	19991102	US 1997-981770	19971230
US 6329402	B1	20011211	US 1999-313087	19990517
US 2002032202	A1	20020314	US 2001-910012	20010723
US 6541474	B2	20030401		
US 2002103234	A1	20020801	US 2001-910068	20010723
US 6630463	B2	20031007		
US 2003144276	A1	20030731	US 2003-336756	20030106
US 6884808	B2	20050426		

PRIORITY APPLN. INFO.:
JP 1995-166004 A 19950630
JP 1996-141433 A 19960604
EP 1996-921104 A3 19960627
WO 1996-JP1782 W 19960627
US 1997-981770 A3 19971230
US 1999-313087 XX 19990517
US 2001-910068 A3 20010723

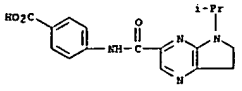
OTHER SOURCE(S): MARPAT 126:186111
GI



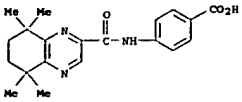
I

AB Heterocyclic carboxylic acid derivs. AB(D)nCOM [A is a heteroaryl group which has at least one nitrogen atom and may be substituted, or the like; B is heteroarylene, CONH, CR6:CR7 (R6 and R7 being each H, lower alkyl or the like) or the like; D is arylene, heteroarylene or the like; n is 0 or 1; and M is hydroxyl, lower alkoxy or the like] are prepared. In an in vitro retinoid receptor binding assay, tetrahydroquinoxaline derivative I showed IC50 of 1.6 nM, vs. IC50 of 1.1 nM shown by all-trans-retinoic acid.

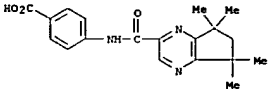
IT 187400-38-0P 187401-11-2P 187401-12-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic carboxylic acid derivs. as retinoid receptor agonists)
RN 187400-38-0 CAPLUS
CN Benzoic acid, 4-[[[6,7-dihydro-5-(1-methylethyl)-5H-pyrrolo[2,3-b]pyrazin-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)



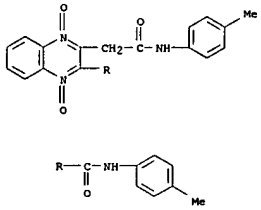
RN 187401-11-2 CAPLUS
CN Benzoic acid, 4-[[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-quinoxalinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 187401-12-3 CAPLUS
CN Benzoic acid, 4-[[(6,7-dihydro-5,5,7,7-tetramethyl-5H-cyclopentapyrazin-2-yl)carbonyl]amino]- (9CI) (CA INDEX NAME)



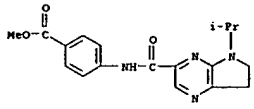
IT 187402-00-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic carboxylic acid derivs. as retinoid receptor agonists)
RN 187402-00-2 CAPLUS
CN Benzoic acid, 4-[[[6,7-dihydro-5-(1-methylethyl)-5H-pyrrolo[2,3-b]pyrazin-3-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



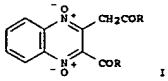
L5 ANSWER 157 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:466915 CAPLUS
DOCUMENT NUMBER: 125:143315
TITLE: Boronic ester and acid compounds, synthesis and uses
INVENTOR(S): Adams, Julian; Ma, Yu-Ting; Stein, Ross; Baevsky, Matthew; Grenier, Louis; Plamondon, Louis
PATENT ASSIGNEE(S): Proscript, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613266	A1	19960509	WO 1995-US14117	19951027
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6083903	A	20000704	US 1995-442581	19950516
AU 9641398	A1	19960523	AU 1996-41398	19951027
AU 710564	B2	19990923		
EP 788360	A1	19970813	EP 1995-939670	19951027
EP 788360	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10510245	T2	19981006	JP 1996-514834	19951027
JP 3717934	B2	20051116		
AT 241631	E	20030615	AT 1995-939670	19951027
FI 9701746	A	19970606	FI 1997-1746	19970423
NO 9701929	A	19970612	NO 1997-1929	19970425
NO 310558	B1	20010723		
HK 1002059	A1	20030905	HK 1998-100951	19980207
FI 2004001415	A	20041103	FI 2004-1415	20041103
PRIORITY APPLN. INFO.:			US 1994-330525 A	19941028
			US 1995-442581 A	19950516
			WO 1995-US14117 W	19951027

OTHER SOURCE(S): MARPAT 125:143315
AB Peptidyl boronic acids and esters PNR[B1R1X1]ACHR2X2CHR3BZ1Z2 [P = aryl-, aralkyl-, heteroaryl-, or heteroarylalkylcarbonyl or -sulfonyl; B1 = N,

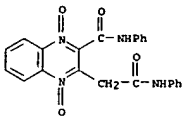


L5 ANSWER 156 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:570269 CAPLUS
DOCUMENT NUMBER: 125:247762
TITLE: Synthesis of biscarbonyl side chain substituted quinoxaline-1,4-dioxides
AUTHOR(S): Wang, Jiliang; Liu, Fuchu
CORPORATE SOURCE: Dep. Chem., Yunnan Univ., Kunming, 650091, Peop. Rep. China
SOURCE: Hecheng Huaxue (1996), 4(2), 171-173
CODEN: HEHUE2; ISSN: 1005-1511
PUBLISHER: Hecheng Huaxue Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



I

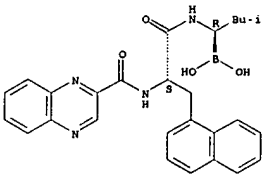
AB Reaction of benzofurazan 1-oxide with CO(CH2COR)2 (R = PhNH, 4-MeC6H4NH, MeO, EtO, PrO) in THF in the presence of KOH gave 9.4-59% the title compds. I.
IT 182006-89-9P 182006-92-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of biscarbonyl side chain substituted quinoxaline-1,4-dioxides)
RN 182006-89-9 CAPLUS
CN 2-Quinoxalineacetamide, N-phenyl-3-[(phenylamino)carbonyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



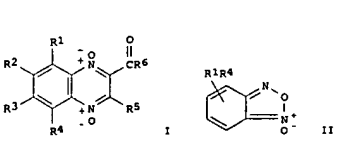
RN 182006-92-4 CAPLUS
CN 2-Quinoxalineacetamide, N-(4-methylphenyl)-3-[[(4-methylphenyl)amino]carbonyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)

CH; X1, X2 = CONH, CH(OH)CH2, COCH2; A = 0, 1, 2; R = H, alkyl; RR1 or RR2 (for A = 0) may form a ring; R1, R2, R3 = H, alkyl, cycloalkyl, aryl, etc.; Z1, Z2 = alkyl, hydroxy, alkoxy, aryloxy; Z1Z2 may form a moiety derived from a dihydroxy compound] and their pharmaceutically acceptable salts were prepared The rate of degradation of proteins of an animal can be reduced by contacting cells of the animal with these boronic compds. Thus, N-(4-morpholinecarbonyl)-β-(1-naphthyl)-L-alanine-L-leucine boronic acid was prepared by coupling (1S,2S,3R,5S)-pinanediol leucine boronate trifluoroacetate salt with N-Boc-β-(1-naphthyl)-L-alanine, followed by deprotection, acylation with 4-morpholinecarbonyl chloride, and cleavage of the pinanediol moiety.
IT 179324-42-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis of peptidyl boronic acids and esters as proteolytic enzyme inhibitors)
RN 179324-42-6 CAPLUS
CN Boronic acid, [(1R)-3-methyl-1-[[(2S)-3-(1-naphthalenyl)-1-oxo-2-[(2-quinoxalinylcarbonyl)amino]propyl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



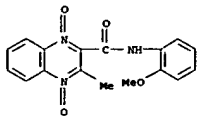
L5 ANSWER 158 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:433850 CAPLUS
DOCUMENT NUMBER: 125:114563
TITLE: Synthesis and antibacterial properties of quinoxaline 1,4-dioxide derivatives
AUTHOR(S): Takabatake, Tohru; Takabatake, Yumiko; Miyazawa, Tomoyuki; Hasegawa, Minoru
CORPORATE SOURCE: College Pharmacy, Nihon Univ., Funabashi, 274, Japan
SOURCE: Yakugaku Zasshi (1996), 116(6), 491-496
CODEN: YKKZAJ; ISSN: 0031-6903
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



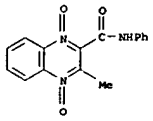
AB Title compds. I (R1, R2, R4 = H, Me; R3 = H, Me, MeO; R5 = Me, CH2CO2Me, Ph, 4-O2NC6H4; R6 = Me, MeO, EtO, Ph, PhNH, 2-, 4-MeOC6H4NH, 4-ClC6H4, 2-MeC6H4) were prepared from benzofuroxans II and R5COCH2COR6 catalyzed by silica gel or mol. sieves and their antibacterial activities. I showed strong in vitro activities against Bacteroides fragilis.

IT 23433-48-9P 31983-89-8P 104705-39-7P 111888-44-9P 111888-45-0P 111888-46-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial properties of quinoxaline 1,4-dioxide derivs.)

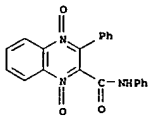
RN 23433-48-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



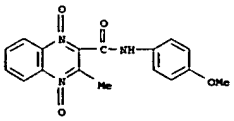
RN 31983-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



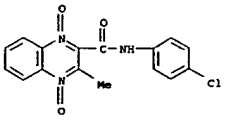
RN 104705-39-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N,3-diphenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



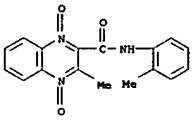
RN 111888-44-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



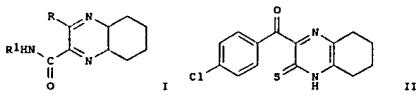
RN 111888-45-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 111888-46-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



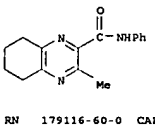
L5 ANSWER 159 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:365426 CAPLUS
DOCUMENT NUMBER: 125:114564
TITLE: New synthesis of 2,3-disubstituted quinoxaline derivatives
AUTHOR(S): Zaleska, B.; Bialas, B.
CORPORATE SOURCE: Department Organic Chemistry, Jagiellonian University, Krakow, 30-060, Pol.
SOURCE: Pharmazie (1996), 51(6), 428-429
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:114564
GI



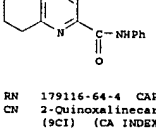
AB The title compds. I (R = Me, Ph; R1 = Ph, 4-ClC6H4) and II were prepared from 1,2-diaminocyclohexane by reaction with the corresponding anilides and thioanilides by cyclocondensation.

IT 179116-56-4P 179116-60-0P 179116-64-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinoxalines)

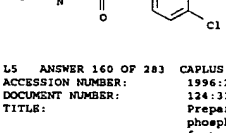
RN 179116-56-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 5,6,7,8-tetrahydro-3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 179116-60-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 5,6,7,8-tetrahydro-N,3-diphenyl- (9CI) (CA INDEX NAME)



RN 179116-64-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)-5,6,7,8-tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)

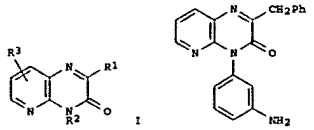


L5 ANSWER 160 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:264958 CAPLUS
DOCUMENT NUMBER: 124:317209
TITLE: Preparation of heterobicyclic derivatives as phosphodiesterase IV inhibitors and tumor necrosis factors
INVENTOR(S): Hemmi, Keiji Di; Shimazaki, Norihiko; Watanabe, Shinya; Sawada, Akihiko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

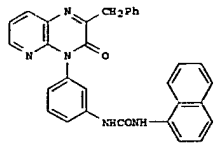
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9601825	A1	19960125	WO 1995-JP1366	19950710
W: AU, BR, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2194872	AA	19960125	CA 1995-2194872	19950710
AU 9528992	A1	19960209	AU 1995-28992	19950710
AU 698133	B2	19981022		
EP 770079	A1	19970502	EP 1995-924526	19950710
EP 770079	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1157617	A	19970820	CN 1995-194959	19950710
CN 1051548	B	20000419		
JP 10502630	T2	19980310	JP 1995-504226	19950710
HU 77353	A2	19980330	HU 1997-68	19950710
EP 920867	A1	19990609	EP 1998-120297	19950710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
RU 2170737	C2	20010720	RU 1997-101882	19950710
JP 3206003	B2	20010904	JP 1996-504226	19950710
AT 232531	E	20030215	AT 1995-924526	19950710
ES 2187561	T3	20030616	ES 1995-924526	19950710
PT 770079	T	20030630	PT 1995-924526	19950710
TW 383307	B	20000301	TW 1995-84107168	19950711
US 6426345	B1	20020730	US 1998-793451	19980130
HK 1004483	A1	20031024	HK 1998-103728	19980501
CN 1250776	A	20000419	CN 1999-111945	19990729
US 2002107251	A1	20020808	US 2002-50855	20020118
US 6727245	B2	20040427		

PRIORITY APPLN. INFO.:			
	GB 1994-13975	A	19940711
	EP 1995-924526	A3	19950710
	WO 1995-JP1366	W	19950710
	US 1998-793451	A1	19980130

OTHER SOURCE(S): MARPAT 124:317209
GI



I II



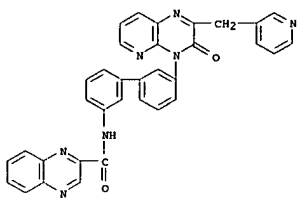
III

AB Heterobicyclic derivs. {I; R1 = (un)substituted aryl, aralkyl, haloalkyl, protected carboxyalkyl, acylalkyl, heterocyclyl, etc.; R2 = (un)substituted aryl, heterocyclyl; R3 = H, alkoxy, alkylthio] and their salts are prepared A mixture of amino compound II and 1-naphthyl isocyanate in dry dioxane was stirred at room temperature to give the ureido compound III, which showed IC50 of 3.1 x 10-8 M against phosphodiesterase IV and IC50 of 5.6 x 10-8 M against human mononuclear cells.

IT 176031-58-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterobicyclic derivs. as phosphodiesterase IV inhibitors and tumor necrosis factors.)

RN 176031-58-6 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[3'-[3-oxo-2-(3-pyridinylmethyl)pyrido[2,3-b]pyrazin-4(3H)-yl][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



L5 ANSWER 161 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:242759 CAPLUS

DOCUMENT NUMBER: 125:10756

TITLE: Synthesis and hypoxia-selective cytotoxicity of benzofuraxans and quinoxaline di-N-oxides

AUTHOR(S): Zhang, Wensheng; Wu, Yanfen; Lu, wei; Li, Wuling; Sun, Xiaoping; Shen, Xun; Li, Xinyuan; Tang, Lixia

CORPORATE SOURCE: School Pharmaceutical Sciences, Beijing Medical University, Beijing, 100083, Peop. Rep. China

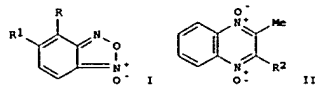
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1995), 5(4), 242-4, 270
CODEN: ZYHZEF; ISSN: 1005-0108

PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

GI



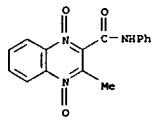
I II

AB Title compds. I (R = H, H; H, MeO; NO2, H) and II (R2 = CO2Et, CONHOK, CONHOH, COMe, CONHPh) were synthesized. E.g., reaction of 4-methoxy-2-nitroaniline with aqueous NaOCl in aqueous HCl gave 59.8% I (R = H, R1 = MeO). II (R2 = CONHOH) showed remarkable hypoxia-selective cytotoxicity and radiosensitivity in vitro. The relationships of hypoxia-selective cytotoxicity, and radiosensitization in vitro with their chemical structure were discussed.

IT 31983-89-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis and hypoxia-selective cytotoxicity of benzofuraxans and quinoxaline di-N-oxides)

RN 31983-89-8 CAPLUS

CN 2-Quinoxalinecarboxamide, 3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L5 ANSWER 162 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:162161 CAPLUS

DOCUMENT NUMBER: 124:317104

TITLE: Chemistry of diazopolycarbonyl compounds. II. Synthesis of aroylacetyl derivatives of diazopyruvic acid esters and their reaction with ammonia and o-phenylenediamine

AUTHOR(S): Zaleesov, V. V.; Vyaznikova, N. G.; Andreichikov, Yu. S.

CORPORATE SOURCE: Perm. Farm. Inst., Russia

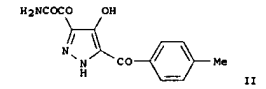
SOURCE: Zhurnal Organicheskoi Khimii (1995), 31(8), 1213-17
CODEN: ZORKAE; ISSN: 0514-7492

PUBLISHER: Nauka

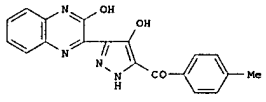
DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



II



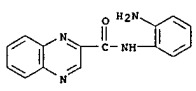
III

AB (Z)-R1OCOCOC(:N2)COCH:C(OH)C6H4R2-4 (I; R1 = Et, Pr, Bu; R2 = H, Me, OMe, Cl, Br) were prepared by reaction of 5-aryl-2,3-furandiones with R1OCOCOCHN2. Reaction of I with NH4OH gave pyrazoles, e.g., II, and reaction with o-phenylenediamine gave pyrazolylquinoxalines, e.g., III. Other quinoxalines were prepared from the arylfurandiones and o-phenylenediamine.

IT 176375-09-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 176375-09-0 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 163 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:138846 CAPLUS

DOCUMENT NUMBER: 124:289449

TITLE: Synthesis and reactions of 6,7-dimethyl-N-(carboxyphenyl)quinoxaline-2,3-dicarboximide

AUTHOR(S): Zahran, M. A.

CORPORATE SOURCE: Faculty Science, Al-Azhar University, Cairo, Egypt

SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1994), 13, 60-5
CODEN: AAJPFT; ISSN: 1110-1644

PUBLISHER: Al-Azhar University, Faculty of Pharmacy

DOCUMENT TYPE: Journal

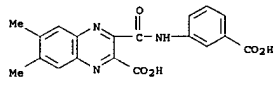
LANGUAGE: English

AB Condensation of 6,7-dimethylquinoxaline-2,3-dicarboxylic anhydride with m-aminobenzoic acid, p-aminobenzoic acid and p-aminophenyl acetic acid in ethanol yielded the corresponding carboxamide derivs. Cyclodehydration of these in acetic anhydride gave the carboximides (I) which on treatment with sodium hydroxide caused opening of the ring to again afford the amides. Fusion of I with primary and secondary amines gave 6,7-dimethylquinoxaline-2,3-dicarboxamides. 6,7-Dimethyl-N-(4-chlorocarbonylphenyl)quinoxaline-2,3-dicarboximide was prepared and reacted with amines, ethanol and sodium azide to give amides, an imide, and the acid azide. The acid azide was reacted with amines and ethanol by Curtius rearrangement to afford urea and carbamate derivs.

IT 175609-77-5P 175609-78-6P 175609-79-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of N-(carboxyphenyl)quinoxalinecarboximides)

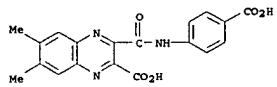
RN 175609-77-5 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 3-[[(3-carboxyphenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



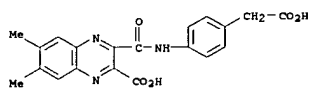
RN 175609-78-6 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 3-[[(4-carboxyphenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



RN 175609-79-7 CAPLUS

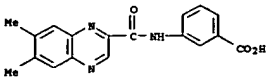
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-(carboxymethyl)phenyl]amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



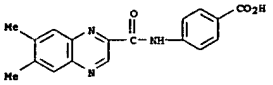
IT 175609-83-3P 175609-84-4P 175609-85-5P
175609-86-6P 175609-87-7P 175609-88-8P
175609-89-9P 175609-90-2P 175609-91-3P
175609-92-4P 175609-93-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reactions of N-(carboxyphenyl)quinoxalinecarboximides)

RN 175609-83-3 CAPLUS

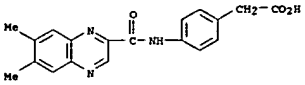
CN Benzoic acid, 3-[[(6,7-dimethyl-2-quinoxalinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



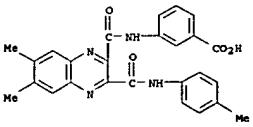
RN 175609-84-4 CAPLUS
CN Benzoic acid, 4-[[[6,7-dimethyl-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



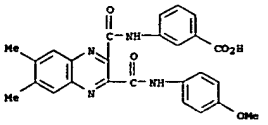
RN 175609-85-5 CAPLUS
CN Benzeneacetic acid, 4-[[[6,7-dimethyl-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



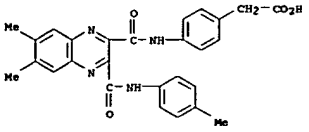
RN 175609-86-6 CAPLUS
CN Benzoic acid, 3-[[[6,7-dimethyl-3-[[(4-methylphenyl)amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



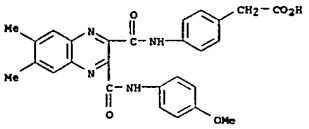
RN 175609-87-7 CAPLUS
CN Benzoic acid, 3-[[[3-[[(4-methoxyphenyl)amino]carbonyl]-6,7-dimethyl-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



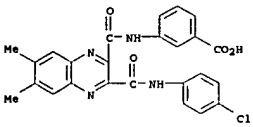
RN 175609-88-8 CAPLUS
CN Benzeneacetic acid, 4-[[[6,7-dimethyl-3-[[(4-methylphenyl)amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



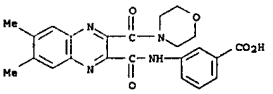
RN 175609-89-9 CAPLUS
CN Benzeneacetic acid, 4-[[[3-[[(4-methoxyphenyl)amino]carbonyl]-6,7-dimethyl-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



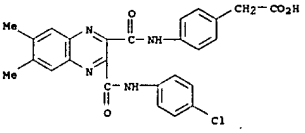
RN 175609-90-2 CAPLUS
CN Benzoic acid, 3-[[[3-[[(4-chlorophenyl)amino]carbonyl]-6,7-dimethyl-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



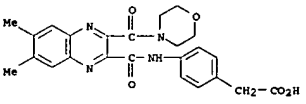
RN 175609-91-3 CAPLUS
CN Benzoic acid, 3-[[[6,7-dimethyl-3-(4-morpholinylcarbonyl)-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 175609-92-4 CAPLUS
CN Benzeneacetic acid, 4-[[[3-[[(4-chlorophenyl)amino]carbonyl]-6,7-dimethyl-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



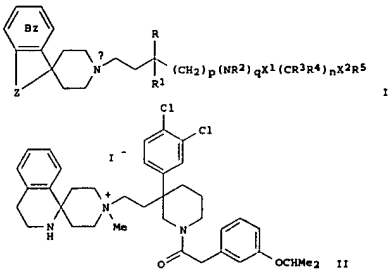
RN 175609-93-5 CAPLUS
CN Benzeneacetic acid, 4-[[[6,7-dimethyl-3-(4-morpholinylcarbonyl)-2-quinoxalinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 164 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:997446 CAPLUS
DOCUMENT NUMBER: 124:175840
TITLE: Preparation of spiro heterocyclic compounds as tachykinin antagonists
INVENTOR(S): Kubota, Hirokazu; Okamoto, Yoshinori; Fujii, Masahiro; Kakefuda, Akio; Yamamoto, Osamu; Nagaoka, Hitoshi; Ikeda, Ken; Isomura, Yasuo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528389	A1	19951026	WO 1995-JP713	19950412
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9522234	A1	19951110	AU 1995-22234	19950412
PRIORITY APPLN. INFO.:			JP 1994-101936	A 19940415
			JP 1994-255382	A 19941020
			WO 1995-JP713	W 19950412

OTHER SOURCE(S): MARPAT 124:175840
GI



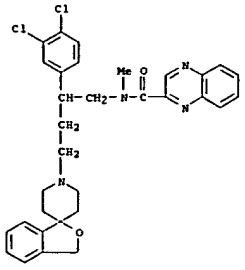
I

II

AB The title compds. I [Na = N (which may form a quaternary ammonium salt with alkyl, etc.), or N-oxide; Z = C(R8R9)Z1, etc.; Z1 = O, etc.; R = (un)substituted aryl; n = 0 - 5; p, q = 0 or 1 (a proviso is given); X1 = CO, etc. (a proviso is given); X2 = O, S, etc. (a proviso is given); R1 - R4 = H, alkyl; further details on R1 and R2 are given; R5 = H, (un)substituted alkyl, etc.; R8, R9 = H, alkyl, etc.; ring Bz = (un)substituted benzene] are claimed. In an in vitro test for NK-1 receptor antagonism, the title compound II (preparation given) showed IC50 of 2 nM.
IT 173942-84-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro heterocyclic compds. as tachykinin antagonists)
RN 173942-84-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(3,4-dichlorophenyl)-4-spiro[isobenzofuran-1(3H),4'-piperidin]-1'-ylbutyl]-N-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

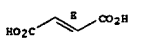
CRN 173942-83-1
CMF C32 H32 Cl2 N4 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



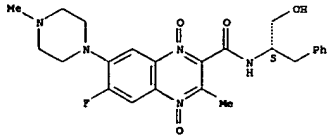
L5 ANSWER 165 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:904618 CAPLUS
DOCUMENT NUMBER: 124:146062
TITLE: 6-Fluoro-7-(1-piperazinyl)quinoxaline 1,4-dioxides. Part I. 2-(N-2-hydroxyalkylcarbamoyl) derivatives
AUTHOR(S): El-Abadelah, Mustafa M.; Nazer, Musa Z.; El-Abadla, Naser S.; Meier, Herbert
CORPORATE SOURCE: Chemistry Dep., University of Jordan, Amman, Jordan
SOURCE: Heterocycles (1995), 41(10), 2203-19
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:146062

AB A series of N-[6-fluoro-7-(4-methyl-1-piperazinyl)-3-methyl-2-quinoxaloyl]-β-aminoalkanol 1,4-dioxides was synthesized for bioassay via the Beirut reaction of 5(6)-fluoro-6(5)-(4-methyl-1-piperazinyl)benzofuroxan with the appropriate N-acetoacetyl- β-aminoalkanol in the presence of triethylamine. Preliminary in vitro investigations have indicated that none of the title compds. exhibits any significant antibacterial potency at concns. ≤ 200 μg/mL.

IT 173029-80-6P 173029-84-0P 173029-89-5P
173029-92-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal and fungicidal activity of fluoro(piperazinyl)quinoxaline dioxides)

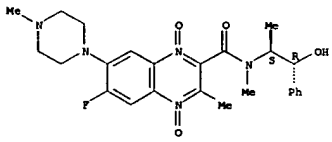
RN 173029-80-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-[1-(hydroxymethyl)-2-phenylethyl]-3-methyl-7-(4-methyl-1-piperazinyl)-, 1,4-dioxide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



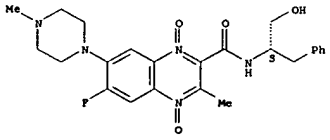
RN 173029-84-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-7-(4-methyl-1-piperazinyl)-, 1,4-dioxide, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 173029-89-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-[1-(hydroxymethyl)-2-phenylethyl]-3-methyl-7-(4-methyl-1-piperazinyl)-, 1,4-dioxide, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

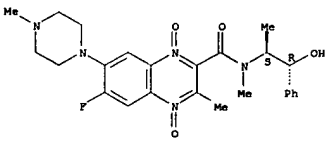
Absolute stereochemistry.



● HCl

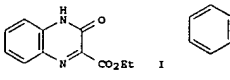
RN 173029-92-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-7-(4-methyl-1-piperazinyl)-, 1,4-dioxide, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

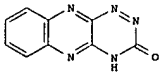


● HCl

L5 ANSWER 166 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:794453 CAPLUS
DOCUMENT NUMBER: 124:8750
TITLE: Synthesis and chemistry of 3-aminocarbonyl- and 3-hydrazinocarbonylquinoxalinone derivatives
AUTHOR(S): Badr, M. Z. A.; Mahgoub, S. A.; Atta, F. M.; Moustafa, O. S.; El-Latif, F. M. Abd
CORPORATE SOURCE: Faculty of Science, Assiut University, Assiut, Egypt
SOURCE: Journal of the Indian Chemical Society (1994), 71(10), 617-19
CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER: Indian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:8750
GI



I

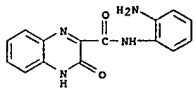


II

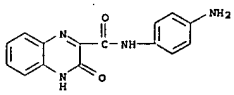
AB 3-Ethoxycarbonyl-2(1H)-quinoxalinone (1) (shown as structure I) reacts with nucleophiles, namely, dimethylamine, diethylamine, o-phenylenediamine and/or p-phenylenediamine to produce the corresponding 3-N-substituted-aminocarbonyl-2(1H)-quinoxalinones. Treatment of 1 with hydrazine hydrate produces 2(1H)-quinoxalinone-3-carbohydrazide (2) which with acylating reagents, namely, acetic anhydride, HOAc or acetyl chloride/pyridine, Ph isothiocyanate, p-toluenesulfonyl chloride and/or di-Et malonate produce the corresponding 3- β-N-substituted-hydrazinocarboxyl-2(1H)-quinoxalines. Condensation of 2 with benzaldehyde, p-anisaldehyde, p-N-dimethylaminobenzaldehyde and/or p-nitrobenzaldehyde gives the corresponding 3-arylidenehydrazinocarbonyl-2(1H)-quinoxalinones. Treatment of 2 with acetylacetone gives 3-(3,5-dimethylpyrazol-1-ylcarbonyl)-2(1H)-quinoxalinone (13). Diazotization of 2 produces 2(1H)-quinoxalinone-3-carboazide (14) which when treated with absolute EtOH and/or t-BuOH products the corresponding 3-alkoxycarbonylaminoquinoxalinones which cyclize on treatment with hydrazine hydrate into triazinoquinoxaline II.

IT 171254-29-8P 171254-30-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 171254-29-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



RN 171254-30-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-aminophenyl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

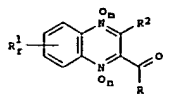


L5 ANSWER 167 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:716813 CAPLUS
DOCUMENT NUMBER: 123:112079
TITLE: Preparation of quinoxaline-2-carboxamides as antidiabetics
INVENTOR(S): Komatsu, Makoto; Sato, Hideaki; Taira, Shinichi; Miyake, Masahiro; Magata, Kiyohiko; Yoshida, Hidehiro; Ueyama, Atsunori; Nishi, Takao
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co. Ltd., Japan
SOURCE: PCT Int. Appl., 507
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9509159	A1	19950406	WO 1994-JP1559	19940922
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2150345	AA	19950406	CA 1994-2150345	19940922
AU 9476660	A1	19950418	AU 1994-76660	19940922
AU 674613	B2	19970102		
EP 670831	A1	19950913	EP 1994-927085	19940922
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1114834	A	19960110	CN 1994-190719	19940922
JP 08012579	A2	19960116	JP 1994-259309	19940928
JP 2759257	B2	19980528		

PRIORITY APPLN. INFO.: JP 1993-241140 A 19930928
JP 1994-114639 A 19940428
WO 1994-JP1559 W 19940922

OTHER SOURCE(S): MARPAT 123:112079
GI

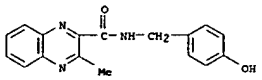


I

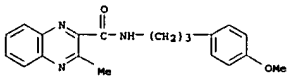
AB Title compds. [I; R = NR3R4; R1 = H, halo, alkyl, alkoxy, etc.; R2 = H, (halo)alkyl, alkoxy, etc.; R3,R4 = H, alkyl, alkanoyl, alkoxycarbonyl, substituted CH2Ph, heterocyclylalk(en)yl, etc.; m = 0 or 1; n = 0; r = 1 or 2] were prepared Thus, benzofuroxan was cyclocondensed with MeCOCH2CO2Et and the product converted in 2 steps to I (R2 = Me, m = 1, n = r = 0)[II; R = OEt] which was amidated by 3-aminomethylbenzofuran to give II (3-benzofurylaminomethyl). II (R = NHCH2CH:CR5Me, R5 = 2-benzofuryl) gave 2-deoxyglucose uptake of rat striated muscle L6 cells 249% of control at 10-6mol (sic).

IT 165731-11-3P 165731-94-2P 165731-97-5P
165732-06-9P 165732-55-8P 165733-20-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinoxaline-2-carboxamides as antidiabetics)

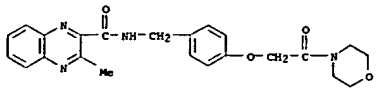
RN 165731-11-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-hydroxyphenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)



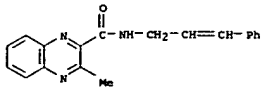
RN 165731-94-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(4-methoxyphenyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)



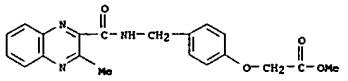
RN 165731-97-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



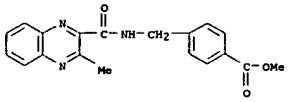
RN 165732-06-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



RN 165732-55-8 CAPLUS
CN Acetic acid, [4-[[[(3-methyl-2-quinoxalinyl)carbonyl]amino]methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 165733-20-0 CAPLUS
CN Benzoic acid, 4-[[[(3-methyl-2-quinoxalinyl)carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 112369-35-4P 112369-37-6P 165731-03-3P
165731-04-4P 165731-16-8P 165731-17-9P
165731-18-0P 165731-19-1P 165731-20-4P
165731-21-5P 165731-22-6P 165731-23-7P
165731-24-8P 165731-91-9P 165731-92-0P
165731-93-1P 165731-95-3P 165731-96-4P
165731-98-6P 165732-01-4P 165732-04-7P
165732-05-8P 165732-07-0P 165732-08-1P
165732-09-2P 165732-10-5P 165732-11-6P
165732-12-7P 165732-13-8P 165732-14-9P
165732-16-1P 165732-17-2P 165732-21-8P
165732-22-9P 165732-23-0P 165732-24-1P
165732-25-2P 165732-26-3P 165732-27-4P
165732-28-5P 165732-29-6P 165732-30-9P
165732-31-0P 165732-32-1P 165732-33-2P
165732-34-3P 165732-35-4P 165732-36-5P
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165732-47-8P 165732-48-9P 165732-49-0P
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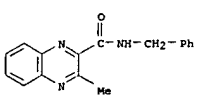
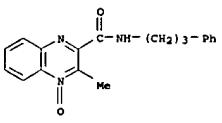
165732-64-9P 165732-67-2P 165732-68-3P
165732-69-4P 165732-70-7P 165732-71-8P
165732-72-9P 165732-73-0P 165732-74-1P
165732-75-2P 165732-76-3P 165732-77-4P
165732-78-5P 165732-80-9P 165732-81-0P
165732-83-2P 165732-84-3P 165732-85-4P
165732-88-7P 165732-89-8P 165733-00-6P
165733-01-7P 165733-07-3P 165733-08-4P
165733-09-5P 165733-10-8P 165733-11-9P
165733-15-3P 165733-16-4P 165733-93-7P
165733-94-8P 165733-95-9P 165733-96-0P
165733-97-1P 165733-98-2P 165734-02-1P
165734-03-2P 165734-04-3P 165734-07-6P
165734-08-7P 165734-10-1P 165734-18-9P
165734-19-0P 165734-20-3P 165734-21-4P
165734-26-9P 165734-71-4P 165734-78-1P
165734-79-2P 165737-61-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxaline-2-carboxamides as antidiabetics)

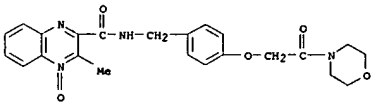
RN 112369-35-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 112369-37-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenylpropyl)-, 4-oxide (9CI) (CA INDEX NAME)

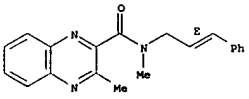


RN 165731-03-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)

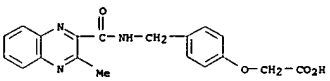


RN 165731-04-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N,3-dimethyl-N-(3-phenyl-2-propenyl)-, (E)- (9CI) (CA INDEX NAME)

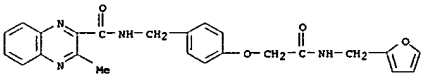
Double bond geometry as shown.



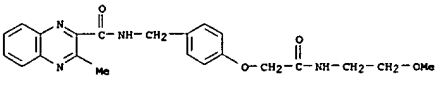
RN 165731-16-8 CAPLUS
CN Acetic acid, [4-[[[(3-methyl-2-quinoxalinyl)carbonyl]amino]methyl]phenoxy]- (9CI) (CA INDEX NAME)



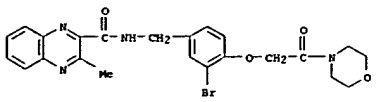
RN 165731-17-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-[(2-furanylmethyl)amino]-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



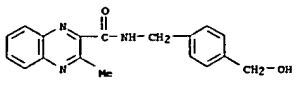
RN 165731-18-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-[(2-methoxyethyl)amino)-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



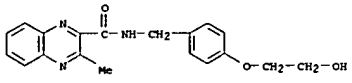
RN 165731-19-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-bromo-4-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



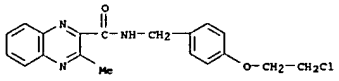
RN 165731-20-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-(hydroxymethyl)phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



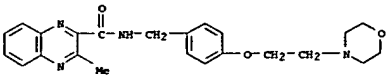
RN 165731-21-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-(2-hydroxyethoxy)phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 165731-22-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-(2-chloroethoxy)phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)

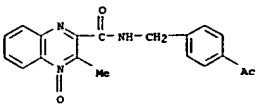


RN 165731-23-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

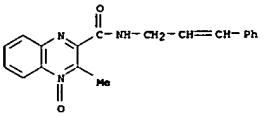


● HCl

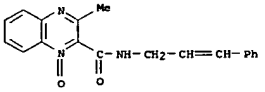
RN 165731-24-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-acetylphenyl)methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



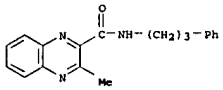
RN 165731-91-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenyl-2-propenyl)-, 4-oxide (9CI) (CA INDEX NAME)



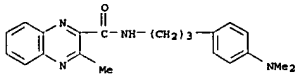
RN 165731-92-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenyl-2-propenyl)-, 1-oxide (9CI) (CA INDEX NAME)



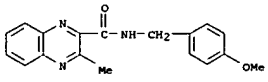
RN 165731-93-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



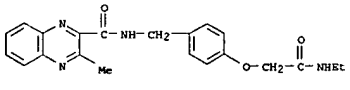
RN 165731-95-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[4-(dimethylamino)phenyl]propyl]-3-methyl- (9CI) (CA INDEX NAME)



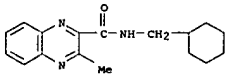
RN 165731-96-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-methoxyphenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)



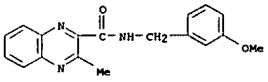
RN 165731-98-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-(ethylamino)-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



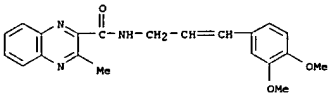
RN 165732-01-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(cyclohexylmethyl)-3-methyl- (9CI) (CA INDEX NAME)



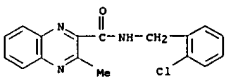
RN 165732-04-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(3-methoxyphenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)



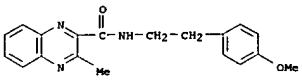
RN 165732-05-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(3,4-dimethoxyphenyl)-2-propenyl]-3-methyl- (9CI) (CA INDEX NAME)



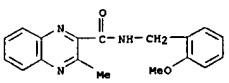
RN 165732-07-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(2-chlorophenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 165732-08-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(4-methoxyphenyl)ethyl]-3-methyl- (9CI) (CA INDEX NAME)

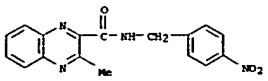


RN 165732-09-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(2-methoxyphenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)

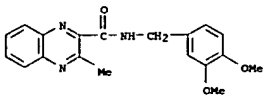


RN 165732-10-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[(4-nitrophenyl)methyl]- (9CI) (CA

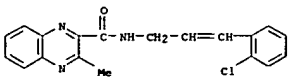
INDEX NAME)



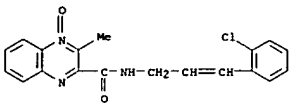
RN 165732-11-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)



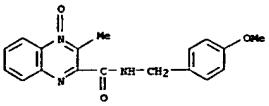
RN 165732-12-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(2-chlorophenyl)-2-propenyl]-3-methyl- (9CI) (CA INDEX NAME)



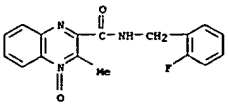
RN 165732-13-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(2-chlorophenyl)-2-propenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



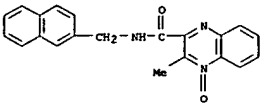
RN 165732-14-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-methoxyphenyl)methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



RN 165732-16-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(2-fluorophenyl)methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



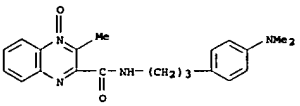
RN 165732-17-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-naphthalenylmethyl)-, 4-oxide (9CI) (CA INDEX NAME)



RN 165732-21-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[4-(dimethylamino)phenyl]propyl]-3-methyl-, 4-oxide, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 165732-20-7
CMF C21 H24 N4 O2

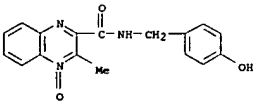


CM 2

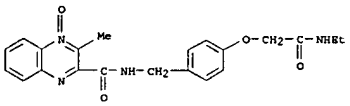
CRN 144-62-7

CMF C2 H2 O4

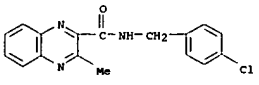
RN 165732-22-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-hydroxyphenyl)methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



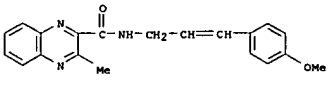
RN 165732-23-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-(ethylamino)-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



RN 165732-24-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-chlorophenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)

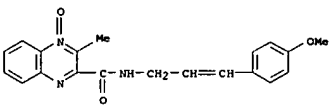


RN 165732-25-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(4-methoxyphenyl)-2-propenyl]-3-methyl- (9CI) (CA INDEX NAME)

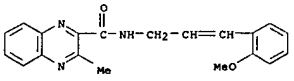


RN 165732-26-3 CAPLUS

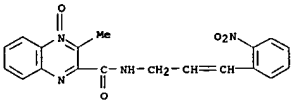
CN 2-Quinoxalinecarboxamide, N-[3-(4-methoxyphenyl)-2-propenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



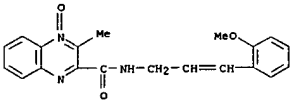
RN 165732-27-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(2-methoxyphenyl)-2-propenyl]-3-methyl- (9CI) (CA INDEX NAME)



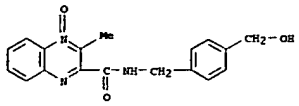
RN 165732-28-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-(2-nitrophenyl)-2-propenyl]-, 4-oxide (9CI) (CA INDEX NAME)



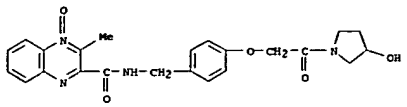
RN 165732-29-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(2-methoxyphenyl)-2-propenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



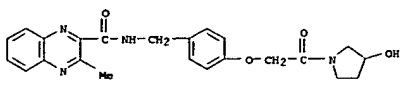
RN 165732-30-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-(hydroxymethyl)phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



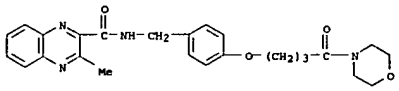
RN 165732-31-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-(3-hydroxy-1-pyrrolidinyl)-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



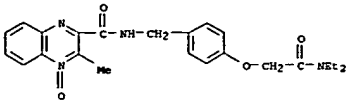
RN 165732-32-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-(3-hydroxy-1-pyrrolidinyl)-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



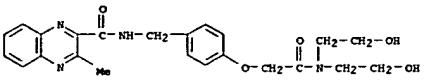
RN 165732-33-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[4-(4-morpholinyl)-4-oxobutoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



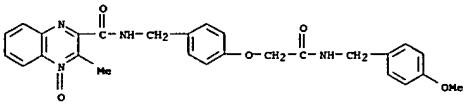
RN 165732-34-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-(diethylamino)-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



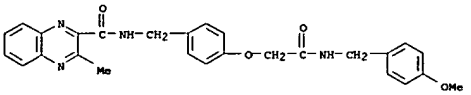
RN 165732-35-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-[bis(2-hydroxyethyl)amino]-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



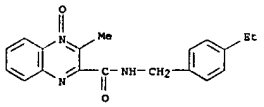
RN 165732-36-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-[[(4-methoxyphenyl)methyl]amino]-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



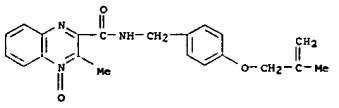
RN 165732-37-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-[[(4-methoxyphenyl)methyl]amino]-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



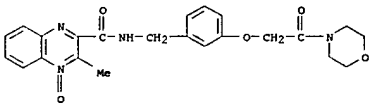
RN 165732-39-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(4-ethylphenyl)methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



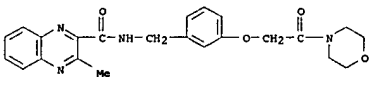
RN 165732-40-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[(2-methyl-2-propenyl)oxy]phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)



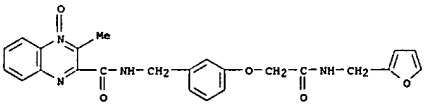
RN 165732-41-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[3-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)



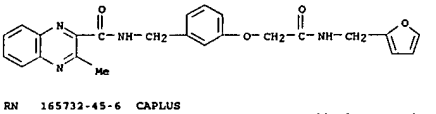
RN 165732-42-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[3-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



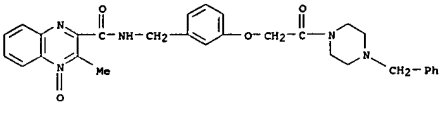
RN 165732-43-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-[2-[(2-furanylmethyl)amino]-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



RN 165732-44-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-[2-[(2-furanylmethyl)amino]-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)

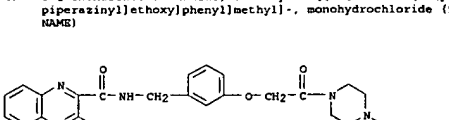


RN 165732-45-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[3-[2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethoxy]phenyl]methyl]-, 4-oxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

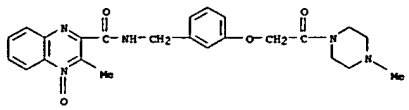
RN 165732-46-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[3-[2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethoxy]phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

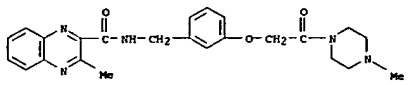
RN 165732-47-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[3-[2-(4-methyl-1-piperazinyl)-2-oxoethoxy]phenyl]methyl]-, 4-oxide, monohydrochloride (9CI) (CA INDEX

NAME)

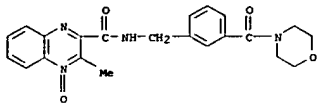


● HCl

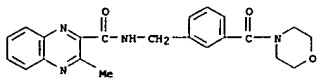
RN 165732-48-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[3-[2-(4-methyl-1-piperazinyl)-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



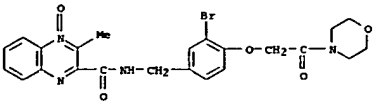
RN 165732-49-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[3-(4-morpholinylcarbonyl)phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)



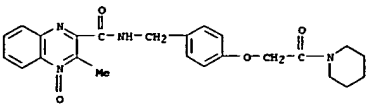
RN 165732-50-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[3-(4-morpholinylcarbonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



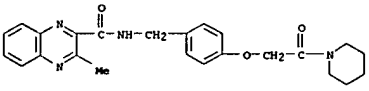
RN 165732-51-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-bromo-4-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



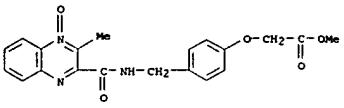
RN 165732-52-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[2-oxo-2-(1-piperidinyl)ethoxy]phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)



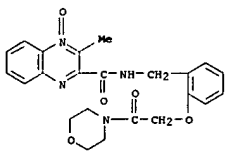
RN 165732-53-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[2-oxo-2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



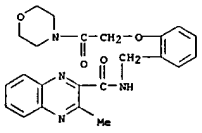
RN 165732-54-7 CAPLUS
CN Acetic acid, [4-[[[(3-methyl-4-oxido-2-quinoxalinyl)carbonyl]amino]methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



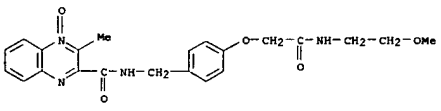
RN 165732-56-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[2-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)



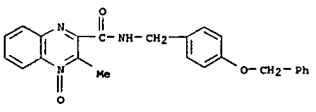
RN 165732-57-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[2-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



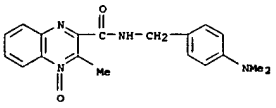
RN 165732-58-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-[(2-methoxyethyl)amino]-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



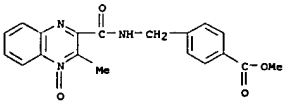
RN 165732-59-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-(phenylmethoxy)phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)



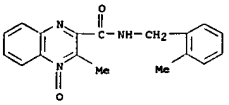
RN 165732-60-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-(dimethylamino)phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



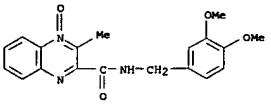
RN 165732-61-6 CAPLUS
CN Benzoic acid, 4-[[[(3-methyl-4-oxido-2-quinoxalinyl)carbonyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



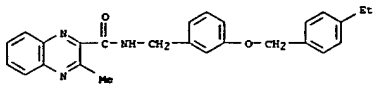
RN 165732-62-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[(2-methylphenyl)methyl]-, 4-oxide (9CI) (CA INDEX NAME)



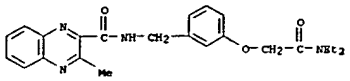
RN 165732-64-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



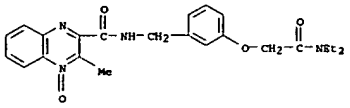
RN 165732-67-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-[(4-ethylphenyl)methoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



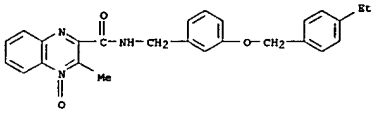
RN 165732-68-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-[2-(diethylamino)-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



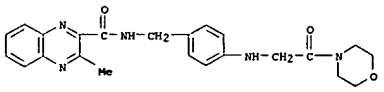
RN 165732-69-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-[2-(diethylamino)-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



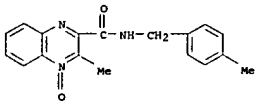
RN 165732-70-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-[(4-ethylphenyl)methoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



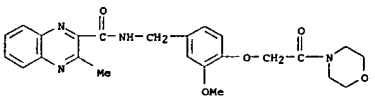
RN 165732-71-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-[(4-methoxyphenyl)methoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



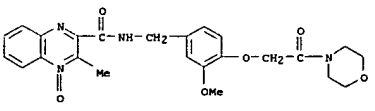
RN 165732-76-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[(4-methylphenyl)methyl]-, 4-oxide (9CI) (CA INDEX NAME)



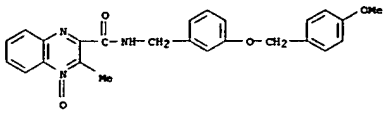
RN 165732-77-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-methoxy-4-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



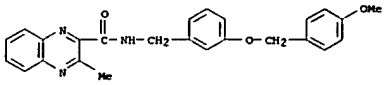
RN 165732-78-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-methoxy-4-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



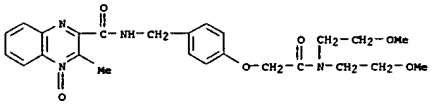
RN 165732-80-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-(1-methylethyl)phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)



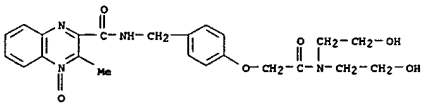
RN 165732-72-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[3-[(4-methoxyphenyl)methoxy]phenyl]methyl]-3-methyl- (9CI) (CA INDEX NAME)



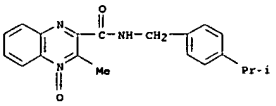
RN 165732-73-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-[bis(2-methoxyethyl)amino]-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



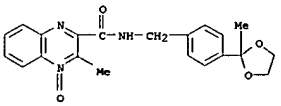
RN 165732-74-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[4-[2-[bis(2-hydroxyethyl)amino]-2-oxoethoxy]phenyl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



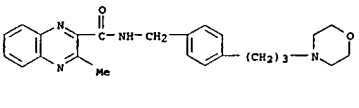
RN 165732-75-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[[2-(4-morpholinyl)-2-oxoethyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 165732-81-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-(2-methyl-1,3-dioxolan-2-yl)phenyl]methyl]-, 4-oxide (9CI) (CA INDEX NAME)

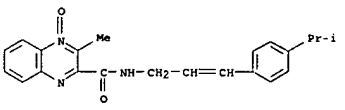


RN 165732-83-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[3-(4-morpholinyl)propyl]phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

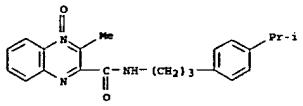


● HCl

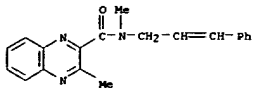
RN 165732-84-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[4-(1-methylethyl)phenyl]-2-propenyl]-, 4-oxide (9CI) (CA INDEX NAME)



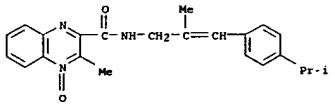
RN 165732-85-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[4-(1-methylethyl)phenyl]propyl]-, 4-oxide (9CI) (CA INDEX NAME)



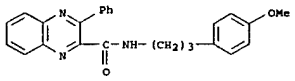
RN 165732-88-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N,3-dimethyl-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



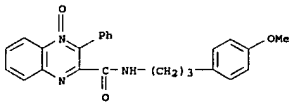
RN 165732-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[2-methyl-3-[4-(1-methylethyl)phenyl]-2-propenyl]-, 4-oxide (9CI) (CA INDEX NAME)



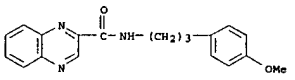
RN 165733-00-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(4-methoxyphenyl)propyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 165733-01-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(4-methoxyphenyl)propyl]-, 4-oxide (9CI) (CA INDEX NAME)



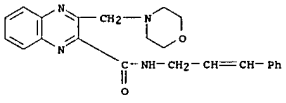
RN 165733-11-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(4-methoxyphenyl)propyl]- (9CI) (CA INDEX NAME)



RN 165733-15-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(4-morpholinylmethyl)-N-(3-phenyl-2-propenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

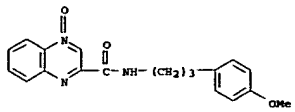
CRN 165733-14-2
CMF C23 H24 N4 O2



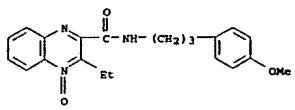
CM 2

CRN 144-62-7
CMF C2 H2 O4

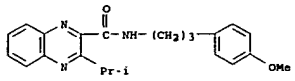
RN 165733-16-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(1H-imidazol-1-ylmethyl)-N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



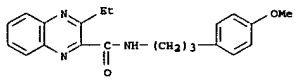
RN 165733-07-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-ethyl-N-[3-(4-methoxyphenyl)propyl]-, 4-oxide (9CI) (CA INDEX NAME)



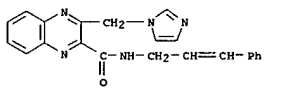
RN 165733-08-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(4-methoxyphenyl)propyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



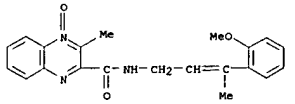
RN 165733-09-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-ethyl-N-[3-(4-methoxyphenyl)propyl]- (9CI) (CA INDEX NAME)



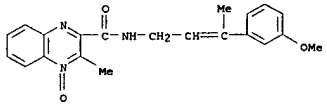
RN 165733-10-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(4-methoxyphenyl)propyl]-3-phenyl-, 4-oxide (9CI) (CA INDEX NAME)



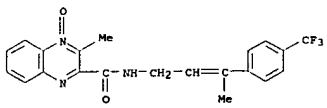
RN 165733-93-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(2-methoxyphenyl)-2-butenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



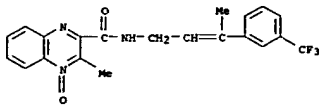
RN 165733-94-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(3-methoxyphenyl)-2-butenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



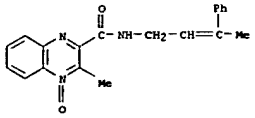
RN 165733-95-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[4-(trifluoromethyl)phenyl]-2-butenyl]-, 4-oxide (9CI) (CA INDEX NAME)



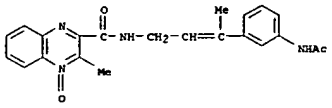
RN 165733-96-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[3-(trifluoromethyl)phenyl]-2-butenyl]-, 4-oxide (9CI) (CA INDEX NAME)



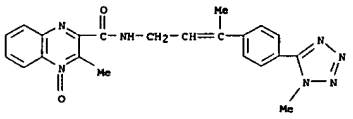
RN 165733-97-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenyl-2-butenyl)-, 4-oxide (9CI) (CA INDEX NAME)



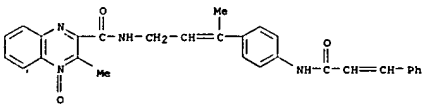
RN 165733-98-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[3-(acetylamino)phenyl]-2-butenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



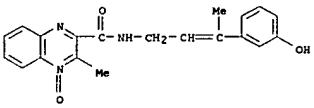
RN 165734-02-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]-2-butenyl]-, 4-oxide (9CI) (CA INDEX NAME)



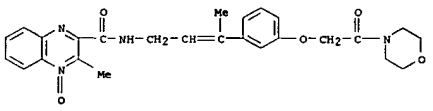
RN 165734-03-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[2-(trifluoromethyl)phenyl]-2-butenyl]-, 4-oxide (9CI) (CA INDEX NAME)



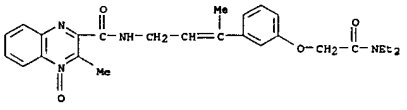
RN 165734-18-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(3-hydroxyphenyl)-2-butenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



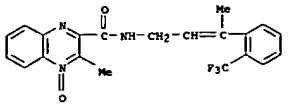
RN 165734-19-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[3-[2-(4-morpholinyl)-2-oxoethoxy]phenyl]-2-butenyl]-, 4-oxide (9CI) (CA INDEX NAME)



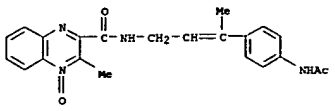
RN 165734-20-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[3-[2-(diethylamino)-2-oxoethoxy]phenyl]-2-butenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



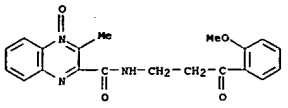
RN 165734-21-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[3-[(2-methyl-2-propenyl)oxy]phenyl]-2-butenyl]-, 4-oxide (9CI) (CA INDEX NAME)



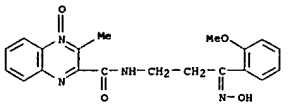
RN 165734-04-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[4-(acetylamino)phenyl]-2-butenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



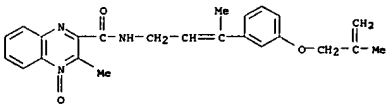
RN 165734-07-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(2-methoxyphenyl)-3-oxopropyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



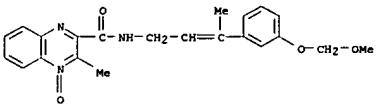
RN 165734-08-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-(hydroxyimino)-3-(2-methoxyphenyl)propyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



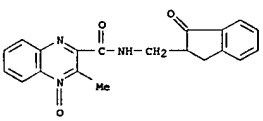
RN 165734-10-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[3-[4-[(1-oxo-3-phenyl-2-propenyl)amino]phenyl]-2-butenyl]-, 4-oxide (9CI) (CA INDEX NAME)



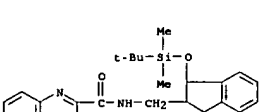
RN 165734-26-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[3-[3-(methoxymethoxy)phenyl]-2-butenyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



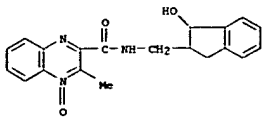
RN 165734-71-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(2,3-dihydro-1-oxo-1H-inden-2-yl)methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



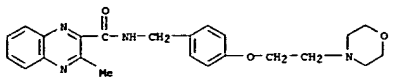
RN 165734-78-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2,3-dihydro-1H-inden-2-yl]methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



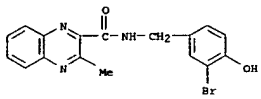
RN 165734-79-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(2,3-dihydro-1-hydroxy-1H-inden-2-yl)methyl]-3-methyl-, 4-oxide (9CI) (CA INDEX NAME)



RN 165737-61-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-[[4-[2-(4-morpholinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



IT 165733-19-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinoxaline-2-carboxamides as antidiabetics)
RN 165733-19-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(3-bromo-4-hydroxyphenyl)methyl]-3-methyl- (9CI) (CA INDEX NAME)

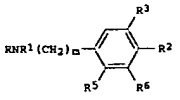


L5 ANSWER 168 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:257705 CAPLUS
DOCUMENT NUMBER: 122:31342
TITLE: Preparation of anilide derivatives as tumor multidrug resistance inhibitors
INVENTOR(S): Dumaitre, Bernard Andre; Dodic, Nerina; Daugan, Alain Claude Marie; Pianetti, Pascal Maurice Charle
PATENT ASSIGNEE(S): Laboratoires Glaxo S.A., Fr.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

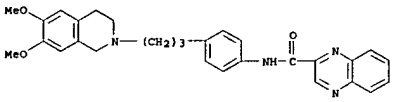
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9401408	A1	19940120	WO 1993-EP1802	19930708
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9345671	A1	19940131	AU 1993-45671	19930708
EP 649410	A1	19950426	EP 1993-915865	19930708
EP 649410	B1	19970502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08508974	T2	19960924	JP 1993-502977	19930708
AT 152443	E	19970515	AT 1993-915865	19930708
ES 2103479	T3	19970916	ES 1993-915865	19930708
US 5663179	A	19970902	US 1994-356323	19941229

PRIORITY APPLN. INFO.:
GB 1992-14667 A 19920710
GB 1992-14668 A 19920710
GB 1992-14675 A 19920710
WO 1993-EP1802 A 19930708

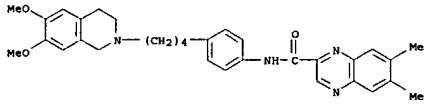
OTHER SOURCE(S): MARPAT 122:31342
GI



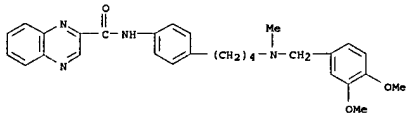
AB Title compds. [I; R = ZCONHZ1ABCH2; A = O, S, bond, NH, etc.; B = (hydroxy)alkylene; R1 = H, alkyl; R2 = H, halo, alkyl, alkoxy, alkylthio; R3,R6 = H, alkoxy; R4 = H, alkyl, alkoxy; R5 = H; R1R5 = CH2, CH2CH2; Z = heterocyclyl, (substituted) 3-(PhCO)C6H4, etc.; Z1 = (substituted) 1,3- or 1,4-phenylene; m = 1 or 2] were prepared Thus, 2-quinoxalinecarboxylic acid was condensed with 4-[3-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-isoquinolinyl)propyl]benzeneamine to give N-[4-[3-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-isoquinolinyl)propyl]phenyl]-2-quinoxalinecarboxamide. I had EC50 of <1 μM for reversal of multidrug resistance of CHRC5 cells in vitro.
IT 159780-66-2P 159780-78-6P 159780-82-2P
159780-83-3P 159780-84-4P 159780-85-5P
159780-86-6P 159780-87-7P 159781-06-3P
159781-07-4P 159781-13-2P 159804-79-2P
159804-81-6P 159804-82-7P 159839-01-7P
159839-02-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as multidrug resistance inhibitor)
RN 159780-66-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propyl]phenyl]- (9CI) (CA INDEX NAME)



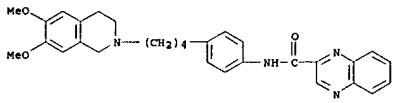
RN 159780-78-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)butyl]phenyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



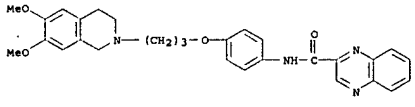
RN 159780-82-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[4-[[(3,4-dimethoxyphenyl)methyl]methylamino]butyl]phenyl]- (9CI) (CA INDEX NAME)



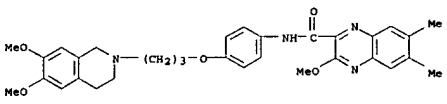
RN 159780-83-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)butyl]phenyl]- (9CI) (CA INDEX NAME)



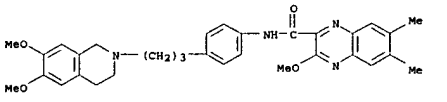
RN 159780-84-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



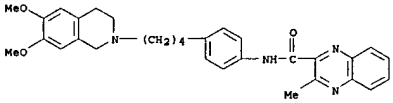
RN 159780-85-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propoxy]phenyl]-3-methoxy-6,7-dimethyl- (9CI) (CA INDEX NAME)



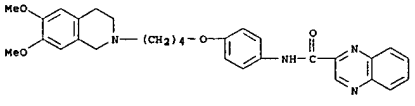
RN 159780-86-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propyl]phenyl]-3-methoxy-6,7-dimethyl- (9CI) (CA INDEX NAME)



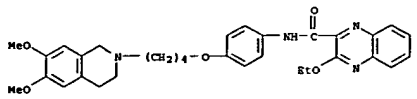
RN 159780-87-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)butyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



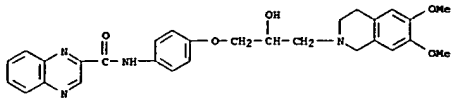
RN 159781-06-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)butoxy]phenyl]- (9CI) (CA INDEX NAME)



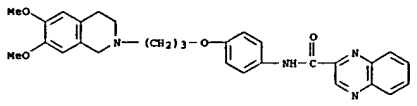
RN 159781-07-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)butoxy]phenyl]-3-ethoxy- (9CI) (CA INDEX NAME)



RN 159781-13-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-2-hydroxypropoxy]phenyl]- (9CI) (CA INDEX NAME)

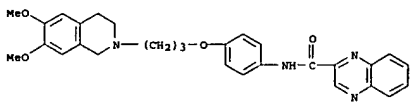


RN 159804-79-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propoxy]phenyl]-6(or 7)-methyl- (9CI) (CA INDEX NAME)



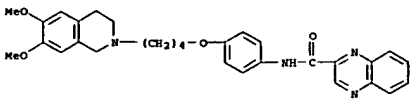
D1—Me

RN 159804-81-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propoxy]phenyl]-6(or 7)-methoxy- (9CI) (CA INDEX NAME)



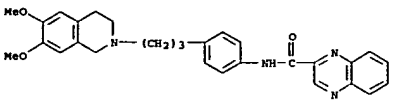
D1—O—Me

RN 159804-82-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)butoxy]phenyl]-6(or 7)-methyl- (9CI) (CA INDEX NAME)



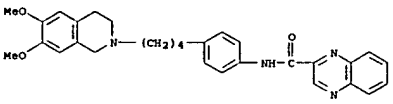
D1—Me

RN 159839-01-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl]propyl]phenyl]-6(or 7)-methyl- (9CI) (CA INDEX NAME)



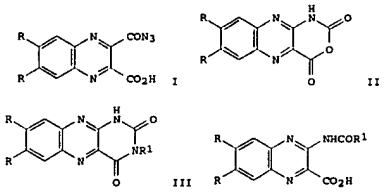
D1—Me

RN 159839-02-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-[4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)butyl]phenyl]-6-(or 7)-methoxy- (9CI) (CA INDEX NAME)



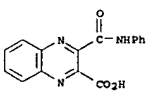
D1—O—Me

L5 ANSWER 169 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:605305 CAPLUS
DOCUMENT NUMBER: 121:205305
TITLE: Curtius degradation of quinoxaline acid azides
AUTHOR(S): Ammar, Y.A.; Mohamed, Y.A.; El-Sharief, A.M.; Zahran, M.A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1992), Volume Date 1991, 34(4), 361-9
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:205305
GI

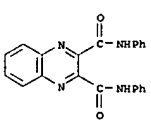


I II III IV

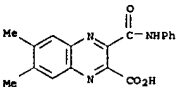
AB Curtius rearrangement of mono acid azides(I; R=H,Me) proceeded via an isocyanate intermediate followed by cyclization to give the anhydride derivs.(II; R as above). Condensation of I with primary amines gave urea derivs, as intermediates which cyclize to give alloxazine derivs.(III; R=H,Me; R1=cyclohexyl, Ph, p-OMeC6H4,p-MeC6H4). Reactions of I with secondary amines produced the urea derivs. (IV;R=H,Me; R1= piperidinyl, morpholino). Treatment of I with alcs. caused addition on the isocyanate group to give IV (R=H,Me; R1=OMe, OEt). The reactions of I with amines or alcs. proceed via Curtius rearrangement.
IT 37648-58-1P 37648-59-2P 149976-94-3P
149977-03-7P 157950-48-6P 157950-51-1P
157950-52-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37648-58-1 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)



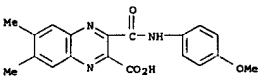
RN 37648-59-2 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N,N'-diphenyl- (9CI) (CA INDEX NAME)



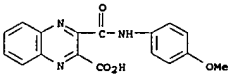
RN 149976-94-3 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 6,7-dimethyl-3-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)



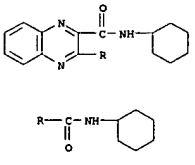
RN 149977-03-7 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(4-methoxyphenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



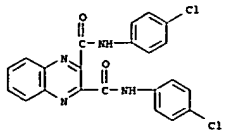
RN 157950-48-6 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(4-methoxyphenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



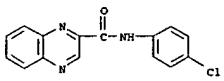
RN 157950-51-1 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N,N'-dicyclohexyl- (9CI) (CA INDEX NAME)



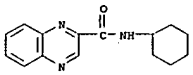
RN 157950-52-2 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N,N'-bis(4-chlorophenyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 170 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:298496 CAPLUS
DOCUMENT NUMBER: 120:298496
TITLE: A facile, convenient and selective homolytic carbamoylation of heteroaromatic bases
AUTHOR(S): Coppa, Fausta; Fontana, Francesca; Lazzarini, Edoardo; Minisci, Francesco
CORPORATE SOURCE: Dip. Chim., Politec., Milano, 20133, Italy
SOURCE: Heterocycles (1993), 36(12), 2687-96
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:298496
AB Oxidative decarboxylation of oxalic acid monoamides provides carbamoyl radicals, which are useful for the selective carbamoylation of protonated heteroarom. bases. This reaction represents the first general and selective method for the N-alkyl or N-arylcarbamoylation of heteroarom. bases. Compared to alkoxycarbonylation, this reaction is much more effective and selective, due to more favorable polar and enthalpic effects. The importance of steric effects is also emphasized.
IT 155129-17-2P 155129-19-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 155129-17-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 155129-19-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclohexyl- (9CI) (CA INDEX NAME)



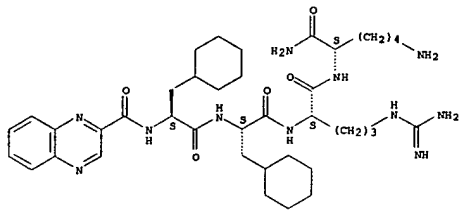
L5 ANSWER 171 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:290102 CAPLUS
DOCUMENT NUMBER: 120:290102
TITLE: Peptide derivatives for thrombin receptor antagonists
INVENTOR(S): Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9403479	A1	19940217	WO 1993-US6428	19930708
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 106197	A1	19991130	IL 1993-106197	19930630
EP 656008	A1	19950607	EP 1993-917028	19930708
EP 656008	B1	20000315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07506115	T2	19950706	JP 1993-505310	19930708
AU 669922	B2	19960627	AU 1993-46685	19930708
AU 9346685	A1	19940303		
AT 190625	E	20000415	AT 1993-917028	19930708
CA 2140543	C	20010410	CA 1993-2140543	19930708
US 5866681	A	19990202	US 1995-407468	19950320

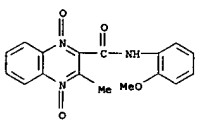
PRIORITY APPLN. INFO.: US 1992-922340 A2 19920730
US 1993-80788 A2 19930628
WO 1993-US6428 W 19930708

OTHER SOURCE(S): MARPAT 120:290102
AB Peptide derivs. (Markush included) serving as thrombin receptor antagonists are disclosed, which bear specificity for the cellular thrombin receptor without interfering with the catalytic activities of thrombin. Synthesis of (N,N-di-n-pentyl-Phe)-Cha-Cha-Arg-Lys-NH2 (Cha is cyclohexylalanine) and of other peptides of the invention is described. IC50 values for 60 compds. are included.
IT 155147-28-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(thrombin receptor antagonist activity of)
RN 155147-28-7 CAPLUS
CN L-Lysinamide, 3-cyclohexyl-N-(2-quinoxalinylcarbonyl)-L-alanyl-3-cyclohexyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

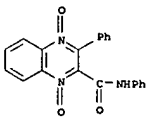
Absolute stereochemistry.



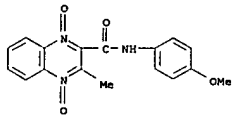
L5 ANSWER 172 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:191634 CAPLUS
DOCUMENT NUMBER: 120:191634
TITLE: The reactions of benzofuroxan with carbonyl compounds on the surface of solid catalysts
AUTHOR(S): Takabatake, Tohru; Hasegawa, Yumiko; Hasegawa, Minoru
CORPORATE SOURCE: Coll. Pharm., Nihon Univ., Funabashi, 274, Japan
SOURCE: Journal of Heterocyclic Chemistry (1993), 30(6), 1477-9
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:191634
AB The cyclocondensations of benzofuroxan with carbonyl compds. were smoothly and efficiently carried out by the adsorption of the components on the surface of silica gel or a mol. sieve to form a 2,3-disubstituted quinoxaline 1,4-dioxide. When the reactions using a mol. sieve 3A (powder) were carried out at 90°, the actual reaction times were reduced to 0.5-2 h. Although Duerckheimer has reported the isolation of only the 7-substituted quinoxaline 1,4-dioxide when 5-methoxybenzofuroxan was allowed to react with Et acetoacetate, it produced only the 6-methoxy isomer as the reaction product by the authors' method.
5-Carboxybenzofuroxan did not react with the carbonyl compound
IT 23433-48-9P 104705-39-7P 111888-44-9P
111888-45-0P 111888-46-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23433-48-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



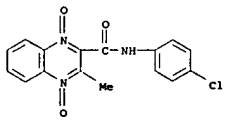
RN 104705-39-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N,3-diphenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



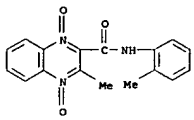
RN 111888-44-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 111888-45-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 111888-46-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

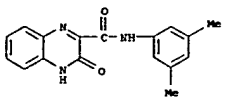


L5 ANSWER 173 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:186611 CAPLUS
DOCUMENT NUMBER: 120:186611
TITLE: Fluorescent Substrates for Potential Use in Enzyme-Linked Immunosorbent Assay of Membrane-Bound Nucleic Acids
AUTHOR(S): Fujita, Satoshi; Momiyama, Masayoshi; Kondo, Yasumitsu; Kagiyama, Naoto; Hori, Samuel H.; Toru, Takeshi
CORPORATE SOURCE: Aisin Cosmos RD Co. Ltd., Sapporo, 060, Japan
SOURCE: Analytical Chemistry (1994), 66(8), 1347-53
CODEN: ANCHAM; ISSN: 0003-2700
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A number of phosphorylated fluorochromes were synthesized and tested for the alkaline phosphatase-linked fluorescence assay of membrane-bound nucleic acids. 3-Hydroxy-N-2'-biphenyl-2-naphthalenecarboxamide phosphate ester (HBNP) was found to be the most suitable for the assay. Nonfluorescent HBNP is hydrolyzed by phospholipase bound to the probe DNA to the highly fluorescent 3-hydroxy-N,2'-biphenyl-2-naphthalenecarboxamide (HBN). HBN is insol. enough in aqueous medium to precipitate on the nylon membrane. Spots

containing as little as 5 fg of λ DNA can be successfully detected on the membrane with HRNP.

IT 153696-83-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with alkaline phosphatase in ELISA for DNA and fluorescence of product of, structure in relation to)

RN 153696-83-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(3,5-dimethylphenyl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



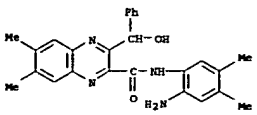
L5 ANSWER 174 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:580281 CAPLUS
DOCUMENT NUMBER: 119:180281
TITLE: Spectral characteristics of the reaction products of 5-phenyl-2,3,4-furantrione with o-diamines
AUTHOR(S): Rashed, Nagwa; Moussaad, Ahmed; Moussa, Adel; El Ashry, El Sayed H.
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Spectroscopy Letters (1993), 26(6), 975-95
CODEN: SPLEBX; ISSN: 0038-7010
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

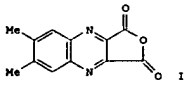
AB The 1H and 13C NMR and mass spectra of 2-[2-amino-4,5-dimethylphenylcarbamoyl)-3-(hydroxyphenylmethyl)-6,7-dimethylquinoxaline, 3-(hydroxyphenylmethyl)-6,7-dimethylquinoxalin-2-carboxylic γ-lactone, 3-(hydroxyphenylmethyl)-6,7-dimethylquinoxalin-2-carboxylic acid phenylhydrazide, 3-[2-hydroxy-2-phenyl-1-(phenylhydrazono)ethyl]-6,7-dimethyl-2(1H)-quinoxalinone, 2,3-dihydro-6,7-dimethyl-3-phenylhydrazono-2-phenylfuro[2,3-b]quinoxaline, 3-(hydroxyphenylmethyl)-6,7-dimethyl-1-phenylflavazole, and 3-(acetoxyphenylmethyl)-6,7-dimethyl-1-phenylflavazole (I-VII, resp., R = Me) have been studied.

IT 150240-24-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)

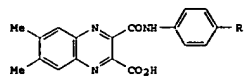
RN 150240-24-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-amino-4,5-dimethylphenyl)-3-(hydroxyphenylmethyl)-6,7-dimethyl- (9CI) (CA INDEX NAME)



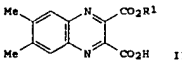
L5 ANSWER 175 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:560219 CAPLUS
DOCUMENT NUMBER: 119:160219
TITLE: A facile synthesis and reactions of 6,7-dimethylquinoxaline-2,3-dicarboximides
AUTHOR(S): Mohamed, Yehia A.; Ammar, Yousry A.; El-Sharief, Ahmed M. S.; Zahran, Medhat A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Afinidad (1993), 50(444), 123-6
CODEN: AFINAE; ISSN: 0001-9704
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:160219
GI



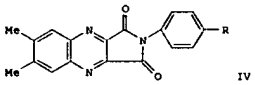
I



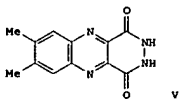
II



III



IV

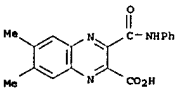


V

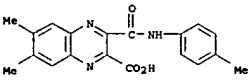
AB The cyclocondensation of 4,5-Me2C6H2(NH2)2-1,2 with Na dihydroxytartarate in H2O gave 68% 6,7-dimethyl-2,3-quinoxalinedicarboxylic acid, which was dehydrated in refluxing Ac2O to give the anhydride I. Treatment of I with 4-RC6H4NH2 (R = H, Me, MeO, Br, Cl) gave the amides II and treatment with R1OH (R1 = Me, Et, ClCH2CH2, Me2CH, Ph, 2-MeC6H4) gave the esters III. II cyclized in refluxing Ac2O to give dicarboximides IV. IV (R = H, Me, MeO) cyclocondensed with H2NNH2 to give dioxopyridazinoquinoxaline V. A number of other reactions of 6,7-dimethylquinoxaline-2,3-dicarboxylic acid and -dicarboximides are also reported.

IT 149976-94-3P 149977-02-6P 149977-03-7P
149977-04-8P 149977-05-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclodehydration of)

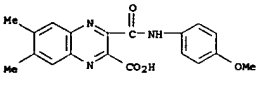
RN 149976-94-3 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 6,7-dimethyl-3-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)



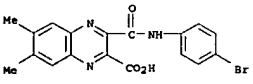
RN 149977-02-6 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 6,7-dimethyl-3-[[(4-methylphenyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



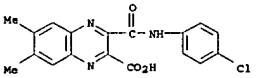
RN 149977-03-7 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(4-methoxyphenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



RN 149977-04-8 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(4-bromophenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)

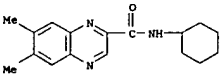


RN 149977-05-9 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[(4-chlorophenyl)amino]carbonyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)

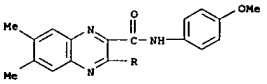


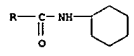
IT 149976-95-4P 149976-97-6P 149977-06-0P
149977-07-1P 149977-08-2P 149977-14-0P
149977-15-1P 149977-16-2P 149977-17-3P
149977-18-4P 149977-19-5P 149977-20-8P
149977-21-9P 149977-22-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 149976-95-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclohexyl-6,7-dimethyl- (9CI) (CA INDEX NAME)

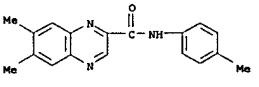


RN 149976-97-6 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-cyclohexyl-N'-(4-methoxyphenyl)-6,7-dimethyl- (9CI) (CA INDEX NAME)

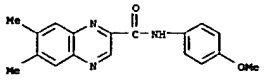




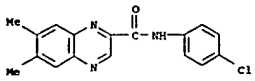
RN 149977-06-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 6,7-dimethyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



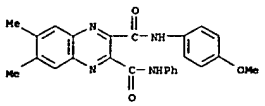
RN 149977-07-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-6,7-dimethyl- (9CI) (CA INDEX NAME)



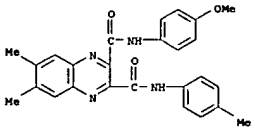
RN 149977-08-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)-6,7-dimethyl- (9CI) (CA INDEX NAME)



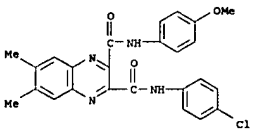
RN 149977-14-0 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-(4-methoxyphenyl)-6,7-dimethyl-N'-phenyl- (9CI) (CA INDEX NAME)



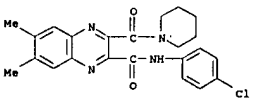
RN 149977-15-1 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-(4-methoxyphenyl)-6,7-dimethyl-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)



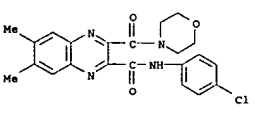
RN 149977-16-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-6,7-dimethyl-3-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 149977-21-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)-6,7-dimethyl-3-(1-piperidinylcarbonyl)- (9CI) (CA INDEX NAME)

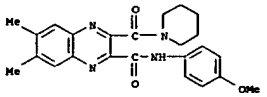


RN 149977-22-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)-6,7-dimethyl-3-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

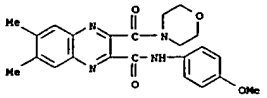


L5 ANSWER 176 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:101927 CAPLUS
DOCUMENT NUMBER: 118:101927
TITLE: Preparation of N-arylsulfonyl-3,4-dihydro-3-oxo-quinoxaline-2-carboxamides and analogs as neuroprotectants
INVENTOR(S): Hays, Sheryl Jeanne; Johnson, Graham; Lescosky, Leonard Joseph; Malone, Thomas Charles; Novak, Perry Michael
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

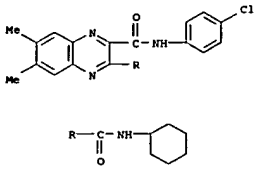
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9211245	A1	19920709	WO 1991-US8586	19911122
W: AU, CA, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9190493	A1	19920722	AU 1991-90493	19911122
ZA 9110018	A	19930621	ZA 1991-10018	19911219



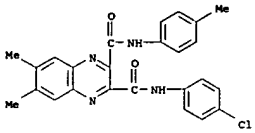
RN 149977-17-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-6,7-dimethyl-3-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 149977-18-4 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-(4-chlorophenyl)-N'-cyclohexyl-6,7-dimethyl- (9CI) (CA INDEX NAME)

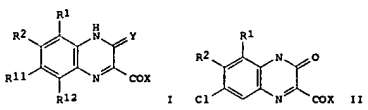


RN 149977-19-5 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-(4-chlorophenyl)-6,7-dimethyl-N'-(4-methylphenyl)- (9CI) (CA INDEX NAME)

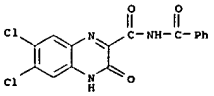


RN 149977-20-8 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N-(4-chlorophenyl)-N'-(4-methoxyphenyl)-6,7-dimethyl- (9CI) (CA INDEX NAME)

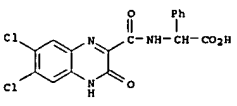
PRIORITY APPLN. INFO.: US 1990-631139 A2 19901220
WO 1991-US8586 A 19911122
OTHER SOURCE(S): MARPAT 118:101927
GI



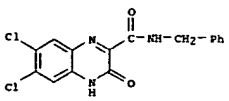
AB Title compds. (I; R1,R2,R11,R12 = H, alkyl, halo, CF3, cyano, etc.; X = NR6SO2R3, NR6R3, NR6OR3, etc.; R3 = H, alkyl, alkenyl, aryl, etc.; R6 = H, alkyl; Y = O, S) were prepared Thus, 4,6-dichloro-2-nitroaniline was condensed with ClCOCH2CO2Et and the product cyclized to give, after PCl3 treatment of the N-oxide and saponification quinoxalinecarboxylate II (R1 = Cl, R2 = H) (III; X = OH) which was condensed with PhSO2NH2 to give III (X = NHSO2Ph). II (R1 = H, R2 = Cl, X = NHSO2R3, R3 = 1H-inden-5-yl) gave 100% inhibition of glycine binding at NMDA receptors at 5.00 + 10-5 M in vitro.
IT 143948-06-5P 143948-09-8P 143948-16-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as neuroprotectant)
RN 143948-06-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-benzoyl-6,7-dichloro-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



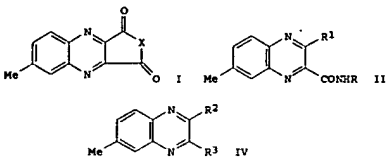
RN 143948-09-8 CAPLUS
CN Benzeneacetic acid, α-[[(6,7-dichloro-3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 143948-16-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 6,7-dichloro-3,4-dihydro-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



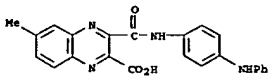
L5 ANSWER 177 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:571375 CAPLUS
DOCUMENT NUMBER: 117:171375
TITLE: Synthesis and reactions of 6-methylquinoxaline-2,3-dicarboxyimides
AUTHOR(S): Ammar, Y. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Delta Journal of Science (1990), 14(2), 528-39
CODEN: DJSCES; ISSN: 1012-5965
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Condensation of 6-methylquinoxaline-2,3-dicarboxylic anhydride (I, X = O) with RNH2 (R = Ph, 4-MeC6H4, 4-MeOC6H4, 4-ClC6H4, 4-PhNHC6H4) under different conditions gave quinoxalinecarboxamides II (R1 = H, CO2H). Cyclodehydration of II (R1 = CO2H) with acetic anhydride furnished I (X = NR) (III). Reactions of selected examples of III with sodium hydroxide, sodium ethoxide, amines, and hydrazine hydrate yielded II (R1 = CO2H), II (R = Ph, R1 = CO2Et) , quinoxalines IV (R2 = CONHR4, R3 = COR5, R4 = H, OMe, R5 = cyclohexylamino, 4-MeOC6H4NH, NMe2, 4-morpholinyl, etc.; R2R3 = CONHNHCO),resp. Reaction of II (X = O) with alcs. gave the corresponding half esters.

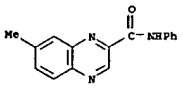
IT 143663-25-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclodehydration of)

RN 143663-25-6 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 6-methyl-3-[[[4-(phenylamino)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

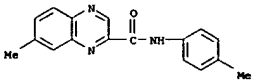


IT 143663-17-6P 143663-18-7P 143663-19-8P
143663-20-1P 143663-30-3P 143663-31-4P
143663-32-5P 143663-33-6P 143663-34-7P
143663-35-8P 143663-36-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

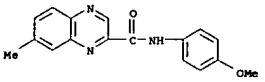
RN 143663-17-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-methyl-N-phenyl- (9CI) (CA INDEX NAME)



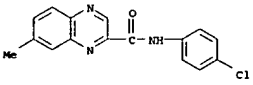
RN 143663-18-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



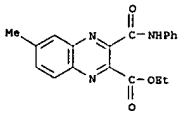
RN 143663-19-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-7-methyl- (9CI) (CA INDEX NAME)



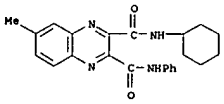
RN 143663-20-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)-7-methyl- (9CI) (CA INDEX NAME)



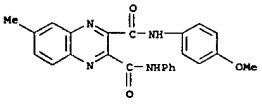
RN 143663-30-3 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 6-methyl-3-[(phenylamino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



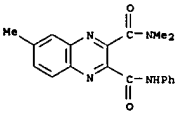
RN 143663-31-4 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N3-cyclohexyl-6-methyl-N2-phenyl- (9CI) (CA INDEX NAME)



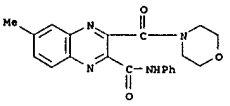
RN 143663-32-5 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N3-(4-methoxyphenyl)-6-methyl-N2-phenyl- (9CI) (CA INDEX NAME)



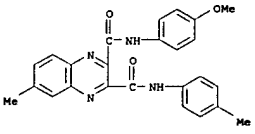
RN 143663-33-6 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N3,N3,6-trimethyl-N2-phenyl- (9CI) (CA INDEX NAME)



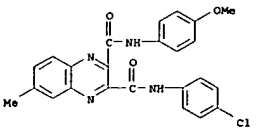
RN 143663-34-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-methyl-3-(4-morpholinylcarbonyl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 143663-35-8 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N2-(4-methoxyphenyl)-6-methyl-N3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

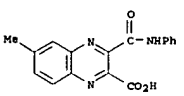


RN 143663-36-9 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N3-(4-chlorophenyl)-N2-(4-methoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)



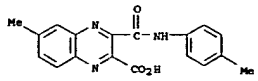
IT 143663-21-2P 143663-22-3P 143663-23-4P
143663-24-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, decarboxylation, and cyclodehydration of)

RN 143663-21-2 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 6-methyl-3-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)

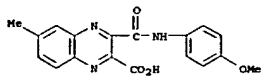


RN 143663-22-3 CAPLUS

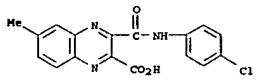
CN 2-Quinoxalinecarboxylic acid, 6-methyl-3-[[[4-methylphenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 143663-23-4 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-methoxyphenyl]amino]carbonyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 143663-24-5 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[[[4-chlorophenyl]amino]carbonyl]-6-methyl- (9CI) (CA INDEX NAME)

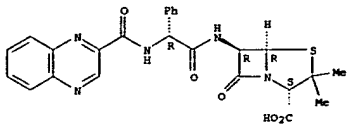


L5 ANSWER 178 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:426191 CAPLUS
DOCUMENT NUMBER: 117:26191
TITLE: Process for preparation of β-lactam antibiotics
INVENTOR(S): Jaehrling, Renate; Henklein, Peter; Scharfenberg, Peter; Teubner, Herbert; Steimecke, Guenter
PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Germany
SOURCE: Ger. (East), 26 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

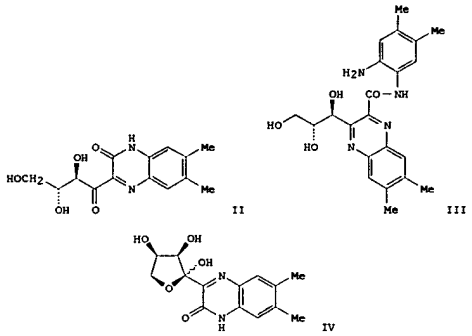
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 295630	A5	19911107	DD 1987-304606	19870703

PRIORITY APPLN. INFO.: DD 1987-304606 19870703
OTHER SOURCE(S): MARPAT 117:26191
GI For diagram(s), see printed CA Issue.
AB β-Lactam antibiotics ACONRD [I; D = β-lactam-containing group; R = H, alkyl, protecting group; ACO = acyl component of carboxylic acid ACO2H] were prepared by reaction of ACO2NB (NB = 5-norbornene-2,3-dicarboximidyl) with β-lactam HNRD. Using this method, D-II was prepared from ampicillin and an active ester.
IT 142060-44-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via amidation of norbornenedicarboximide ester)
RN 142060-44-4 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[phenyl[(2-quinoxalinylcarbonyl)amino]acetyl]amino]-, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

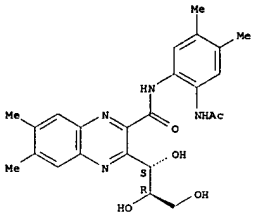


L5 ANSWER 179 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:194754 CAPLUS
DOCUMENT NUMBER: 116:194754
TITLE: Mode of formation of quinoxaline versus 2[1H]-quinoxalinone rings from dehydro-D-erythorbic acid
AUTHOR(S): Moussaad, Ahmed; Rashed, Nagwa; Abdel Hamid, Hamida; El Kilany, Yeldez; El Ashry, El Sayed H.
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Carbohydrate Research (1992), 225(1), 59-66
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



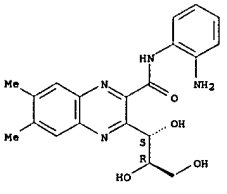
AB The mode of formation of the quinoxaline vs. 2[1H]-quinoxalinone rings by the reaction of o-diamines with dehydro-D-erythorbic acid was investigated. The study was carried out by using one and two molar equivalents of 1,2-diamino-4,5-dimethylbenzene (I) to give 6,7-dimethyl-3-(1-oxo-D-erythro-2,3,4-trihydroxbutyl)-2[1H]-quinoxalinone (II) and 2-(2-amino-4,5-dimethylphenylcarbamoyl)-3-(D-erythro-glycerol-1-yl)-6,7-dimethylquinoxaline (III), resp. The former product exists predominantly as the two furanosyl anomers IV. Sequential reaction of II with I was studied, and the location of each diamine in the product was deduced by using 1H-NMR spectroscopy. A mechanism for the reaction is proposed.
IT 140840-32-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)
RN 140840-32-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(acetylamino)-4,5-dimethylphenyl]-6,7-dimethyl-3-(1,2,3-trihydroxypropyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



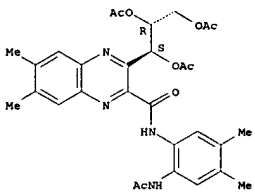
IT 140840-37-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis and lactamization of)
RN 140840-37-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-6,7-dimethyl-3-(1,2,3-trihydroxypropyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



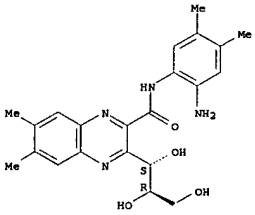
IT 140840-33-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 140840-33-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(acetylamino)-4,5-dimethylphenyl]-6,7-dimethyl-3-[1,2,3-tris(acetyloxy)propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 140840-31-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, acetylation, and hydrolysis-lactamization of)
RN 140840-31-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-amino-4,5-dimethylphenyl)-6,7-dimethyl-3-(1,2,3-trihydroxypropyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

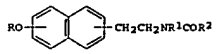


L5 ANSWER 180 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:20792 CAPLUS
DOCUMENT NUMBER: 116:20792
TITLE: Preparation of N-[(alkoxynaphthyl)ethyl]carboxamides as nervous system agents
INVENTOR(S): Andrieux, Jean; Houssin, Raymond; Yous, Said; Guardiola, Beatrice; Lesieur, Daniel
PATENT ASSIGNEE(S): ADIR et Cie., Fr.
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW

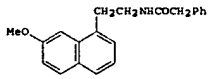
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 447285	A1	19910918	EP 1991-400526	19910227
EP 447285	B1	19930512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2658818	A1	19910830	FR 1990-2393	19900227
FR 2658818	B1	19931231		
CA 2036876	AA	19910828	CA 1991-2036876	19910222
CA 2036876	C	19980818		
AU 9171375	A1	19910829	AU 1991-71375	19910226
AU 634350	B2	19930218		
ZA 9101403	A	19911127	ZA 1991-1403	19910226
US 5194614	A	19930316	US 1991-661425	19910226
AT 89263	E	19930515	AT 1991-400526	19910227
ES 2059069	T3	19941101	ES 1991-400526	19910227
JP 07046331	A2	19950221	JP 1991-33192	19910227
US 5225442	A	19930706	US 1992-816466	19920103
US 5318994	A	19940607	US 1992-970578	19921103
PRIORITY APPLN. INFO.:			FR 1990-2393 A	19900227
			US 1991-661425 A3	19910226
			EP 1991-400526 A	19910227
			US 1992-816466 A3	19920103

OTHER SOURCE(S): MARPAT 116:20792
GI



I



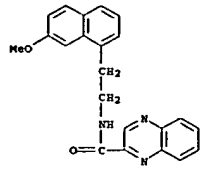
II

AB Title compds. [I; R = alkyl; R1 = H, alkyl; R2 = H, (halo)alkyl, (halo)cycloalkyl, aralkyl, (hetero)aryl, etc.; R1R2 = atoms to complete a ring], having high affinity for melatonin receptors, were prepared Thus, 7-methoxy-1-tetralone was converted in 7 steps to 2-(7-methoxynaphth-1-yl)ethylamine which was condensed with PhCH2COCl to give title compound II. Certain I had K.d = 5.5 + 10-13 (no units given) for binding at melatonin receptors, vs. 6.3 + 10-11 for melatonin itself.

IT 138112-94-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as nervous system agent)

RN 138112-94-4 CAPLUS

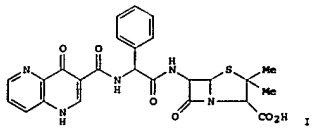
CN 2-Quinoxalinecarboxamide, N-[2-(7-methoxy-1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 181 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:631981 CAPLUS
DOCUMENT NUMBER: 115:231981
TITLE: Preparation of acylamino- β-lactams
INVENTOR(S): Scharfenberg, Peter; Jaehrling, Renate; Henklein, Peter; Haber, Hanka; Teubner, Herbert; Steimecke, Guenter
PATENT ASSIGNEE(S): Institut fuer Pharmakologische Forschung, Ger. Dem. Rep.
SOURCE: Ger. (East), 30 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 279867	A1	19900620	DD 1987-304622	19870703
PRIORITY APPLN. INFO.:			DD 1987-304622	19870703

OTHER SOURCE(S): MARPAT 115:231981
GI



I

AB ACONRD (ACO = acyl; R = H, alkyl, protecting group; D = β-lactam-containing residue), specifically naphthyridone D-I, useful as antibacterials (no data), were prepared by coupling of ACO2X (X = 5-norbornen-2,3-dicarboximide residue) with HNRD. I and 8 addnl. ampicillin coupling products were prepared

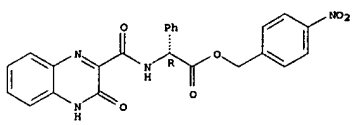
IT 133206-57-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with aminopenicillanic acid)

RN 133206-57-2 CAPLUS

CN Benzeneacetic acid, α-[[[3,4-dihydro-3-oxo-2-quinoxalinyl]carbonyl]amino]-, (4-nitrophenyl)methyl ester, (R)- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.



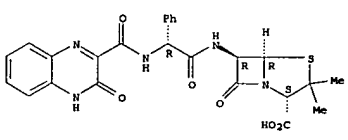
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and coupling of, with ampicillin

IT 133206-51-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibacterial)

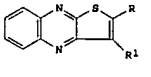
RN 133206-51-6 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 182 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:559094 CAPLUS
DOCUMENT NUMBER: 115:159094
TITLE: Synthesis and reactions of some new thieno[2,3-b]quinoxalines and their related compounds
AUTHOR(S): Mahgoub, S. A.
CORPORATE SOURCE: Fac. Sci., Assiut Univ., Assiut, Egypt
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1991), 61(1-2), 151-60
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



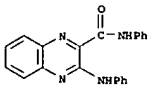
I

AB Several thienoquinoxalines, e.g. I [R = cyano, R1 = NH2, NHAc; R = COMe, R1 = NH2; RR1 = CONHCH:N, C(NH2):C(CN)CONH; etc.] have been prepared Thus, 2-chloroquinoxaline-3-carbonitrile reacted with H2NC(S)NH2 in EtOH to give 3-cyano-2(1H)-quinoxalinethione (II). II cyclocondensed with BrCH2COC6H4R2 (R2 = H, 4-Cl, 4-Me) to give I (R = COC6H4R2, R1 = NH2). II also reacted with ClCH2CN to give I (R = cyano, R1 = NH2) (III). III cyclocondensed with NCCH2CO2Et to give I [RR1 = C(NH2):C(CN)CONH].

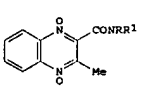
IT 136228-93-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 136228-93-8 CAPLUS

CN 2-Quinoxalinecarboxamide, N-phenyl-3-(phenylamino)- (9CI) (CA INDEX NAME)



L5 ANSWER 183 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:478334 CAPLUS
DOCUMENT NUMBER: 113:78334
TITLE: An improved and efficient synthesis of quinoxalinecarboxamide 1,4-dioxides from benzofuroxan and acetoacetamides in the presence of calcium salts
AUTHOR(S): Stumm, G.; Niclas, H. J.
CORPORATE SOURCE: Cent. Inst. Org. Chem., Acad. Sci. GDR, Berlin, GDR-1199, Ger. Dem. Rep.
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1989), 331(5), 736-44
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:78334
GI



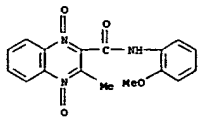
I

AB Cyclocondensation reaction of benzofuroxan with MeCOCH2CONRR1 (R = H, R1 = H, Me, Pr, CHMe2, Bu, CH2CH2OH, Ph, C6H4OMe-o, C6H4OMe-p, 1-naphthyl, 2-naphthyl; R = R1 = Me, Et, CH2CH2OH) in the presence of HOCH2CH2NH2 and CaCl2 or Ca(NO3)2 gave 53-96% dioxoquinoxalinecarboxamides I.

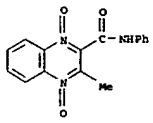
IT 23433-48-9P 31983-89-8P 111888-44-9P 128615-90-7P 128615-91-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23433-48-9 CAPLUS

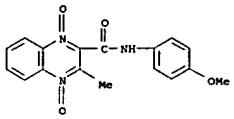
CN 2-Quinoxalinecarboxamide, N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



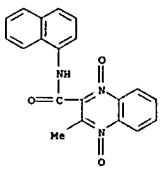
RN 31983-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



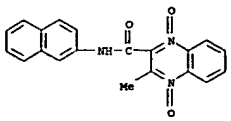
RN 111888-44-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 128615-90-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-1-naphthalenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 128615-91-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-2-naphthalenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

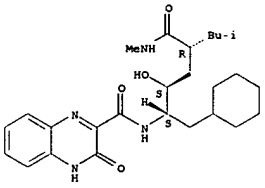


L5 ANSWER 184 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:35678 CAPLUS
DOCUMENT NUMBER: 112:35678
TITLE: Preparation of heterocyclyl nonpeptidic renin inhibitors as antihypertensives
INVENTOR(S): Rosati, Robert Louis
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

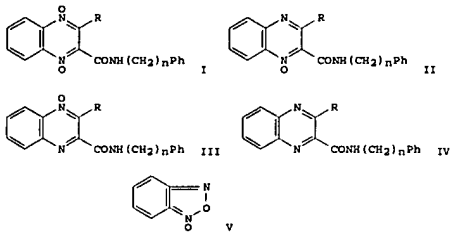
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 321192	A2	19890621	EP 1988-311798	19881214
EP 321192	A3	19910130		
EP 321192	B1	19931027		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4923864	A	19900508	US 1988-281878	19881024
JP 01250345	A2	19891005	JP 1988-313642	19881212
JP 06092366	B4	19941116		
PL 152507	B1	19910131	PL 1988-276363	19881212
CS 274671	B2	19910915	CS 1988-8203	19881212
ZA 8809307	A	19900829	ZA 1988-9307	19881213
CA 1314545	A1	19930316	CA 1988-585722	19881213
HU 48277	A2	19890529	HU 1988-6423	19881214
HU 201564	B	19901128		
AU 8826881	A1	19890615	AU 1988-26881	19881214
AU 593181	B2	19900201		
FI 8805783	A	19890616	FI 1988-5783	19881214
FI 88295	B	19930115		
FI 88295	C	19930426		
NO 8805549	A	19890616	NO 1988-5549	19881214
NO 172935	B	19930621		
NO 172935	C	19930929		
CN 1034366	A	19890802	CN 1988-108575	19881214
CN 1025676	B	19940817		
DK 8806948	A	19890811	DK 1988-6948	19881214
DD 283381	A5	19901010	DD 1988-323142	19881214
SU 1651786	A3	19910523	SU 1988-4613032	19881214
AT 96433	E	19931115	AT 1988-311798	19881214
ES 2059540	T3	19941116	ES 1988-311798	19881214
PRIORITY APPLN. INFO.:			US 1987-133373 A	19871215
			EP 1988-311798 A	19881214

OTHER SOURCE(S): CASREACT 112:35678; MARPAT 112:35678
AB HET-CONHCHR1CH(OH)CH2CHR2CONHR3 [I; HET = hydroquinolinyl, imidazopyridyl, hydroxyquinoxalinyl, dichloropyrrolyl, pyrrolopyridyl, (un)substituted indolyl; R1 = C6-8 cycloalkyl, Me2CH; R2 = C3-5 alkyl, Ph, MeCH:CH, Me2C:CH, halovinyl,hydroxy C1-3 alkyl, amino C1-4 alkyl; R3 = C1-6 alkyl, morpholinoethyl] and their pharmaceutically acceptable salts, useful as antihypertensives (no data) were prepared (2R,4S,5S)-6-Cyclohexyl-5-amino-2-(2'-chloro-2'-propenyl)- γ-hexanolactone hydrochloride (165.5 mg) was coupled with 97.8 mg 5-chloroindole-2-carboxylic acid in the presence of N-methylmorpholine, N-hydroxybenzotriazole and dicyclohexylcarbodiimide in CH2Cl2 to give 226 mg (2R,4S,5S)-I (HET = 5-chloroindol-2-yl; R1 = cyclohexyl; R2 = ClC:CH2; R3 = Me).
IT 124185-18-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)
RN 124185-18-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[1-(cyclohexylmethyl)-2-hydroxy-6-methyl-4-[(methylamino)carbonyl]heptyl]-3,4-dihydro-3-oxo-, [1S-(1R*,2R*,4S*)]- (9CI) (CA INDEX NAME)

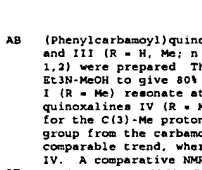
Absolute stereochemistry.



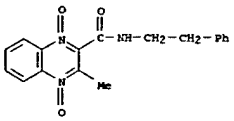
L5 ANSWER 185 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:56059 CAPLUS
DOCUMENT NUMBER: 108:56059
TITLE: Synthesis and spectroscopic studies on some new substituted 2-quinoxalinecarboxamides and their N-oxides
AUTHOR(S): Sabri, Salim S.; El-Abadelah, Mustafa M.; Al-Bitar, Bassam A.
CORPORATE SOURCE: Fac. Sci., Jordan Univ., Amman, Jordan
SOURCE: Heterocycles (1987), 26(3), 699-711
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:56059
GI



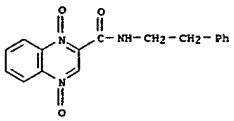
AB (Phenylcarbamoyl)quinoxaline dioxides I (R = H, Me; n = 0-4), oxides II and III (R = H, Me; n = 1-4), and nonoxygenated analogs IV (R = H, Me; n = 1,2) were prepared Thus, MeCOCH2CONHCH2Ph reacted with benzofuroxan (V) in Et3N-MeOH to give 80% I (R = Me, n = 1). The C(3)-Me protons in dioxides I (R = Me) resonate at a higher field (δ = 2.45-2.80) than those of quinoxalines IV (R = Me) (δ .simeq. 3.0). The observed upfield shift for the C(3)-Me protons is at a maximum when 2 methylene carbons sep. the Ph group from the carbamoyl function. The NMR of II (R = Me) show a comparable trend, whereas isomeric oxides III (R = Me) closely resemble IV. A comparative NMR study of I-IV (R = H) is also presented.
IT 83821-63-0P 112369-45-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and deoxygenations of)
RN 83821-63-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



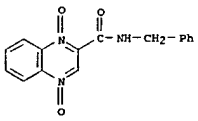
RN 112369-45-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-phenylethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



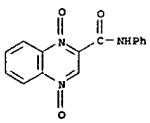
IT 59834-00-3P 112369-33-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and selective deoxygenation of)
RN 59834-00-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-phenylethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



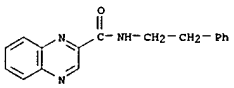
RN 112369-33-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



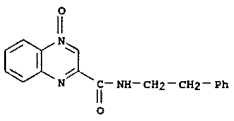
IT 112369-44-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and selective deoxygenations of)
RN 112369-44-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenylpropyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



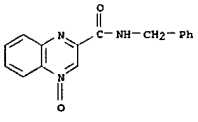
RN 112369-27-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



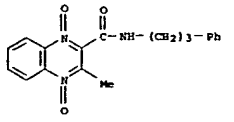
RN 112369-28-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-phenylethyl)-, 4-oxide (9CI) (CA INDEX NAME)



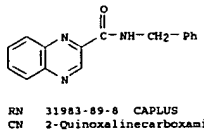
RN 112369-29-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(phenylmethyl)-, 4-oxide (9CI) (CA INDEX NAME)



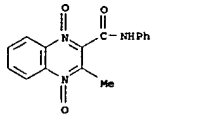
RN 112369-30-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(phenylmethyl)-, 1-oxide (9CI) (CA INDEX NAME)



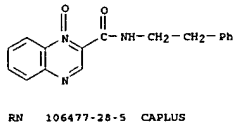
IT 7066-32-2P 31983-89-8P 59833-89-5P
106477-28-5P 112369-27-4P 112369-28-5P
112369-29-6P 112369-30-9P 112369-32-1P
112369-34-3P 112369-35-4P 112369-37-6P
112369-38-7P 112369-39-8P 112369-41-2P
112369-42-3P 112369-43-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 7066-32-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(phenylmethyl)- (9CI) (CA INDEX NAME)



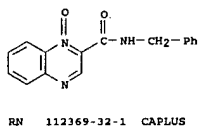
RN 31983-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



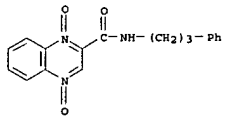
RN 59833-89-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-phenylethyl)-, 1-oxide (9CI) (CA INDEX NAME)



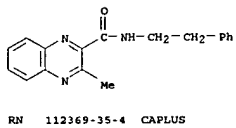
RN 106477-28-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



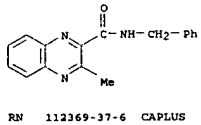
RN 112369-32-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(3-phenylpropyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



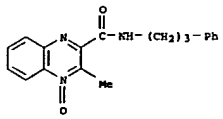
RN 112369-34-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



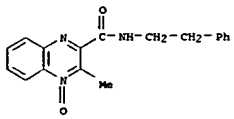
RN 112369-35-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



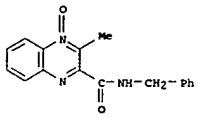
RN 112369-37-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenylpropyl)-, 4-oxide (9CI) (CA INDEX NAME)



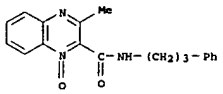
RN 112369-38-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-phenylethyl)-, 4-oxide (9CI) (CA INDEX NAME)



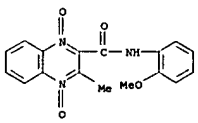
RN 112369-39-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(phenylmethyl)-, 4-oxide (9CI) (CA INDEX NAME)



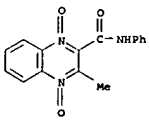
RN 112369-41-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(3-phenylpropyl)-, 1-oxide (9CI) (CA INDEX NAME)



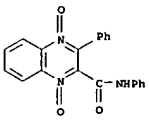
RN 112369-42-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-phenylethyl)-, 1-oxide (9CI) (CA INDEX NAME)



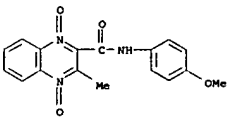
RN 31983-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



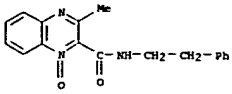
RN 104705-39-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N,3-diphenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



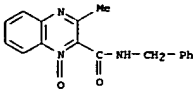
RN 111888-44-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



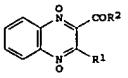
RN 111888-45-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 112369-43-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(phenylmethyl)-, 1-oxide (9CI) (CA INDEX NAME)

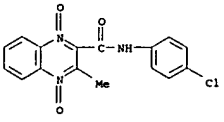


L5 ANSWER 186 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:21841 CAPLUS
DOCUMENT NUMBER: 108:21841
TITLE: A new synthesis of 2,3-disubstituted quinoxaline 1,4-dioxides catalyzed by molecular sieves
AUTHOR(S): Takabatake, Toru; Hasegawa, Minoru
CORPORATE SOURCE: Coll. Sci. Technol., Nihon Univ., Tokyo, 101, Japan
SOURCE: Journal of Heterocyclic Chemistry (1987), 24(2), 529-30
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:21841
GI

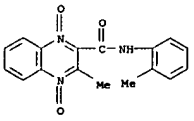


I

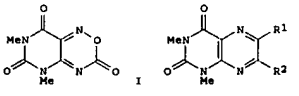
AB Benzofuroxan was treated with R1COCH2COR2 (R1 = Me, CH2CO2Me, 4-O2NC6H4, Ph; R2 = Ph, OMe, OEt, NHPh, NHC6H4OMe-2 or -4, NHC6H4Cl-2, NHC6H4Me-4) in MeOH containing zeolites to yield quinoxaline dioxides I.
IT 23433-48-9P 31983-89-8P 104705-39-7P 111888-44-9P 111888-45-0P 111888-46-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23433-48-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 111888-46-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



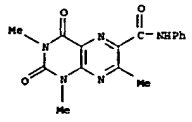
L5 ANSWER 187 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:515559 CAPLUS
DOCUMENT NUMBER: 107:115559
TITLE: Reactivity of 3H-pyrimido[5,4-c][1,2,5]oxadiazin-3-one towards carbanions: synthesis of pteridine-2,4-diones
AUTHOR(S): Giori, P.; Poli, T.; Veronese, A. C.; Vicentini, C. B.; Manfrini, M.; Guarneri, M.
CORPORATE SOURCE: Dip. Sci. Farm., Univ. Ferrara, Ferrara, 44100, Italy
SOURCE: Journal of Heterocyclic Chemistry (1986), 23(6), 1661-5
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:115559
GI



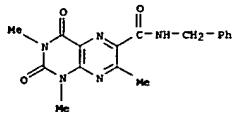
I II

AB The reaction of pyrimidooxadiazin-3-one (I) with carbanions prepared in situ from RR1CH2 (R = Ac, Bz, CO2Me, CO2Et, cyano; R1 = Ac, Bz, CO2Me, CO2Et, cyano, CONHPh, CONHCH2Ph) afforded 6,7-disubstituted pteridine-2,4-diones II (R2 = Me, Ph, OH, NH2) in good yields. The reaction mechanism, involving the initial attack by carbanion at the oxadiazinone nitrogen atom bonded to oxygen, is proposed and discussed.
IT 109879-40-5P 109879-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 109879-40-5 CAPLUS

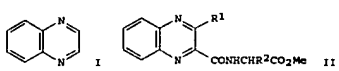
CN 6-Pteridinecarboxamide, 1,2,3,4-tetrahydro-1,3,7-trimethyl-2,4-dioxo-N-phenyl- (9CI) (CA INDEX NAME)



RN 109879-41-6 CAPLUS
CN 6-Pteridinecarboxamide, 1,2,3,4-tetrahydro-1,3,7-trimethyl-2,4-dioxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

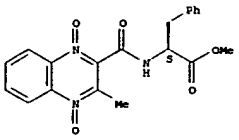


L5 ANSWER 188 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:439040 CAPLUS
DOCUMENT NUMBER: 107:39040
TITLE: Carbon-13 NMR studies on some quinoxaline amino esters and their N-oxides
AUTHOR(S): Sabri, Salim S.; El-Abadelah, Mustafa M.; Tashtoush, Hasan I.; Duddeck, Helmut
CORPORATE SOURCE: Fac. Sci., Jordan Univ., Amman, Jordan
SOURCE: Heterocycles (1986), 24(11), 3169-80
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



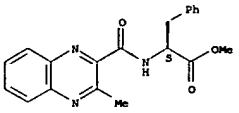
AB 13C NMR spectra of quinoxaline (I), of its mono- and dioxides, and of quinoxaloyl-substituted amino esters II (R1 = H, Me; R2 = Me, Ph, CH2Ph), and of their mono- and dioxides were recorded. The effects of N-oxide substituents on the 13C NMR chemical shifts and on the 13C-1H coupling consts. depended upon the substituents at C-2 and C-3. The substituent effects were discussed in terms of mesomeric states and intramol. H bonding.
IT 62973-10-8 62973-21-1 65926-49-0
74200-01-4 74200-11-6 74200-15-0
74200-19-4 74200-23-0 109084-22-2
109084-23-3
RL: PRP (Properties)
(carbon-13 NMR spectrum of)
RN 62973-10-8 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



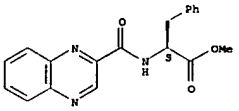
RN 62973-21-1 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



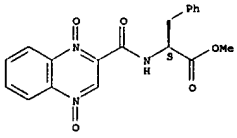
RN 65926-49-0 CAPLUS
CN L-Phenylalanine, N-(2-quinoxalinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



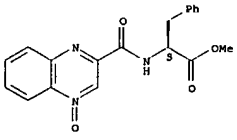
RN 74200-01-4 CAPLUS
CN L-Phenylalanine, N-[(1,4-dioxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



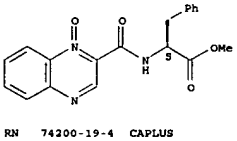
RN 74200-11-6 CAPLUS
CN L-Phenylalanine, N-[(4-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



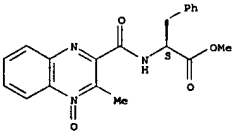
RN 74200-15-0 CAPLUS
CN L-Phenylalanine, N-[(1-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



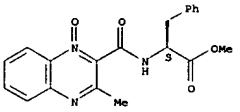
RN 74200-19-4 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-4-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

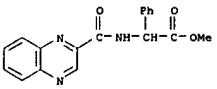


RN 74200-23-0 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

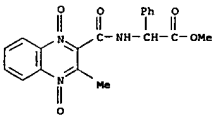
Absolute stereochemistry.



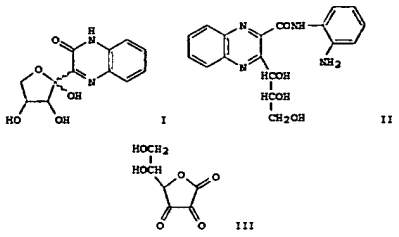
RN 109084-22-2 CAPLUS
CN Benzeneacetic acid, α-[(2-quinoxalinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 109084-23-3 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 189 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:176333 CAPLUS
DOCUMENT NUMBER: 106:176333
TITLE: Structure of the reaction products of dehydro-D-isoascorbic acid with ortho diamines
AUTHOR(S): El Ashry, E. S. H.; El Kilany, Y.; El Shimy, N.; Huckerby, T. N.
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Scientia Pharmaceutica (1986), 54(2), 121-5
CODEN: SCPHA4; ISSN: 0036-8709
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II III

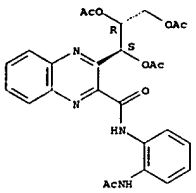
AB The structure of the reaction products I and II of dehydro-D-isoascorbic acid III with o-phenylenediamine (1 and 2 mol, resp.) was reinvestigated based on 1H-NMR spectroscopy and spin decoupling technique.

IT 107823-76-7P 107850-72-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 107823-76-7 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[2-(acetylamino)phenyl]-3-[1,2,3-trie(acetyloxy)propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

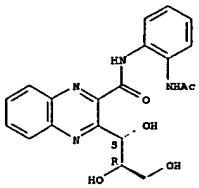
Absolute stereochemistry.



RN 107850-72-6 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[2-(acetylamino)phenyl]-3-(1,2,3-trihydroxypropyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

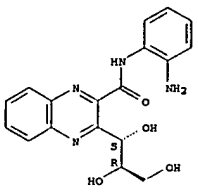


IT 92983-92-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, hydrolysis and acetylation of)

RN 92983-92-1 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-(1,2,3-trihydroxypropyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 190 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:102117 CAPLUS

DOCUMENT NUMBER: 106:102117

TITLE: α-Oxodicarboxylic acid chloride imide chlorides in the synthesis of heterocycles. I

AUTHOR(S): Capuano, Lilly; Hell, Wolfgang; Wamprecht, Christian

CORPORATE SOURCE: Fachber. 14, Org. Chem., Univ. Saarlandes, Saarbruecken, D-6600, Fed. Rep. Ger.

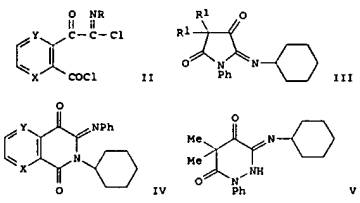
SOURCE: Liebigs Annalen der Chemie (1986), (1), 132-41
CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 106:102117

GI



II III

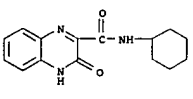
IV V

AB The title compds. (I) were prepared by α-addition of dicarboxylic acid dichlorides [ClCOCOCl, R12C(COCl)2 (R1 = Me, Et), furan-3,4-dicarbonyl chloride, pyridine-2,3-dicarbonyl chloride, pyrazine-2,3-dicarbonyl chloride and o-ClCOC6H4COCl] to RCN (R = cyclohexyl, p-MeC6H4SO2CH2, Me3C). I [e.g., ClCOCR12COC(:NR)Cl and II (X = Y = CH, N; X = CH, Y = N)] were characterized by high reactivity of the terminal carbons and readily underwent cyclization with hydrazines, amines, or water, affording imino derivs. of pyrazole, pyridazine, pyrrole, isoquinoline, naphthyridine, or pyridopyridazine (e.g., III-V).

IT 106943-56-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)

RN 106943-56-0 CAPLUS

CN 2-Quinoxalinecarboxamide, N-cyclohexyl-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



L5 ANSWER 191 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:67258 CAPLUS

DOCUMENT NUMBER: 106:67258

TITLE: Reactivity of pyrazolo[4,3-c][1,2,5]oxadiazin-3(5H)-ones toward C-nucleophiles: synthesis of pyrazolo[3,4-b]pyrazines

AUTHOR(S): Giori, P.; Veronese, A. C.; Poli, T.; Vicentini, C. B.; Manfrini, M.; Guarneri, M.

CORPORATE SOURCE: Ist. Chim. Farm., Univ. Ferrara, Ferrara, 44100, Italy

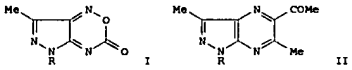
SOURCE: Journal of Heterocyclic Chemistry (1986), 23(2), 585-8
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:67258

GI



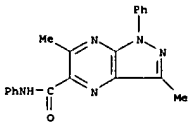
I II

AB Stirring pyrazolooxadiazines I (R = Me, Ph) with CH2R1R2 (R1, R2 = Ac, Bz, CO2Me, CO2Et, cyano, CONHPh, CONHCH2Ph) in THF in the presence of NaH gave 57-98% pyrazolopyrazines II.

IT 106538-02-7P 106538-03-8P 106538-04-9P
106538-05-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

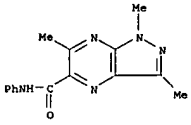
RN 106538-02-7 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyrazine-5-carboxamide, 3,6-dimethyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



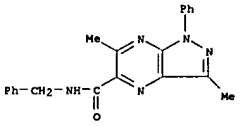
RN 106538-03-8 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyrazine-5-carboxamide, 1,3,6-trimethyl-N-phenyl- (9CI) (CA INDEX NAME)



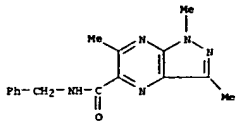
RN 106538-04-9 CAPLUS

CN 1H-Pyrazolo[3,4-b]pyrazine-5-carboxamide, 3,6-dimethyl-1-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

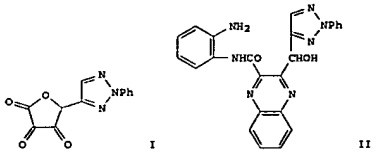


RN 106538-05-0 CAPLUS

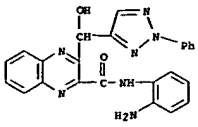
CN 1H-Pyrazolo[3,4-b]pyrazine-5-carboxamide, 1,3,6-trimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



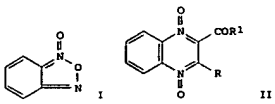
LS ANSWER 192 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:627198 CAPLUS
DOCUMENT NUMBER: 105:227198
TITLE: Heterocycles from carbohydrate precursors. Part 29. Reaction of dehydro-L-ascorbic acid analogs with o-phenylenediamine
AUTHOR(S): El Ashry, El-Sayed H.; Abdel Rahman, Mohamed A.; El Kilany, Yeldez; Rashed, Nagwa
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Carbohydrate Research (1986), 153(1), 146-9
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:227198
GI



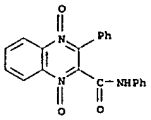
AB Reaction of triazolylbutanolide I (0.5 g) with o-C6H4(NH2)2 (0.8 g) in MeOH 10 min at reflux gave 83% quinoxaline derivative II, whose structure was determined by IR and mass spectroscopy.
IT 105362-44-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and structure of)
RN 105362-44-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-[hydroxy(2-phenyl-2H-1,2,3-triazol-4-yl)methyl]- (9CI) (CA INDEX NAME)



LS ANSWER 193 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:572384 CAPLUS
DOCUMENT NUMBER: 105:172384
TITLE: Reactions of benzofuroxan with 1,3-diketones or β-ketoesters on silica gel or alumina
AUTHOR(S): Hasegawa, Minoru; Takabatake, Tohru
CORPORATE SOURCE: Coll. Sci. Technol., Nihon' Univ., Tokyo, 101, Japan
SOURCE: Synthesis (1985), (10), 938
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:172384
GI

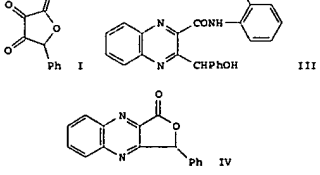


AB The cyclocondensation of benzofuroxan I with RCOCH2COR1 (R, R1 = Me, Ph, OMe, OEt, NHPh, C6H4NO2-4, CH2CO2Me) in the presence of silica gel (adsorption of the components on silica gel) yielded a convenient method for the synthesis of quinoxaline oxides II.
IT 104705-39-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 104705-39-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N,3-diphenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

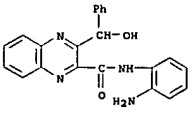


LS ANSWER 194 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:497422 CAPLUS
DOCUMENT NUMBER: 105:97422
TITLE: Structure of the reaction product of 4-hydroxy-2,3-dioxo-4-phenylbutanoic acid 1,4-lactone with o-phenylenediamine
AUTHOR(S): Coxon, Bruce; Dahn, Hans; Khadem, Hassan S. El; Swartz, David L.
CORPORATE SOURCE: Cent. Anal. Chem., Natl. Meas. Lab., Washington, DC, 20234, USA
SOURCE: Carbohydrate Research (1985), 142(1), 1-10
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:97422
GI



AB Examination of the structure of the yellow product, obtained by treating 4-phenyl-2,3-dioxobutyrolactone I with 2 mol of o-phenylenediamine (II), by high-resolution 1H-, 13C-, and 15N-NMR spectroscopy, as well as by electron-impact mass spectrometry, confirmed without ambiguity the structure of the product as the quinoxaline amide III. When I is treated with II, the Schiff base is first formed, which is then converted into a quinoxaline lactone IV. The excess of II then converted IV into the yellow product III.
IT 806-91-7P
RL: PORM (Formation, nonpreparative); PREP (Preparation)
(formation of, by condensation of phenyldioxobutyrolactone with phenylenediamine)
RN 806-91-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-(hydroxyphenylmethyl)- (9CI) (CA INDEX NAME)

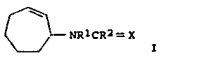


LS ANSWER 195 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:224624 CAPLUS
DOCUMENT NUMBER: 104:224624

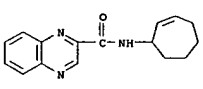
TITLE: N-Cycloheptenyl amides
INVENTOR(S): Tomioka, Hiroki; Oishi, Tadashi; Takahashi, Junya; Sasaki, Mitsuru; Hirata, Naonori
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60224663	A2	19851109	JP 1984-82724	19840423
JP 05012339	B4	19930217		
PRIORITY APPLN. INFO.:			JP 1984-82724	19840423

GI



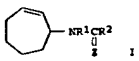
AB The title compds. [I, R1 = H, alkenyl, aryl, alkynyl, cycloalkyl, cycloalkenyl, (substituted)alkyl; R2 = H, alkenyl, (substituted)cycloalkyl, (substituted)cycloalkenyl, aryl, etc.; X = O, S, NH], useful as insecticides (effective at 50 g/10 are without damage to plants), were prepared Thus, 0.93 g EtCOCl was added to a mixture of 1.11 g 3-cycloheptenylamine, 1.01 g Et3N, and 10 mL CHCl3 at 0-5° and the resulting mixture stirred at 20° for 3 h to give 1.5 g I (R1 = H, R2 = Et, X = O).
IT 95996-15-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as insecticide)
RN 95996-15-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-2-cyclohepten-1-yl- (9CI) (CA INDEX NAME)



LS ANSWER 196 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:143964 CAPLUS
DOCUMENT NUMBER: 104:143964
TITLE: Acid amides as soil microbicides
INVENTOR(S): Tomioka, Hiroki; Oishi, Tadashi; Takahashi, Junya; Sasaki, Mitsuru; Hirata, Naonori
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60214706	A2	19851028	JP 1984-68733	19840405
PRIORITY APPLN. INFO.:			JP 1984-68733	19840405

GI

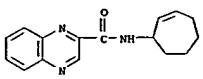


AB Acid amides I [R1 = H, alkenyl, aryl, alkyl, etc.; R2 = H, alkynyl, (un)substituted cycloalkyl, etc.; Z = O, S, NH] are soil microbicides. Syntheses of I are given. Thus, the preemergence soil incorporation of I (R1 = H; R2 = Me; Z = O) at 50 g/10 are, controlled Fusarium oxysporium on Japanese white radish.

IT 95996-15-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and microbicidal activity of)

RN 95996-15-9 CAPLUS

CN 2-Quinoxalinecarboxamide, N-2-cyclohepten-1-yl- (9CI) (CA INDEX NAME)



L5 ANSWER 197 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:68883 CAPLUS

DOCUMENT NUMBER: 104:68883

TITLE: Quinoxaline-2-thiocarboxamides

INVENTOR(S): Sarodnick, Gerhard; Viola, Horst; Kempter, Gerhard; Mayer, Roland; Klepel, Manfred

PATENT ASSIGNEE(S): VEB Fahlberg-List, Ger. Dem. Rep.

SOURCE: Ger. (East), 4 pp.
CODEN: GEXXA8

DOCUMENT TYPE: Patent

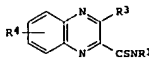
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 220602	A1	19850403	DD 1983-254754	19830913
PRIORITY APPLN. INFO.:			DD 1983-254754	19830913
OTHER SOURCE(S):	CASREACT 104:68883			

GI

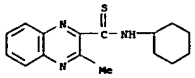


AB The title compds. [I; R1, R2 = H, (un)substituted alkyl, cycloalkyl, aralkyl; R1R2N = heterocyclyl; R3 = H, alkyl, aryl, heteroaryl, OH; R4 = alkoxy, aryloxy, halo, cyano, NO2, R3], intermediates for preparation of bioactive compds., were prepared by aminolysis of 2-quinoxalinecarbodithioates. Thus, EtNH2 was added to a refluxing solution of Me 3-methyl-2-quinoxalinecarbodithioate in heptane to give 95% I (R1 = R4 = H, R2 = Et, R3 = Me).

IT 100010-67-1P 100010-70-6P 100010-76-2P
100010-78-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

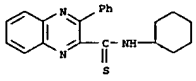
RN 100010-67-1 CAPLUS

CN 2-Quinoxalinecarbothioamide, N-cyclohexyl-3-methyl- (9CI) (CA INDEX NAME)



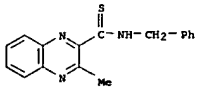
RN 100010-70-6 CAPLUS

CN 2-Quinoxalinecarbothioamide, N-cyclohexyl-3-phenyl- (9CI) (CA INDEX NAME)



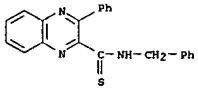
RN 100010-76-2 CAPLUS

CN 2-Quinoxalinecarbothioamide, 3-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 100010-78-4 CAPLUS

CN 2-Quinoxalinecarbothioamide, 3-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 198 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1985:571456 CAPLUS

DOCUMENT NUMBER: 103:171456

TITLE: Comparative QSAR of antibacterial dihydrofolate reductase inhibitors

AUTHOR(S): Coats, Eugene A.; Genther, Clara S.; Smith, Carl C.

CORPORATE SOURCE: Coll. Pharm., Univ. Cincinnati, Cincinnati, OH, USA

SOURCE: QSAR Des. Bioact. Compd. (1984), 71-85. Editor(s): Kuchar, M. Proue: Barcelona, Spain.
CODEN: 53SIAU

DOCUMENT TYPE: Conference

LANGUAGE: English

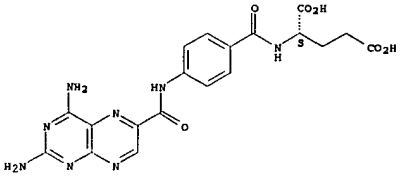
AB The quant. structure-activity relationship (QSAR) of pteridines, pyrimidines, triazines, and quinazolines with regard to inhibition of dihydrofolate reductase (DHFR) [9002-03-3] of Lactobacillus casei was studied. The results were interpreted in light of the known x-ray crystal structure of the ternary complex of L. casei DHFR with methotrexate and NADPH and with reference to previously conducted QSAR studies on isolated L. casei DHFR. The correlations obtained for pteridines, pyrimidines, and phenyltriazines provide a logical extension of the known methotrexate L. casei-DHFR interactions. In case of quinazolines, however, the results of QSAR do not match with the available conceptualization of inhibitor-active site interaction; the possible modes of quinazoline-DHFR interaction thus remain as conjecture or hypothesis until further exptl. data are available.

IT 39707-65-8
RL: BIOL (Biological study)
(dihydrofolate reductase inhibition by, QSAR of)

RN 39707-65-8 CAPLUS

CN L-Glutamic acid, N-[4-[[(2,4-diamino-6-pteridinyl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 199 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1985:418390 CAPLUS

DOCUMENT NUMBER: 103:18390

TITLE: A soil-disease-controlling agent

INVENTOR(S): Tomioka, Hiroki; Ooishi, Tadashi; Takahashi, Junya; Sasaki, Mitsuru; Hirata, Naonori

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 128006	A2	19841212	EP 1984-303640	19840530
EP 128006	A3	19860409		
EP 128006	B1	19910918		
R: CH, DE, FR, GB, IT, LI, NL				
JP 59222403	A2	19841214	JP 1983-97545	19830531
JP 59225101	A2	19841218	JP 1983-99959	19830603
JP 59227845	A2	19841221	JP 1983-103949	19830609
JP 04065826	B4	19921021		
JP 59231068	A2	19841225	JP 1983-106233	19830613
JP 04002588	B4	19920120		
JP 60041602	A2	19850305	JP 1983-150853	19830817
JP 60042304	A2	19850306	JP 1983-151117	19830818
US 4709052	A	19871124	US 1984-610789	19840516
AU 8428856	A1	19841206	AU 1984-28856	19840530
AU 576503	B2	19880901		
CA 1261839	A1	19890926	CA 1984-455433	19840530
US 5075488	A	19911224	US 1987-66735	19870625
PRIORITY APPLN. INFO.:			JP 1983-97545 A	19830531
			JP 1983-99959 A	19830603
			JP 1983-103949 A	19830609
			JP 1983-106233 A	19830613
			JP 1983-150853 A	19830817
			JP 1983-151117 A	19830818
			US 1984-610789 A3	19840516

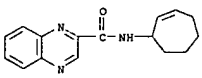
OTHER SOURCE(S): CASREACT 103:18390; MARPAT 103:18390

AB 2-Cycloalkenylamine derivs. and salts are fungicides for preventing or controlling plant diseases caused by pathogenic soil fungi. Thus, such compds. controlled Fusarium oxysporum on radish, F. oxysporum conglutinaus on cabbage, F. oxysporum cucumerinum on cucumbers, Verticillium albo-atrum on eggplant, and clubroot of Chinese cabbage, etc. N-(2-Cyclohexenyl)glycine Et ester (I) [95995-43-0] at 300 g/are as a soil drench completely controlled F. oxysporum in Japanese radish in container expts. in the greenhouse. I was prepared by reaction of Et bromoacetate [105-36-2] with 2-cyclohexenylamine [1541-25-9] in a mixture with triethylamine and CHCl3. Preparation of various derivs. are described.

IT 95996-15-9P 95996-75-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and fungicidal activity of)

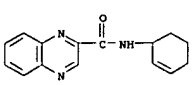
RN 95996-15-9 CAPLUS

CN 2-Quinoxalinecarboxamide, N-2-cyclohepten-1-yl- (9CI) (CA INDEX NAME)



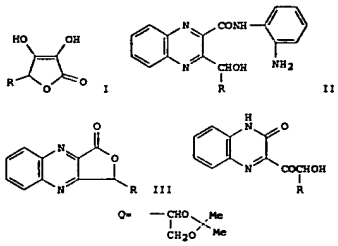
RN 95996-75-1 CAPLUS

CN 2-Quinoxalinecarboxamide, N-2-cyclohexen-1-yl- (9CI) (CA INDEX NAME)



L5 ANSWER 200 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1985:185401 CAPLUS
DOCUMENT NUMBER: 102:185401
TITLE: Condensation of o-phenylenediamine with dehydro-L-ascorbic acid derivatives and analogs
AUTHOR(S): Tsujimoto, Yuji; Ohmori, Mitsuaki; Takagi, Masanosuke
CORPORATE SOURCE: Dep. Hyg. Chem., Osaka City Inst. Public Health Environ. Sci., Osaka, 543, Japan
SOURCE: Carbohydrate Research (1985), 138(1), 148-52
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:185401
GI

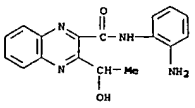


AB Oxidation of L-ascorbic acid analogs I [R = Me, Q, CH(OH)CH2OCO(CH2)14Me] followed by treatment with excess o-C6H4(NH2)2 gave the corresponding quinoxalines II in 62, 31, and 40% yield, resp. In the case of I (R = Q) 33% quinoxaline III was also obtained. Hydrolysis of II (R = Me) with aqueous HCl gave III (R = Me). III (R = Me) on treatment with o-C6H4(NH2)2 gave II (R = Me). In the condensation of oxidized I with excess o-C6H4(NH2)2, the intermediacy of quinoxaline IV was confirmed by PhNHNH2 trapping. In the condensation of oxidized I with o-C6H4(NH2)2 the major pathway is the formation of IV and the minor one is the formation of III.

IT 96103-24-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation reaction of)

RN 96103-24-1 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-(1-hydroxyethyl)- (9CI) (CA INDEX NAME)

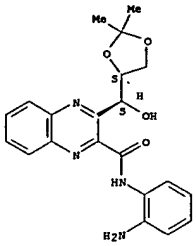


IT 96103-25-2P 96109-44-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 96103-25-2 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-[(2,2-dimethyl-1,3-dioxolan-4-yl)hydroxymethyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

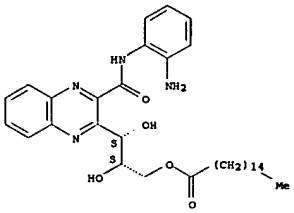
Absolute stereochemistry.



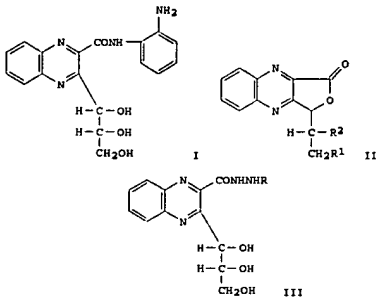
RN 96109-44-3 CAPLUS

CN Hexadecanoic acid, 3-[3-[[(2-aminophenyl)amino]carbonyl]-2-quinoxalinyl]-2,3-dihydroxypropyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 201 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:611620 CAPLUS
DOCUMENT NUMBER: 101:211620
TITLE: Some quinoxaline derivatives from dehydro-D-arabino-ascorbic acid
AUTHOR(S): El Sekily, Mohamed Ali; Mancy, Sohila; Fahmy, Kamal
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Carbohydrate Research (1984), 133(2), 324-8
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

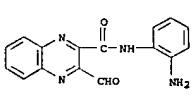


AB Oxidation of D-arabino-ascorbic acid with on equimolar amount of p-benzoquinone in MeOH-H2O at room temperature, followed by condensation with 2 equivalent of o-C6H4(NH2)2 gave quinoxalinecarboxanilide I. Acid hydrolysis of I gave quinoxaline lactone II (R1 = R2 = OH). The latter was converted into II (R1 = R2 = OAc, OBz; R1 = tosyloxy, Br, R2 = OH) and into hydrazides III [R = Ph, 4-O2NC6H4, 2,4-(O2N)2C6H3].

IT 92983-95-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 92983-95-4 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-formyl- (9CI) (CA INDEX NAME)

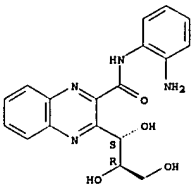


IT 92983-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, oxidation, and hydrolysis of)

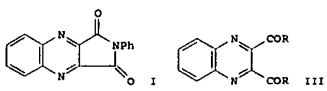
RN 92983-92-1 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-(1,2,3-trihydroxypropyl)-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 202 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:551813 CAPLUS
DOCUMENT NUMBER: 101:151813
TITLE: Potential antiallergic agents. V. Synthesis of N'-phenylquinoxaline-2,3-dicarboximide
AUTHOR(S): Liu, Kang Chien; Shih, Bi Jane
CORPORATE SOURCE: Dep. Pharm., Natl. Def. Med. Cent., Taipei, Taiwan
SOURCE: Taiwan Yaoxue Zazhi (1983), 35(2), 171-3
CODEN: JTPHAO; ISSN: 0368-4520
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

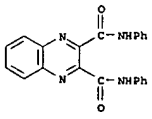


AB The title compound (I), useful as the anti-allergic agent (no data), was prepared from 2,1,3-benzoselenadiazole (II). II was heated with MeO2C.tplbond.CCO2Me in xylene to give quinoxaline derivative III (R = OMe), the latter and PhNH2 gave III (R = NHPh), and the diamide product was heated in Dowtherm A to give I. o-Phenylenediamine was heated with H2SeO3 to yield II.

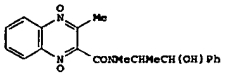
IT 37648-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of)

RN 37648-59-2 CAPLUS

CN 2,3-Quinoxalinedicarboxamide, N,N'-diphenyl- (9CI) (CA INDEX NAME)



L5 ANSWER 203 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:156569 CAPLUS
DOCUMENT NUMBER: 100:156569
TITLE: Syntheses and antibacterial activity of some new N-(3-methyl-2-quinoxaloyl) amino alcohols and amine 1,4-dioxides
AUTHOR(S): Sabri, Salim S.; El-Abadelah, Mustafa M.; Owais, Wajih M.
CORPORATE SOURCE: Fac. Sci., Jordan Univ., Amman, Jordan
SOURCE: Journal of Chemical and Engineering Data (1984), 29(2), 229-31
CODEN: JCEAAX; ISSN: 0021-9568
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:156569
GI



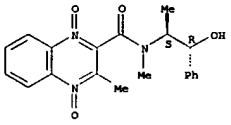
I

AB The syntheses and the in vitro and in vivo antibacterial activities of a series of N-(3-methyl-2-quinoxaloyl) amino alcs. and amine 1,4-dioxides, and their deoxygenated analogs are described. The quinoxaline 1,4-dioxide derivative of the naturally occurring (-)-ephedrine I was the most potent antibacterial agent of the series. The presence of a hydroxy group and a tertiary amide appears to be associated with enhancement of the antibacterial action.

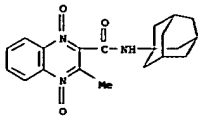
IT 81485-17-8P 88996-67-2P 88996-68-3P
88996-69-4P 88996-70-7P 88996-74-1P
89063-57-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 81485-17-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-hydroxy-1-methyl-2-phenylethyl]-N,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

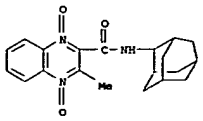
Absolute stereochemistry.



RN 88996-67-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-tricyclo[3.3.1.13,7]dec-1-yl-, 1,4-dioxide (9CI) (CA INDEX NAME)

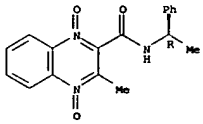


RN 88996-68-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-tricyclo[3.3.1.13,7]dec-2-yl-, 1,4-dioxide (9CI) (CA INDEX NAME)



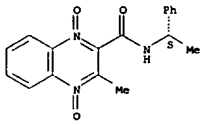
RN 88996-69-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(1-phenylethyl)-, 1,4-dioxide, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



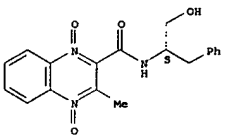
RN 88996-70-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(1-phenylethyl)-, 1,4-dioxide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



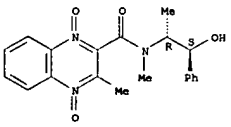
RN 88996-74-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[1-(hydroxymethyl)-2-phenylethyl]-3-methyl-, 1,4-dioxide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



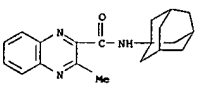
RN 89063-57-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-, 1,4-dioxide, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

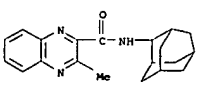


IT 88996-81-0P 88996-82-1P 88996-83-2P
88996-84-3P 88996-88-7P 88996-89-8P
89063-58-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 88996-81-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

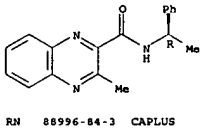


RN 88996-82-1 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



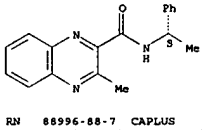
RN 88996-83-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(1-phenylethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



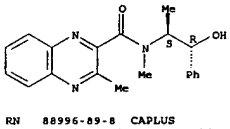
RN 88996-84-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(1-phenylethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



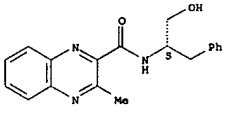
RN 88996-88-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



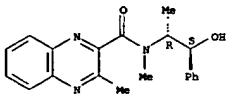
RN 88996-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[1-(hydroxymethyl)-2-phenylethyl]-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

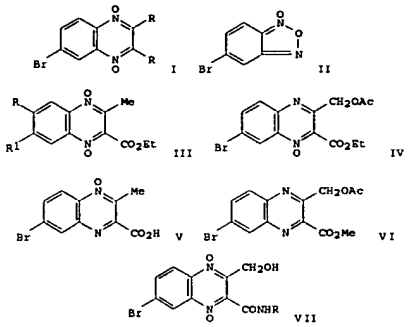


RN 89063-58-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

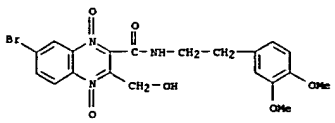


L5 ANSWER 204 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:121017 CAPLUS
DOCUMENT NUMBER: 100:121017
TITLE: Brominated analogs of quinoxidine, dioxidine and 3-(hydroxymethyl)quinoxaline-2-carboxamide di-N-oxides
AUTHOR(S): Musatova, I. S.; Elina, A. S.; Solov'eva, N. P.; Polukhina, L. M.; Moskalenko, N. Yu.; Pershin, G. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1983), 17(11), 1307-12
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 100:121017
GI

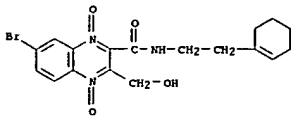


AB Bromination of dimethylquinoxaline derivative I (R = Me) gave I (R = CH2Br), which reacted with AcOH-NEt3 to give I (R = CH2OAc); hydrolysis of this gave I (R = CH2OH). The reaction of benzofuroxan II with MeCOCH2CO2Et gave quinolines III (R = H, R1 = Br; R = Br, R1 = H). Bromination of III (R = H, R1 = Br) (IIIa) followed by reaction with Ac2O gives IV. Reaction of IIIa with Na2S2O4 gives V; esterification of V, followed by reaction with Ac2O, gave VI. The multistep conversion of IIIa to VII (R = H, Me, allyl, CH2CH2Ph, NH2, etc.) was also carried out.
IT 89142-24-5P 89142-25-6P 89142-27-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

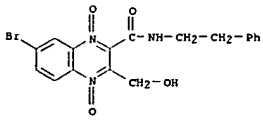
RN 89142-24-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-bromo-N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(hydroxymethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 89142-25-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-bromo-N-[2-(1-cyclohexen-1-yl)ethyl]-3-(hydroxymethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

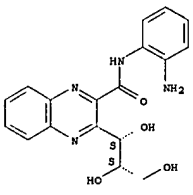


RN 89142-27-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 7-bromo-3-(hydroxymethyl)-N-(2-phenylethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

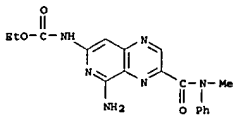


L5 ANSWER 205 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:576198 CAPLUS
DOCUMENT NUMBER: 99:176198
TITLE: Further polarographic studies on the condensation products of dehydro-L-ascorbic acid with o-phenylenediamine in acetate buffer, pH 3.6
AUTHOR(S): Ohmori, Mitsuaki; Tsujimoto, Yuji; Takagi, Masanosuke
CORPORATE SOURCE: Coll. Agric., Univ. Osaka, Sakai, 591, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1983), 56(7), 2033-6
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Three polarog. reduction waves, which appear when dehydro-L-ascorbic acid (I) is treated with o-phenylenediamine (II) in acetate buffer, pH 3.6, were reinvestigated by comparing with those of the synthesized products from I and II. A reaction scheme of I with II is presented and the characteristics of the reactions are discussed.
IT 87661-79-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and polarogram of)
RN 87661-79-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-(1,2,3-trihydroxypropyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



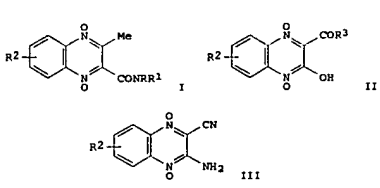
L5 ANSWER 206 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:11106 CAPLUS
DOCUMENT NUMBER: 98:11106
TITLE: 1,2-Dihydropyrido[3,4-b]pyrazines: structure-activity relationships
AUTHOR(S): Temple, Carroll, Jr.; Wheeler, Glynn P.; Elliott, Robert D.; Rose, Jerry D.; Comber, Robert N.; Montgomery, John A.
CORPORATE SOURCE: Kettering-Meyer Lab., South. Res. Inst., Birmingham, AL, 35255, USA
SOURCE: Journal of Medicinal Chemistry (1983), 26(1), 91-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:11106
AB Thirty-one 1,2-dihydropyrido[3,4-b]pyrazine analogs, some of which were synthesized, were tested for neoplasm-inhibiting activity. Apparently, the neoplasm-inhibiting activity of 1,2-dihydropyrido[3,4-b]pyrazines is diminished by addition of N to the 1-position or interchange of the 3-N and 1-CH, oxidation to the corresponding heteroarom. system, reduction to the corresponding tetrahydro derivative, replacement of the 4-amino group with other substituents, replacement of the aryl moiety at the 6-position with a Me group, replacement of the 8-NH with NMe, and opening the pyrazine ring. In contrast, activity was increased by the substitution of a Me group at the 7-position.
IT 83269-14-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neoplasm-inhibiting activity of)
RN 83269-14-1 CAPLUS
CN Carbamic acid, [5-amino-3-[(methylphenylamino)carbonyl]pyrido[3,4-b]pyrazin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 207 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:4563 CAPLUS
DOCUMENT NUMBER: 98:4563
TITLE: Quinoxaline derivatives
INVENTOR(S): Issidorides, Costas H.; Haddadin, Makhluf J.
PATENT ASSIGNEE(S): Research Corp. , USA
SOURCE: U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 691,252, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4343942	A	19820810	US 1969-883577	19691209
CA 923131	A1	19730320	CA 1967-4478	19671107
GB 1308370	A	19730228	GB 1970-47202	19701005
NL 157302	B	19780717	NL 1972-8887	19720628
DK 7800142	A	19780112	DK 1978-142	19780112
US 4866175	A	19890912	US 1979-29344	19790412
PRIORITY APPLN. INFO.:			US 1966-592729	A2 19661108
			NL 1967-14882	A 19671102
			US 1967-691252	A2 19671218
			DK 1967-5535	A 19671107
			US 1969-883577	A 19691209
			CA 1970-923131	A5 19701118
			US 1977-843510	A1 19771008

OTHER SOURCE(S): CASREACT 98:4563
GI

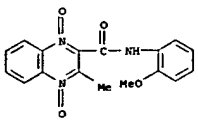


AB Bactericidal quinoxaline dioxides I (R, R1 = H, alkyl; R2 = F3C, H2NSO2, MeNHSO2, Me2NSO2) and II [R3 = alkoxy, aryloxy, PhCH2O, NR4R5 (R4, R5 = H, alkyl, Ph); R2 = H, Cl, F, Me, MeO, F3C, H2NSO2, MeNHSO2] and III (R2 = as before) were prepared Thus, condensation of benzofuroxan with Me2CO in

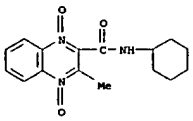
refluxing MeCN containing pyrrolidine gave 2-methylquinoxaline dioxide which possessed a min. inhibitory concentration of 50 μg/mL against Pasteurella multocida.

IT 23433-48-9P 23433-76-3P 31887-83-9P
31983-89-8P 83821-63-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

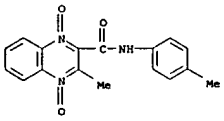
RN 23433-48-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



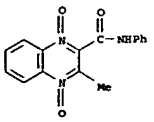
RN 23433-76-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclohexyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



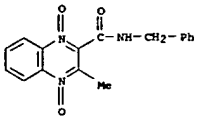
RN 31887-83-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(4-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



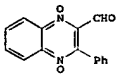
RN 31983-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 83821-63-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



L5 ANSWER 208 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:194867 CAPLUS
DOCUMENT NUMBER: 96:194867
TITLE: Microbial mutagenicity and toxicity of newly synthesized heterocyclic N-oxides
AUTHOR(S): Al-Mossawi, M. A. J.; Salem, A. A.; Salama, M.; Anani, A.
CORPORATE SOURCE: Kuwait Inst. Sci. Res., Safat, Kuwait
SOURCE: Environment International (1981), 5(3), 141-4
CODEN: ENVIDV; ISSN: 0160-4120
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

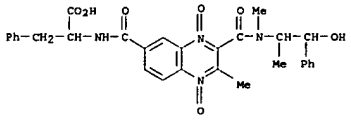


I

AB Newly synthesized heterocyclic N-oxides were tested for their mutagenicity using the Ames test. DX1 (I) [81485-18-9] was potentially mutagenic in Salmonella typhimurium TA 100 and 98 with and without the S-9 mixture WO 25 [81485-17-8] And WO 20 [81485-16-7], being structurally related to I, did not show any genetic change in the strains used. The antibiotic activity of these chems. was also tested using gram-neg. and gram-pos. bacteria. I had more killing effect in gram-pos. bacteria than WO 25 and WO 20.

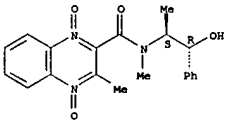
IT 81485-16-7 81485-17-8
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(mutagenicity and toxicity of)

RN 81485-16-7 CAPLUS
CN D-Phenylalanine, N-[[3-[[[(1S,2R)-2-hydroxy-1-methyl-2-phenylethyl]methylamino]carbonyl]-2-methyl-1,4-dioxido-6-quinoxalinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 81485-17-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-hydroxy-1-methyl-2-phenylethyl]-N,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

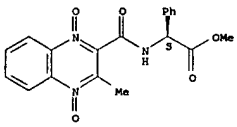


L5 ANSWER 209 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:208098 CAPLUS
DOCUMENT NUMBER: 94:208098
TITLE: Deoxygenation and x-ray photoelectron studies on some quinoxalines and their N-oxides
AUTHOR(S): El-Abadelah, Mustafa M.; Anani, Ali A.; Khan, Zahida H.; Hassan, A. M.; Katrib, Ali
CORPORATE SOURCE: Mater. Sci. Appl. Dep., Kuwait Inst. Sci. Res., Kuwait
SOURCE: Journal of Heterocyclic Chemistry (1980), 17(8), 1671-80
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Deoxygenation results of some quinoxaline dioxides with PCl3 are presented and compared with previous deoxygenations with other reagents. Differentiation between isomeric quinoxaline oxides using XPS is also discussed.

IT 62973-08-4 62973-10-8 74200-00-3
74200-01-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(deoxygenation of)

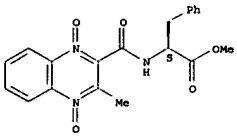
RN 62973-08-4 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



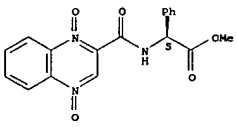
RN 62973-10-8 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



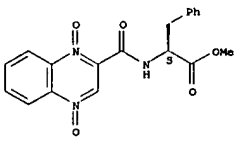
RN 74200-00-3 CAPLUS
CN Benzeneacetic acid, α-[[(1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



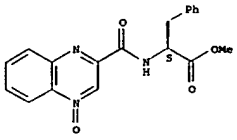
RN 74200-01-4 CAPLUS
CN L-Phenylalanine, N-[(1,4-dioxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



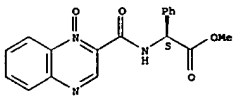
IT 74200-11-6P 74200-14-9P 74200-15-0P
74200-18-3P 74200-19-4P 74200-22-9P
74200-23-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR of)
RN 74200-11-6 CAPLUS
CN L-Phenylalanine, N-[(4-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



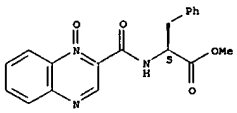
RN 74200-14-9 CAPLUS
CN Benzeneacetic acid, α-[[(1-oxido-2-quinoxalinyl)carbonyl]amino]-,
methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



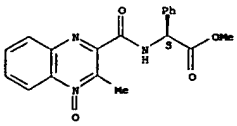
RN 74200-15-0 CAPLUS
CN L-Phenylalanine, N-[(1-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



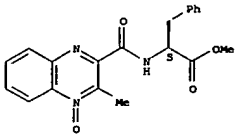
RN 74200-18-3 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-4-oxido-2-
quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



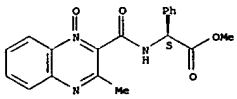
RN 74200-19-4 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-4-oxido-2-quinoxalinyl)carbonyl]-, methyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



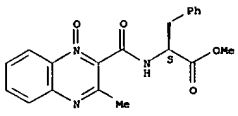
RN 74200-22-9 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-1-oxido-2-
quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



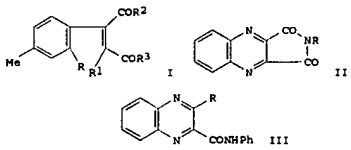
RN 74200-23-0 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1-oxido-2-quinoxalinyl)carbonyl]-, methyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

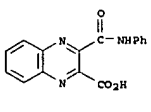


L5 ANSWER 210 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:30470 CAPLUS
DOCUMENT NUMBER: 94:30470

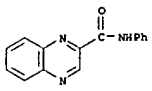
TITLE: Synthesis of quinoxaline- and indole-2,3-dicarboxylic acid imides
AUTHOR(S): Augustin, M.; Koehler, M.; Faust, J.; Al-Holly, M. M.
CORPORATE SOURCE: Sekt. Chem., Martin Luther Univ., Halle-Wittenberg, DDR-402, Ger. Dem. Rep.
SOURCE: Tetrahedron (1980), 36(12), 1801-5
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 94:30470
GI



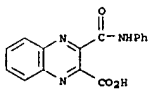
AB The maleimides I (R = H, R1 = Cl, R2R3 = NPh, NMe) reacted with NaN3 (Me2CO/H2O, room temperature, 10 min) to give the indole-2,3-dicarboxylic acid imides I (RR1 = NH, R2R3 = NPh, NMe) (33 and 34%, resp.). These reacted readily with nucleophiles to give a range of 6-methylindole-2,3-dicarboxylic acid derivs. in high yield (53-92%). E.g., I (RR1 = NH, R2R3 = NPh) with MeOH (10 min) gave 90% I (RR1 = NH, R2 = NHPh, R3 = OMe). The quinoxaline-2,3-dicarboxylic acid imides II (R = Ph, Me) were prepared similarly. Treatment of II (R = Ph) with aqueous NH3 gave the intermediate III (R = CO2NH2) which either hydrolyzed to the acid-amide or decarboxylated to the crystalline monoamide III (R = H).
IT 37648-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)
RN 37648-58-1 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)



IT 37648-63-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37648-63-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-phenyl- (9CI) (CA INDEX NAME)

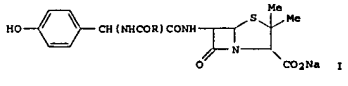


IT 76039-52-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, hydrolysis, and decarboxylation of)
RN 76039-52-6 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[(phenylamino)carbonyl]-, monoammonium salt (9CI) (CA INDEX NAME)



● NH_3

L5 ANSWER 211 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:514423 CAPLUS
DOCUMENT NUMBER: 93:114423
TITLE: Studies on β-lactam antibiotics. V. Synthesis of 6-[D(-)-α-(acylamino)-4-hydroxyphenylacetamido]penicillanic acids and antibacterial activity
AUTHOR(S): Tobiki, Hisao; Yamada, Hirotada; Tanno, Norihiko; Shimago, Kozo; Eda, Yasuko; Noguchi, Hiroshi; Komatsu, Toshiaki; Nakagome, Takenari
CORPORATE SOURCE: Pharm. Div., Sumitomo Chem. Co., Ltd., Takarazuka, Japan
SOURCE: Yakugaku Zasshi (1980), 100(2), 133-9
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



AB 6-[p-(-)-α-(Acylamino)-4-hydroxyphenylacetamido]penicillanic acid Na salts I (R = N heterocyclyl) were prepared by reacting amoxicillin with the active esters of N-containing heterocyclic compds. These penicillins were tested for in vitro bactericidal activities. Structure-activity relationships were discussed. I (R = 4-hydroxy-3-quinolyl) and I [R =

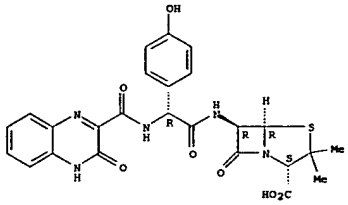
4-hydroxy-1,4-naphthyridin-3-yl (II) and 3 6-substituted derivs.} had potent antibacterial activity against E. coli, K. pneumoniae, P. mirabilis, and P. aeruginosa. II exhibited the highest activity against P. aeruginosa, its MIC being 1.56 μg/mL.

IT 74700-51-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 74700-51-9 CAPLUS

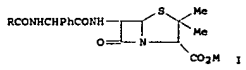
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L5 ANSWER 212 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:495178 CAPLUS
DOCUMENT NUMBER: 93:95178
TITLE: Studies on β-lactam antibiotics. III. Synthesis of 6-[D(-)- α-(acylamino)phenylacetamido]penicillanic acids and antibacterial activity
AUTHOR(S): Tobiki, Hisao; Yamada, Hirotada; Nakatsuka, Iwao; Shimago, Kozo; Eda, Yasuko; Noguchi, Hiroshi; Komatsu, Toshiaki; Nakagome, Takenari
CORPORATE SOURCE: Pharm. Div., Sumitomo Chem. Co., Ltd., Takarazuka, Japan
SOURCE: Yakugaku Zasshi (1980), 100(1), 38-48
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



I

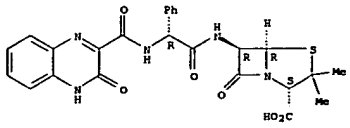
AB Thirty-four title compds. I (R = 2-pyridyl, substituted pyridyl, substituted pyridazinyl, substituted pyrimidinyl, etc.; M = H, K, Na) were prepared by treating ampicillin with the appropriate acylating derivs. of RCO2H. I were tested against Staphylococcus aureus, Escherichia coli, Klebsiella pneumoniae, Proteus mirabilis and Pseudomonas aeruginosa.

IT 74557-65-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

RN 74557-65-6 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● K

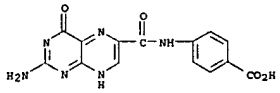
L5 ANSWER 213 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:490734 CAPLUS
DOCUMENT NUMBER: 93:90734
TITLE: A simple radioassay for dihydrofolate synthetase activity in Escherichia coli and its application to an inhibition study of new pteroate analogs
AUTHOR(S): Ho, Richard I.
CORPORATE SOURCE: Novo Lab. Inc., Wilton, CT, 06897, USA
SOURCE: Methods in Enzymology (1980), 66(Vitam. Coenzymes, Pt. B), 576-81
CODEN: MENZAU; ISSN: 0076-6879
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A radioassay for dihydrofolate synthetase activity in E. coli is described in which dihydropteroic acid and L-glutamic-U-14C acid are incubated, together with the appropriate cofactors, buffers and salts, with the enzyme for 30 min at 37°, and the products were separated on Whatman 3 MM paper. Removal of endogenous folates and other undesirable compds. from crude exts. of E. coli before assay is also described. Studies of the kinetics of inhibition of the enzyme by 8 pteroate analogs showed the structural requirements for active inhibitors. Of the 8 inhibitors tested, 4 were active, and their Ki values were: dihydrohexpteroic acid 1.4 + 10-5M, dihydro-10-thiopteroic acid 4.0 + 10-5M, dihydrofolic acid 1.1 + 10-4M, and dihydroisopteroic acid 1.7 + 10-4M. These were all competitive inhibitors.

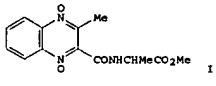
IT 39707-60-3
RL: BIOL (Biological study)
(dihydrofolate synthetase inhibition in relation to)

RN 39707-60-3 CAPLUS

CN Benzoic acid, 4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)



L5 ANSWER 214 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:446583 CAPLUS
DOCUMENT NUMBER: 93:46583
TITLE: Selective monodeoxygenation of quinoxaline-amino acid and ester dioxides
AUTHOR(S): El Abadelah, M. M.; Sabri, S. S.; Tashtoush, H. I.
CORPORATE SOURCE: Kuwait Inst. Sci. Res., Kuwait, Kuwait
SOURCE: Tetrahedron (1979), 35(21), 2571-6
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

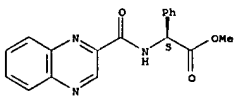
AB The selective monodeoxygenation of the title compds. by (MeO)3P is reported. In the amino ester dioxides, e.g. I, the N-1 O was removed, whereas in the corresponding series lacking the C-3 Me, the N-4 O was selectively removed. (MeO3)P requires doubling of the N-oxide function for deoxygenation as the corresponding monoxides were not deoxygenated. Alkaline Na2S2O4 removed the N-1 O in both series of dioxides as well as the parent carboxylic acid dioxide, contradicting A. S. Elina and O. Yu. Magidson (1955).

IT 65926-47-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of, by peroxide)

RN 65926-47-8 CAPLUS

CN Benzeneacetic acid, α-[(2-quinoxalinylcarbonyl)amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

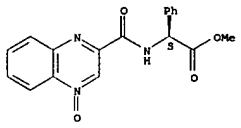


IT 74200-10-5P 74200-11-6P 74200-14-9P
74200-15-0P 74200-18-3P 74200-19-4P
74200-22-9P 74200-23-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deoxygenation of)

RN 74200-10-5 CAPLUS

CN Benzeneacetic acid, α-[[(4-oxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

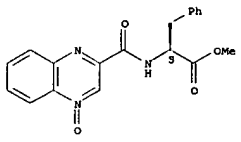
Absolute stereochemistry.



RN 74200-11-6 CAPLUS

CN L-Phenylalanine, N-[(4-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

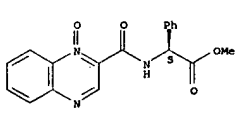
Absolute stereochemistry.



RN 74200-14-9 CAPLUS

CN Benzeneacetic acid, α-[[(1-oxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

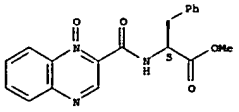
Absolute stereochemistry.



RN 74200-15-0 CAPLUS

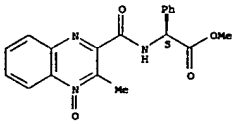
CN L-Phenylalanine, N-[(1-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



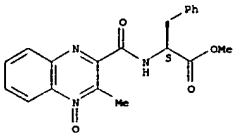
RN 74200-18-3 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-4-oxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



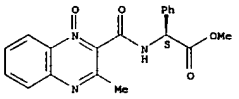
RN 74200-19-4 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-4-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

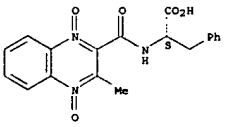


RN 74200-22-9 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-1-oxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

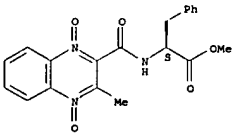


RN 74200-23-0 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1-oxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



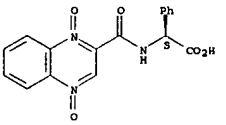
RN 62973-10-8 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



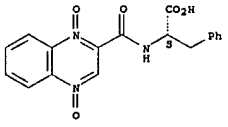
RN 74200-04-7 CAPLUS
CN Benzeneacetic acid, α-[[(1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



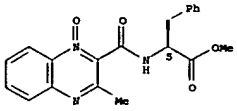
RN 74200-05-8 CAPLUS
CN L-Phenylalanine, N-[(1,4-dioxido-2-quinoxalinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



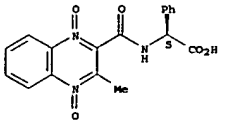
IT 74200-00-3P 74200-01-4P

Absolute stereochemistry.



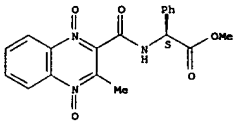
IT 62973-07-3P 62973-08-4P 62973-09-5P
62973-10-8P 74200-04-7P 74200-05-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and selective deoxygenation of)
RN 62973-07-3 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 62973-08-4 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

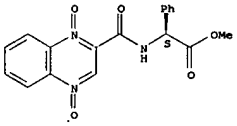


RN 62973-09-5 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

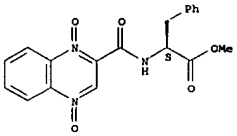
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, deoxygenation, and deesterification of)
RN 74200-00-3 CAPLUS
CN Benzeneacetic acid, α-[[(1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

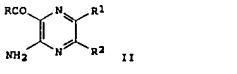


RN 74200-01-4 CAPLUS
CN L-Phenylalanine, N-[(1,4-dioxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 215 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:54905 CAPLUS
DOCUMENT NUMBER: 90:54905
TITLE: Ethyl amidinoacetates in the synthesis of pyrazines
AUTHOR(S): Keir, William F.; MacLennan, Alexander H.; Wood, Hamish C. S.
CORPORATE SOURCE: Paisley Coll. Technol., Paisley, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1978), (9), 1002-6
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:54905
GI



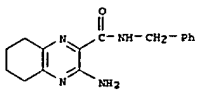
II

AB Treating EtO2CCH2C(OEt):NH.HCl with 2 equiv NH3/EtOH followed by

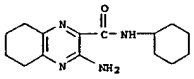
nitrosation or coupling with PhN2+ gave 70% EtO2CCHRC(NH2):NH (I, R = NO) and 50% I (R = N2Ph), resp. Reduction of I (R = NO, N2Ph) gave 87% I (R = NH2) which on cyclization with 1,2-dicarbonyl reagents gave 22-61.3% pyrazinecarboxylates II (R = OEt, R1 = NO, R2 = H, CH2Ph, Ph; R = OEt, R1 = N2Ph, R2 = H). Cyclization of I (R = NH2) and NH2COCH(NH2)C(NH2):NH with phenylglyoxal gave 39 and 54% II (R = OEt, R1 = NO, R2 = Ph; R = R1 = NH2, R2 = H, resp). Treating the pyrazinecarboxylates with amines gave the corresponding N-alkylamides.

IT 68884-11-7P 68884-14-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68884-11-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-amino-5,6,7,8-tetrahydro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



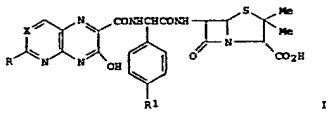
RN 68884-14-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-amino-N-cyclohexyl-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



L5 ANSWER 216 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:38909 CAPLUS
DOCUMENT NUMBER: 90:38909
TITLE: 6-(2-Acylamino-2-arylacetamido)penicillanic acids
INVENTOR(S): Morita, Yoshiharu; Oya, Junichi; Shirasaka, Tadashi
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2808301	A1	19781012	DE 1978-2808301	19780227
JP 53124295	A2	19781030	JP 1977-38227	19770404
US 4164577	A	19790814	US 1978-880132	19780222
GB 1566849	A	19800508	GB 1978-7125	19780222
FR 2386546	A1	19781103	FR 1978-7812	19780317
PRIORITY APPLN. INFO.:			JP 1977-38227 A	19770404

OTHER SOURCE(S): MARPAT 90:38909
GI



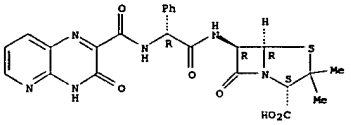
I

AB Penicillins I (R = H, Me, Et; R1 = H, OH; X = CH, N, CCl, CBr) were prepared by acylating ampicillin or amoxicillin. Thus, 838 mg amoxicillin-3H2O was treated with 382 mg 3-hydroxypyrido[2,3-b]pyrazine-2-carboxylic acid and BuEtCHCO2K to give 443 mg K salt of I (R = H, R1 = OH, X = CH), which had min. inhibitory concentration against Pseudomonas aeruginosa M-16 0.78 mg/mL.

IT 68021-44-3P 68021-45-4P 68021-46-5P
68021-47-6P 68021-48-7P 68021-49-8P
68767-99-7P 68768-00-3P 68832-14-4P
68832-15-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 68021-44-3 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

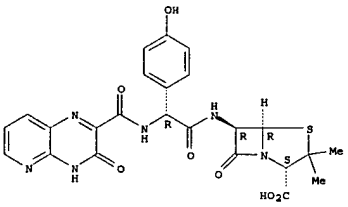
Absolute stereochemistry.



● K

RN 68021-45-4 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

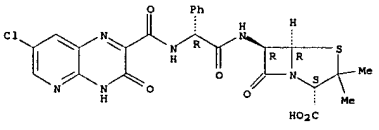
Absolute stereochemistry.



● K

RN 68021-46-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-chloro-3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

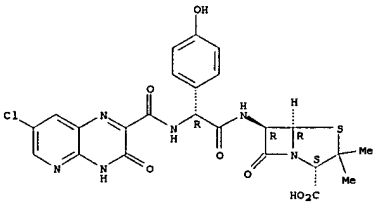
Absolute stereochemistry.



● K

RN 68021-47-6 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-chloro-3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

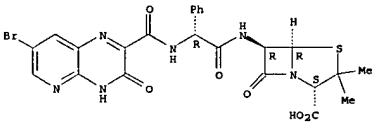
Absolute stereochemistry.



● K

RN 68021-48-7 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-bromo-3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

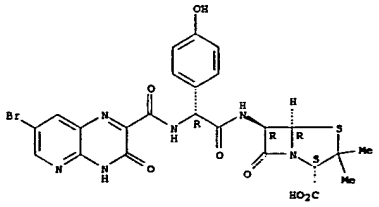
Absolute stereochemistry.



● K

RN 68021-49-8 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-bromo-3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

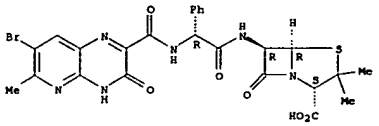
Absolute stereochemistry.



● K

RN 68767-99-7 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-bromo-3,4-dihydro-6-methyl-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

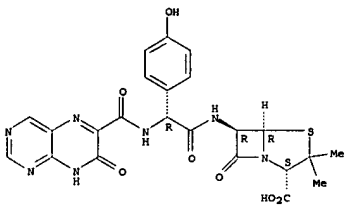
Absolute stereochemistry.



● K

RN 68768-00-3 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-bromo-3,4-dihydro-6-methyl-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

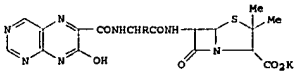


● K

L5 ANSWER 217 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:597572 CAPLUS
DOCUMENT NUMBER: 89:197572
TITLE: 6[D-2-(7-Hydroxypteridine-6-carboxamido)-2-phenylacetamido]penicillanate salts
INVENTOR(S): Morita, Yoshiharu; Oya, Junichi; Shirasaka, Tadashi
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 53077091	A2	19780708	JP 1976-151405		19761216
PRIORITY APPLN. INFO.:			JP 1976-151405	A	19761216

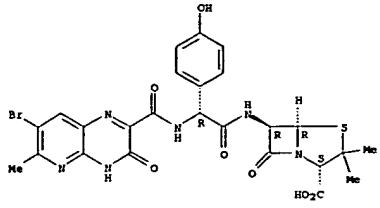
GI



AB Antibacterial title salts I (R = Ph, p-hydroxyphenyl), effective against Pseudomonas aeruginosa at <1.56 μg/mL level, were prepared by acylating ampicillin or amoxicillin. Thus, 2 mmol 7-hydroxypteridine-6-carboxylic acid was activated with 2.2 mmol N,N'-carbonyldiimidazole in DMF, stirred with 2 mmol ampicillin Et3N salt at room temperature 3 h, and treated with K 2-ethylhexanoate in BuOH to give 47% I (R = Ph).

IT 68165-27-5P 68165-28-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

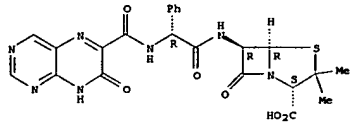
RN 68165-27-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(1,7-dihydro-7-oxo-6-pteridinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-,



● K

RN 68832-14-4 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(1,7-dihydro-7-oxo-6-pteridinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



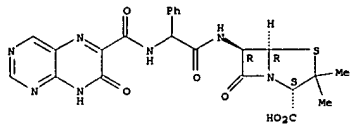
● K

RN 68832-15-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(1,7-dihydro-7-oxo-6-pteridinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

monopotassium salt, [2S-(2 α,5α,6β)]- (9CI) (CA INDEX NAME)

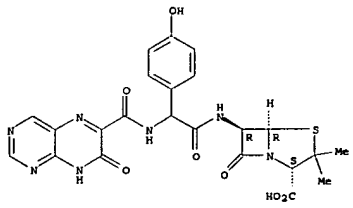
Absolute stereochemistry.



● K

RN 68165-28-6 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(1,7-dihydro-7-oxo-6-pteridinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-(2 α,5α,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

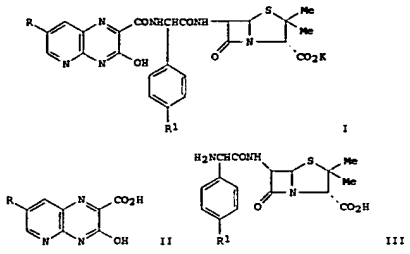


● K

L5 ANSWER 218 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:579993 CAPLUS
DOCUMENT NUMBER: 89:179993
TITLE: Penicillin derivatives
INVENTOR(S): Morita, Yoshimi; Ohya, Junichi; Shirasaka, Tadashi
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 53068799 A2 19780619 JP 1976-143736 19761130
PRIORITY APPLN. INFO.: JP 1976-143736 A 19761130
GI



I

II III

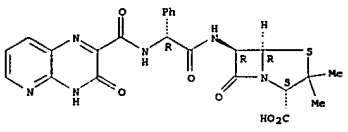
AB Penicillin derivs. I (R, R1 = H, H; H, OH; Cl,H; Cl, OH; Br, H; Br, OH) were prepared by reaction of II or their derivs. with III or their reactive derivs. followed by conversion to the K salts. I had antibacterial activity against gram pos. and neg. bacteria; the data were given against Pseudomonas aeruginosa in comparison with sulbenicillin. Thus, a mixture of 382 mg II (R = H) and 365 mg N,N'-carbonyldiimidazole in DMF was stirred 1.5 h with ice cooling, a mixture of 838 mg III (R1 = OH) and 0.278 mL Et3N in DMF added, the whole stirred 3 h at room temperature, and treated with K 2-ethylhexanoate in BuOH to give 443 mg D-I (R = H, R1 = OH).

IT 68021-44-3P 68021-45-4P 68021-46-5P
68021-47-6P 68021-48-7P 68021-49-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68021-44-3 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

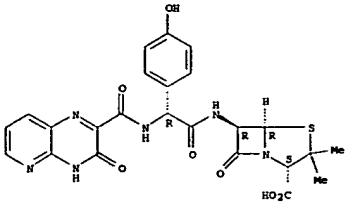


● K

RN 68021-45-4 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

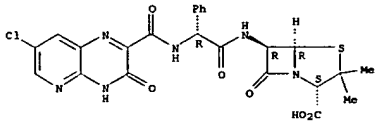


● K

RN 68021-46-5 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-chloro-3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

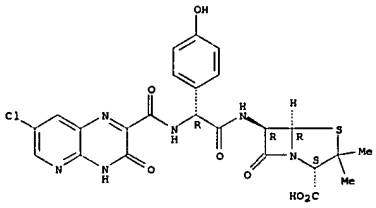


● K

RN 68021-47-6 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-chloro-3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

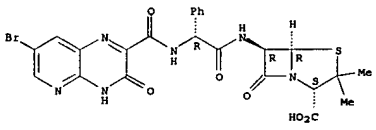


● K

RN 68021-46-7 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-bromo-3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

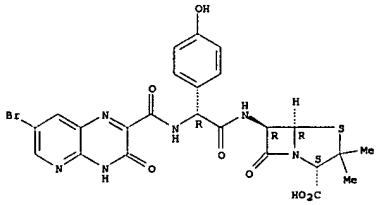


● K

RN 68021-49-8 CAPLUS

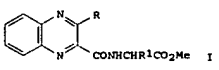
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(7-bromo-3,4-dihydro-3-oxopyrido[2,3-b]pyrazin-2-yl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

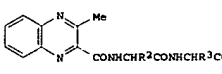


● K

L5 ANSWER 219 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:121693 CAPLUS
DOCUMENT NUMBER: 88:121693
TITLE: Optical shift PMR spectroscopic studies on some quinoxaline amino esters and dipeptides
AUTHOR(S): El-Abadelah, Mustafa M.; Sabri, Salim S.; Tabba, Hani D.; Duddeck, Helmut
CORPORATE SOURCE: Chem. Dep., Univ. Jordan, Amman, Jordan
SOURCE: Journal of Heterocyclic Chemistry (1977), 14(5), 871-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

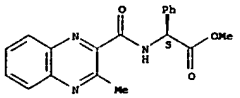


II

AB Optishift 1H-NMR spectra measurements on N-(2-quinoxaloyl)- α-amino esters I (R = H, Me; R1 = Me, CHMe2, Ph, CH2Ph) indicate that their L-isomers are optically pure. Such measurements also show that the Eu atom in the Eu(tfc)3 [tris(3-trifluoromethylhydroxymethylene-d-camphorato)europium] preferentially complexes with the amide carbonyl. Similar optishift 1H-NMR studies on model quinoxaline-dipeptide esters II (R2, R3 = H, CH2Ph) and their di-N-oxides reveal that the amino-terminal α-amino acid suffers appreciable racemization during the coupling process with triphenylphosphite-pyridine, whereas not detectable racemization is observed with diphenylphosphoryl azide. A Bystrov's model is suggested for the quinoxaline-dipeptide-Eu complexes studied. The benzylic protons of phenylalanine and the iso-Pr methyls in their quinoxaline derivs. show signal splitting due to diastereotopy in the presence of Et(tfc)3.

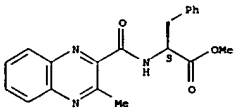
IT 62973-19-7 62973-21-1
RL: PRP (Properties)
(NMR of, isomerism in relation to)
RN 62973-19-7 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



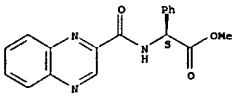
RN 62973-21-1 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



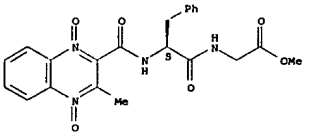
IT 65926-47-8P 65926-48-9P 65926-49-0P
65926-50-3P 65926-54-7P 65926-56-9P
65926-59-2P 65926-60-5P 65942-04-3P
109084-22-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR of, isomerism in relation to)
RN 65926-47-8 CAPLUS
CN Benzeneacetic acid, α-[(2-quinoxalinylcarbonyl)amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



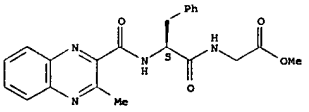
RN 65926-48-9 CAPLUS
CN Benzeneacetic acid, α-[(2-quinoxalinylcarbonyl)amino]-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

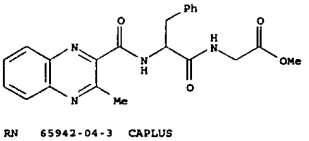


RN 65926-59-2 CAPLUS
CN Glycine, N-[N-[(3-methyl-2-quinoxalinyl)carbonyl]-L-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

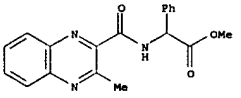
Absolute stereochemistry.



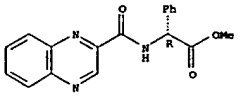
RN 65926-60-5 CAPLUS
CN Glycine, N-[N-[(3-methyl-2-quinoxalinyl)carbonyl]phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 65942-04-3 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-2-quinoxalinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

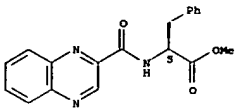


RN 109084-22-2 CAPLUS
CN Benzeneacetic acid, α-[(2-quinoxalinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

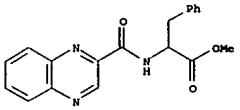


RN 65926-49-0 CAPLUS
CN L-Phenylalanine, N-(2-quinoxalinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

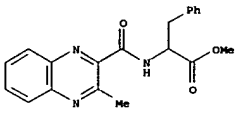
Absolute stereochemistry.



RN 65926-50-3 CAPLUS
CN Phenylalanine, N-(2-quinoxalinylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)

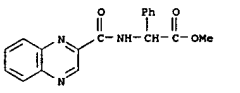


RN 65926-54-7 CAPLUS
CN Phenylalanine, N-[(3-methyl-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 65926-56-9 CAPLUS
CN Glycine, N-[N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]-L-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

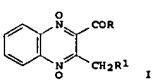
Absolute stereochemistry.



L5 ANSWER 220 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:552275 CAPLUS
DOCUMENT NUMBER: 87:152275
TITLE: 3-Substituted quinoxaline-2-carboxamide 1,4-dioxides
INVENTOR(S): Dirlam, John P.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

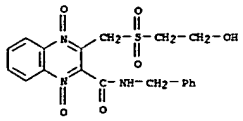
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4039540	A	19770802	US 1975-632219	19751117
DK 7501712	A	19751108	DK 1975-1712	19750421
DK 140940	B	19791210		
DK 140940	C	19800519		
AU 7580530	A1	19761028	AU 1975-80530	19750424
ES 437053	A1	19770116	ES 1975-437053	19750426
BE 828745	A1	19751105	BE 1975-1006643	19750505
FI 7501328	A	19751108	FI 1975-1328	19750506
NL 7505292	A	19751111	NL 1975-5292	19750506
JP 50160286	A2	19751225	JP 1975-54193	19750506
GB 1450518	A	19760922	GB 1975-19058	19750506
FR 2269949	A1	19751205	FR 1975-14453	19750507
AT 7503510	A	19770715	AT 1975-3510	19750507
DK 7800485	A	19780202	DK 1978-485	19780202
PRIORITY APPLN. INFO.:			US 1974-467718 A2	19740507
			DK 1975-1712 A	19750421

GI

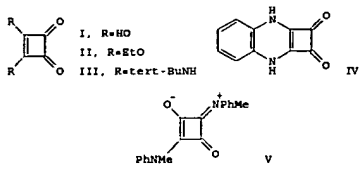


AB Quinoxalinecarboxamide dioxides I (R = amino, R1 = substituted alkylthio, alkylsulfinyl, alkylsulfonyl)(76 compds.) were prepared Thus, I (R = NHMe, R1 = Br) was treated with Me3N, I(R1 = N+Me3Br-) treated with HSCH2CH2OH to give I (R = NHMe, R1 = SCH2CH2OH), which had min inhibitory concentration against Streptococcus pyogenes and Escherichia coli 0.781 μg/ml.
IT 58050-68-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 58050-68-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-[[(2-hydroxyethyl)sulfonyl]methyl]-N-

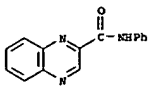
(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



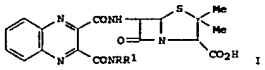
L5 ANSWER 221 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:551727 CAPLUS
DOCUMENT NUMBER: 87:151727
TITLE: Amides and thioamides of squaric acid: syntheses and reactions
AUTHOR(S): Ehrhardt, Heinz; Huenig, Siegfried; Puetter, Hermann
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wuerzburg, Wuerzburg, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1977), 110(7), 2506-23
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 87:151727
GI



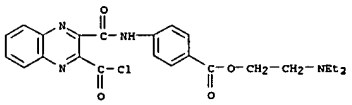
AB Squaric acid (I) 1,2- and 1,3-diamides were prepared by amidation of I or its derivs., e.g., the di-Et ester (II) or the dichloride. E.g., II reacted with tert-BuNH2, C6H4(NH2)2-o, or PhNHMe to give, resp., III, the cyclobuta[b]quinoxalinedione IV, and V, the latter via the ester amide. Diamides derived from primary amines undergo N-alkylation. Treatment of 1,2-diamides derived from secondary amines with P4S10 gave the dithiodiamides, which are S-methylated by treatment with FSO3Me. IV with p-benzoquinone in DMF gave the didehydro derivative, the cyclobutane ring of which can be opened by protolysis. Oxidation of IV N,N-di-Me derivative with p-benzoquinone in MeCN containing HClO4 gave the radical cation.
IT 37648-63-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37648-63-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-phenyl- (9CI) (CA INDEX NAME)



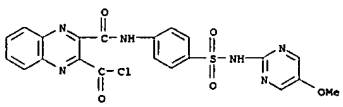
L5 ANSWER 222 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:517808 CAPLUS
DOCUMENT NUMBER: 87:117808
TITLE: Investigations on a series of nitrogen heterocycles. New semisynthetic penicillins of the quinoxaline class
AUTHOR(S): Sauciuc, Tatiana; Zotta, V.; Cojocaru, Zenaida; Zvoristeanu, Virginia
CORPORATE SOURCE: Inst. Med. Farm., Iasi, Rom.
SOURCE: Revista Medico-Chirurgicala (1977), 81(1), 99-103
CODEN: RMNIEN; ISSN: 0300-8738
DOCUMENT TYPE: Journal
LANGUAGE: Romanian
GI



AB Penicillins I [NRR1 = pyrrolidino, 4-methylpiperazino, morpholino, piperidino, antipyrinylamino, 2-pyridylamino, NHC6H4CO2CH2CH2NEt2-4, 4-(5-methoxy-2-pyrimidinylaminosulfonyl)anilino] were prepared by acylating 6-aminopenicillinic acid. I had min. inhibitory concns. against penicillin-resistant Staphylococcus at 0.4-25 μg/mL.
IT 64120-14-5 64120-15-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of aminopenicillanic acid by)
RN 64120-14-5 CAPLUS
CN Benzoic acid, 4-[[[4-(chlorocarbonyl)-2-quinoxalinyl]carbonyl]amino]-, 2-(diethylamino)ethyl ester (9CI) (CA INDEX NAME)

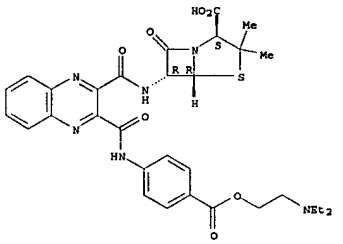


RN 64120-15-6 CAPLUS
CN 2-Quinoxalinecarbonyl chloride, 3-[[[4-[[(5-methoxy-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



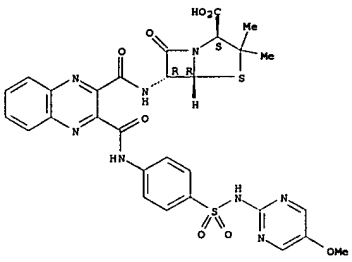
IT 64120-06-5P 64120-07-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 64120-06-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[3-[[[4-[[2-(diethylamino)ethoxy]carbonyl]phenyl]amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 64120-07-6 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[3-[[[4-[[(5-methoxy-2-pyrimidinyl)amino]sulfonyl]phenyl]amino]carbonyl]-2-quinoxalinyl]carbonyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

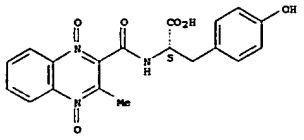


L5 ANSWER 223 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:406313 CAPLUS
DOCUMENT NUMBER: 87:6313
TITLE: Synthesis and chiroptical properties of some N-(3-methyl-2-quinoxaloyl) L-amino acids and their dioxides
AUTHOR(S): El-Abadelah, M. M.; Sabri, S. S.; Nazer, M. Z.; Za'ater, M. F.
CORPORATE SOURCE: Chem. Dep., Jordan Univ., Amman, Jordan
SOURCE: Tetrahedron (1976), 32(23), 2931-8
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

AB 1,4-Dioxides of N-(3-methyl-2-quinoxaloyl) L- α-amino acids and esters were prepared by reaction of benzofuroxan with N-acetoacetyl L-α-amino acids and esters, resp. in Et3N-MeOH at room temperature Reduction of the 1,4-dioxides of the acids with Na2S2O4 gave the corresponding methylquinoxaline derivs. which on esterification gave the corresponding esters. Thus, reaction of benzofuroxan with MeCOCH2CONHCHRCO2R1 (R = Me, Ph, R1 = H, Me) gave 51-61% I (X = NO). Reduction of I (X = NO, R = Me, Ph, R1 = H) gave 56-63% I (X = N) which on methylation gave 59-90% I (R1 = Me). The quinoxaline derivs. I (X = N) of aliphatic and aromatic L-α-amino acids exhibit enantiomorphic CD spectra in EtOH as well as in ethanolic KOH. However, the corresponding quinoxaline-1,4-dioxide derivs. I (X = NO) of the L- α-aliphatic and L- α-aromatic amino acids show, in organic solvents, similar CD spectra. This behavior is attributed to differences in conformational equilibrium in both the quinoxaline and the quinoxaline-1,4-dioxide series. NMR and mass spectra of these compds. are discussed.
IT 62973-24-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

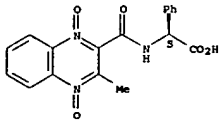
RN 62973-24-4 CAPLUS
CN L-Tyrosine, N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



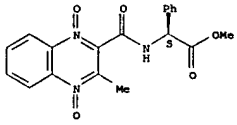
IT 62973-07-3P 62973-08-4P 62973-09-5P
62973-10-8P 62973-18-6P 62973-19-7P
62973-20-0P 62973-21-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, CD, and UV spectrum of)
RN 62973-07-3 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



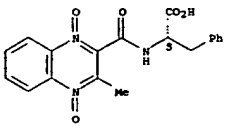
RN 62973-08-4 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



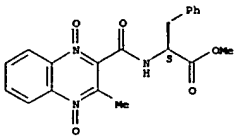
RN 62973-09-5 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



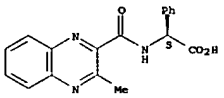
RN 62973-10-8 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



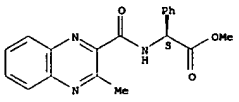
RN 62973-18-6 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-2-quinoxalinyl)carbonyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



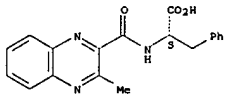
RN 62973-19-7 CAPLUS
CN Benzeneacetic acid, α-[[(3-methyl-2-quinoxalinyl)carbonyl]amino]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



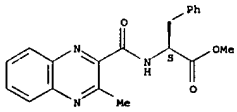
RN 62973-20-0 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-2-quinoxalinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 62973-21-1 CAPLUS
CN L-Phenylalanine, N-[(3-methyl-2-quinoxalinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

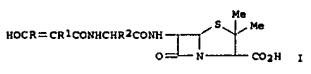
Absolute stereochemistry.



L5 ANSWER 224 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:189920 CAPLUS
DOCUMENT NUMBER: 86:189920
TITLE: Penicillins
INVENTOR(S): Yamada, Hirotada; Tobiki, Hisao; Nakatsuka, Iwao; Tanno, Norihiko; Shimago, Kozo; Nakagome, Takenari
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: U.S., 11 pp. Division of U.S. 3,945,995.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

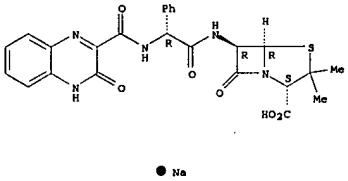
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4005075	A	19770125	US 1975-610754	19750905
JP 49125387	A2	19741130	JP 1973-39358	19730405
JP 57051837	B4	19821104		
US 3945995	A	19760323	US 1974-458417	19740405
PRIORITY APPLN. INFO.:			JP 1973-39358	A 19730405
			US 1974-458417	A3 19740405

OTHER SOURCE(S): CASREACT 86:189920
GI



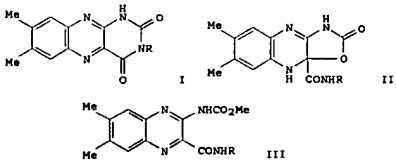
AB Penicillins I (RR1 = atoms required to complete a N heterocycle; R2 = Ph, 4-HOC6H4, 3-HOC6H4, 1,4-cyclohexadienyl) (28 compds.) were prepared by deacylating benzylpenicillin esters, acylating 6-aminopenicillanates with H2NCHR2CO2H derivs. and acylating the amine group with heterocyclic carbonyl chloride or N-hydroxysuccinimide ester. I had min. inhibitory concns. against Staphylococcus aureus 209P of 0.2-3.13 μg/ml.
IT 62734-96-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)
RN 62734-96-7 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



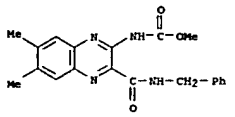
● Na

L5 ANSWER 225 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:559009 CAPLUS
DOCUMENT NUMBER: 85:159009
TITLE: Structure and reactivity of the covalent hydrates in the alloxazine series
AUTHOR(S): Koziol, Jacek; Hemmerich, Peter
CORPORATE SOURCE: Inst. Towarozn., Akad. Ekon., Poznan, Pol.
SOURCE: Justus Liebigs Annalen der Chemie (1976), (7-8), 1276-88
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
GI

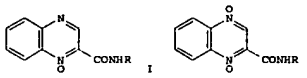


AB Alloxazines (I; R = H, Me, PhCH2) form stable hydrates with structure II (R as above). II are methylated at the O with ring opening to III. Acid-base properties, mechanism of formation and decomposition, and uv, ir, and 1H-NMR spectral data are in agreement with structure II.
IT 60735-48-0P
RL: SPN (Synthetic preparation); PREP (Preparation)

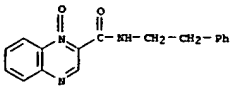
(preparation of)
RN 60735-48-0 CAPLUS
CN Carbamic acid, [6,7-dimethyl-3-[[(phenylmethyl)amino]carbonyl]-2-quinoxalinyl]-, methyl ester (9CI) (CA INDEX NAME)



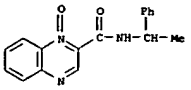
L5 ANSWER 226 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:463031 CAPLUS
DOCUMENT NUMBER: 85:63031
TITLE: Synthesis, structure and biological properties of N-oxides of some 2-substituted quinoxalines and pyrazines
AUTHOR(S): Elina, A. S.; Musatova, I. S.; Peresleni, E. M.; Padeiskaya, E. N.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1976), (2), 278-83
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 85:63031
GI



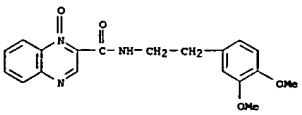
AB Quinoxaline monooxides and dioxides [I, II, R = H, NH2,, OH, CH2CH(OH)CH2NEt2, CHMeCH2Ph, CH2CH2Ph, CHMePh, 3,4-dimethoxyphenethyl, (CH2)4NEt2, (CH2)3OH, (CH2)6OH], useful as bactericides and antitubercular substances, were prepared in 61.4-98% yields by treatment of the corresponding Me esters with amines and NH3.
IT 59833-89-5P 59833-90-8P 59833-91-9P
59833-95-3P 59834-00-3P 59834-01-4P
59859-93-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 59833-89-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-phenylethyl)-, 1-oxide (9CI) (CA INDEX NAME)



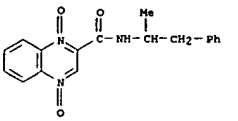
RN 59833-90-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(1-phenylethyl)-, 1-oxide (9CI) (CA INDEX NAME)



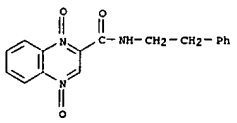
RN 59833-91-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-, 1-oxide (9CI) (CA INDEX NAME)



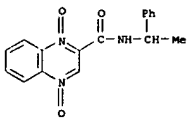
RN 59833-95-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(1-methyl-2-phenylethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



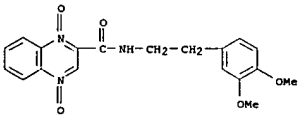
RN 59834-00-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-phenylethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



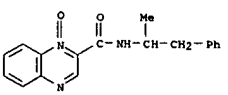
RN 59834-01-4 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(1-phenylethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 59859-93-7 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



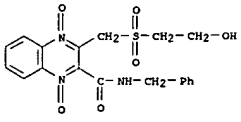
IT 59833-87-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59833-87-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(1-methyl-2-phenylethyl)-, 1-oxide (9CI) (CA INDEX NAME)



L5 ANSWER 227 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:44159 CAPLUS
DOCUMENT NUMBER: 84:44159
TITLE: 3-Substituted quinoxaline-2-carboxamide-1,4-dioxides
INVENTOR(S): Dirlam, John P.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Ger. Offen., 47 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2520545	A1	19751120	DE 1975-2520545	19750506
DK 7501712	A	19751108	DK 1975-1712	19750421
DK 140940	B	19791210		
DK 140940	C	19800519		
AU 7580530	A1	19761028	AU 1975-80530	19750424
ES 437053	A1	19770116	ES 1975-437053	19750426
BE 828745	A1	19751105	BE 1975-1006643	19750505
FI 7501328	A	19751108	FI 1975-1328	19750506
NL 7505292	A	19751111	NL 1975-5292	19750506
JP 50160286	A2	19751225	JP 1975-54193	19750506
GB 1450518	A	19760922	GB 1975-19058	19750506
FR 2269949	A1	19751205	FR 1975-14453	19750507
AT 7503510	A	19770715	AT 1975-3510	19750507
DK 7800485	A	19780202	DK 1978-485	19780202
PRIORITY APPLN. INFO.:			US 1974-467718 A	19740507
			DK 1975-1712 A	19750421

GI For diagram(s), see printed CA Issue.
AB Quinoxoxalines I (R = H, alkyl, hydroxyalkyl, aminoalkyl, R1 = H; R = R1 Me; R2 hydroxyalkyl, aminoalkyl; n = 0, 2) were prepared Thus II (R3 = Br) was treated with Me3N and II (R3 = N+Me3Br-) treated with HSCH2CH2OH to give I (R = Me, R1 = H, R2 = CH2CH2OH, n = 0), which had a min. inhibitory concentration against Streptococcus pyogenes and Escherichia coli of 0.781γ/ml.
IT 58050-68-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 58050-68-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-[[(2-hydroxyethyl)sulfonyl]methyl]-N-(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



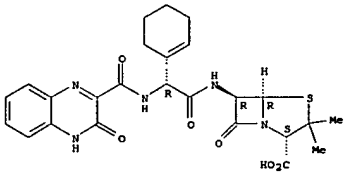
L5 ANSWER 228 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:606241 CAPLUS
DOCUMENT NUMBER: 83:206241
TITLE: Penicillins
INVENTOR(S): Yamada, Hirotada; Tobiki, Hisao; Tanno, Norihiko; Shimago, Kozo; Okamura, Kousaku; Ueda, Shinzi; Nakagome, Takenari
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

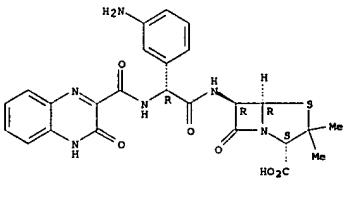
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2504609	A1	19750814	DE 1975-2504609	19750204
JP 50106989	A2	19750822	JP 1974-14692	19740204
JP 57061760	B4	19821225		
AU 7577708	A1	19760729	AU 1975-77708	19750129
CA 1070295	A1	19800122	CA 1975-218925	19750129
GB 1493475	A	19771130	GB 1975-4117	19750130
NO 7500325	A	19750805	NO 1975-325	19750203
SE 7501155	A	19750805	SE 1975-1155	19750203
FR 2259604	A1	19750829	FR 1975-3317	19750203
HU 169936	P	19770228	HU 1975-SU888	19750203
BE 825171	A1	19750804	BE 1975-153049	19750204
NL 7501326	A	19750806	NL 1975-1326	19750204
DK 7500375	A	19751013	DK 1975-375	19750204
CH 609058	A	19790215	CH 1975-1291	19750204

PRIORITY APPLN. INFO.: JP 1974-14692 A 19740204
GI For diagram(s), see printed CA Issue.
AB Antibiotic penicillanic and derivs. (I, R = 1-cyclohexen-1-yl, Me2CHCH2, R1 = H; R = 1,4-cyclohexadien-1-yl, R1 = H, Me2N) were obtained by condensation of an appropriately substituted acetamidopenicillanic acid with a 1,5-naphthyridinecarboxylate. Addnl. obtained were II and III.
IT 57391-05-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57391-05-6 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[1-cyclohexen-1-yl[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino]acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



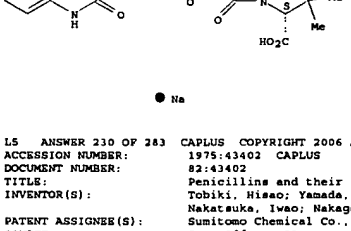
L5 ANSWER 229 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:579047 CAPLUS
DOCUMENT NUMBER: 83:179047
TITLE: Penicillins
INVENTOR(S): Tobiki, Hisao; Yamada, Hirotada; Nakatsuka, Iwao; Shimago, Kozo; Okano, Shigeru; Nakagome, Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; Eda, Yasuko
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan



● Na

RN 57008-81-8 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino](3-fluorophenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



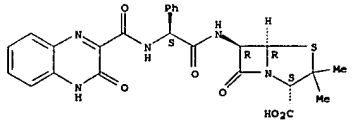
● Na

L5 ANSWER 230 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:43402 CAPLUS
DOCUMENT NUMBER: 82:43402
TITLE: Penicillins and their salts
INVENTOR(S): Tobiki, Hisao; Yamada, Hirotada; Shimaga, Kozo; Nakatsuka, Iwao; Nakagome, Takenari; Tanno, Norihiko
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2416449	A1	19741024	DE 1974-2416449	19740404
DE 2416449	C2	19821007		
JP 49125387	A2	19741130	JP 1973-39358	19730405
JP 57051837	B4	19821104		
HU 168314	P	19760328	HU 1974-SU855	19740403
CH 594681	A	19780131	CH 1974-4656	19740403
FR 2224132	A1	19741031	FR 1974-12029	19740404
NO 145576	B	19820111	NO 1974-1227	19740404
NO 145576	C	19820421		
SE 422942	B	19820405	SE 1974-4565	19740404
SE 422942	C	19821202		
BE 813356	A1	19741007	BE 1974-142894	19740405
NL 7404679	A	19741008	NL 1974-4679	19740405
DD 110502	C	19741220	DD 1974-177736	19740405
ZA 7402186	A	19750528	ZA 1974-2186	19740405
AU 7467613	A1	19751009	AU 1974-67613	19740405
GB 1470188	A	19770414	GB 1974-15142	19740405
DK 145157	B	19820920	DK 1974-1922	19740405
DK 145157	C	19830411		
SE 7701836	A	19770218	SE 1977-1836	19770218
SE 422943	B	19820405		
SE 422943	C	19820715		

PRIORITY APPLN. INFO.: JP 1973-39358 A 19730405
GI For diagram(s), see printed CA Issue.
AB Penicillin salts I (R = Ph, p-HOC6H4, m-HOC6H4, 1,4-cyclohexadienyl, R2 = e.g. 4-hydroxy-3-pyridyl, 4-hydroxy-3-cinnolinyl, 3-hydroxy-4-pyridazinyl, 4-hydroxy-5-pyrimidinyl, A, B) (28 compds.) were tested for bacterial inhibition. I were prepared from II (R2 = PhCOCH2, R3 = PhCH2CO) (III). Thus, III.HCl was treated with P halide in CH2Cl2 and 4-methylmorpholine (IV) at -25°, stirred 30 min, then treated with MeOH and IV 2 hr at -17 to -10° to give II (R2 = PhCOCH2, R3 = H).HCl. This was treated with D-H2NCHPhCOCl.HCl to give II (R2 = PhCOCH2, R3 = D-H2NCHPhCO), which was treated with succinimido 4-hydroxy-1,5-naphthyridine-3-carboxylate and the product saponified with NaSPh to give I (R1 = A, R = Ph). Min. inhibitory concns. were <0.05 to 200 γ/ml.
IT 54403-68-8
RL: PROC (Process)
(bacteria inhibition of)
RN 54403-68-8 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2α,5α,6β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 231 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:146108 CAPLUS
DOCUMENT NUMBER: 80:146108
TITLE: Redox reaction with 2-chloromethylquinoxaline di-N-oxide
AUTHOR(S): Eholzer, U.; Heitzer, H.; Seng, F.; Ley, K.
CORPORATE SOURCE: Zentralbereich Zent. Forsch.-Wiss. Hauptlab., Bayer A.-G., Leverkusen, Fed. Rep. Ger.
SOURCE: Synthesis (1974), (4), 296-8

SOURCE: Ger. Offen., 47 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2461526	A1	19750710	DE 1974-2461526	19741227
DE 2461526	C2	19841122		
JP 50096594	A2	19750731	JP 1974-1799	19731227
JP 58007637	B4	19830210		
SE 416731	B	19810202	SE 1974-15913	19741218
SE 416731	C	19810514		
NO 7404662	A	19750630	NO 1974-4662	19741223
NO 148336	B	19830613		
NO 148336	C	19830921		
CA 1036154	A1	19780808	CA 1974-216654	19741223
US 3992371	A	19761116	US 1974-536181	19741224
GB 1488173	A	19771005	GB 1974-55848	19741224
CH 618175	A	19800715	CH 1974-17311	19741224
BE 823881	A1	19750627	BE 1974-151946	19741227
NL 7416965	A	19750701	NL 1974-16965	19741227
FR 2255898	A1	19750725	FR 1974-43165	19741227
FR 2255898	B1	19820625		
DK 7406836	A	19750825	DK 1974-6836	19741227
AU 7477020	A1	19760701	AU 1974-77020	19741231

PRIORITY APPLN. INFO.: JP 1974-1799 A 19731227
OTHER SOURCE(S): CASREACT 83:179047
GI For diagram(s), see printed CA Issue.
AB Penicillins I (R = OH, OCO2Et, O2CCMe3, SH; R1 = 3-NH2, 4-NH2, 4-OMe, 4-NMe2, 3-NHAc, 4-NHEt, 3-I, 3-Cl, 4-Me, 3-F, R2 = H; R1 = 4-OH, R2 = 3-Me, 3-OH, 3-NO2, 3-NH2, 3-OMe; X = atoms required to complete a condensed N heterocycle) (64 compds.) were prepared Thus, I (R = OH, R1 = 3-NH2, R2 = H, X = 2,3-pyridylnitrilomethano) was prepared by esterifying 4-hydroxy-1,5-naphthyridine-3-carboxylic acid with N-hydroxysuccinimide and acylating D-α,m-diaminobenzylpenicillin triethylamine salt with the ester.
IT 57008-46-5P 57008-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 57008-46-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(3-aminophenyl)[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino]acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2 α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

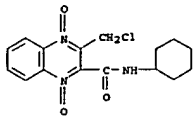
Absolute stereochemistry.

CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: German

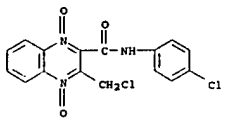
AB Quinoxaline derivs. I (R = Me, Et, Pr, (CH2)11Me, cyclohexyl, C6H4Cl-p; R1 = cyclohexyl, (CH2)11Me, Bu, Et, Pr, C6H4CO2-H-p) were formed in 31-86% yield by treating the quinoxaline di-N-oxides II with 2 moles R1NH2. I were easily hydrolyzed to the dicarboximides. The pyrroloquinoxalines III (R2 = morpholino, piperidino, pyrrolidino) were obtained in 60-86% yield by treating 2-chloro-methyl-3-cyanoquinoxaline di-N-oxide with 2 moles of the amine.

IT 24836-32-6 52398-26-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with amines)

RN 24836-32-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(chloromethyl)-N-cyclohexyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



RN 52398-26-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(chloromethyl)-N-(4-chlorophenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



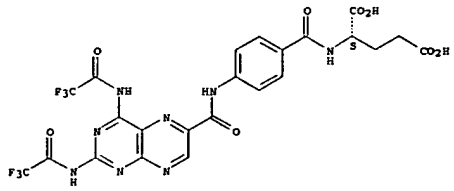
L5 ANSWER 232 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:432274 CAPLUS
DOCUMENT NUMBER: 79:32274
TITLE: Synthesis of pteridine-6-carboxamides. 9-Oxofolic acid and 9-oxoaminopterin
AUTHOR(S): Nair, M. G.; Baugh, Charles M.
CORPORATE SOURCE: Nutr. Program, Univ. Alabama, Birmingham, AL, USA
SOURCE: Journal of Organic Chemistry (1973), 38(12), 2185-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new method for the preparation of several 7-unsubstituted pteridine-6-carboxamides is reported. This method was used for the synthesis of 9-oxofolic acid and 9-oxoaminopterin as well as γ-glutamyl derivs. These procedures utilize the mixed anhydride of a pteridine-6-carboxylic acid with F3CCO2H. The activated pteridines are stable enough to permit removal of excess (F3CCO)2O and F3CCO2H followed by direct coupling to nucleophiles such as amines and amino acids. The preparation of α-amino-p-toluic acid is also reported.

IT 39707-68-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(deacetylation of)

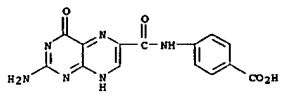
RN 39707-68-1 CAPLUS
CN L-Glutamic acid, N-[4-[[[2,4-bis[(trifluoroacetyl)amino]-6-pteridinyl]carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



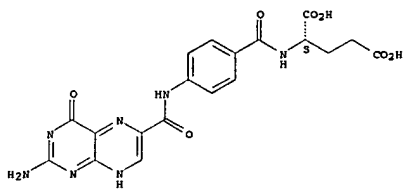
IT 39707-60-3P 39707-61-4P 39707-62-5P
39707-63-6P 39707-65-8P 39707-66-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 39707-60-3 CAPLUS
CN Benzoic acid, 4-[[[2-amino-1,4-dihydro-4-oxo-6-pteridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

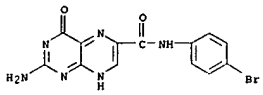


RN 39707-61-4 CAPLUS
CN L-Glutamic acid, N-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

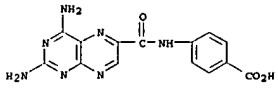
Absolute stereochemistry.



RN 39707-62-5 CAPLUS
CN 6-Pteridinecarboxamide, 2-amino-N-(4-bromophenyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

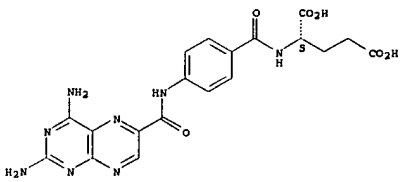


RN 39707-63-6 CAPLUS
CN Benzoic acid, 4-[[(2,4-diamino-6-pteridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

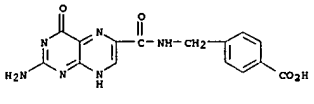


RN 39707-65-8 CAPLUS
CN L-Glutamic acid, N-[4-[[(2,4-diamino-6-pteridinyl)carbonyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 39707-66-9 CAPLUS
CN Benzoic acid, 4-[[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 233 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:147994 CAPLUS
DOCUMENT NUMBER: 78:147994
TITLE: 1-Hydroxy-3-oxobenzimidazoles, quinoxaline di-N-oxides, and benzimidazole mono- and di-N-oxides
PATENT ASSIGNEE(S): Research Corp.
SOURCE: Brit., 36 pp. Addn. to Brit. 1,215,815 (CA 74; 141873b).
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

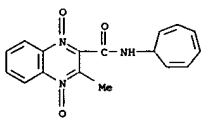
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
GB 1308370	A	19730228	GB 1970-47202		19701005
US 4343942	A	19820810	US 1969-883577		19691209
PRIORITY APPLN. INFO.:			US 1969-883577	A	19691209
			US 1966-592729	A2	19661108
			NL 1967-14882	A	19671102
			US 1967-691252	A2	19671218

GI For diagram(s), see printed CA Issue.

AB The title compds., useful in the control of pathogenic microorganisms, were prepared from benzofuroxans and compds. containing activated methylene groups. Specific bases used for certain reactants were described. E.g. stirring 6.8 g benzofuroxan, 5.0 g MeCOcH2C:OMe, and 2.96 g PrNH2 in THF overnight gave 0.33 g 2-methyl-3-acetylquinoxaline di-N-oxide. Forty-nine of the quinoxaline oxides (I, R, R1 = H, OMe, CF3, Me, halogen, SO2NH2 and derivs.; R2, R3 = H, alkyl) were similarly prepared from equimolar amts. of benzofuroxan and MeCOCH2- CONR2R3 in THF containing Et2NH.

IT 41153-40-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 41153-40-6 CAPLUS
CN 2-Quinoxalinecarboxamide, N-2,4,6-cycloheptatrien-1-yl-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L5 ANSWER 234 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:43523 CAPLUS
DOCUMENT NUMBER: 78:43523
TITLE: Antimicrobial 3-carbamoyl-2-formimidoylquinoxaline 1,4-dioxides
INVENTOR(S): Seng, Florin; Ley, Kurt; Metzger, Karl Georg
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2122572	A	19721123	DE 1971-2122572		19710507
US 3839326	A	19741001	US 1972-249121		19720501
CA 980772	A1	19751230	CA 1972-140949		19720501
AU 7241857	A1	19731108	AU 1972-41857		19720503
NL 7206031	A	19721109	NL 1972-6031		19720504
IL 39358	A1	19760229	IL 1972-39358		19720504
BE 783084	A1	19721106	BE 1972-117157		19720505
FR 2137585	A5	19721229	FR 1972-16234		19720505
FR 2137585	B1	19751226			
ZA 7203066	A	19730228	ZA 1972-3066		19720505
HU 163998	P	19731228	HU 1972-BA2742		19720505
GB 1365441	A	19740904	GB 1972-21035		19720505
SE 401832	C	19780907	SE 1972-5970		19720505
ES 402484	A1	19750316	ES 1972-402484		19720506
PL 88122	P	19760831	PL 1972-155218		19720506
US 3896222	A	19750722	US 1973-399445		19730920
US 3957967	A	19760518	US 1974-509325		19740926
PRIORITY APPLN. INFO.:			DE 1971-2122572	A	19710507
			US 1972-249121	A3	19720501
			US 1973-399445	A3	19730920

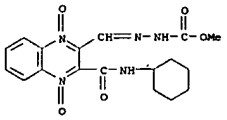
GI For diagram(s), see printed CA Issue.

AB Thirty-seven title compds. (I; R = NOH, NNHCSNH2, NNHCOR3 with R3 = OMe, OEt, OCH2CH2OH, NH2, morpholino, 4-pyridyl; R1 = H, Me, Et; R2 = Me, Pr, Et, CHMe2, CH2CH2OH, CH2CH2OMe, cyclohexyl; or NR1R2 = piperidino, morpholino, 1-pyrrolidinyl) were prepared by reaction of I (R = Cl2) with H2NOH or H2NNHCXR3 (X = O or S). I had inhibiting activities against gram-neg. and gram-pos. bacteria and were used as growth-promoting agents in chicken feed. Thus, I (R = H2, R1 = H, R2 = Me) was chlorinated with Cl in AcOH at 80-5° to give 80% I (R = Cl2, R1 = H, R2 = Me), which with H2NNHCO2Me in EtOH-H2O in the presence of Me2NH for 5 hr gave 78.5% I (R = NNHCO2Me, R1 = H, R2 = Me).

IT 39577-91-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 39577-91-8 CAPLUS

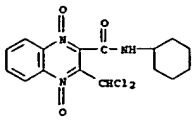
CN Hydrazinecarboxylic acid, [[3-[(cyclohexylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methylene]-, methyl ester (9CI) (CA INDEX NAME)



IT 39576-46-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acyl hydrazines)

RN 39576-46-0 CAPLUS

CN 2-Quinoxalinecarboxamide, N-cyclohexyl-3-(dichloromethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



L5 ANSWER 235 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1972:488541 CAPLUS

DOCUMENT NUMBER: 77:88541

TITLE: Quinoxaline di-N-oxides

INVENTOR(S): Ley, Kurt; Eholzer, Ulrich; Nast, Roland; Seng, Florin

PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.

SOURCE: U.S., 25 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

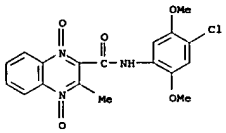
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 3660398	A	19720502	US 1970-24422		19700407
PRIORITY APPLN. INFO.:			US 1970-24422	A	19700407

AB Benzofurazan N-oxide, Me2CO, and BuNH2 gave 2-methylquinoxaline di-N-oxide (I, R = R1 = H, R2 = Me) after 5 hr at room temperature Similarly prepared were .apprx.118 quinoxaline di-N-oxide derivs. (e.g., I, R = R1 = H, R2 = Ph; R = H, R1R2 = (CH2)4; R = R2 = Me, R1 = H; II). The products were herbicidese.

IT 23433-47-8P 23433-48-9P 23433-49-0P
23433-50-3P 23433-51-4P 23433-52-5P
23433-53-6P 23433-54-7P 23433-55-8P
23433-56-9P 23433-57-0P 23433-71-8P
23433-76-3P 23523-93-5P 37937-30-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

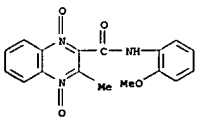
RN 23433-47-8 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(4-chloro-2,5-dimethoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



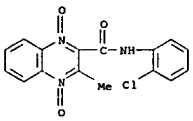
RN 23433-48-9 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



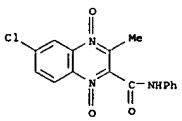
RN 23433-49-0 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



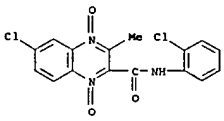
RN 23433-50-3 CAPLUS

CN 2-Quinoxalinecarboxamide, 6-chloro-3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



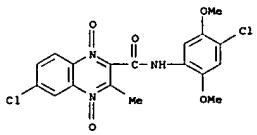
RN 23433-51-4 CAPLUS

CN 2-Quinoxalinecarboxamide, 6-chloro-N-(2-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



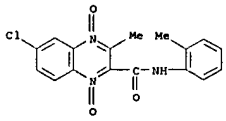
RN 23433-52-5 CAPLUS

CN 2-Quinoxalinecarboxamide, 6-chloro-N-(4-chloro-2,5-dimethoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



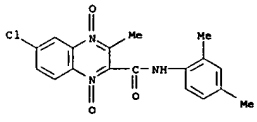
RN 23433-53-6 CAPLUS

CN 2-Quinoxalinecarboxamide, 6-chloro-3-methyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



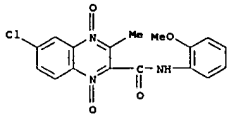
RN 23433-54-7 CAPLUS

CN 2-Quinoxalinecarboxamide, 6-chloro-N-(2,4-dimethylphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



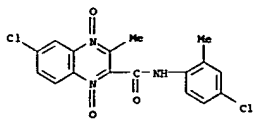
RN 23433-55-8 CAPLUS

CN 2-Quinoxalinecarboxamide, 6-chloro-N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

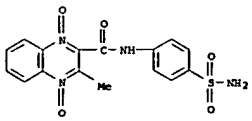


RN 23433-56-9 CAPLUS

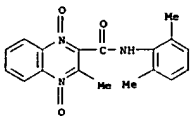
CN 2-Quinoxalinecarboxamide, 6-chloro-N-(4-chloro-2-methylphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



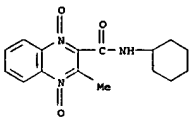
RN 23433-57-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(aminosulfonyl)phenyl]-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



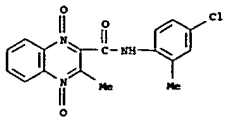
RN 23433-71-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2,6-dimethylphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



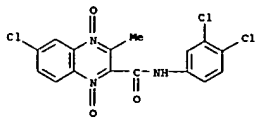
RN 23433-76-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclohexyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



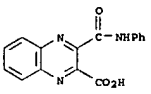
RN 23523-93-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chloro-2-methylphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



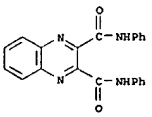
RN 37937-30-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-(3,4-dichlorophenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



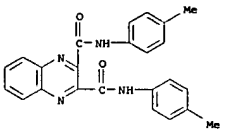
L5 ANSWER 236 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:461947 CAPLUS
DOCUMENT NUMBER: 77:61947
TITLE: 3-Arylamino-1-arylpyrrolidine-2,5-diones and their N-nitroso compounds. II. Properties. New heterocyclization reaction
AUTHOR(S): Burmistrov, S. I.; Kul'chitskaya, N. E.; Romanneko, V. D.
CORPORATE SOURCE: Dnepropetr. Khim.-Tekhnol. Inst., Dnepropetrovsk, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1972), 8(5), 1095-100
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Cyclodehydration of 11 title nitrosamines (I, R = Ph, substituted Ph; R = Ph, substituted Ph, PhCH2) at 100-20° in Ac2O afforded the corresponding quinoxaline derivs. (II) in 40-60% yield instead of the expected sydnone analogs. Alkaline hydrolysis of II (X = H; R1 = Ph, C6H4Me-p, C6H4OMe-p) gave the corresponding 2,3-quinoxalinedicarboxylic acid mono-N-arylamides (III), which were converted back to II by Ac2O; III were also prepared from 2,3-quinoxalinedicarboxylic anhydride and R1NH2. Similarly, II gave bis-N-arylamides with the resp. R1NH2. Refluxing III in quinoline containing Cu powder yielded 68% 2-quinoxalinecarboxylic acid.
IT 37648-58-1P 37648-59-2P 37648-60-5P
37648-61-6P 37648-63-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37648-58-1 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)



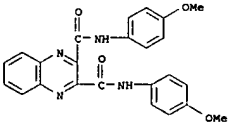
RN 37648-59-2 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N,N'-diphenyl- (9CI) (CA INDEX NAME)



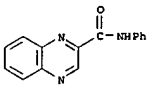
RN 37648-60-5 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N,N'-bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



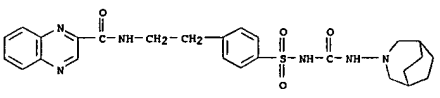
RN 37648-61-6 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N,N'-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



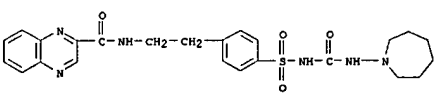
RN 37648-63-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-phenyl- (9CI) (CA INDEX NAME)



L5 ANSWER 237 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:448392 CAPLUS
DOCUMENT NUMBER: 77:48392
TITLE: New oral antidiabetic drugs. III
AUTHOR(S): Ambrogi, V.; Bloch, K.; Daturi, S.; Logemann, W.; Parenti, M. A.; Tommasini, R.
CORPORATE SOURCE: Ric. Ter., Ist. Carlo Erba, Milan, Italy
SOURCE: Arzneimittel-Forschung (1972), 22(3), 542-4
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Eleven p-RCONHCH2CH2-C6H4SO2NHCONHR1 (I, R = 5-methyl-2-pyrazinyl, 5,6-dimethyl-2-pyrazinyl, 2-quinolyl, or 2-quinazolinyl; R1 = piperidino, 4-methylpiperidino, 2,6-dimethylpiperidino, 1-azepinyl, or 3-azabicyclo[3.2.2]non-3-yl) were prepared by reaction of p-RCONHCH2CH2C6H4SO2NH2 with ClCO2Me via p-RCONH-CH2CH2C6H4SO2NHCO2Me followed by R1NH2. Most I had a high hypoglycemic activities at low oral doses in mice and rats.
IT 35149-17-8P 35237-88-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and hypoglycemic activity of)
RN 35149-17-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-[[[(3-azabicyclo[3.2.2]non-3-ylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

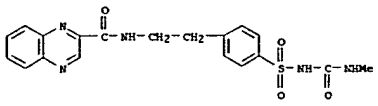


RN 35237-88-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-[[[[(hexahydro-1H-azepin-1-yl)amino]carbonyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



IT 37512-89-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37512-89-3 CAPLUS

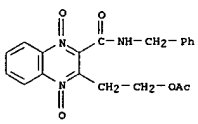
CN 2-Quinoxalinecarboxamide, N-[2-[4-[[[(methylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



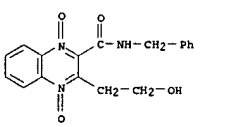
L5 ANSWER 238 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:434581 CAPLUS
DOCUMENT NUMBER: 77:34581
TITLE: Amebicidal quinoxaline 1,4-dioxides
INVENTOR(S): Hartung, Herbert; Duerckheimer, Walter; Raether, Wolfgang; Schrinner, Elmar
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2052359	A	19720427	DE 1970-2052359	19701024
PRIORITY APPLN. INFO.:			DE 1970-2052359 A	19701024

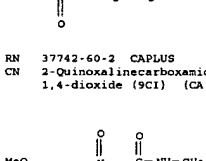
GI For diagram(s), see printed CA Issue.
AB Fifty title compds. [I; R = H or Me; R1 = H, MeO, or Me or RR1 = OCH2O; R2 = H, R3 = e.g. H, alkyl, alkoxy(or aryloxy)carbonyl or NR2R3 = piperidino, morpholino, or 4-methyl-1-piperazinyl; R4 = e.g. alkyl, aryl, or 3-pyridyl] were prepared by esterification of the alc. II. I were used in the treatment of amebiasis in hamsters. Thus, II (R-R3 = H) obtained by formylation of Et 3-methyl-2-quinoxalinecarboxylate dioxide via 3-(2-hydroxyethyl)-2-quinoxalinecarboxylic acid 1,4-dioxide was treated with Ac2O in pyridine at 50° for 10 min to give 88% I (R-R3 = H, R4 = Me).
IT 36789-64-7P 36789-71-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 36789-64-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-[2-(acetyloxy)ethyl]-N-(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



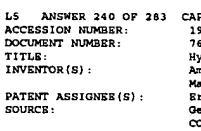
RN 36789-71-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-[2-(acetyloxy)ethyl]-7-methoxy-N-(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 37742-41-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(2-hydroxyethyl)-N-(2-methylcyclohexyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



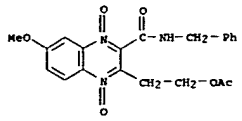
RN 37742-42-0 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(2-hydroxyethyl)-N-(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 37742-60-2 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(2-hydroxyethyl)-7-methoxy-N-(phenylmethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

L5 ANSWER 240 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:25312 CAPLUS
DOCUMENT NUMBER: 76:25312
TITLE: Hypoglycemic [(acylaminoethyl)phenylsulfonyl] ureas
INVENTOR(S): Ambrogi, Vittorio; Logemann, Willy; Parenti, Marcantonio; Tommasin, Raffaele
PATENT ASSIGNEE(S): Erba, Carlo, S. p. A.
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

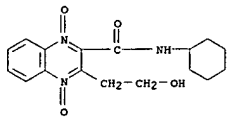
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE



L5 ANSWER 239 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:434572 CAPLUS
DOCUMENT NUMBER: 77:34572
TITLE: Antibacterial and protozoacidal 3-(2-hydroxyethyl)-2-carbamoylquinoxaline 1,4-dioxides
INVENTOR(S): Hartung, Herbert; Duerckheimer, Walter; Raether, Wolfgang; Schrinner, Elmar
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

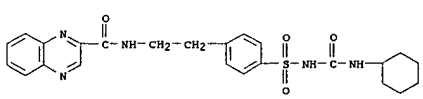
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2052279	A	19720427	DE 1970-2052279	19701024
PRIORITY APPLN. INFO.:			DE 1970-2052279 A	19701024

GI For diagram(s), see printed CA Issue.
AB Forty-one title compds. [I; R = H or Me; R1 = H, MeO, or Me; RR1 = OCH2O; R2 = H; R3 = (for example) H, Me, C12H25 cyclohexyl, CH2Ph, CH2CH:CH2, (CH2)3OH, CH2CH2NHPr, NH2, OH, MeO2CCH2, or H2NCOCH2; NR2R3 = piperidino, morpholino, or 4-methyl-1-piperazinyl] were prepared by reaction of 3-methyl-2-(alkoxycarbonyl)quinoxaline 1,4-dioxides with CH2O, cyclization of the 3-(2-hydroxyethyl)-3-carboxy derivs. via lactones (II), and reaction with amines. I had antibacterial and protozoacidal activity in mice and golden hamsters. Thus, 760 ml 40% methanolic Triton B was added to 496 g 3-methyl-2-(ethoxycarbonyl)-quinoxaline 1,4-dioxide and 60 g paraformaldehyde in dioxane to give 200 g 3-(2-hydroxyethyl)-2-carboxyquinoxaline 1,4-dioxide, which (200 g) on reaction with HCl (g) in EtOH at 0° gave 150 g II (R = R1 = H) (III). Reaction of III with NH3-saturated EtOH at 0° gave 93% I (R-R3 = H).
IT 37742-40-8P 37742-41-9P 37742-42-0P
37742-60-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37742-40-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclohexyl-3-(2-hydroxyethyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

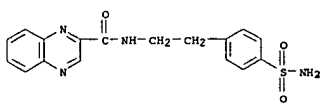


DE 2114629	A	19711021	DE 1971-2114629	19710326
US 3819633	A	19740625	US 1971-126893	19710322
FR 2085759	A1	19711231	FR 1971-10914	19710329
FR 2085759	A5	19711231		
BE 764998	A1	19710930	BE 1971-101556	19710330
CA 957687	A1	19741112	CA 1971-109207	19710331
HU 162749	P	19730428	HU 1971-CA302	19710401
GB 1289240	A	19720913	GB 1971-1289240	19710419
PRIORITY APPLN. INFO.:			IT 1970-22739 A	19700401
			IT 1970-29767 A	19700916
			IT 1971-19717 A	19710125
			IT 1971-19718 A	19710125

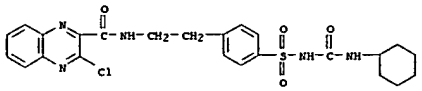
AB p-RCONHCH2CH2C6H4SO2NHCONHR1 (I) were prepared by reaction of p-RCONHCH2CH2C6H4SO2NH2 (II) with OCNR1, or p-RCONHCH2CH2C6H4SO2NHCO2Me with R1NH2, or of p-H2NCH2CH2C6H4SO2NHCONHR1 with RCOCl. Thus, 3.17 g 2-quinolinecarboxylic acid in Me2CO and Et3N reacted with ClCO2Et 15 min at -5° and then with p-H2NCH2CH2C6H4SO2NH2 in H2O 4 hr at room temperature to give 5.1 g II (R = 2-quinolyl). This (3.56 g) reacted in Me2CO and aqueous NaOH with cyclohexyl isocyanate over night at room temperature to give I
(R = 2-quinolyl, R1 = cyclohexyl). Similarly prepared were 20 addnl. I, e.g. (R and R1 given): 3-isoquinolyl, cyclohexyl (III); 4-cinnolinyl, 1-azacycloheptyl-1-yl; 2-quinoxalinyl, 3-azabicyclo[3.2.2]non-3-yl. Some I were tested in 16 hr fasting rabbits, e.g. 0.3 mg III/kg decreased the blood glucose level 3 and 6 hr after oral administration by 33 and 52%, resp.
IT 30961-30-9P 33289-00-8P 35148-82-4P
35149-17-8P 35149-18-9P 35237-88-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 30961-30-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



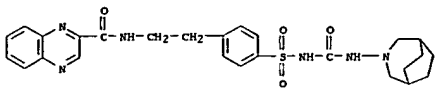
RN 33289-00-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



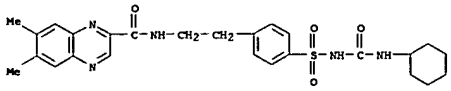
RN 35148-82-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-chloro-N-[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



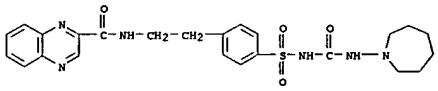
RN 35149-17-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-[[[(3-azabicyclo[3.2.2]non-3-ylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



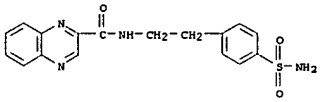
RN 35149-18-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]-6,7-dimethyl- (9CI) (CA INDEX NAME)



RN 35237-88-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-[[[(hexahydro-1H-azepin-1-yl)amino]carbonyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

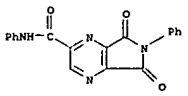


L5 ANSWER 241 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:551759 CAPLUS
DOCUMENT NUMBER: 75:151759
TITLE: N-Oxides of the quinoxaline series. XXI. Synthesis and properties of N-oxides and N,N'-dioxides of some 2-substituted quinoxalines
AUTHOR(S): Elina, A. S.; Musatova, I. S.; Tsyrul'nikova, L. G.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1971), 5(8), 6-12
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal

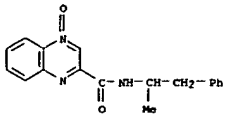


RN 33289-00-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

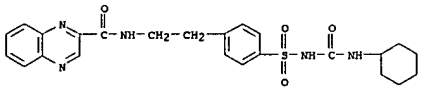
L5 ANSWER 243 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:421112 CAPLUS
DOCUMENT NUMBER: 75:21112
TITLE: Polyimides based on pyrazinetetracarboxylic dianhydride and some related model compounds
AUTHOR(S): Vaughan, George B.; Rose, Jerry C.; Brown, Gordon P.
CORPORATE SOURCE: Mellon Inst., Carnegie-Mellon Univ., Pittsburgh, PA, USA
SOURCE: Journal of Polymer Science, Polymer Chemistry Edition (1971), 9(4), 1117-38
CODEN: JPLCAT; ISSN: 0449-296X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Pyrazinetetracarboxylic dianhydride (I) condensed with heterocyclic diamines which did not contain an N-N linkage gave polyimides with a lower mol. weight and thermal stability than the corresponding polypyrome-litimides as a result of synthesis problems arising from the low reactivity of the diamines and the ready decarboxylation of pyrazinecarboxylic acids. The ir spectra of model compds. indicated the proposed condensate structure had recurring amideimide units rather than a complete polyimide structure. Unsuccessful polymns. were attempted by condensation of I with 3,5-diamino-1,2,4-oxadiazole, 3,4-diamino-1,2,5-oxadiazole, 2,4-diamino-6-methyl-s-triazine, and 2,6-diaminopyridine.
IT 34139-54-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34139-54-3 CAPLUS
CN 2,3-Pyrazinedicarboximide, N-phenyl-5-(phenylcarbamoyl)- (8CI) (CA INDEX NAME)



L5 ANSWER 244 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:141873 CAPLUS
DOCUMENT NUMBER: 74:141873
TITLE: Antibacterial quinoxaline-di-N-oxides and benzimidazole mono- and di-N-oxides
INVENTOR(S): Issidorides, Costas H.; Haddadin, Makhluf J.
PATENT ASSIGNEE(S): Research Corp.
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The title quinoxalines, including I and II, were prepared employing conventional chemical techniques.
IT 34118-05-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34118-05-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(α-methylphenethyl)-, 4-oxide (8CI) (CA INDEX NAME)



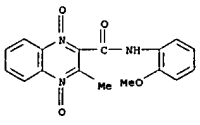
L5 ANSWER 242 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:488572 CAPLUS
DOCUMENT NUMBER: 75:88572
TITLE: New oral antidiabetic drugs. II
AUTHOR(S): Ambrogi, V.; Bloch, Konrad; Cozzi, P.; Daturi, S.; Logemann, W.; Parenti, M. A.; Tommasini, R.
CORPORATE SOURCE: Ist. Carlo Erba Ric. Ter., Milan, Italy
SOURCE: Arzneimittel-Forschung (1971), 21(2), 204-8
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB I-V were prepared from the appropriate heterocyclic carboxylic acids and p-(β-aminoethyl)benzenesulfonamide and treating the product with cyclohexyl isocyanate. Ten of 17 new sulfonylureas had some antidiabetic activity in mice, and only 5 of these, N-(4-[β-(quinoxaline-2-carboxamido)ethyl] benzenesulfonyl) - N' -cyclohexylurea (I), N-(4-[β-(isoquinoline-3-carboxamido)ethyl] benzenesulfonyl)-N'-cyclohexylurea (II), N-(4-[β-(quinoline-2-carboxamido)ethyl]benzenesulfonyl)-N'-cyclohexylurea ((III), N-(4-[β-(benzofuran-2-carboxamido)ethyl] benzenesulfonyl)-N'-cyclohexylurea (IV), and N-(5-[β-(5-chlorobenzofuran-2-carboxamido)ethyl] benzenesulfonyl)-N'-cyclohexylurea (V), were active in rats producing 44, 40, 42, 28, and 22%, resp., hypoglycemic effect at 7.5 mg/kg, orally.
IT 30961-30-9P 33289-00-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 30961-30-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



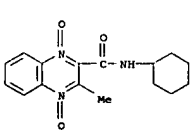
SOURCE: Brit., 16 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1215815		19701216	GB	19671220

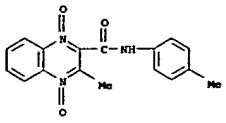
AB Benzofurazan 1-oxide (I) was refluxed with MeCOEt in MeCN in the presence of morpholine to give 2,3-dimethylquinoxaline 1,4-dioxide. Over 40 quinoxaline 1,4-dioxides were prepared similarly. I reacted with EtNO2 and Et2NH in THF to give 1-hydroxy-2-methylbenzimidazole 3-oxide. Five addnl. 1-hydroxybenz-imidazole 3-oxides were similarly prepared I reacted with iso-PrNO2 and Et2NH in THF to give 2,2-dimethyl-2H-benzimidazole 1,3-dioxide (II). The 2-ethyl-2-methyl and 2,2-pentamethylene analogs of II were similarly prepared Some phenazine 5,10-dioxides were also prepared The quinoxaline 1,4-dioxides were virucides and bactericides.
IT 23433-48-9P 23433-76-3P 31887-83-9P
31983-89-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23433-48-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



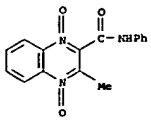
RN 23433-76-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclohexyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



RN 31887-83-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(4-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 31963-89-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

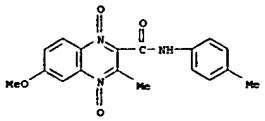


L5 ANSWER 245 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:112057 CAPLUS
DOCUMENT NUMBER: 74:112057
TITLE: Antibacterial 3-methyl-2-quinoxalinecarboxamide di-N-oxides
INVENTOR(S): Abuel-Haj, Marwan J.; Cronin, Timothy H.
PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: Ger. Offen., 53 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

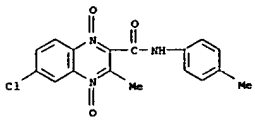
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2035480	A	19710211	DE 1970-2035480	19700717
US 3635972	A	19720118	US 1969-843810	19690722
BR 6915087	A0	19730419	BR 1969-215087	19691215
BR 6915238	A0	19730213	BR 1969-215238	19691217
GB 1325581	A	19730801	GB 1970-33489	19700709
FR 2059542	A5	19710604	FR 1970-26396	19700717
FR 2059542	B1	19751128		
CA 978949	A1	19751202	CA 1970-88694	19700721
CA 979455	A1	19751209	CA 1970-88695	19700721
PRIORITY APPLN. INFO.:			US 1969-843775 A	19690722
			US 1969-843810 A	19690722
			US 1970-6550 A	19700128

GI For diagram(s), see printed CA Issue.
AB Antibacterial and growth-promoting title compds. (I) were prepared by reaction of benzofuroxans (II) with diketene and HNRR1. Thus, reaction of 4.2 g diketene in Et2O, DMF saturated with MeNH2, and 6.8 g II (R2 = R3 = H) 12 hr at room temperature gave 4.5 g I (R = Me, R1 = R2 = R3 = H). Among .apprx.130 compds. similarly prepared were I (R, R1, R2, and R3 given): H, Me, Cl, Cl; H, Et, H, OMe; Et, Et, H, Cl; (RR1N =) morpholino, H, H.
IT 31683-22-4P 31683-23-5P 31887-83-9P

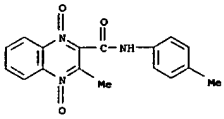
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31683-22-4 CAPLUS
CN 2-Quinoxalinecarboxy-p-toluidide, 6-methoxy-3-methyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



RN 31683-23-5 CAPLUS
CN 2-Quinoxalinecarboxy-p-toluidide, 6-chloro-3-methyl-, 1,4-dioxide (8CI) (CA INDEX NAME)

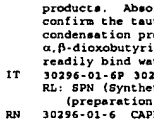


RN 31887-83-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-methyl-N-(4-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

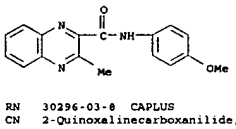


L5 ANSWER 246 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:42082 CAPLUS
DOCUMENT NUMBER: 74:42082
TITLE: Structure and color of the Schiff bases of anilides of the aliphatic mono- and diketoacids
AUTHOR(S): Moszew, Jan; Moskal, Aleksandra
CORPORATE SOURCE: Univ. Jagiellonian, Cracow, Pol.
SOURCE: Zeszyty Naukowe Uniwersytetu Jagiellonskiego, Prace Chemiczne (1970), No. 15, 117-31
CODEN: ZUJCAQ; ISSN: 0083-4319
DOCUMENT TYPE: Journal
LANGUAGE: Polish
AB The condensation of aliphatic carboxylic acid anilides and anilides of acetoacetic acid anil with p-nitroso-N,N-dimethylaniline (I) gave intensely colored single and double Schiff bases having quinonediimine structures. Condensation of the aliphatic carboxylic acid anilides and anilides of acetoacetic acid anil with nitrosobenzene (II) gave colorless products. Absorption spectra of various anilanilides of acetoacetic acid confirm the tautomeric keto imine-enamine equilibrium. Acid hydrolysis of the condensation products of I and II gave anil anilides of α,β-dioxobutyric acid. These compds. were strongly colored and readily bind water to form colorless hydrates.
IT 30296-01-6P 30296-02-7P 30296-03-8P

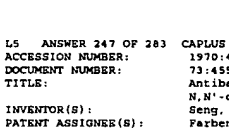
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 30296-01-6 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3-methyl- (8CI) (CA INDEX NAME)



RN 30296-02-7 CAPLUS
CN 2-Quinoxalinecarbox-p-anisidide, 3-methyl- (8CI) (CA INDEX NAME)



RN 30296-03-8 CAPLUS
CN 2-Quinoxalinecarboxanilide, 4'-bromo-3-methyl- (8CI) (CA INDEX NAME)

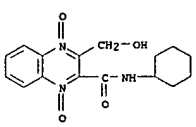


L5 ANSWER 247 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:445539 CAPLUS
DOCUMENT NUMBER: 73:45539
TITLE: Antibacterial 2-hydroxymethyl-3-carbamoylquinoxaline N,N'-dioxides
INVENTOR(S): Seng, Florian; Ley, Kurt; Metzger, Karl G.
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

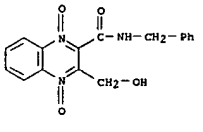
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1813918	A	19700625	DE 1968-1813918	19681211
DE 1813918	C3	19790215		
CH 523263	A	19720531	CH 1969-523263	19691114
IL 33364	A1	19730730	IL 1969-33364	19691114
GB 1254340	A	19711117	GB 1969-1254340	19691125
US 3682906	A	19720808	US 1969-880968	19691128
DK 126654	B	19730806	DK 1969-6393	19691202
FI 51183	B	19760802	FI 1969-3491	19691202
BR 6914788	A0	19730308	BR 1969-214788	19691205
NL 6918463	A	19700615	NL 1969-18463	19691209
NO 125186	B	19720731	NO 1969-4878	19691210
SE 356300	B	19730521	SE 1969-17049	19691210
BE 742970	A	19700611	BE 1969-742970	19691211
FR 2025909	A5	19700910	FR 1969-43010	19691211
FR 2025909	B1	19730713		
AT 294105	B	19711110	AT 1969-11529	19691211
US 3801711	A	19740402	US 1971-181245	19710916
PRIORITY APPLN. INFO.:			DE 1968-1813918 A	19681211
			US 1969-880968 A3	19691128

GI For diagram(s), see printed CA Issue.
AB Antibacterial title compds. (I), suitable as feed additives, were prepared by reaction of II and R1R2NH. Thus, reaction of II (R = H) with morpholine in C6H6 10 hr gave 91.7% I [R = H, (NR1R2 =) morpholino]. Similarly prepared were the following I (R, R1, and R2 given): H, Me, Me; H, H, H; H, H, Me; H, H, Et; H, H, Pr; H, H, iso-Pr; H, H, cyclohexyl; H, H, HOCH2CH2; H, H, MeOCH2CH2; H, H, PhCH2; H, H, CH2:CHCH2; H, H, NH2; H, H, NHOH; H, H, MeCH(OH)CH2; H, H, MeCH(OH)CH2CH2; H, H, HO(CH2)3; and the following I (R and NR1R2 given): Me, morpholino; Cl, morpholino; H, pyrrolidino; H, 4-methylpiperazino. Formulations containing I as active components were described.
IT 27520-10-1 27520-12-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)
RN 27520-10-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclohexyl-3-(hydroxymethyl)-, 1,4-dioxide (8CI) (CA INDEX NAME)



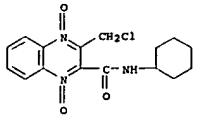
RN 27520-12-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-benzyl-3-(hydroxymethyl)-, 1,4-dioxide (8CI) (CA INDEX NAME)



L5 ANSWER 248 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:3509 CAPLUS
DOCUMENT NUMBER: 72:3509
TITLE: Bactericidal 2-halomethyl-3-amidoquinoxaline 1,4-N-oxides
INVENTOR(S): Ley, Kurt; Eholzer, Ulrich; Nast, Roland; Metzger, Karl G.; Fritsche, Dieter
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: S. African, 20 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6806098		19690226		
PRIORITY APPLN. INFO.:			DE	19671004

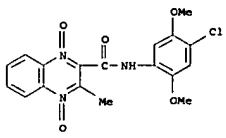
GI For diagram(s), see printed CA Issue.
AB Bactericidal activities and prepns. of the title compds., I [R = Cl or Br, R1 = H, Me or Et; R2 = Me, Et, H, Pr, Me2CH, Bu, Me3C, C12H25, CH2CH2OMe or CH2CH2OAc, (R1R2 =) (CH2)4 or (CH2)5] are described. For example, 380 g MeNH2 in 2 l. MeOH was treated with 830 ml diketene at -10 to 0°, stirred 2 hr at 35°, treated with 1360 g benzofuroxan followed by 30 moles NH3 at <45° and stirred 6-8 hr at 40-5° to give, on cooling, 73.3% I (R = R1 = H, R2 = Me) (II), m. 214° (decomposition). Chlorination of 233 g II in 700 ml CHCl3 with 90 g Cl gave 68% I (R = Cl, R1 = H, R2 = Me), m. 195-6°.
IT 24836-32-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24836-32-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 3-(chloromethyl)-N-cyclohexyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



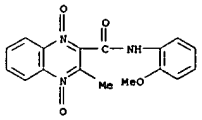
L5 ANSWER 249 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1969:470643 CAPLUS
DOCUMENT NUMBER: 71:70643
TITLE: Quinoxaline di-N-oxides
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Fr., 21 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1521907		19680419	FR	
DE 1670693			DE	
DE 1670730			DE	
GB 1187991			GB	
PRIORITY APPLN. INFO.:			DE	19660504
			DE	19660810

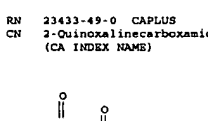
GI For diagram(s), see printed CA Issue.
AB The title compds. useful as intermediates in the preparation of pharmaceuticals and plant protection agents are prepared by reacting benzofuroxans with a ketone and an amine, or with a Schiff base. Addg. 73 g. BuNH2 dropwise to a solution of the benzofuroxan (I) in 450 ml. Me2CO at 20-30°, stirring 5 hrs. at room-temperature and cooling to 0° gave 77 g. II (R2 = X = H, R1 = Me), m. 171° (EtOH). Similar treatment of 136 g. I and 86.5 g. MeCOEt (III) in 500 ml. MeOH with 119 g. cyclohexylamine at 30° gave 140 g. II (X = H, R1 = R2 = Me) (IV), m. 188-9° decomposition (EtOH). IV was also obtained (260 g.) by passing NH3 into a mixture of 204 g. I, 118 g. III, and 700 ml. MeOH at 50° for 8 hrs. By similar methods were prepared the following II (X, R1, R2, m.p., and % yield given): H, Me, Et, 141-2°, prepared both from Et2CO and MeCOPr in 84 and 88.5% yield resp.; H, Me, C10H21, 111-13°, 80; H, Me, C16H33, 111-13°, 77-80; H, Ph, H, 209-10°, 56.7; Cl, Me, H, 190-1°, --; Cl, Me, Me, 175-6°, 71.5-91; Cl, Me, Et, 142-4°, 73; Cl, Me, C10H21, 79-80°, 71.5; Cl, Me, C16H33, 92-3°, 68-85; Me, Me, H, 183-4° (decomposition), 49; Me, Me, Me, 155-6°, 77.5; Me, ME, Et, 150-2°, 55; MeO, Me, Me, 196-8°, 88.5; MeO, Me, C16H33, 77-8°, 81.5; EtO, Me, H, 202° (decomposition), 24; EtO, Me, Me, 160-2°, 84; EtO, Me, Et, 167-8°, 56.5; EtO, Et, Me, 174-5°, 50.5; EtO, Me, C16H33, 97-8°, 84.5; MeO2C, C26H33, Me, 90-1°, 61.5. To a solution of 27.2 g. I in 100 ml. MeOH was added 35.6 g. cyclohexylidene(cyclohexyl)amine dropwise at 35°. After stirring for a further hr., cooling gave 22 g. V, m. 182-3°. By treatment of a mixture of 68 g. I, 91 g. cyclododecanone, and 400 ml. EtOH at 50° with 40 g. BuNH2 and heating at 60° 2 hrs. 90 g. VI (X = H) (VII), m. 132-3°, was obtained. VII was also prepared in 60% yield from I and cyclodecylenyl(cyclohexyl)amine at 50° and in 83.5% yield using NH3 in place of BuNH2. Similarly were prepared VI (X = Cl), m. 122-4° (54-77.5% yield), VI (X = Me), m. 144-6° (60%), and VI (X = EtO), m. 202-4° (43-61 %). To a solution of 13.6 g. I and 13 g. AcOEt in 50 ml. MeOH at 40° was added 8 g. BuNH2 dropwise and the mixture heated at 50° 4 hrs. to give 10 g. II (X = H, R1 = Me, R2 = EtO2C), m. 134-6° (MeOH). Other quinoxaline dioxides VIII similarly prepared were (R1, R2, R3, R4, R5, m.p. and % yield given): Me, Me, H, H, 4-ClC6H4NHCO, 248°, 74.5; Me, Me, Me, H, H, Me, 164-6°, 41; Me, Me, H, 2-pyridylsulfonamido, H, 234° (decomposition), 62.6; Me, Me, Br, H, H, 189-90°, 62.5; Me, Me, MeO2C, H, H, 185-6°, 68.6; Me, C10H21, H, H, MeO, 97-9°, 89; Me, C10H21, H, H, EtO, 84-6°, 39; Me, C16H33, Me, H, Me, 75-6°, 27; Me, C16H33, H, H, Me, 91-3°, 60; Me, CH2CONH2, H, H, MeO, 238°, 51; Me, CH2CONHPh, H, H, H, 220-1° (decomposition), 82.5; Me, 3,4- Cl3C6H3NHCOCH2, H, H, H, 220°, 84; Me, CO2Et, H, H, Cl, 178-9°, 37.5; Me, 3,4-Cl2C6H3NHCOCH2, H, H, Cl, 183-4°, 77.5; Me, 4,2,5-Cl(MeO)2C6H2NHCO, H, H, H, 227-8° (decomposition), 50; Me, 2-MeOC6H4NHCO, H, H, H, 190-1°, 53; Me, 2,4- Me(Cl)C6H3NHCO, H, H, H, 207°, 46; Me, 2-ClC6H4-NHCO, H, H, H, 208-9°, 30; Me, PhNHCO, H, H, Cl, 206-7°, 55; Me, 2-ClC6H4NHCO, H, H, Cl, 185-6°, 46; Me, 4,2,5-Cl-(MeO)2Cl2C6H2NHCO, H, H, Cl, 224-5° (decomposition), 44; Me, 2-MeC6H4NHCO, H, H, Cl, 197-8°, 32; Me, 2,4-Me2C6H3NHCO, H, H, Cl, 180-1°, 45; Me, 2-MeOC6H4NHCO, H, H, Cl, 150-2°, 30.5; Me, 2,4-Me-4-(Cl)C6H3NHCO, H, H, Cl, 209°, 32; Me, 4-H2NSO2C6H4NHCO, H, H, H, 254° (decomposition), 62; Me, pyrrolidinocarbonyl, H, H, EtO, 132-3°; 67.5; Me, piperidinocarbonyl, H, H, Me, 135° (decomposition), 69; Me, C12H25NHCO, H, H, H, 151-2°, 71; Me, C12H25NHCO, H, H, Me 150-1°; 52; Me, C12H25NHCO, H, H, EtO, 152-4°, 58; Me, C12H25NHCO, H, H, Cl, 155-6°, 34; Me, N-morpholinoaminocarbonyl, H, H, H, 204-5° (decomposition), 20; Me, H2NCO, H, H, H, 245° (decomposition), 33; Me, 4-methyl-2-pyrimidinylaminocarbonyl, H, H, Cl, 220° (decomposition), 20; Me, 2-benzothiazolylaminocarbonyl, H, H, H, 222° (decomposition), 45. Addg. 8 g. BuNH2 dropwise at 40° to a solution of 16.6 g. 5-methoxybenzofuroxan and 28.1 g. acetylacetoazobenzamide, stirring at 40° 4 hrs. and cooling gave 28 g. 3-carboxyazobenzamide of 2-methyl-7- methoxyquinoxaline 1,4-di-N-oxide, m. 231-2° decomposition (Me2NCHO- EtOH). IX (R = H) methanolate, m. 235° (decomposition) (Me2NCHO-MeOH) was similarly prepared in 5.9 g. yield from 2.72 g. I, 5.8 g. dihydrotestosterone, and 2.2 g. BuNH2 in 45 ml. MeOH at 60°. Also, prepared were IX (R = Cl) methanolate, m. 253° (decomposition), 42.5% yield; IX (R = MeO).2H2O, m. 230° (decomposition), 53%; X, m. 224-5° (decomposition), in 17.5% yield from N-(2-phenylbenzo-1,2,3-triazole-5- yl)acetylacetamide; II (R1 = Me, X = H, R2 = 2-pyridylaminocarbonyl), m. 218° (decomposition), 34%; II (R1 = Me, X = H, R2 = 2,6-Me2C6H3NHCO), m. 234° (decomposition), 72.5%; II (R1 = Me, X = H, R2 = 2-thiazolylamino-carbonyl, m. 212-13° (decomposition), 33%; XI (R = Cl), m. 256° (decomposition), 65.5% (from N,N'-diacetoacetylpiperazine); XI (R = EtO), m. 267° (decomposition), 84%; XI (R = Me), m. 250° (decomposition), 85%; II (R1 = Me, X = H, R2 = cyclohexylamino-carbonyl, m. 205° 68%; II [R1 = Me, X = Cl, R2 = CMe-(:NOH)], m. 222-3° (Me2NCHO-MeCN), 73.5% yield (from 2-oximino-3-pentanone); II [R1 = Me, X = H, R2 = CMe(:NOH)], m. 219° (decomposition), 58.5%; II (R1 = Me, R2 = Ph, X = H), m. 194- 6°, 72%; II (R1 = Me, R2 = Ph, X = Cl,) m. 162-3°, 77%; II (R1 = Me, R2 = Ac, X = Cl), m. 170-1°, 57.5%; II (R1 = Me, R2 = Ac, X = EtO), m. 178-80°, 43%; II (R1 = Me, R2 = N-morpholinomethyl, X = H), m. 138-9°, 69% (from 1-morpholino-3-butanone); XII (R = H). Me2NCHO, m. 202-4°, 42% [from cis-2-decalone, 5-chlorobenzofuroxan (XIII), and BuNH2]. Into a solution of 50 g. 2-oximino-cyclododecan-1-one (m. 73-5°) and 40 g. XIII in 200 ml. MeOH at 50° was passed NH3 gas 5 hrs. to give 47 g. Na salt of XIV, crystallized from MeOH-Me2-CO. Acidification with AcOH gave XIV, m. 197-9° (MeOH). The following II were also prepared (R1, R2, X, m.p., and % yield given): Me, PhCH(CN)CH2, EtO, 172-3°, 37.4; Me, EtNH-CO, H, 208-9°, 70; H2NCO, H2NCO, H, 217° (decomposition), 81; H2NCO, H2NCO, MeO, 222° (decomposition), 73; H2NCO, H2NCO, EtO, 218° (decomposition), 54; H2NCO, H2NCO, Cl, 300° (decomposition), 69; Me, HON:CHCH2, Me, 234° (decomposition), 51; Me, HON:CHCH2, MeO, 220° (decomposition), 55; Me, H2NCO, Me, 223° (decomposition), 56; Me, H, MeO, 245° (decomposition), 56; Me, H, Et, 227° (decomposition), 31; Me, N- piperidylcarbonyl, H, 178°, 60; Me, N-pyrrolidinocarbonyl, H, 185°, 63; Me, iso-Pr, H, 184°, 73; Me, iso-Pr, Cl, 158°, 75; Me, iso- Pr, Me, 148°, 69; Me, iso-Pr, MeO, 212°, 60; Me, iso-Pr, EtO, 174°, 65; Me, HON:cHCH2, Et2O, 222° (decomposition), 52; Me, HON:CHCH2, H2NCO, 231° (decomposition), 55; Me, H2NCO, Cl, 232° (decomposition), 40. XII (R = H), m. 196°, was prepared in 47% yield.
IT 23433-47-8P 23433-48-9P 23433-49-0P 23433-50-3P 23433-51-4P 23433-52-5P 23433-53-6P 23433-54-7P 23433-55-8P 23433-56-9P 23433-57-0P 23433-58-1P 23433-71-8P 23433-76-3P 23523-93-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23433-47-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chloro-2,5-dimethoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



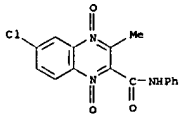
RN 23433-48-9 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



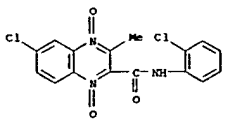
RN 23433-49-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



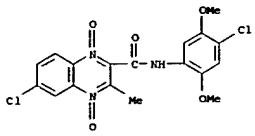
RN 23433-50-3 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-3-methyl-N-phenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



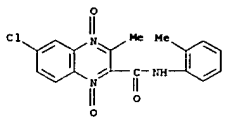
RN 23433-51-4 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-(2-chlorophenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



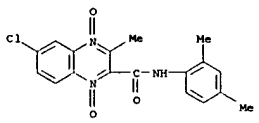
RN 23433-52-5 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-(4-chloro-2,5-dimethoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



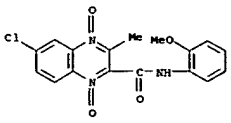
RN 23433-53-6 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-3-methyl-N-(2-methylphenyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)



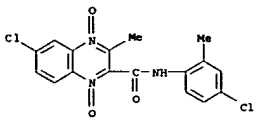
RN 23433-54-7 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-(2,4-dimethylphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



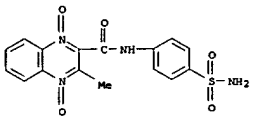
RN 23433-55-8 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-(2-methoxyphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



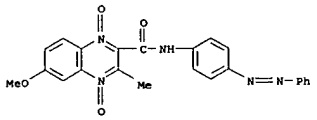
RN 23433-56-9 CAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-(4-chloro-2-methylphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



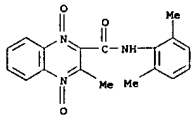
RN 23433-57-0 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[4-(aminosulfonyl)phenyl]-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



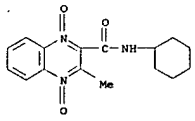
RN 23433-58-1 CAPLUS
CN 2-Quinoxalinecarboxanilide, 6-methoxy-3-methyl-4'-(phenylazo)-, 1,4-dioxide (8CI) (CA INDEX NAME)



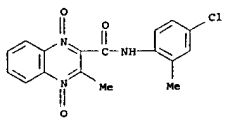
RN 23433-71-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(2,6-dimethylphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 23433-76-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-cyclohexyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

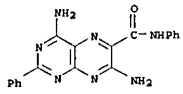


RN 23523-93-5 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(4-chloro-2-methylphenyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

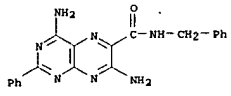


L5 ANSWER 250 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1968:467333 CAPLUS
DOCUMENT NUMBER: 69:67333
TITLE: Pteridines. V. Some analogs of 4,7-diamino-2-phenyl-6-pteridinecarboxamide
AUTHOR(S): Weinstock, Joseph; Dunoff, Roberta Y.; Williams, June G.
CORPORATE SOURCE: Smith Kline and French Lab., Philadelphia, PA, USA
SOURCE: Journal of Medicinal Chemistry (1968), 11(3), 542-8
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of 4,7-diamino-6-pteridinecarboxamides were prepared either by reaction of the appropriate 2-substituted 4,6-diamino-5-nitrosopyrimidine with the required N-substituted cyanoacetamide or by aminolysis of ethyl 4,7-diamino-2-phenyl-6-pteridinecarboxylate. The ester was prepared from Et cyanoacetate and 4,6-diamino-5-nitroso-2-phenylpyrimidine and was also unexpectedly obtained when the same pyrimidine was treated with diphenyl sulfoacetate, cyanoacetylurea, or cyanoacetylurethan in the presence of NaCN. A series of 4-amino-7-hydroxy-2-phenyl-6-pteridinecarboxamide analogs was prepared from the corresponding ester. 17 references.

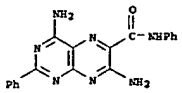
IT 19148-08-4P 19970-93-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 19148-08-4 CAPLUS
CN 6-Pteridinecarboxanilide, 4,7-diamino-2-phenyl- (8CI) (CA INDEX NAME)



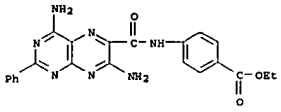
RN 19970-93-5 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-phenyl- (6CI, 8CI) (CA INDEX NAME)



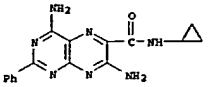
L5 ANSWER 251 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1968:452104 CAPLUS
DOCUMENT NUMBER: 69:52104
TITLE: Pteridines. XII. Structure-activity relation of some pteridine diuretics
AUTHOR(S): Weinstock, Joseph; Wilson, James W.; Wiebelhaus, Virgil D.; Maass, Alfred R.; Brennan, Francis T.; Sosnowski, Genevieve
CORPORATE SOURCE: Res. and Develop. Div., Smith Kline and French Lab., Philadelphia, PA, USA
SOURCE: Journal of Medicinal Chemistry (1968), 11(3), 573-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The diuretic activity of pteridines related to 2,4,7-triamino-6-phenylpteridine (triamterene), 2,4-diamino-6,7-dimethylpteridine (I), and 4,7-diamino-2-phenyl-pteridine-6-carboxamide was studied in the saline-loaded and sodium-deficient rat. A limited number of related pyrimidopyrimidines were similarly studied. Some of the compds. related to triamterene and I not only cause Na+ excretion but also conserve K+. All the 2-phenylpteridines that were studied which are active natriuretic agents also cause K+ excretion. In the triamterene series, replacement of any of the amino groups by either a large amine or a nonbasic group other than H leads to reduction of diuretic activity. Replacement of the Ph by a small, nonbasic group gives active diuretic agents, but an aromatic (or heteroaromatic) group seems desirable for highest activity. Some variation in the substitution pattern on the pteridine ring is permissible as demonstrated by the activity of the triamterene isomers. The 7-Ph isomer is outstanding as a blocker of K+ excretion.
IT 19148-08-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(as diuretic)
RN 19148-08-4 CAPLUS
CN 6-Pteridinecarboxanilide, 4,7-diamino-2-phenyl- (8CI) (CA INDEX NAME)



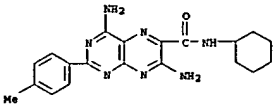
L5 ANSWER 252 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:403074 CAPLUS
DOCUMENT NUMBER: 67:3074
TITLE: Pteridinecarboxamide diuretics. II. Reaction of 4,6-diamino-5-nitrosopyrimidines with N-substituted cyanoacetamides
AUTHOR(S): Osdene, Thomas S.; Santilli, Arthur A.; McCardle, Lee E.; Rosenthale, Marvin E.
CORPORATE SOURCE: Res. and Develop. Div., Wyeth Labs. Inc., Radnor, PA, USA
SOURCE: Journal of Medicinal Chemistry (1967), 10(2), 165-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB cf. CA 65: 12204g. Several new 4,6-diamino-2-substituted 5-nitrosopyrimidines and N-substituted 2-cyanoacetamides were prepared and used as intermediates in the base-catalyzed preparation of a number of 4,7-diamino-2-substituted N-substituted 6-pteridinecarboxamides as shown. Many of these pteridines had diuretic activity in rats after oral administration. Increased activity was associated with certain specific structural characteristics. The more active compds. were those in which the 2 position of the pteridine nucleus bears an aromatic group, preferably phenyl or m-chlorophenyl, and in which the carbamoyl N bears a 2-dialkylaminoethyl or 2-(N-heterocyclic amino)ethyl group, e.g., 2-diethylaminoethyl or 2-morpholinoethyl.
IT 13053-06-0P 13206-68-3P 15029-90-0P
15048-48-3P 15057-67-7P 15057-68-8P
15163-86-7P 15163-89-0P 15341-52-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13053-06-0 CAPLUS
CN Benzoic acid, 4-[[(4,7-diamino-2-phenyl-6-pteridinyl)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



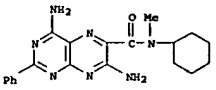
RN 13206-68-3 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclopropyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



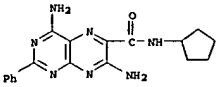
RN 15029-90-0 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-2-p-tolyl- (7CI, 8CI) (CA INDEX NAME)



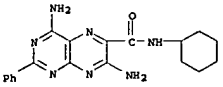
RN 15048-48-3 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-N-methyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



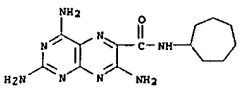
RN 15057-67-7 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclopentyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



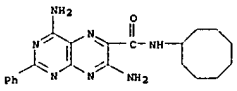
RN 15057-68-8 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



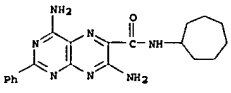
RN 15163-86-7 CAPLUS
CN 6-Pteridinecarboxamide, 2,4,7-triamino-N-cycloheptyl- (8CI) (CA INDEX NAME)



RN 15163-89-0 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclooctyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



RN 15341-52-3 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cycloheptyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 253 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:10929 CAPLUS
DOCUMENT NUMBER: 66:10929
TITLE: Improved penicillins
INVENTOR(S): Housley, John R.; Richards, Hugh Colin; Spooner, David F.
PATENT ASSIGNEE(S): Boots Pure Drug Co. Ltd.
SOURCE: Brit., 11 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 967890		19660721	GB	19611207

GI For diagram(s), see printed CA Issue.
AB New penicillins and non-toxic salts represented by the general formula Ia are described. R represents a vicinally bound divalent heterocyclic radical. Therapeutic compns. containing these compds. with an inert diluent or carrier may be in the form of solns., suspensions, tablets, lozenges, ointments, creams or powders. 3-Carboxy-2-quinoxalinylpenicillin may be used as a parenteral injection to combat bovine mastitis. For example, 2,3-quinoxalinedicarboxylic anhydride (0.83 g.) was added during 2 min. to a suspension of 0.896 g. 6-aminopenicillanic acid in 2.5 cc. HCONMe2 and 1.75 cc. of NEt3 which had been stirred at 0° 2 hrs. Stirring at 0° was continued 35 min., the semi-solid mass filtered, and the residue washed with dry acetone and dry Et2O to give the monohydrate bis(triethylamine) salt of 3-carboxy-2-quinoxalinylpenicillin (I), m. 135-7° (decomposition), α 20 D 142° (c 0.376, H2O). 2,3-Quinolinedicarboxylic anhydride (1.99 g.) and 2.16 g. 6-aminopenicillanic acid were allowed to react in 15 cc. HCONMe2 and 4.2 cc. NEt3 as described. The addition of dry Et2O (50 cc.) precipitated an oil which was separated and dissolved in 10 cc. of H2O. This aqueous solution was washed with Et2O, chilled, and acidified with shaking in the presence of Et2O again. The ethereal exts. were washed with H2O, dried, and then treated with benzykanube ti pH 8.0. The light yellow precipitate was filtered off, washed with dry Et2O, and dried in vacuo to give an isomeric mixture of the dibenzylamine salts of 3-carboxy-2-quinolylpenicillin and 2-carboxy-3-quinolylpenicillin, m. 154-7° (decomposition), α 20 D 141° (c 0.5, H2O). Also, 440 cc. HCONMe2 and 96 cc. redistd. aqueous azeotrope of NEt3 (b. 76°, 90% by weight base) was cooled to 0.3° in a 2-l. flask with stirring, 43.2 g. 6-aminopenicillanic acid added, the mixture stirred 15 min., 40 g. 2,3-quinoxalinedicarboxylic anhydride added over 2 hrs., and stirring at 0.3° continued 2 hrs. more during which time the product began to precipitate Me2CO (1320 cc.) was added with stirring and the mixture kept at 0-3° overnight to give I, m. 135-7° (decomposition), α 20 D 138°. Colorimetric assay with hydroxylamine against benzylpenicillin corresponded to a purity of 110%. The di-Na salt-H2O (III) of I m. 253-4° (decomposition), α 20 D 175° (H2O). Similarly, 0.7 cc. NEt3 was added to a stirred solution of 0.835 g. 2,3-pyridinedicarboxylic acid in 50 cc. dry tetrahydrofuran, the solution cooled to 0°, 0.5 cc. ethyl chloroformate added dropwise, and stirring continued at 0° 1 hr. After cooling to -30°, the mixture was filtered and the filtrate added to an aqueous solution of K 6-aminopenicillanate. This mixture was stirred 1.5 hrs. during which time it came to room temperature, the solvent evaporated at 30°/3 mm., and the last traces of H2O were removed by azeotropic distillation with BuOH under the same conditions to give an isomeric mixture of K 3-carboxy-2-pyridylpenicillinate and K 2-carboxy-3-pyridylpenicillinate, m. 190-5° (decomposition); hydroxylamine assay indicated 100% purity. The same method was used to effect the conversion of 3,4-pyridinedicarboxylic acid to an isomeric mixture of K 3-carboxy-4-pyridylpenicillinate and K 4-carboxy-3-pyridylpenicillinate, m. 170-80° (decomposition). The purity was about 80% (hydroxylamine assay). A solution of Na 6-aminopenicillinate was prepared from 1.4 g. of the acid and 2.5 g. NaHCO3 in 25 cc. H2O and 5 cc. Me2CO cooled to 0°. A solution of 3-benzyloxycarbonyl-2-quinoxalinecarbonyl chloride (prepared by refluxing 2 g. 3-benzyloxycarbonyl-2-quinoxalinecarboxylic acid with 1.5 ml. SOCl2 30 min. and evaporating the excess SOCl2 in vacuo) in 10 cc. dry Me2CO was added to the stirred solution of the above Na salt dropwise during 10 min., the temperature kept at 0° 5 min. more, 5 cc. MeCOBu-iso added, and the mixture stirred for 15 min. more, during which time it reached room temperature After discarding the organic layer, the aqueous phase was covered with 50 cc. ether and acidified with 2N HCl, and the ethereal extract washed with 10 cc. H2O, dried, evaporated under reduced pressure to 10 cc., and cooled to 0° to precipitate 3-benzyloxycarbonyl-2-quinoxalinylpenicillin, m. 167-70° (decomposition). Also, 0.5 cc. ethyl chloroformate was added dropwise to a stirred solution of 1.23 g. 3-ethoxycarbonyl-2-quinoxalinecarboxylic acid and 0.7 cc. NEt3 in 50 cc. dry tetrahydrofuran at 0°, the mixture stirred at 0° 1 hr., cooled to -30°, and filtered, the filtrate added to a stirred aqueous solution of K 6-aminopenicillinate, stirring continued 1.5 hrs., and the solvent evaporated in vacuo to yield crude K 3-ethoxycarbonyl-2-quinoxalinylpenicillin, m. 210-15° (decomposition), purity 86% (hydroxylamine assay). This

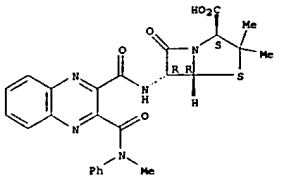
procedure was used to convert a number of hemi-esters and hemi-amides of 2,3-quinoxalinedicarboxylic acid to the K salts of the following esters of 3-carboxy-2-quinoxalinylpenicillin [alc. moiety, m.p. (decomposition), and % purity (hydroxylamine assay) given]: Pr, 200-10°, 73; iso-Pr, 205-10°, 100; Bu, 150-60°, 71; n-decyl, 230-5°, 73; Et2NCH2CH2, 200-10°, 94; cyclohexyl, 155-6°, -; Ph, 205-10°, 78; benzyl, 130-5°, 100. Also prepared were the K salts of the following amides of 3-carboxy-2-quinoxalinypenicillin (amine moiety, m.p. (decomposition), and % purity (hydroxylamine assay) given]: NH2, 180-90°, 56; Et2N, 210-15°, 75; PrNH, 140-50°, 41; piperidino, 200-10°, 97; PhNH, 205-10°, 50; N-methylanilino, 193-9°, 95. 3-Methoxy-2-quinoxalinecarboxylic acid (1.16 g.) was converted to its NEt3 salt and then treated with K 6-aminopenicillinate by the last procedure. Instead of evaporating the solvent, a further amount of H2O (20 cc.) and Et2O (50 cc.) were added, the mixture was well shaken, the aqueous phase separated, covered with 30 cc. of Et2O, cooled with ice, and acidified with 2N HCl with vigorous shaking, the ethereal extract washed with H2O and extracted with 0.5 g. of NaHCO3 in 20 cc. of H2O, the ethereal layer discarded, MeCOBu-iso added to the aqueous phase, which was then chilled with ice and acidified with 2N HCl, and the organic layer was separated, washed with 20 cc. H2O four times, dried, and treated with K 2-ethylhexanoate in MeCOBu-iso (6.7% by weight) until there was no further turbidity to give 3-methoxycarbonyl-2-quinoxalinylpenicillin, m. 210-20°, 95% pure (hydroxylamine assay). The ir absorption spectra of all the penicillins prepared were characteristic of a β-lactam ring system. Descriptions of pharmaceutical formulations were given.

IT 13233-25-5P 13255-86-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 13233-25-5 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[3-(methylphenylcarbamoyl)-2-quinoxalinecarboxamido]-7-oxo-, monopotassium salt (8CI) (CA INDEX NAME)

Absolute stereochemistry.

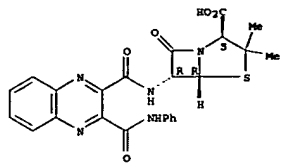


● K

RN 13255-86-2 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[3-(phenylcarbamoyl)-2-quinoxalinecarboxamido]-, monopotassium salt (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



● K

L5 ANSWER 254 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1966:499888 CAPLUS

DOCUMENT NUMBER: 65:99888

ORIGINAL REFERENCE NO.: 65:18729h,18730a-c

TITLE: Reactive dyes containing a quinoxaline nucleus

INVENTOR(S): Booth, Gerald

PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.

SOURCE: 12 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1039379		19660817	GB	19630923

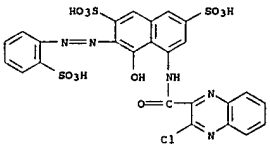
GI For diagram(s), see printed CA Issue.

AB Dyes of the general formula RNHQ, where R is the residue of an azo, anthraquinone, or phthalocyanine (Pc) dye, gives fast shades on cellulose fibers from a bath containing an acid-binding agent. They can also be used on wool and polyamide fibers. For example, a solution of 9.3 parts tri-Na salt of 1-(4-sulfophenyl)-3-carboxy-4-(3-amino-4-sulfophenylazo)-5-pyrazolone in 200 parts water is added to a suspension of 4.15 parts QCOCl (I) in a mixture of 200 parts H2O and 40 parts ice, stirred at 5-10° until no further addition of Na2CO3 is required to keep the pH at 6, salted with 100 parts of NaCl, filtered, and dried to give a product containing 0.9 atom organically bound Cl per mol., which dyes cotton fast yellow shades. Similarly, other amino dyes were acylated with I (RNH2 and shade given): CuPc(SO3Na)2(SO2NH2)SO2NHC6H4NH2-3, greenish blue (II); CuPc(SO3Na)2SO2NHC6H4NH2-3, greenish blue; III, blue; 4-H2NC6H4N:NC6H3(OH)Me-2,5, yellow. II boiled with aqueous Na2SO3 gave the 2-sulfoquinoxaline-3-carboxyl analog with similar properties.

IT 5815-92-9, 2,7-Naphthalenedisulfonic acid, 5-(3-chloro-2-quinoxalinecarboxamido)-4-hydroxy-3-[(o-sulfophenyl)azo]- 5815-93-0, 2-Quinoxalinecarboxanilide, 3-chloro-4'-[(6-hydroxy-m-tolyl)azo]- 10572-52-8, 2-Pyrazoline-3-carboxylic acid, 4-[[3-(3-chloro-2-quinoxalinecarboxamido)-4-sulfophenyl]azo]-5-oxo-1-(p-sulfophenyl)- 108513-08-2, Copper, [dihydrogen 3'''-[[m-(3-chloro-2-quinoxalinecarboxamido)phenyl]sulfamoyl]-3'''-sulfamoyl-3,3'-phthalocyaninedisulfonato-(2-)]- (preparation of)

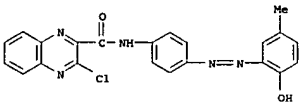
RN 5815-92-9 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 5-(3-chloro-2-quinoxalinecarboxamido)-4-hydroxy-3-[(o-sulfophenyl)azo]- (7CI, 8CI) (CA INDEX NAME)



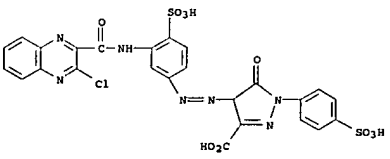
RN 5815-93-0 CAPLUS

CN 2-Quinoxalinecarboxanilide, 3-chloro-4'-[(6-hydroxy-m-tolyl)azo]- (7CI, 8CI) (CA INDEX NAME)



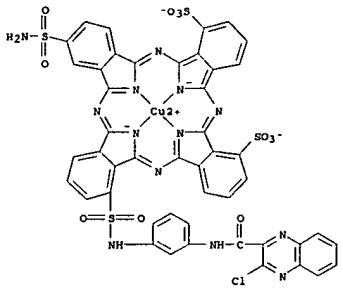
RN 10572-52-8 CAPLUS

CN 2-Pyrazoline-3-carboxylic acid, 4-[[3-(3-chloro-2-quinoxalinecarboxamido)-4-sulfophenyl]azo]-5-oxo-1-(p-sulfophenyl)- (7CI, 8CI) (CA INDEX NAME)



RN 108513-08-2 CAPLUS

CN Copper, [dihydrogen 3'''-[[m-(3-chloro-2-quinoxalinecarboxamido)phenyl]sulfamoyl]-3'''-sulfamoyl-3,3'-phthalocyaninedisulfonato(2-)]- (7CI) (CA INDEX NAME)



●2 H+

L5 ANSWER 255 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1966:499887 CAPLUS

DOCUMENT NUMBER: 65:99887

ORIGINAL REFERENCE NO.: 65:18729f-h

TITLE: Reactive azo dyes

PATENT ASSIGNEE(S): VEB Farbenfabrik Wolfen

SOURCE: 5 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

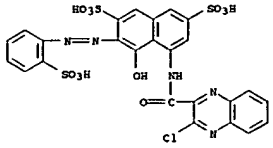
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1410533		19650910	FR	19640917

AB Azo dyes containing a ClCH:CHSO2- or Cl2CHCH2SO2-group and useful for dyeing cellulose fibers (I) fast shades were prepared Thus, 331.5 parts 2,5-Cl(Cl2CHCH2SO2)C6H3NH2 was diazotized and coupled with 460 parts 1,8,3,6-HO(AcNH)C10H4-(SO3H)2 while maintaining pH 7.5-8 by adding Na2CO3, salted,the precipitate filtered and dried to give a red powder, which dyed I red shades. Similarly, the following dyes were prepared (amine, coupling component and shade on I given): 3-ClCH:CHSO2-C6H4NH2 (II), 1,8,3,6-HO[4,2,5-Cl(Me)2C6H2SO2NH] C10H4(SO3H)2 (III), red; 3-Cl2CHCH2SO2C6H4NH2 (IV), III, red; IV, 2,3,6-HOC10H5(SO3H)2, orange; II, 1,8,5,7-HO(H2N)C10H4-(SO3H)2 (V), violet red; IV, 1,8,3,6-H2N(HO)C10H4(SO3H)2, blue; 2,5-Me(ClCH:CHSO2)C6H3NH2, V, violet red; II, 1-(4-sulfophenyl)-3-methyl-5-pyrazolone, yellow; IV, 1,4,8-HOC10H5-(SO3H)2, red orange; II, 1-(2-chloro-4-sulfophenyl)-3-methyl-5-pyrazolone, yellow; II, 1-(4-sulfophenyl)-3-carbomethoxy-5-pyrazolone, yellow; II, 1-(6-sulfo-2-naphthyl)-3-methyl-5-pyrazolone, reddish yellow.

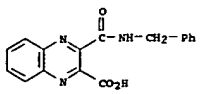
IT 5815-92-9, 2,7-Naphthalenedisulfonic acid, 5-(3-chloro-2-

quinoxalinecarboxamido)-4-hydroxy-3-[(o-sulfophenyl)azo]-
(preparation of)
RN 5815-92-9 CAPLUS
CN 2,7-Naphthalenedisulfonic acid, 5-(3-chloro-2-quinoxalinecarboxamido)-4-hydroxy-3-[(o-sulfophenyl)azo]- (7CI, 8CI) (CA INDEX NAME)

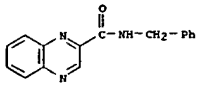


L5 ANSWER 256 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:456810 CAPLUS
DOCUMENT NUMBER: 65:56810
ORIGINAL REFERENCE NO.: 65:10588g-h,10589a-b
TITLE: N-Benzylimide of quinoxaline-2,3-dicarboxylic acid
AUTHOR(S): Cesari, Adriana
SOURCE: Annali dell'Istituto Superiore di Sanita (1965), 1(9-10), 555-9
CODEN: AISSAW; ISSN: 0021-2571
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB To a boiling suspension of 9.5 g. quinoxaline-2,3-dicarboxylic anhydride (I) in 300 ml. absolute EtOH, 16 g. PhCH2NH2 (II) was added, the mixture refluxed 1 hr., the solvent evaporated in vacuo, and the residue taken up in H2O and Et2O, to give 12 g. crude benzylamine salt of quinoxaline-2,3-carboxamidic acid (III), m. 182-4° (Me2CO); the crude product was dissolved in warm H2O, the solution filtered, and acidified with HCl, to give 10.5 g. free III, m. 172° (decomposition) (alc.). III (6.5 g.) was treated with 50 cc. SO2Cl2; after 30 min. 300 ml. CHCl3 was added, the mixture refluxed until the solid was completely dissolved, and the solvent evaporated to give 4.8 g. N-benzylimide (IV) of quinoxaline-2,3-dicarboxylic acid, m. 270-2° (C6H6). Pyrolysis of III was accomplished by refluxing the compound in xylene 1 hr. and evaporating the solvent in vacuo, to give a residue of quinoxaline-2-carboxybenzylamide, m. 150-2° (MeOH). Quinoxaline-2,3-dicarboxylic acid monoamide was heated in vacuo to 185° for 20 min. and to 205° for another 10 min., to give quinoxaline-2-carboxamide, m. 198° (AcOH). A mixture of 3.9 g. I and 4.2 g. PCl5 was gradually heated to 185°, cooled to 150° when the reaction began, kept for 3 hrs. at this temperature, and POCl3 was evaporated in vacuo to give 3 g. quinoxaline-2,3-dicarboxylic acid chloride (V), m. 85-7° (ligroine). To a solution of 2.5 g. V in 15 ml. anhydrous C6H6, 3 g. II in 50 ml. C6H6 was added slowly, with gentle heating, the mixture was heated 30 min. on a water bath, cooled, the precipitate filtered off, and washed with H2O, to give 2.6 g. crude quinoxaline-2,3-dicarboxamide, m. 190-2° (alc.). From the mother liquor 0.2 g. IV was isolated by evaporation of the solvent and recrystn. of the residue in C6H6.
IT 7066-31-1, 2-Quinoxalinecarboxylic acid, 3-(benzylcarbamoyl)-
7066-32-2, 2-Quinoxalinecarboxamide, N-benzyl- 7066-35-5
, 2,3-Quinoxalinedicarboxamide, N,N'-dibenzyl- 13564-72-2,
Benzylamine, compound with 3-(benzylcarbamoyl)-2-quinoxalinecarboxylic acid (1:1)
(preparation of)

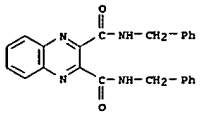
RN 7066-31-1 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-(benzylcarbamoyl)- (7CI, 8CI) (CA INDEX NAME)



RN 7066-32-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(phenylmethyl)- (9CI) (CA INDEX NAME)



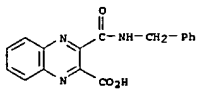
RN 7066-35-5 CAPLUS
CN 2,3-Quinoxalinedicarboxamide, N,N'-dibenzyl- (7CI, 8CI) (CA INDEX NAME)



RN 13564-72-2 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-(benzylcarbamoyl)-, compd. with benzylamine (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 7066-31-1
CMF C17 H13 N3 O3

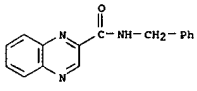


CM 2

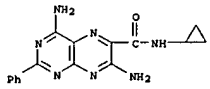
CRN 100-46-9
CMF C7 H9 N

H_2N-CH_2-Ph

L5 ANSWER 257 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:456809 CAPLUS
DOCUMENT NUMBER: 65:56809
ORIGINAL REFERENCE NO.: 65:10588e-g
TITLE: Quinoxaline derivatives. IX. An unusual chlorine substitution in quinoxaline N-oxides. Its scope and limitations
AUTHOR(S): Ahmad, Yusuf; Habib, M. S.; Ziauddin; Bakhtiari, Bushra
CORPORATE SOURCE: Chem. Res. Div., Pakistan Council Sci. Ind. Res., Karachi
SOURCE: Journal of Organic Chemistry (1966), 31(8), 2613-16
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB cf. CA 64, 5092f. An O function at C-3 in quinoxaline 1-oxides was shown to control the nucleophilic Cl substitution at C-6 observed when these N-oxides are heated with AlCl or ethanolic HCl. In its absence the Cl substitution (a) fails to take place as evidenced in the case of 2,3-diphenylquinoxaline 1-oxide and 1,4-dioxide; (b) if it takes place as in the case of 2,3-dimethylquinoxaline 1-oxide and 1,4-dioxide is directed to the Me groups; (c) takes place at a position adjacent to the N-oxide if it is previously unoccupied. 17 references.
IT 7066-32-2, 2-Quinoxalinecarboxamide, N-benzyl-
(preparation of)
RN 7066-32-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 258 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:438025 CAPLUS
DOCUMENT NUMBER: 65:38025
ORIGINAL REFERENCE NO.: 65:7035f-g
TITLE: Polarographic study of pteridines
AUTHOR(S): LaPidus, Milton; Rosenthale, Marvin E.
CORPORATE SOURCE: Wyeth Labs., Inc., Radnor, PA
SOURCE: Journal of Pharmaceutical Sciences (1966), 55(6), 555-60
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The electronegativity of the half-wave potentials of a series of pteridine congeners was found to be related to the substituent groups. The 2,4,7-tri-aminopteridines, 7-substituted 4-amino-2-aryl-6-pteridinecarboxamides, and 4,7-diamino-2-aryl-6-pteridinecarboxamides were characterized, in that order, by decreasingly lower electroneg. half-wave potentials.
IT 13206-68-3, 6-Pteridinecarboxamide, 4,7-diamino-N-cyclopropyl-2-phenyl-
(polarography of)
RN 13206-68-3 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclopropyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

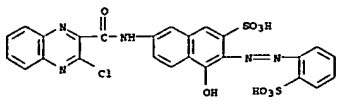


L5 ANSWER 259 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:105013 CAPLUS
DOCUMENT NUMBER: 64:105013
ORIGINAL REFERENCE NO.: 64:19843h,19844a-b
TITLE: Reactive dyes containing chloroquinoxaline groups
INVENTOR(S): Benz, Jakob
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: 55 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

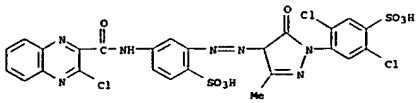
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 656696		19650401	BE	
FR 1420687			FR	
PRIORITY APPLN. INFO.:			CH	19631213

GI For diagram(s), see printed CA Issue.
AB (Throughout this abstract Q is a 2-chloroquinoxaline-3-carbonyl group). Azo, anthraquinone, and phthalocyanine (Pc) dyes containing a QNH group and useful for dyeing cellulose and polyamide fibers were prepared Thus, 25 parts QCl (prepared by treatment of QOH with SOCl2, m. 117-19°) was added to a solution of 43.3 parts 2,5,7,6-H2N(HO)(NaO3S)C10H4N:NC6H4SO3Na-2 in 400 parts H2O, the mixture stirred, neutralized with Na2CO3 solution, 20 parts NaCl added, and the precipitate filtered and dried at 50-70° to give a fast orange dye for cotton. Similarly, the following dyes were prepared (reactants and shade given): 2,4-H2N(QNH)C6H3SO3H (I) → 1-(2,5-dichloro-4-sulfophenyl)-3-methyl-5-pyrazolone, greenish yellow on rayon; 1-amino-4-(4-aminoanilino) anthraquinone-2,7-disulfonic acid, condensed with QCl, blue on cotton; Cu phthalocyanine-x-sulfon(4-amino)anilide sulfonic acid, condensed with QCl, turquoise blue on cotton; 4,6,8,2-(NaO3S)3C10H4N:NC6H4NH2-4, QCl, reddish yellow on cotton; I → 1,8,3,6,2-H2N(HO)(NaO3S)2C10H3N:NC6H4NHQ-4, gray-green on cellulose fiber; I → 1,4,7-HOC10H5(SO3Na)2, scarlet on cotton; 2-HO3SC6H4NH2 → 1,8,3,6-QNH(HO)C10H4(SO3H)2, red on cotton; 5,2-Me(HO)C6H3N: NC6H4NH2-4, QCl, yellow on polyamide fibers.
IT 5815-77-0, 2-Naphthalenesulfonic acid, 7-(3-chloro-2-quinoxalinecarboxamido)-4-hydroxy-3-[(o-sulfophenyl)azo]-
5815-78-1, Sulfanilic acid, N-[(3-chloro-2-quinoxalinyl)carbonyl]-2-[(1-(2,5-dichloro-4-sulfophenyl)-3-methyl-5-oxo-2-pyrazolin-4-yl]azo]-
5815-79-2, 1,3,5-Naphthalenetrisulfonic acid, 7-[[p-(3-chloro-2-quinoxalinecarboxamido)phenyl]azo]- 5815-92-9, 2,7-Naphthalenedisulfonic acid, 5-(3-chloro-2-quinoxalinecarboxamido)-4-hydroxy-3-[(o-sulfophenyl)azo]- 6565-27-1, 2,7-Anthracenedisulfonic acid, 1-amino-4-[p-(3-chloro-2-quinoxalinecarboxamido)anilino]-9,10-dihydro-9,10-dioxo- 7381-45-5, 2,7-Naphthalenedisulfonic acid, 4-amino-6-[[p-(3-chloro-2-quinoxalinecarboxamido)phenyl]azo]-3-[[5-(3-chloro-2-quinoxalinecarboxamido)-2-sulfophenyl]azo]-5-hydroxy- 30600-58-9, 1,6-Naphthalenedisulfonic acid, [[5-(3-chloro-2-quinoxalinecarboxamido)-2-sulfophenyl]azo]-4-hydroxy-

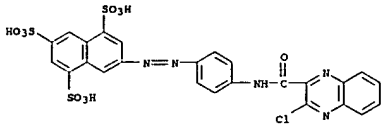
(preparation of)
RN 5815-77-0 CAPLUS
CN 2-Naphthalenesulfonic acid, 7-(3-chloro-2-quinoxalinecarboxamido)-4-hydroxy-3-[(o-sulfophenyl)azo]- (7CI, 8CI) (CA INDEX NAME)



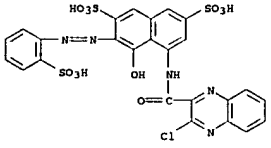
RN 5815-78-1 CAPLUS
CN Sulfanilic acid, N-[(3-chloro-2-quinoxalinyl)carbonyl]-2-[[1-(2,5-dichloro-4-sulfophenyl)-3-methyl-5-oxo-2-pyrazolin-4-yl]azo]- (7CI, 8CI) (CA INDEX NAME)



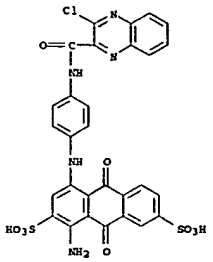
RN 5815-79-2 CAPLUS
CN 1,3,5-Naphthalenetrisulfonic acid, 7-[(p-(3-chloro-2-quinoxalinecarboxamido)phenyl]azo]- (7CI, 8CI) (CA INDEX NAME)



RN 5815-92-9 CAPLUS
CN 2,7-Naphthalenedisulfonic acid, 5-(3-chloro-2-quinoxalinecarboxamido)-4-hydroxy-3-[(o-sulfophenyl)azo]- (7CI, 8CI) (CA INDEX NAME)

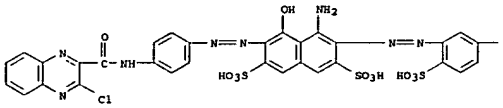


RN 6565-27-1 CAPLUS
CN 2,7-Anthracenedisulfonic acid, 1-amino-4-[p-(3-chloro-2-quinoxalinecarboxamido)anilino]-9,10-dihydro-9,10-dioxo- (7CI, 8CI) (CA INDEX NAME)

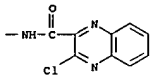


RN 7381-45-5 CAPLUS
CN 2,7-Naphthalenedisulfonic acid, 4-amino-6-[[p-(3-chloro-2-quinoxalinecarboxamido)phenyl]azo]-3-[[5-(3-chloro-2-quinoxalinecarboxamido)-2-sulfophenyl]azo]-5-hydroxy- (7CI, 8CI) (CA INDEX NAME)

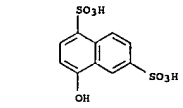
PAGE 1-A

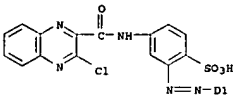


PAGE 1-B



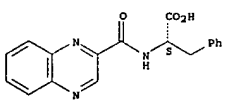
RN 30600-58-9 CAPLUS
CN 1,6-Naphthalenedisulfonic acid, [[5-[[(3-chloro-2-quinoxalinyl)carbonyl]amino]-2-sulfophenyl]azo]-4-hydroxy- (9CI) (CA INDEX NAME)





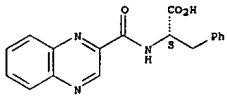
L5 ANSWER 260 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:76026 CAPLUS
DOCUMENT NUMBER: 64:76026
ORIGINAL REFERENCE NO.: 64:14263a-b
TITLE: Quinoxaline studies. XIII. N-(2-Quinoxaloyl)- α-amino acids
AUTHOR(S): Gerchakov, Shlomo; Whitman, Peter J.; Schultz, Harry P.
CORPORATE SOURCE: Univ. of Miami, Coral Gables, FL
SOURCE: Journal of Medicinal Chemistry (1966), 9(2), 266-8
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB cf. CA 60, 10683h. A mixt of α-amino acid, 5% aqueous NaHCO3 and 2-quinoxaloyl chloride was stirred at 25° until the first-formed red color became pale yellow. Acidification of the decolorized solution then yielded the title compds. Uv data are given.
IT 5570-04-7, Alanine, 3-phenyl-N-(2-quinoxalinylcarbonyl)-, L-
(preparation of)
RN 5570-04-7 CAPLUS
CN Alanine, 3-phenyl-N-(2-quinoxalinylcarbonyl)-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 261 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:76025 CAPLUS
DOCUMENT NUMBER: 64:76025
ORIGINAL REFERENCE NO.: 64:14262g-h,14263a
TITLE: Cytoactive alkoxy and hydroxy amino acids. V. Synthesis of β-[5-[bis(2-chloroethyl)amino-2-hydroxyphenyl]-DL-alanine
AUTHOR(S): Straukas, J.; Degutis, J.
CORPORATE SOURCE: Lietuvos TSR Mokslu Akad. Darbai, Ser. B
SOURCE: (1965), (4), 55-9
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB cf. CA 64, 14124c. Synthesis of the title compound (I) was done as follows. Acylating 5-nitro-2-hydroxybenzyl chloride with Ac2O using H2SO4 as catalyst gave 2-acetoxy-5-nitrobenzyl chloride (II), m. 76.5-8.0° Condensation of II with di-Et acetamidomalonate gave di-Et acetamido(2-acetoxy-5-nitrobenzyl)malonate (III), m. 195-7° (decomposition). Reduction of the nitro group of III yielded di-Et acetamido(5-amino-2-acetoxybenzyl)malonate (IV) m. 180-2° (decomposition). IV with ethylene oxide was converted to di-Et acetamido[2-acetoxy-5-[bis(2-hydroxyethyl]aminobenzyl]malonate (V), an oil. Di-Et acetamido [2-acetoxy-5-bis (2-chloroethyl)aminobenzyl]malonate (VI) was obtained by dissolving 1 g. V in 10 ml. CH2Cl2 and adding 1 g. PCl5 in increments during a 15-min. period. After boiling 3 hrs., the solvent and most of the POCl3 was removed in vacuo. The residue was dissolved in CHCl3 and poured on ice. The material was neutralized with saturated NaHCO3 solution to pH 5 and dried over MgSO4. The product was was obtained as an oil after purification on an Al2O3 column. Treatment of VI with concentrated HCl yielded I, as the HCl salt, which decomposed >90°.
IT 5570-04-7, Alanine, 3-phenyl-N-(2-quinoxalinylcarbonyl)-, L-
(preparation of)
RN 5570-04-7 CAPLUS
CN Alanine, 3-phenyl-N-(2-quinoxalinylcarbonyl)-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



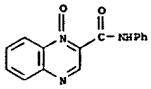
L5 ANSWER 262 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:27558 CAPLUS
DOCUMENT NUMBER: 64:27558
ORIGINAL REFERENCE NO.: 64:5092f-h,5093a-c
TITLE: Quinoxaline derivatives. VIII. The effect of electron-donating groups on the formation of certain quinoxalinecarboxaniline N-oxides and their rearrangement
AUTHOR(S): Ahmad, Yusuf; Habib, M. S.; Iqbal, M.; Qureshi, M. Ikram; Ziauddin
CORPORATE SOURCE: Pakistan Council Sci. Ind. Res., Karachi
SOURCE: Canadian Journal of Chemistry (1965), 43(12), 3424-8
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB cf. CA 63, 18083d. Introduction of methyl or methoxy groups into the benzene ring of quinoxaline-carboxanilide (Ia), makes the resulting anilides less prone to abnormal oxidation. Normal N-oxides (IIa and IIb) were obtained from the anilides Ib and Ic in which the cyclic >NH was unprotected. The methyl-substituted anilides Id and Ie, however, on oxidation with peracetic acid gave the dioxy derivatives (IIIa and IIIb) instead of the N-oxides (IIc and IId). (I)., (II); (Ia), (R = R1 = R2 = R3 = H); (Ib), (R = R1 = R3 = Me, R2 = H); (Ic), (R = R1 = MeO, R2 = H, R3 = Me); (Id), (R = R1 = R2 = Me, R3 = H); (Ie), (R = R1 = Me, R2 = R3 = H); (If), (R = R1 = MeO, R2 = Me, R3= H); (Ig), (R = R1 = Me, R2 = R3 = H); (IIa), (R = R1 = R3 = Me, R2 = H); (IIb), (R = R1 = MeO, R2 = H, R3 = Me); (IIc), (R = R1 = R2 = Me, R3 = H); (IId), (R = R1 = MeO, R2 = R3 = H); (IIe), (R = R1 = MeO, R2 = Me, R3 = H); (IIf), (R = R1 = MeO, R2 = R3 =

H); (IIg), (R = R1 = R2 = R3 = Me); (IIb), (R = R1 = R3 = Me, R2 = H); (IIi), (R = R1 = MeO, R2 = R3 = Me); (IIj), (R = R1 = MeO, R2 = H, R3 = Me); (IIIa)(R=R1=R2=Me, R3=H), (IVa)(R=R1=R2=R3=Me); (IIIb)(R=R1=Me, R2=R3=H), (IVb)(R=R1=R3=Me, R2=H); (IIIc)(R=R1=MeO, R2=M, R3=H), (IVc)(R=R1=MeO, R2=R3=Me); (IIId)(R=R1=MeO, R2=R3=H), (IVd)(R=R1=MeO, R2=H, R3=Me); The methoxy-substituted anilides If and Ig did not give the dioxy derivatives IIIc and IIId nor the expected N-oxides IIe and IIf. All the N-oxides (IIg-j) on rearrangement with sulfuric acid yielded the corresponding amines IVa, IVb, IVc, and IVd. In spite of the slow rate of rearrangement of these N-oxides, attempts to isolate the intermediate hydroxyaminospirolactams (V) were unsuccessful.

IT 100962-09-2, 2-Quinoxalinecarboxanilide, 1-oxide (derivs., electron-donating group effect on formation and rearrangement of)

RN 100962-09-2 CAPLUS

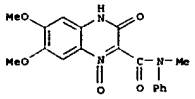
CN 2-Quinoxalinecarboxanilide, 1-oxide (6CI) (CA INDEX NAME)



IT 4784-00-3, 2-Quinoxalinecarboxanilide, 3,4-dihydro-6,7-dimethoxy-N-methyl-3-oxo-, 1-oxide 4907-10-2, 2-Quinoxalinecarboxanilide, 3,4-dihydro-N,6,7-trimethyl-3-oxo-, 1-oxide (preparation of)

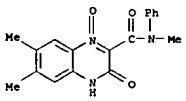
RN 4784-00-3 CAPLUS

CN 2-Quinoxalinecarboxanilide, 3,4-dihydro-6,7-dimethoxy-N-methyl-3-oxo-, 1-oxide (7CI, 8CI) (CA INDEX NAME)



RN 4907-10-2 CAPLUS

CN 2-Quinoxalinecarboxanilide, 3,4-dihydro-N,6,7-trimethyl-3-oxo-, 1-oxide (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 263 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1965:498334 CAPLUS

DOCUMENT NUMBER: 63:98334

ORIGINAL REFERENCE NO.: 63:18083f-h,18084a

TITLE: Quinoxaline derivatives. VII. Mechanism of the formation of 6-chloro-1,2,3,4,2',3'-hexahydro-4,1'-dimethyl-3,2'-dioxoquinoxaline-2-spiro-3'-indole from a quinoxaline N-oxide derivative by nucleophilic chlorination

AUTHOR(S): Ahmad, Yusuf; Habib, N. S.; Iqbal, M.; Qureshi, M. I.; Ziauddin

CORPORATE SOURCE: Pakistan Council Sci. Ind. Res., Karachi

SOURCE: Bulletin of the Chemical Society of Japan (1965), 38(10), 1659-63
CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

LANGUAGE: English

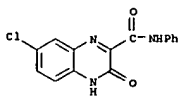
GI For diagram(s), see printed CA Issue.

AB The structure VIII [R : Me, R1 : Cl, R2 : H (IX)] deduced by Clark-Lewis and Katekar (CA 54, 3434d) for the product formed by refluxing VI (R : CONMePh, R1 : R2 : H) 4-oxide (X) in saturated HCl-EtOH for 2 hrs. was shown to be formed via VI [R : CONMePh, R1 : H, R2 : Cl (XI)]. XI, m. 192-3° (1-oxide m. 160-2°), was prepared by the addition of PhNHMe to VI (R : COCl, R1 : H, R2 : Cl), and cyclized in HCl-EtOH to IX. Similarly prepared were VIII (R : R2 : H, R1 : Cl), m. 320°, and VIII (R : Me, R1 : Cl, R2 : H), m. 260-2° (decomposition), from II (R : CONMePh, R1 : Cl, R2 : H), m. >340°, and VI (R : CONMePh, R1 : Cl, R2 : H), m. 164-6° (1-oxide m. 180°). As previously proposed, XI is formed from X by nucleophilic attack by Cl- at C-7 (figure V, where R : CONMePh), and it is this intermediate C-7 chloro compound that undergoes acid-catalyzed rearrangement to IX (cf. CA 57, 820e and 62, 559h). The formation of 3-hydroxy-2-quinoxaline-carboxylates from o-phenylenediamines was dependent upon the use of a large excess of EtO2CCOCO2Et. The following acids and derivs. were reported (II; R, R1, R2, and m.p. given): CO2Et, H, Cl, 206; CO2H, H, Cl, 194-6 (decomposition); CO2H, H, Cl, 308-10 (decomposition); CONH2, Cl, H, 310; (VI; R, R1, R2, and m.p. given): CO2Et, H, Cl, 134; CO2H, H, Cl, 186-7 (decomposition); CO2H, Cl, H, 198-9 (decomposition); CO2Et, Cl, H, 125; CONH2, Cl, H, 325-6 (decomposition).

IT 4017-28-1, 2-Quinoxalinecarboxanilide, 7-chloro-3,4-dihydro-3-oxo- 4017-31-6, 2-Quinoxalinecarboxanilide, 7-chloro-3,4-dihydro-N-methyl-3-oxo- (preparation of)

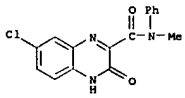
RN 4017-28-1 CAPLUS

CN 2-Quinoxalinecarboxamide, 7-chloro-3,4-dihydro-3-oxo-N-phenyl- (9CI) (CA INDEX NAME)



RN 4017-31-6 CAPLUS

CN 2-Quinoxalinecarboxanilide, 7-chloro-3,4-dihydro-N-methyl-3-oxo- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 264 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1965:43900 CAPLUS

DOCUMENT NUMBER: 62:43900

ORIGINAL REFERENCE NO.: 62:7756e-h,7757a-b

TITLE: Reductones and tricarbonyl compds. XXI. Reactions of dehydroascorbic acid and of other 2,3-dioxobutyrolactones with o-phenylenediamine

AUTHOR(S): Dahn, H.; Moll, H.

CORPORATE SOURCE: Univ. Lausanne, Switz.

SOURCE: Helvetica Chimica Acta (1964), 47(7), 1860-70
CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 62:43900

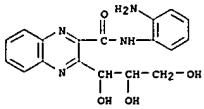
GI For diagram(s), see printed CA Issue.

AB cf. ibid. 46, 2431-4(1963); CA 55, 7425a. 2,3-Dioxo- γ-lactones react with o-C6H4(NH2) 2 at both oxo groups and also at the lactone CO groups. Structures of condensation products were investigated. Reaction of 2 g. 4-phenyl-2,3-dioxobutyrolactone hydrate (Ia) in 200 ml. 6N HCl at room temperature with 3 g. o-C6H4-(NH2)2 yields 96% 3-(α-hydroxybenzyl)quinoxaline-2-carboxylic acid lactone (IIa), m. 184° (aqueous Me2CO). A suspension of 700 mg. IIa in 25 ml. MeOH is saturated at room temperature with NH3 to yield 97% the corresponding amide, m. 152-3° (aqueous MeOH), which is readily reconverted by stirring at room temperature with 2N HCl or dilute AcOH into IIa. Refluxing 1 g. IIa in 25 ml. MeOH with 1 ml. PhNHNH2 gives 1.2 g. 3-(α-hydroxybenzyl)quinoxaline-2-carboxylic acid phenylhydrazide, m. 188° (decomposition) (MeOH), which (1 g.) is refluxed in 25 ml. 2N NaOH 1 hr. under N to give BzH and 400 mg. quinoxaline-2-carboxylic acid (IV), m. 215-20° (decarboxylation) (H2O). Refluxing 1 g. Ia with 1.1 g. O-C6H4(NH2)2 in 25 min. EtOH 25 min. gives 75% 2'-amino-3-(α-hydroxybenzyl)quinoxaline-2-carboxanilide (IIIa), m. 193-4° (decomposition), which is converted into 98% IIa by treating with 50 ml. 2N HCl 30 min. at room temperature Reaction of 1 g. IIIa with 10 ml. Ac2O in 10 ml. HCONMe2 (DMF) yields 81% the N-Ac derivative, m. 174-5°, which is readily hydrolyzed to IIa in 94% yield by refluxing 0.5 g. in 15 ml. EtOH and 15 ml. 2N HCl 10 min. Analogously, condensation of 2 g. 4-(p-methoxyphenyl)-2,3-dioxobutyrolactone hydrate (Ib), m. 146.8°, with 1 g. o-C6H4-(NH2)2 gives in acid medium 90% IIb, m. 165°, and in neutral EtOH 80% IIIb, m. 180° (decomposition). Dehydroascorbic acid (Ic) and O-C6H4(NH2)2, forms in neutral solution 98% IIIc, m. 177°, which is converted in 65% yield into a yellow modification, m. 178°, by refluxing in anhydrous MeOH. Acetylation of IIIc with Ac2O in DMF gives the corresponding N-Ac derivative, m. 191° (decomposition), which yields on hydrolysis with 0.5N HCl at 5° 60% IIc, m. 187° (decomposition). The ir spectra of the compds. are discussed.

IT 804-00-2, 2-Quinoxalinecarboxanilide, 2'-amino-3-(1,2,3-trihydroxypropyl)- 806-91-7, 2-Quinoxalinecarboxanilide, 2'-amino-3-(α-hydroxybenzyl)- 807-68-1, 2-Quinoxalinecarboxanilide, 2'-acetamido-3-(1,2,3-trihydroxypropyl)- 808-72-0, 2-Quinoxalinecarboxanilide, 2'-amino-3-(α-hydroxy-p-methoxybenzyl)- 809-28-9, 2-Quinoxalinecarboxanilide, 2'-acetamido-3-(α-hydroxybenzyl)- (preparation of)

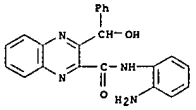
RN 804-00-2 CAPLUS

CN 2-Quinoxalinecarboxanilide, 2'-amino-3-(1,2,3-trihydroxypropyl)- (7CI, 8CI) (CA INDEX NAME)



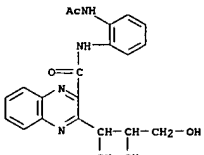
RN 806-91-7 CAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-aminophenyl)-3-(hydroxyphenylmethyl)- (9CI) (CA INDEX NAME)



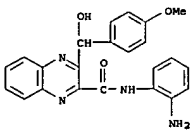
RN 807-68-1 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[2-(acetylamino)phenyl]-3-(1,2,3-trihydroxypropyl)- (9CI) (CA INDEX NAME)



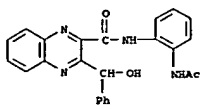
RN 808-72-0 CAPLUS

CN 2-Quinoxalinecarboxanilide, 2'-amino-3-(α-hydroxy-p-methoxybenzyl)- (7CI, 8CI) (CA INDEX NAME)

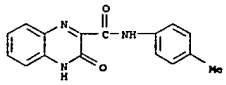


RN 809-28-9 CAPLUS

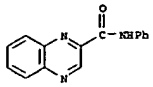
CN 2-Quinoxalinecarboxanilide, 2'-acetamido-3-(α-hydroxybenzyl)- (7CI, 8CI) (CA INDEX NAME)



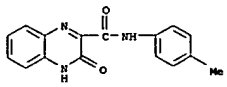
L5 ANSWER 265 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:3099 CAPLUS
DOCUMENT NUMBER: 62:3099
ORIGINAL REFERENCE NO.: 62:560a-b
TITLE: Quinoxaline derivatives. II. Direct synthesis of 1,2-dihydroquinoxalines
AUTHOR(S): Ahmad, Yusuf; Habib, M. S.; Iqbal, M.; Qureshi, M. Ikram
CORPORATE SOURCE: Pakistan Council Sci. Ind. Res., Karachi
SOURCE: Journal of the Chemical Society (1964), (Oct.), 4056-7
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The appropriate o-phenylenediamine (0.5 g.) and 1 cc. BrCH(CO2Et)2 in 25 cc. EtOH refluxed 1 hr. yielded 50-80% the corresponding I, which was also obtained from the corresponding quinoxaline by refluxing 0.1 g. 1 hr. with 0.2 g. Na2S2O4 in 50% aqueous EtOH (70-90% yields).
IT 1230-49-5, 2-Quinoxalinecarboxy-p-toluidide, 3,4-dihydro-3-oxo- (preparation of)
RN 1230-49-5 CAPLUS
CN 2-Quinoxalinecarboxy-p-toluidide, 3,4-dihydro-3-oxo- (7CI, 8CI) (CA INDEX NAME)



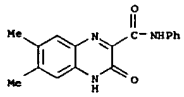
L5 ANSWER 266 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:3098 CAPLUS
DOCUMENT NUMBER: 62:3098
ORIGINAL REFERENCE NO.: 62:559h,560a
TITLE: Quinoxaline derivatives. I. Intramolecular rearrangement of certain quinoxalinecarboxanilides to spiroindoles
AUTHOR(S): Ahmad, Yusuf; Habib, M. S.; Iqbal, M.; Qureshi, M. Ikram
CORPORATE SOURCE: Pakistan Council Sci. Ind. Res., Karachi
SOURCE: Journal of the Chemical Society (1964), (Oct.), 4053-6
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 62:3098
GI For diagram(s), see printed CA Issue.
AB A series of I was converted into II by boiling with HCl-EtOH. When R2 was electron-releasing, the reaction did not occur on treatment of I with concentrated H2SO4 at room temperature
IT 37648-63-8, 2-Quinoxalinecarboxanilide (deriva., rearrangements of)
RN 37648-63-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-phenyl- (9CI) (CA INDEX NAME)



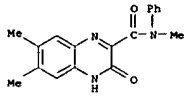
IT 1230-49-5, 2-Quinoxalinecarboxy-p-toluidide, 3,4-dihydro-3-oxo- 1233-88-1, 2-Quinoxalinecarboxanilide, 3,4-dihydro-6,7-dimethyl-3-oxo- 1237-73-6, 2-Quinoxalinecarboxanilide, 3,4-dihydro-N,6,7-trimethyl-3-oxo- 1240-73-9, 2-Quinoxalinecarboxanilide, 3,4-dihydro-6,7-dimethoxy-3-oxo- 1243-50-1, 2-Quinoxalinecarboxanilide, 3,4-dihydro-6,7-dimethoxy-N-methyl-3-oxo- (preparation of)
RN 1230-49-5 CAPLUS
CN 2-Quinoxalinecarboxy-p-toluidide, 3,4-dihydro-3-oxo- (7CI, 8CI) (CA INDEX NAME)



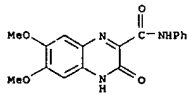
RN 1233-88-1 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3,4-dihydro-6,7-dimethyl-3-oxo- (7CI, 8CI) (CA INDEX NAME)



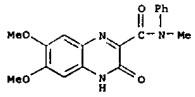
RN 1237-73-6 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3,4-dihydro-N,6,7-trimethyl-3-oxo- (8CI) (CA INDEX NAME)



RN 1240-73-9 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3,4-dihydro-6,7-dimethoxy-3-oxo- (7CI, 8CI) (CA INDEX NAME)



RN 1243-50-1 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3,4-dihydro-6,7-dimethoxy-N-methyl-3-oxo- (7CI, 8CI) (CA INDEX NAME)

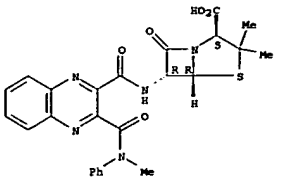


L5 ANSWER 267 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1964:476590 CAPLUS
DOCUMENT NUMBER: 61:76590
ORIGINAL REFERENCE NO.: 61:13316a-g
TITLE: Penicillins
INVENTOR(S): Housley, John R.; Richards, Hugh C.; Spooner, David F.
PATENT ASSIGNEE(S): Boots Pure Drug Co. Ltd.
SOURCE: 14 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 867890		19640826	GB	19611207

PRIORITY APPLN. INFO.: GB 19611207
AB The preparation of the title compds. (I) is described. Thus, quinoxaline-2,3-dicarboxylic acid anhydride was added during 2 min. to an equimolar amount of 6-aminopenicillanic acid (II) suspended in HCONMe2 and Et3N previously stirred for 2 h. at 0° the mixture stirred 35 min. at 0° and the semisolid filtered off and washed with dry acetone and ether to give the diEt3N salt of 3-carboxyquinoxalin-2-ylpenicillin-H2O (III) m. 135-7° (decomposition), [α]20D 142° (c 0.376, H2O). III was dissolved in distilled H2O and treated with saturated NaOAc to give the corresponding disodium salt dihydrate (IV), m. 253-4° (decomposition) [α]20D 175° (H2O). Similarly prepared were 3-carboxy-6,7-di-methylquinoxalin-2-ylpenicillin di-Et3N salt, m. 178° (decomposition), and an isomeric mixture (V) of dibenzylamine salts of 3-carboxyquinol-2-yl- and 2-carboxyquinol-3-ylpenicillins, m. 154-7° (decomposition), [α]20D 141° (c 0.5, H2O). V was isolated by adding ether to the reaction mixture, dissolving the precipitated oil in H2O, washing the aqueous extract with ether, chilling, acidifying to pH 2, shaking with ether, washing the ether extract with H2O, drying over MgSO4, and adding benzylamine to pH 8. An isomeric mixture of K 3-carboxypyrid-2-yl- and 2-carboxypyrid-3-ylpenicillanates, m. 190-5° (decomposition), was prepared by adding 0.5 mL. ClCO2Et dropwise at 0° with stirring over 1 h. to a solution containing equimolar amts. of Et3N and pyridine-2,3-dicarboxylic acid in dry THF, cooling to -30°, filtering off Et3N.HCl, adding an aqueous solution of K 6-aminopenicillanate (prepared from 1.08 g. II and 4.5 mL. 1.11N KOH), stirring to ambient temperature, distilling solvent at 30° in vacuo and H2O by azeotroping with 2 mL. BuOH. The following penicillanates were similarly prepared (decomposition point and % purity by NH2OH assay): di-K 3-carboxypyrazin-2-yl, 195-200°, 80; K 3-carboxy-5,6-dimethylpyrazin-2-yl, 205-10°, 80; isomeric mixture of K 3-carboxypyrid-4-yl and 4-carboxypyrid3-yl, 170-80°, 80. K salts of the following 3-carboxyquinoxalin2-ylpenicillin esters were prepared: Et, 210-15°, 86; Pr, 200-10° 73; iso-Pr, 205-10° 100; Bu, 150-60°, 71; n-decyl, 230-5°, 73; Et2NCH2CH2NH, 200-10°, 94; cyclohexyl, 155-6°, -; Ph, 205-10°, 78; PhCH2, 130-5°, 100. Analogous amides were prepared: amide, 180-90°, 56; diethylamide, 210-15° 75; propylamide, 140-50°, 41; piperidide, 200-10° 97; anilide, 205-10°, 50; N-methylanilide, 193-99°, 95. K 3-methoxycarboxylquinoxalin-2-ylpenicillin, m. 210-20°, 95% purity, was isolated by adding H2O and ether to the reaction mixture, shaking, separating the aqueous phase, covering with ether, ice-cooling, and acidifying with 2N HCl. The ether extract was washed with H2O, extracted with NaHCO3, the ether discarded, iso-BuCOMe added to the aqueous phase which was chilled and acidified with 2N HCl, organic layer separated, washed with H2O, and dried over MgSO4, aqueous K 2-ethylhexanoate added until no further turbidity was produced, and the precipitate dried in vacuo over P2O5. 3-Benzyloxycarbonylquinoxalin-2-ylpenicillin, m. 167-70° (decomposition), was prepared by adding a 3-benzyloxycarbonylquinoxaline-2-carbonyl chloride solution in dry acetone to an Na 6-aminopenicillanate solution (prepared from 1.4 g. II, 2.5 g. Na2CO3, 25 mL. H2O, and 5 mL. acetone) at 0° with stirring followed by iso-BuCOMe, stirring to ambient temperature, separating Organic layer, covering aqueous phase with ether, acidifying with 2N HCl, washing ether extract with H2O, drying over MgSO4 and evaporating in vacuo to 10 mL. (approx.). Details of activity of I when given orally or s.c. in mice against penicillinresistant bacteria are given.
IT 13233-25-5, 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[3-(methylphenylcarbamoyl)-2-quinoxalinecarboxamido]-7-oxo-, potassium salt 13255-86-2, 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[3-(phenylcarbamoyl)-2-quinoxalinecarboxamido]-, potassium salt (preparation of)
RN 13233-25-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[3-(methylphenylcarbamoyl)-2-quinoxalinecarboxamido]-7-oxo-, monopotassium salt (8CI) (CA INDEX NAME)

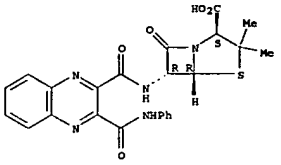
Absolute stereochemistry.



● K

RN 13255-86-2 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[3-(phenylcarbamoyl)-2-quinoxalinecarboxamido]-, monopotassium salt (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.

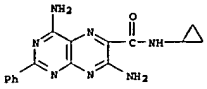


● K

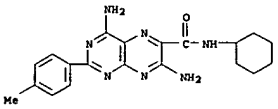
L5 ANSWER 268 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1964:454900 CAPLUS
DOCUMENT NUMBER: 61:54900
ORIGINAL REFERENCE NO.: 61:9512b-e
TITLE: N-Cycloalkylpteridinecarboxamides
INVENTOR(S): Osdene, Thomas S.; Santilli, Arthur A.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3138595		19640623	US	19621203
NL 294818			NL	

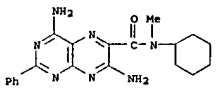
GI For diagram(s), see printed CA Issue.
AB The title compds. were prepared by heating in an anhydrous neutral polar solvent a 4,6-diamino-5-nitroso-2-arylpyrimidine (I) with a 2-cyano-N-cycloalkylacetamide (II) in the presence of a basic catalyst. Thus, 10 g. cyclopropylamine was added to a solution of 20.3 g. NCCH2CO2Et in 25 ml. absolute EtOH, the mixture refluxed 3 hrs. and kept overnight to give 13.3 g. (crude) cyclic NCCH2CONRCH(CH2)n (III) (R = H, n = 2) (IV), m. 103.5-5° (EtOH). Similarly prepared were III (R, n, and m.p. given): H, 4, 87-8.5° (cyclohexane-C6H6); H, 5, 94-6° (EtOH-H2O); H, 7, 74-5.5° (EtOH-H2O) and Me, 5, 84° (cyclohexane). I (R = Ph) (6.45 g.) was added to a solution of 0.2 g. Na in 500 ml. absolute EtOH, the mixture brought to reflux, treated with 4 g. IV, and refluxed addnl. 15 min., the precipitate dissolved in HCONMe2 and the solution treated with H2O to give V (n = 2, R = H, R' = Ph), m. 342-8. Similarly prepared were V (n, R, R', and m.p. given): 4, H, Ph, 344-6.5°; 5, H, Ph, 350-5°; 6, H, Ph, 350-2°; 7, H, Ph, 332-3°; 5, Me, Ph, 327.5-8.5°; 5, H, p-tolyl, 360°. The title compds., useful in exptl. pharmacology, can be administered in a wide variety of oral and parenteral unit dosage forms singly or in admixt. with other active compds.
IT 13206-68-3, 6-Pteridinecarboxamide, 4,7-diamino-N-cyclopropyl-2-phenyl- 15029-90-0, 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-2-p-tolyl- 15048-48-3, 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-N-methyl-2-phenyl- 15057-67-7, 6-Pteridinecarboxamide, 4,7-diamino-N-cyclopentyl-2-phenyl- 15057-68-8, 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-2-phenyl- 15163-89-0, 6-Pteridinecarboxamide, 4,7-diamino-N-cyclooctyl-2-phenyl- 15341-52-3, 6-Pteridinecarboxamide, 4,7-diamino-N-cycloheptyl-2-phenyl-
(preparation of)
RN 13206-68-3 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclopropyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



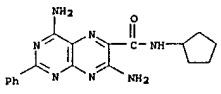
RN 15029-90-0 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-2-p-tolyl- (7CI, 8CI) (CA INDEX NAME)



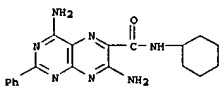
RN 15048-48-3 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-N-methyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



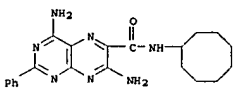
RN 15057-67-7 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclopentyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



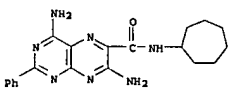
RN 15057-68-8 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclohexyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



RN 15163-89-0 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cyclooctyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

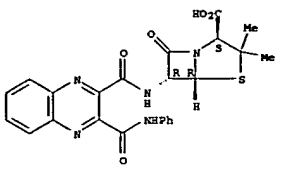


RN 15341-52-3 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-cycloheptyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



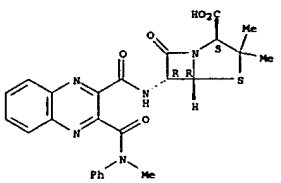
L5 ANSWER 269 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1963:456940 CAPLUS
DOCUMENT NUMBER: 59:56940
ORIGINAL REFERENCE NO.: 59:10497f-h,10498a-b
TITLE: Quinacillin, a new penicillin with unusual properties
AUTHOR(S): Richards, H. C.; Housley, J. R.; Spooner, D. F.
CORPORATE SOURCE: Boots Pure Drug Co., Nottingham, UK
SOURCE: Nature (London, United Kingdom) (1963), 199(4891), 354-6
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 53, 13264c. In search of penicillins resistant to staphylococcal penicillinase hydrolysis, (carboxymethyl)phenylbenzylpenicillin was prepared with min. inhibitory concentration (γ/mL.) against Staphylococcus aureus designated as highly penicillin-resistant >500, mod. penicillin-resistant 33.3, and penicillin-sensitive 0.01. Other semisynthetic penicillins were tested (side chain acid, min. inhibitory concns. as above given, resp.): 2-pyridine carboxylic 500, 11.1, 0.4; 3-pyridinecarboxylic >500, 100, 1.2; 4-pyridinecarboxylic 500, 100, 0.4; 3-methyl-2-pyridinecarboxylic 500, 33.3, 0.4; 6-methyl-2-pyridinecarboxylic 500, 3.7, 0.4; 2-quinolinecarboxylic 500, 1.2, 0.04; 2,3-pyridinedicarboxylic 11.1, 11.1, 3.7; 2,3-pyrazinedicarboxylic 33.3, 11.1, 1.2; 5,6-dimethyl-2,3-pyrazinedicarboxylic 33.3, 11.1, 3.7; 2,3quinolinedicarboxylic 0.4, 0.4, 0.4; 2,3-quinoxalinedicarboxylic 0.4, 0.4, 0.4; 6,7-dimethyl-2,3-quinoxalinedicarboxylic 11.1, 3.7, 3.7; 6,7-dichloro-2,3-quinoxalinedicarboxylic 33.3, 11.1, 3.7. The di-Na salt of 3-carboxy-2-quinoxalinecarbonylpenicillin (quinacillin) (IV) is prepared by condensation of 2,3-quinoxalinedicarboxylic anhydride with 6-aminopenicillanic acid in HCONMe2 and Et3N and separated from Me2CO as the bis(triethylammonium) salt monohydrate, m.p. 135-7° (decompose), [α]20D + 142 (c 0.376, H2O). An aqueous solution of the salt heated with saturated NaOAc gives IV as cream colored needles dried in vacuo at 40°, m. 260° (decompose) containing 9% H2O. Anhydrous IV prepared by drying at 100° at 2 mm. m. 261-2° (decompose) and [α]23D + 183.5 (H2O) very hygroscopic and acquiring bright yellow color in sunlight, stable for 2 mo at 0°, half life 12 days at 37, half life in 50% EtOH 0.1N HCl, 290 min. and deep violet chelate forms with Fe(II) and a red color with Cu(I). Bacteriostatic activity of several dilns. in agar, peptone yeast extract, glucose containing 10% ox serum at pH 7.0 inoculated with 0.01 mL. culture and incubated for 24 h. at 37 gave min. inhibitory concns. in γ/mL. as follows: Staphylococcus aureus 0.15-0.62, Streptococcus pyogenes 3.7, Streptococcus (groups, B, C, D, S species) 3.7- >100, Diplococcus pneumoniae 3.7, Corynebacterium (4 species) 3.7-11.1, Sarcina lutea 11.1, Bacillus (6 species) 33.3, Lactobacillus (3 species) >100, Bordetella parapertussis >100, Neisseria catarrhalis >100, Escherichia coli >100, Proteus (4 species) >100, Salmonella (6 species) >100, Shigella (3 species) >100, Pseudomonas (2 species) >100. Bacteriostatic activity compared with benzylpenicillin against 50 strains of S. aureus from clin. sources at concns. 1.2 γ/mL. or greater at pH 7.0 showed no growth while benzylpenicillin showed growth at 1.2, 50, and 100 γ/mL. Min. inhibitory concentration in γ/mL. of some ester and amide derivs. against S. aureus were given.
IT 101698-85-5, 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[3-(phenylcarbamoyl)-2-quinoxalinecarboxamido]- 103820-23-1, 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[3-(methylphenylcarbamoyl)-2-quinoxalinecarboxamido]-7-oxo-
(preparation of)
RN 101698-85-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[3-(phenylcarbamoyl)-2-quinoxalinecarboxamido]- (7CI) (CA INDEX NAME)

Absolute stereochemistry.

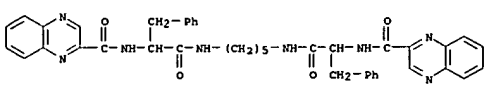


RN 103820-23-1 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[3-(methylphenylcarbamoyl)-2-quinoxalinecarboxamido]-7-oxo- (7CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 270 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1963:73323 CAPLUS
DOCUMENT NUMBER: 58:73323
ORIGINAL REFERENCE NO.: 58:12556a-h
TITLE: Synthetic approaches to quinoxaline antibiotics. Synthesis of bisquinoxaloyl derivatives
AUTHOR(S): Koppel, Henry C.; Honigberg, Irwin L.; Springer, Robert H.; Cheng, C. C.
CORPORATE SOURCE: Midwest Res. Inst., Kansas City, MO
SOURCE: Journal of Organic Chemistry (1963), 28, 1119-22
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB A number of model compds. similar to quinoxaline antibiotics were prepared Refluxing 20 g. 2-quinoxalinecarboxylic acid 40 min. in 100 ml. SOCl2 gave 79% 2-quinoxaloyl chloride (I), needles, m. 112-13° (petr. ether). A solution of 1 equivalent NEt3 and 0.5 equivalent appropriate diamine added dropwise to a stirred refluxing solution of 1 equivalent I in tetrahydrofuran, and the mixture refluxed 15 min. gave the following II (R, % yield, m.p., and crystallization solvent given): NH(CH2)3NH, 40, 225-7°, HCONMe2H2O; NH(CH2)5NH, 49, 186-7°, EtOAc; NH(CH2)6NH, 59, 153-4°, EtOH-H2O; NH(CH2)10NH, 50, 109° (decomposition), MeOH; and piperazine-1,4-diyl, 60, decomposition above 250°, HCONMe2-H2O. A solution of 19.3 g. I in 75 ml. CH2Cl2 added dropwise to a stirred mixture of 13.9 g. Et ester of glycine-HCl in 75 ml. H2O and 200 ml. CH2Cl2 at 10°, 5.2 g. MgO added during this addition in 3 equal portions, the mixture stirred 30 min., 5 ml. pyridine added, and the mixture stirred 5 min. gave 87% III (R = H, X = OEt), light pink needles, m. 89-91°. Solns. of 13.0 g. I in 100 ml. Me2CO and of 2.8 g. NaOH in 50 ml. H2O added simultaneously and dropwise to a stirred solution of 5.3 g. glycine, 2.8 g. NaOH, 50 ml. H2O, and 100 ml. Me2CO at 0°, the pH adjusted to 9 after the addition, and the mixture stirred 1 hr. at room temperature and adjusted to pH 1 gave 56% III (R = H, X = OH), needles, sublimes 215°, decompose 226°. III (R = CH2OH, X = OH), needles, decomposing 224°, was similarly prepared in 59% yield from 25.2 g. dl-serine and 47.0 g. I. Anhydrous N2H4 (1.92 g.) added at 70° to a solution of 7.5 g. III (R = H, X = OEt) in 125 ml. EtOH, and the mixture stirred 1 hr. gave 90% III (R = H, X = NHNH2), decomposing 215°. 1,3-Diaminopropane (1.8 g.) added to a solution of 13.0 g. III (R = CH2OH, X = OH) in 150 ml. HCONMe2, 10.3 g. N,N'-dicyclohexylcarbodiimide then added, and the mixture stirred 4 hrs. gave 30% N,N'-dicyclohexyl-N- (N''-2-quinoxalinecarbonyl-dl-seryl]ures, m. 169-71°. Et chlorocarbonate (1 equivalent) in 25 ml. toluene added with stirring to a mixture of 1 equivalent N-carbobenzyloxyamino acid, 1 equivalent NEt3, and 250 ml. toluene at -5°, the mixture held 30 min. at -5°, 0.5 equivalent diamine in 25 ml. toluene added, and the mixture kept at room temperature overnight gave the following (PhCH2OCONHCHRCO)2Z [Z = NH(CH2)xNH] (IV) (R, x, % yield, m.p., and crystallization solvent given): H, 3, 62, 177-8°, 95% EtOH; H, 5, 51, 174-5°, 95% EtOH-MeOH; H, 6, 50, 170-1°, aqueous alc.; H, 10, 44, 171-2°, HCONMe2-95% EtOH; CHMe2, 3, 49, decompose above 175°, 95% EtOH; CHMe2, 6, 60, 194-6°, HCONMe2-MeOH; and CH2Ph, 5, 54, decompose 170°, HCONMe2-H2O. A mixture of 0.05 mole IV, 1 g. 10% Pd-C, and 300 ml. 95% EtOH containing 20 drops HOAc hydrogenated 4 hrs. at 70° and 70 lb./sq. in., the oily product taken up in 50 ml. 95% EtOH, 0.2 mole NEt3, added, the resulting solution added dropwise to a refluxing stirred solution of 0.1 mole I in 400 ml. tetrahydrofuran, and the mixture refluxed 15 min. gave the following V [Z = HN(CH2)2NH] (R, x, % yield, m.p., and crystallization solvent given): H, 3, 37, decompose above 200°, p-dioxane; H, 5, 10, decompose above 240°, HCONMe2-H2O; H, 6, 11, decompose 257°, HCONMe2H2O; H, 10, 8, 228-9°, HCONMe2H2O; and CH2Ph, 5, 12, decompose above 170°, MeOH.
IT 7149-60-2, 2-Quinoxalinecarboxamide, N,N'-(pentamethylenebis(iminocarbonylphenethylidene))bis- (preparation of)
RN 7149-60-2 CAPLUS
CN 2-Quinoxalinecarboxamide, N,N'-[1,5-pentanediylbis[imino[2-oxo-1-(phenylmethyl)-2,1-ethanediyl]]]bis- (9CI) (CA INDEX NAME)

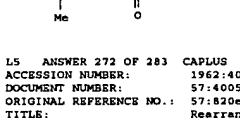


L5 ANSWER 271 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1963:53333 CAPLUS
DOCUMENT NUMBER: 58:53333
ORIGINAL REFERENCE NO.: 58:9094g-h,9095a-g
TITLE: 3,5-Diaminopyrazine-2,6-dicarboxamides
INVENTOR(S): Daglish, Anthony F.; Vonderwahl, R.; Tillotson, G. A.
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
SOURCE: 8 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1067609		19600825	DE	
CH 358807			CH	
CH 358808			CH	
US 3043780		1962	US	
US 3175980		1965	US	
US 3201315		1965	US	
PRIORITY APPLN. INFO.:			CH	19570529

GI For diagram(s), see printed CA Issue.
AB 1,3-Diethyl-4-amino-5-nitrosouracil (I) 212 and 1,3-diethyl-4-aminouracil 183 in AcOH 750 refluxed 3 h. with stirring, cooled, and filtered yielded 3,2';5,6-bis[(1,3-diethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrimidino] pyrazine 320 parts (II), m. 235.5-36° (75% AcOH). II 10, EtOH 200 parts, and N NaOH 300 volume parts. refluxed 2.5 h., cooled, and filtered gave 3,5-bis(ethylamino)pyrazine-2,6-bis(N-ethylcarboxamide) 7.5 parts, m. 133-4° (EtOH). In the same manner as II were prepared the following IV (R1, R2, R3, R4 and m.p. given): Pr, Pr, Pr, Pr, 150-1°; Bu, Bu, Bu, Bu (V), 115-16°; Me, Me, Me, Me (VI), 390°. Saponification of IV gave the corresponding VII (R1, R2, R3, R4, and m.p. given): Pr, Pr, Pr, Pr, 96-7°; Bu, Bu, Bu, Bu, 89-91°; Me, Me, Me, Me (VIIa), 232-3°. I 42 and 1,3-dipropyl-4-aminouracil 42 in AcOH 150 refluxed 3 h. with stirring, cooled, diluted with H2O, and filtered gave IV (R1 = R2 = Et, R3 = R4= Pr) 70 parts, m. 150-1° (EtOH); a portion 10 saponified in the usual manner gave VII (R1 = R2 = Et, R3 = R4 = Pr) 7.2 parts, m. 91-2°. In the same manner were prepared IV (R1 = R2 = Me, R3 = R4 = Pr), m. 169-9.5°, and IV(R1 = R2 = Me, R3 = R4 = Et) (VIII), m. 253-4°, and saponified to VII (R1 = R2 = Me, R3= R4 = Pr), m. 136-7° and VII (R1 = R2 = Me, R3 = R4 = Pr), m. 169-70°, resp. 1,3-Dimethyl-4-aminouracil (IX) 31 and 5-NO derivative 40 of IX in AcOH 200 refluxed 3 h. gave VI 51 parts, m. 390° (75% EtOH). VI 51 and a solution 152 of KOH 200 in EtOH 2400 refluxed 6 h. yielded VIIa.0.5H2O 117 parts, m. 214° (decomposition). VIIa.0.5H2O 20 and SOCl2 150 kept 45 min. at room temperature and evaporated, the residue added slowly with cooling to PhNH2 10 and dry C5H5N 400 parts, stirred overnight, steam distilled to remove the C5H5N, and filtered yielded X (R1 = R2 = R3 = Me, R4 = NHPh), light yellow crystals, m. 198-8.5° (EtOH). Similarly were prepared the following X with R1 = R2 = R3 = Me) (R4, m.p., and color of fluorescence given): NH2, 290-2°, violet blue; NHCH2CH2OH, 210-10.5°, violet-blue; NHPr, 218-19°, violet-blue; NHBu, 197-8.5°, violet-blue; NHCH2Ph, 218.5-20°, blue-violet; NHCH2CH2Ph, 76-8°, blue-violet; m-NHC6H4-OMe, 126.5-27°, blue; NHBu, 194-6°, violet-blue; p-NHC6H4OPh, 252-4°, blue; NHCH2CH:CH2, 194-5.5°, violet-blue; NHC8H17, 121-21.5°, violet-blue; PhNH, 237-8°, blue-violet; NMe2, 128-9°, violet; NHCHEtMe, 188-90°, violet-blue; 2-pyridylamino, 223-4°, blue-violet; NHCMe3, 204-5°, violet-blue; p-NHC6H4Me, 211-12.5°, blue-violet; o-NHC6H4Me, 194-5°, blue-violet; m-NHC6H4Me, 172-3°, blue-violet; p-ClC6H4NH, 261-2.5°, blue-violet; m-ClC6H4NH, 185-7°, blue-violet; 3,4-Cl2C6H3NH, 216-17°, violet-blue; m-HO2CC6H4NH, 268-70°; m-HO3SC6H4NH, -, violet-blue; p-HO3SC6H4NH, -, violet-blue; m-(p-MeC6NH4SO2NH)C6H4NH, 226-7° violet-blue; m-H2NO2SC6H4NH, 234-6°, violet-blue; morpholino, 155-6°, violet-blue; NHCHMe2, 175-7°, violet-blue; NH(CH2)3OH, 147-9°, violet blue; 3-pyridylamino, 209-11°, blue-violet; 3,4-dimethyl-1-phenylpyrazolylamino, 267-9°, blue-violet; 2-thiazolylamino, 262-3°, blue-violet; 1-phenyl-3-pyrazolylamino, 236-8°, blue-violet; 6-quinolylamino, 232-4°, blue-violet; NHCONHPh, 233-4°, blue; NHCONHCH2Ph, 190-1°, violet-blue; NHCONHMe, 215-17°, violet-blue. Similarly were prepared the following XII (R1, R2, R3, and m.p. given): PhCH2, PhCH2, PhCH2, 161-2°; Et, Et, Et (XIII), 174-5°. XIII was converted in the usual manner to the anilide, m. 146.5-7.5°, and to the N-(2-pyridyl)amide, m. 108-9°. VIII 57, KOH 45, and EtOH 500 refluxed 6 h. and evaporated, and the residue acidified with dilute HCl gave XII (R1 = R2 = Et, R3 = Me) (XIV) 43 parts, m 160-2°. XIV 20 treated 45 min. with SOCl2 100 and evaporated, and the residue stirred overnight with concentrated NH4OH 300 and EtOH 100 and filtered gave amide of XIV 16 parts, m. 223-4° (EtOH). Similarly were prepared the N-Et, N-Pr, and N-PhCH2 amides, m. 162-4°, 84-6°, and 87-9°, resp., of XIV. VI 10 and PhCH2NH2 300 refluxed 24 h., cooled, diluted with H2O, and filtered yielded 3,2-[(1,3-dimethyl-2,4-dioxo-1,2,3,4-tetrahydro)-1,4-pyrimidino]-5-methylamino-6 - (Ar. benzylcarboxamido)pyrazine 9 parts, m. 204-5° (EtOH). 1,3-Dibutyl-4-aminouracil (XV) 48 and 5-NO derivative 54 of XV in 2N H2SO4 300 refluxed 3 h. with stirring, cooled, and filtered, and the residue in EtOH 1200 refluxed 2 h. with N NaHCO3 1800 and filtered gave V 66 parts, needles, m. 115-16° (EtOH).
IT 93651-15-1, 7-Pteridinecarboxamide, N-benzyl-1,2,3,4-tetrahydro-1,3-dimethyl-6-(methylamino)-2,4-dioxo- (preparation of)
RN 93651-15-1 CAPLUS
CN 7-Pteridinecarboxamide, N-benzyl-1,2,3,4-tetrahydro-1,3-dimethyl-6-(methylamino)-2,4-dioxo- (7CI) (CA INDEX NAME)



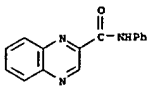
L5 ANSWER 272 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1962:404005 CAPLUS
DOCUMENT NUMBER: 57:4005
ORIGINAL REFERENCE NO.: 57:820e-h
TITLE: Rearrangement of certain quinoxalinecarboxanilides to spiro compounds
AUTHOR(S): Habib, M. S.; Rees, C. W.
CORPORATE SOURCE: Univ. London
SOURCE: Journal of the Chemical Society (1962) 123-50
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 57:4005
AB 3,4-Dihydro-4methyl-2-(N-methyl-N-phenylcarbamoyl)-3-oxoquinoxaline (I) isomerized to 1,2,2',3,3',4-hexahydro-1',4-dimethyl-2',3-dioxoquinoxaline-2-spiro-3'-indole (II) very rapidly in concentrated H2SO4 at 0° and more slowly in hot alc. HCl. The mechanism of this rearrangement was very similar to that previously reported (CA 55, 1638g) for its 1-oxide though the spirolactam formed here was not further decomposed; the scope of this rearrangement was similarly limited. An intermediate of the type postulated previously for the N-oxide rearrangement in H2SO4, the 1,2',3,3',4 hexahydro - 1 - hydroxy - 1' ,4 - dimethyl - 2',3 - dioxopyrazine-2-spiro-3'-indole (III), was isolated by making use of the slower reaction in alc.-HCl. I (8 g.) gave 3.5 g. II.alc. solvate, m. 243°. 3,4-Dihydro-2-(N-methyl-N-phenylcarbamoyl)-3-oxoquinoxaline (IV) (6 g.) gave 2 g. 1,2,2',3,3',4hexahydro-1'-methyl-2',3-dioxoquinoxaline - 2 - spiro - 3' - indole-H2O (V). m. 244°. Methylation of V with Me2SO4 and 2N NaOH for 16 hrs. gave II. 3,4-Dihydro-4-methyl2-(N-phenylcarbamoyl)-3-oxoquinoxaline gave

1,2,3,4,2',3' hexahydro-4-methyl- 2',3 - dioxoquinoxaline - 2 - spiro - x - sulfonic acid-2H2O, m. 267-70°. This material gave a crystalline precipitate with S-benzylthiuronium chloride. II (1 g.), 10 ml. concentrated HCl, and 10 ml.
alc. refluxed 6 hrs., evaporated, the residue poured into H2O, basified, and the precipitate crystallized gave 0.1 g.
3,4-dihydro-4-methyl-2-(o-methylaminophenyl)-
3-oxoquinoxaline, m. 142-4°. 3,4-Dihydro-4-methyl-2-(N-methyl-N-phenylcarbamoyl)-3-oxopyrazine 1-oxide (1 g.) in 25 ml. alc. saturated with HCl, refluxed 3 hrs., evaporated, and refrigerated gave 0.75 g. III, m. 186-8°.

IT 37648-63-8, 2-Quinoxalinecarboxanilide
(derivs., rearrangements of)

RN 37648-63-8 CAPLUS

CN 2-Quinoxalinecarboxamide, N-phenyl- (9CI) (CA INDEX NAME)



L5 ANSWER 273 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1962:46026 CAPLUS

DOCUMENT NUMBER: 56:46026

ORIGINAL REFERENCE NO.: 56:8713g-i

TITLE: Rearrangement of certain quinoxalinecarboxanilides. Isolation of an intermediate in a related N-oxido rearrangement

AUTHOR(S): Habib, M. S.; Rees, C. W.

CORPORATE SOURCE: King's Coll., London

SOURCE: Proc. Chem. Soc. (1961) 167-8

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

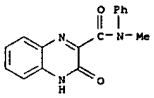
GI For diagram(s), see printed CA Issue.

AB The spirolactam I was isolated as intermediate in the transformation of II (R = CONMePh) (III) with cold H2SO4 into II (R = o-MeNHC6H4) (IV). III 1-oxide also gave IV with cold H2SO4. An NHydroxy spirolactam was isolated and shown to be an intermediate in the rearrangement of V into VI. The N-hydroxy spirolactam and V were converted by acid into VI, providing support for a proposed acid-catalyzed mechanism for the transformation of the N-oxide through the corresponding spiro compound

IT 92872-03-2, 2-Quinoxalinecarboxanilide, 3,4-dihydro-N-methyl-3-oxo-
(preparation of)

RN 92872-03-2 CAPLUS

CN 2-Quinoxalinecarboxanilide, 3,4-dihydro-N-methyl-3-oxo- (7CI) (CA INDEX NAME)



L5 ANSWER 274 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1961:54385 CAPLUS

DOCUMENT NUMBER: 55:54385

ORIGINAL REFERENCE NO.: 55:10482i,10483a-e

TITLE: 2-Aryl-4,7-diamino-N-aralkyl-6-pteridinecarboxamides

INVENTOR(S): Weinstock, Joseph

PATENT ASSIGNEE(S): Smith, Kline & French Laboratories

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

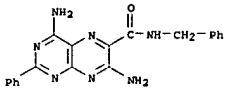
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2963478		19601206	US	
GB 894384			GB	

AB The title compds. were prepared by treating 2-aryl-4,6-diamino-5-nitrosopyrimidines with N-aralkyl- α-cyanoacetamide. Thus, a solution of 24.4 g. 4-(2-aminoethyl)pyridine and 19.8 g. Me α-cyanoacetate in 100 ml. EtOH was stirred 4 hrs. at room temperature, refluxed 2 hrs., cooled, the mixture filtered, and recrystd. from EtOH to give α-cyano-N-(4-pyridylethyl)acetamide (I). A solution of 12.8 g. I and 12.5 g. 2-phenyl-4,6-diamino-5-nitrosopyrimidine in 200 ml. HCONMe2 was heated to reflux, 3 g. MeONa added, the solution refluxed 5 min., cooled, H2O added, and the precipitate collected to give
2-phenyl-4,7-diamino-N-(4-pyridylethyl)-6-
pteridinecarboxamide (II). The following other N-substituted 2-phenyl-4,7-diamino-6-pteridinecarboxamides were prepared in a similar manner (N-substituent given) (no consts. given): benzyl, m. 314-18° (HCONMe2); phenethyl; 2-furylethyl; p-methoxyphenethyl; β-methylphenethyl; α-butylphenethyl; m-methylbenzyl; p-chlorobenzyl; p-butylphenethyl; p-butoxybenzyl; o-nitrophenethyl; 3-thienylethyl; 6-phenylhexyl. To a solution of 4.65 g. p-anisamidine-HCl in 50 ml. MeOH was added 5.55 g. Ag isonitrosomalononitrile, the mixture stirred 1 hr., filtered, the filtrate evaporated in vacuo at 30-40°, and the residue boiled 15 min. in 60 ml. 2:1 mixture 2-methyl-5-ethylpyridine and 2-picoline to give 2-(p-methoxyphenyl)-4,6-diamino-5-nitrosopyrimidine (III), green. Other 2-substituted 4,6-diamino-5-nitrosopyrimidines prepared in an analogous manner were (2-substituent given): p-chlorophenyl; 3'-thienyl; o-amino-p-chlorophenyl; 2'-thienyl; m-tolyl; m-nitro-p-hydroxyphenyl. Treatment of III with N-benzyl-α-cyanoacetamide (IV) and MeONa in HCONMe2 gave 2-(p-methoxyphenyl)-4,7-diamino-N-benzyl-6-pteridinecarboxamide (HCONMe2). Other 2-substituted 4,7-diamino-N-benzyl-6-pteridinecarboxamides prepared in an analogous manner were (2-substituent given): p-chlorophenyl; 3'-thienyl; o-amino-p-chlorophenyl; 2'-thienyl; m-tolyl; m-nitro-p-hydroxyphenyl. A solution of 10 g. 2-phenyl-4-methylamino-6-aminopyrimidine in 200 ml. 10% AcOH was heated to 90°, the solution filtered, the filtrate cooled to 0°, 5 g. NaNO2 in H2O added, the mixture allowed to stand 1 hr., and filtered to give 2-phenyl-4-methylamino-5-nitroso-6-aminopyrimidine (V). V treated with IV and MeONa in HCONMe2 gave 2-phenyl-4-methylamino-7-amino-N-benzyl-6-pteridinecarboxamide (HCONMe2). In a similar manner 2-phenyl-4-dimethylamino-7-amino-N-benzyl-6-pteridinecarboxamide and 2-phenyl-4-dibutylamino-7-amino-N-benzyl-6-pteridinecarboxamide were prepared The compds. have diuretic and natriuretic activity with a low order of side effects.

IT 19970-93-5, 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-phenyl- 102006-65-5, 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-(p-chloro-phenyl)- 102006-66-6, 6-Pteridinecarboxamide, 4,7-diamino-N-p-chlorobenzyl-2-phenyl- 102317-82-8, 6-Pteridinecarboxamide, 7-amino-N-benzyl-4-methylamino-2-phenyl- 102317-83-9, 6-Pteridinecarboxamide, 4,7-diamino-N-phenethyl-2-phenyl- 102460-23-1, 6-Pteridinecarboxamide, 7-amino-N-benzyl-4-dimethylamino-2-phenyl- 102460-24-2, 6-Pteridinecarboxamide, 4,7-diamino-N-(β-methylphenethyl)-2-phenyl- 102477-72-5, 6-Pteridinecarboxamide, 4,7-diamino-N-(o-nitrophenethyl)-2-phenyl- 102950-32-3, 6-Pteridinecarboxamide, 4,7-diamino-N-p-butoxybenzyl-2-phenyl- 103281-60-3, 6-Pteridinecarboxamide, 7-amino-N-benzyl-4-dibutylamino-2-phenyl- 108843-86-3, 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-(4-hydroxy-3-nitrophenyl)- 108977-52-2, 6-Pteridinecarboxamide, 4,7-diamino-2-(2-amino-4-chlorophenyl)-N-benzyl- 109553-77-7, 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-[2-thienyl]- 109553-78-8, 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-[3-thienyl]- 110151-30-9, 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-m-tolyl- 110151-31-0, 6-Pteridinecarboxamide, 4,7-diamino-N-m-methylbenzyl-2-phenyl- 110151-32-1, 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-(p-methoxy-phenyl)- 110330-65-9, 6-Pteridinecarboxamide, 4,7-diamino-N-(p-methoxyphenethyl)-2-phenyl- 115915-26-9, 6-Pteridinecarboxamide, 4,7-diamino-N-(α-butylphenethyl]-2-phenyl- 115915-98-5, 6-Pteridinecarboxamide, 4,7-diamino-N-[p-butylphenethyl]-2-phenyl-
(preparation of)

RN 19970-93-5 CAPLUS

CN 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-phenyl- (6CI, 8CI) (CA INDEX NAME)



RN 102006-65-5 CAPLUS

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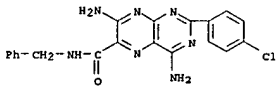

RN 102006-66-6 CAPLUS

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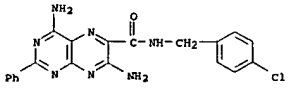

RN 102317-82-8 CAPLUS

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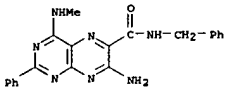
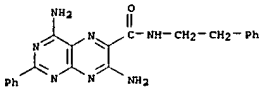


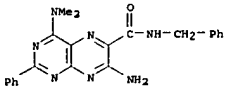
RN 102317-83-9 CAPLUS

CN 6-Pteridinecarboxamide, 4,7-diamino-N-phenethyl-2-phenyl- (6CI) (CA INDEX NAME)



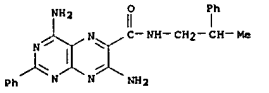
RN 102460-23-1 CAPLUS

CN 6-Pteridinecarboxamide, 7-amino-N-benzyl-4-dimethylamino-2-phenyl- (6CI) (CA INDEX NAME)



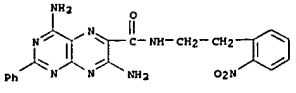
RN 102460-24-2 CAPLUS

CN 6-Pteridinecarboxamide, 4,7-diamino-N-(β-methylphenethyl)-2-phenyl- (6CI) (CA INDEX NAME)



RN 102477-72-5 CAPLUS

CN 6-Pteridinecarboxamide, 4,7-diamino-N-(o-nitrophenethyl)-2-phenyl- (6CI) (CA INDEX NAME)



RN 102950-32-3 CAPLUS
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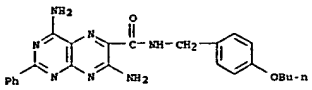
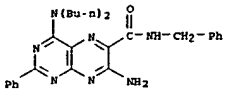


RN 103281-60-3 CAPLUS
CN 6-Pteridinecarboxamide, 7-amino-N-benzyl-4-dibutylamino-2-phenyl- (6CI) (CA INDEX NAME)



RN 108843-86-3 CAPLUS
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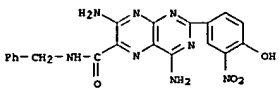
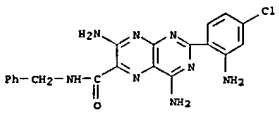
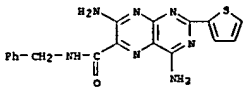


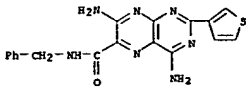
RN 108977-52-2 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-2-(2-amino-4-chlorophenyl)-N-benzyl- (6CI) (CA INDEX NAME)



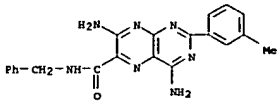
RN 109553-77-7 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-(2-thienyl)- (6CI) (CA INDEX NAME)



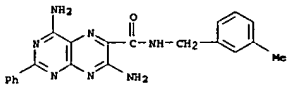
RN 109553-78-8 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-(3-thienyl)- (6CI) (CA INDEX NAME)



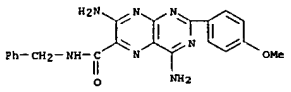
RN 110151-30-9 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-m-tolyl- (6CI) (CA INDEX NAME)



RN 110151-31-0 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-m-methylbenzyl-2-phenyl- (6CI) (CA INDEX NAME)

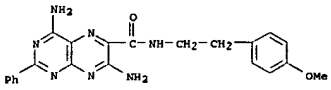


RN 110151-32-1 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-benzyl-2-(p-methoxyphenyl)- (6CI) (CA INDEX NAME)

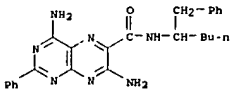


RN 110330-65-9 CAPLUS

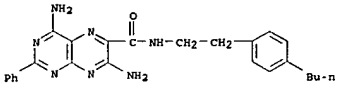
CN 6-Pteridinecarboxamide, 4,7-diamino-N-(p-methoxyphenethyl)-2-phenyl- (6CI) (CA INDEX NAME)



RN 115915-26-9 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-(α-butylphenethyl)-2-phenyl- (6CI) (CA INDEX NAME)



RN 115915-98-5 CAPLUS
CN 6-Pteridinecarboxamide, 4,7-diamino-N-(p-butylphenethyl)-2-phenyl- (6CI) (CA INDEX NAME)

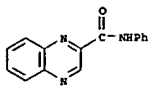


L5 ANSWER 275 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1961:8148 CAPLUS
DOCUMENT NUMBER: 55:8148
ORIGINAL REFERENCE NO.: 55:1638g-i,1639a-g
TITLE: Oxidation of 3-hydroxyquinoxaline-2-carboxanilide and its N-methyl derivatives
AUTHOR(S): Habib, M. S.; Rees, C. W.
CORPORATE SOURCE: Univ. London
SOURCE: Journal of the Chemical Society (1960) 3386-92
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 55:8148

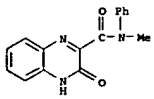
AB 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carboxy-N-methylanilide (I) was oxidized by peracids to the 1-oxide (II), but on removal of either or both of the N-Me groups, the oxidation took a different course, the carboxamide groups being replaced by OH groups to form the 2,3-dihydroxyquinoxaline, with no N-oxides detectable under a variety of conditions. The mechanism of these abnormal oxidns. was discussed. 4-Acetyl-3,4-dihydro-3-oxoquinoxaline-2-carboxy-N-methylanilide (III) formed an N-oxide (IV) normally, which underwent the H2SO4 rearrangement described elsewhere. The isomeric mono-N-oxides of quinoxaline-2-carboxy-N-methylanilide were prepared and characterized. 3-Hydroxyquinoxaline-2-carboxylic acid chloride (from 3 g. acid and SOCl2) suspended in C6H6, the mixture treated slowly with 10 ml. PhNHMe in 15 ml. C6H6, the mixture washed with 2N HCl, and the solid crystallized gave 3.1 g. 3-hydroxyquinoxaline-2-carboxy-N-methylanilide (V), m. 242° (alc.). V (0.5 g.) and 5 ml. AcCl refluxed 24 hrs. gave 0.5 g. III, m. 216° (alc.). III (1.2 g.), 6 ml. AcOH, and 1.2 ml. 30% H2O2 heated at 55°, 2 further lots of 0.6 ml. H2O2 added after 20 and 40 hrs., after 60 hrs. total heating the AcOH removed, the residual gum extracted with alc., the alc. solution concentrated, and diluted with H2O gave 0.6 g. IV, cubes, m. 216-17° (C6H6-CHCl3). 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carboxanilide (Va) (0.5 g.) and 5 ml. Ac2O refluxed 24 hrs., the mixture cooled, and the product crystallized gave 0.4 g. Ac derivative, which with H2O2 and AcOH 72 hrs. at 55° gave 50% 3,4-dihydro-2-hydroxy-4-methyl-3-oxoquinoxaline (VI), m. 283-4°. VI was obtained in 50% yield by a similar oxidation of Et 3,4-dihydro-4-methyl-3-oxoquinoxaline-2-carboxylate. 3-Hydroxyquinoxaline-2-carboxanilide (VII), V, and Va (1 g.) were treated with the oxidizing agent at the temperature and for the time shown in the following list. The products were isolated by removing volatile components in vacuo and purifying. 2,3-Dihydroxyquinoxaline (VIII) was identified by comparison of its infrared spectrum with that prepared from o-phenylenediamine and Et oxalate. VI was identified by m.p. The following results were obtained (compound, temperature, time in hrs., AcOH in ml., ml. 30% H2O2, ml. 40% AcO2H in AcOH, 6% BzO2H in CHCl3 in ml., and products given): VII, 20°, 48, 2, -, 3, -, 8% VII; VII, 36°, 36, 4, -, 1.5, -, 65% VII; VII, 45°, 28, -, -, 2, -, 67% VII; VII, 76°, 2, -, -, 1.5, -, 78% VII; VII, 56°, 60, 5, 2, -, -, 75% VIII; VII, 65°, 20, 4, -, 4, -, 80% VIII; VII, 100°, 0.3, -, -, 5, -, 55% VIII; V, 20°, 48, 8, -, 3, -, 70% V; V, 43°, 18, 10, -, 1.5, -, 70% V; V, 55°, 18, -, -, 3, -, 80% V; V, 40°, 72, 10, 3.5, -, -, 50% VIII; V, 40°, 72, 9.5, -, 3.5, -, V and VIII; V, 20°, 72, -, -, -, 30, 40% V, 10% VIII; V, 20°, 240, -, -, -, 35, VIII and tar; V, 65°, 50, 5, 4, -, -, 75% VIII; Va, 20°, 48, 4, -, 4, -, 100% Va; Va, 40° 72, 10, 2.5, -, -, 60% 3,4-dihydro-2-hydroxy-4-methyl-3-oxoquinoxaline (IX); Va, 56°, 72, 5, 2, -, -, 70% IX; Va, 65°, 42, 5, 2, -, -, 75% IX. The following results were obtained on heating the compds. with 10% AcO2H in AcOH 24 hrs. at 65°. VIII was recovered quant., II was recovered in 66% yield, the residue being tar. PhNCO was converted almost quant. into (PhNH)2CO, m. 240°. Va was converted into VI in high yield. VII was converted into VIII and a tar. Va treated with excess 10% H2O2, 10% H2O2 in 2N NaOH, or 2N NaOH 24 hrs. at 65° was recovered in over 90% yield in each experiment VIII (3 g.), 1 ml. Me2SO4, and 75 ml. 2N NaOH shaken together 2 hrs. at room temperature, the solid on acidification collected, dried, extracted with Me2CO, evaporated, and the residue crystallized gave 12% VI. IV added portionwise to 2 ml. concentrated H2SO4, the mixture left 20 min. at room temperature, poured on ice, filtered, the filtrate neutralized, the solid extracted with C6H6, and crystallized gave 0.02 g. 4-acetyl-3,4-dihydro-2-(o-methylaminophenyl)-3-oxoquinoxaline, m. 204-5°. The C6H6 exts. afforded 0.102 g. 3-hydroxy-2-(o-methylaminophenyl)quinoxaline (X), m. 221-2° (aqueous HCONMe2). X with Me2SO4 gave 3,4-dihydro-4-methyl-2-(o-methylaminophenyl)-3-oxoquinoxaline, m. 130°. Quinoxaline-2-carboxanilide (1 g.), 2 ml. AcOH, and 40% H2O2 yielded 0.67 g. 1,4-dioxide (XI), leaflets, m. 211°. XI (0.2 g.) in 2 ml. CHCl3 left overnight at room temperature with 0.4 ml. PCl3 gave 0.15 g. quinoxaline-2-carboxanilide, m. 159°. Quinoxaline-2-carboxy-N-methylanilide (0.8 g.), 2.5 ml. AcOH, and 1.27 ml. 30% H2O2 heated 16 hrs. at 55° and the mixture evaporated in vacuo gave 0.55 g. 4-oxide (XII), yellow needles, m. 150°. XII (0.2 g.), 2 ml. CHCl3, and 0.4 ml. PCl3 left 16 hrs. at room temperature gave 0.14 g. quinoxaline-2-carboxy-N-methylanilide, m. 124°. The 1-oxide treated identically was unchanged.

IT 37648-63-8, 2-Quinoxalinecarboxanilide

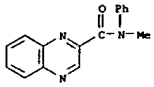
(oxidation of, and oxides)
RN 37648-63-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-phenyl- (9CI) (CA INDEX NAME)



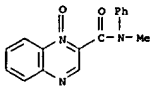
IT 92872-03-2, 2-Quinoxalinecarboxanilide, 3-hydroxy-N-methyl-
101117-57-1, 2-Quinoxalinecarboxanilide, N-methyl-
109039-05-6, 2-Quinoxalinecarboxanilide, N-methyl-, oxides
(preparation of)
RN 92872-03-2 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3,4-dihydro-N-methyl-3-oxo- (7CI) (CA INDEX NAME)



RN 101117-57-1 CAPLUS
CN 2-Quinoxalinecarboxanilide, N-methyl- (6CI) (CA INDEX NAME)



RN 109039-05-6 CAPLUS
CN 2-Quinoxalinecarboxanilide, N-methyl-, 1-oxide (6CI) (CA INDEX NAME)



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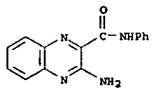
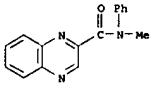
ACCESSION NUMBER: 1961:8147 CAPLUS
DOCUMENT NUMBER: 55:8147
ORIGINAL REFERENCE NO.: 55:1638a-g
TITLE: Reduction of 3-hydroxyquinoxaline-2-carboxylic acid and derivatives with sodium dithionite
AUTHOR(S): Habib, M. S.; Rees, C. W.
CORPORATE SOURCE: Univ. London
SOURCE: Journal of the Chemical Society (1960) 2384-6
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The reactivity of 3-hydroxyquinoxaline-2-carboxylic acid derivs. towards Na dithionite was parallel to that of the corresponding 1-oxides towards H2SO4. The ready formation of the corresponding 1,2-dihydro compds. provided further evidence of the powerfully electrophilic nature of C-2 in certain of these compds. The following procedure was used for reduction The compound (0.2 g.) and 0.3 g. Na dithionite in 10 ml. 50% aqueous alc. refluxed 0.75 hr., 0.3 g, more Na dithionite added, heating continued a further 0.75 hr., the alc. removed, and the product crystallized gave 72-98% yields. 3,4-Dihydro-4-methyl-3-oxopyrazine-2-carboxy-N-methylanilide 1-oxide gave the corresponding base, m. 188°. Quinoxaline-2-carboxy-N-methylanilide 1,4-dioxide gave quinoxaline-2-carboxy-N-methylanilide (I), m. 128°. With use of the amount of Na dithionite calculated for the removal of one O atom, a mixture of starting material and I was isolated. 3-Hydroxyquinoxaline-2-carboxylic acid gave after adjustment to pH 2.5, 1,2-dihydro-3-hydroxyquinoxaline-2-carboxylic acid-H2O, m. 152° (decomposition). 3-Hydroxyquinoxaline-2-carboxanilide (Ia) gave yellow needles of 1,2-dihydro-3-hydroxyquinoxaline-2-carboxanilide, m. 208°. This compound was reconverted into the starting material in 0.5 hr. at 240° in air. 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carboxanilide (II) gave 1,2,3,4-tetrahydro-4-methyl-3-oxoquinoxaline-2-carboxylanilide, yellow needles, m. 161°. 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carboxy-N-methylanilide and its 1-oxide both yielded 1,2,3,4-tetrahydro-4-methyl-3-oxoquinoxaline-2-carboxy-N-methylanilide, m. 188°. 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carboxy-N,N-diphenylamide, m. 179°. The following compds. were not reduced under the standard conditions: 3,4-dihydro-4-methyl-3-oxopyrazine-2-carboxy-N-methylanilide, quinoxaline-2-carboxy-N-methylanilide, 3-aminoquinoxaline-2-carboxy-N-methylanilide (III), 2-hydroxyquinoxaline, and 3,4-dihydro-4-methyl-2-(o-methylaminophenyl)-3-oxoquinoxaline. Ia was prepared from 3-hydroxyquinoxaline-2-carboxylic acid, yellow needles, m. 340-3° (decomposition). 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carboxamide (2 g.) refluxed 13 hrs. with 15 ml. PhNH2, the mixture cooled, poured into 2N HCl, and crystallized gave 1.9 g. II, yellow needles, m. 193-5° (alc.). Ia with Me2SO4 gave 73% II. 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carbonyl chloride (from 7 g. acid) added portionwise to excess PhNH2 in C6H6, the mixture heated 15 min., and the product washed gave 96% II. Phenylphosphazoanilide from (3.9 ml. PhNH2 and 0.64 g. PCl3) refluxed 1 hr. with 2 g. 3-aminoquinoxaline-2-carboxylic acid in dry PhMe, the mixture filtered, the residue extracted with hot PhMe, and evaporated gave 1.2 g. 3-aminoquinoxaline-2-carboxanilide, yellow crystals, m. 213° (alc.). (PhMeN)3P (from 4.3 ml. PhNHMe and 0.64 g. PCl3) refluxed 20 min. with 2 g. 3-aminoquinoxaline-2-carboxylic acid in 40 ml. PhMe, the solvent removed, the tarry residue extracted with alc., and the alc. solution evaporated gave
0.69 g. III, m. 157° (H2O).
IT 100881-39-8, 2-Quinoxalinecarboxanilide, 3-amino-
101117-57-1, 2-Quinoxalinecarboxanilide, N-methyl-
106951-40-0, 2-Quinoxalinecarboxanilide, N-methyl-, 1,4-dioxide
(preparation of)
RN 100881-39-8 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3-amino- (6CI) (CA INDEX NAME)



RN 101117-57-1 CAPLUS
CN 2-Quinoxalinecarboxanilide, N-methyl- (6CI) (CA INDEX NAME)



RN 106951-40-0 CAPLUS
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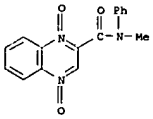
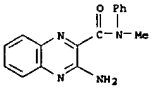


IT 101351-88-6, 2-Quinoxalinecarboxanilide, 3-amino-N-methyl-
(reduction of)
RN 101351-88-6 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3-amino-N-methyl- (6CI) (CA INDEX NAME)



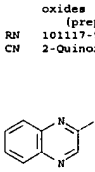
L5 ANSWER 277 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1961:8146 CAPLUS
DOCUMENT NUMBER: 55:8146
ORIGINAL REFERENCE NO.: 55:1634i,1635a-i,1636a-i,1637a-i,1638a
TITLE: Mechanism and scope of an N-oxide rearrangement
AUTHOR(S): Habib, M. S.; Rees, C. W.
CORPORATE SOURCE: Univ. London
SOURCE: Journal of the Chemical Society (1960) 3371-83
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The mechanism of the very rapid reaction of 3,4-dihydro-4-methyl-2-(N-methyl-N-phenylcarbamoyl)-3-oxoquinoxaline 1-oxide (I) in concentrated H2SO4 at 0° was elucidated. A novel N → ortho rearrangement of the heterocyclic aroyl group occurred with simultaneous loss of CO2. This proceeded by intramol. electrophilic substitution of an o-position of the anilide by the carboxamide-bearing C in the conjugate acid. This C atom proved to be insufficiently electrophilic for the rearrangement to occur in most heterocyclic systems investigated; thus the scope of the reaction was severely limited and it was extended only to the corresponding pyrazine compds. (PhMeN)3P (Ia) was stored at room temperature in the absence of moisture. Phenylphosphazoanilide (II) was prepared and heated 0.5 h. on the steam bath, the anilinium chloride collected, and the solvent removed in vacuo to give product ready for use. The general method for the preparation of N-oxides was as follows. In general, 1 g. base, 2 mL. AcOH, and 3 mL. 40% AcO2H was heated 16 h. at 60°, the AcOH removed, the residue dissolved in CHCl3, neutralized, the solution filtered, dried, evaporated, and the N-oxide purified by crystallization Picolinic acid (3.1 g.) and 8 g. PhNH2 8.5 h. at 120-5° gave 2.65 g. picolinanilide (III), yellow needles, m. 76° (ligroine). Picolinic acid (3 g.) was converted to the acid chloride and then to 40% III. This yield was increased to 90% if the acid chloride was distilled before addition of PhNH2. II (from 37.4 g. PhNH2) refluxed 3 h. with 10 g. picolinic acid in 100 mL. dry PhMe gave 48% III. III gave 84% picolinanilide 1-oxide (IV), m. 143-5° (EtOAc). Acid chloride (from 20 g. picolinic acid) and 45 mL. SOCl2 in 100 mL. C6H6 treated dropwise below 30° with 65 mL. PhNHMe in C6H6, the solution refluxed 3 h., cooled, neutralized, extracted with CHCl3, and distilled gave 20.1
g. N-methylpicolinanilide (V), m. 54° (ligroine); picrate m. 161°. Ia was obtained from 10.7 g. PhNHMe and 2.3 g. PCl3 in dry PhMe, 4.5 g. picolinic acid in 100 mL. PhMe added, the mixture refluxed 2 h., and crystallized to give 1.5 g. V. V gave 84% N-methylpicolinaniline 1-oxide, cubes, m. 144° (EtOAc); picrate m. 152°.
3-Aminopicolinic acid (9 g.) diazotized, the mixture refluxed, cooled, the pH adjusted to 3-4 with NaOH, the H2O distilled, the yellow-red mass dried at 100°, and extracted with alc. gave 7.8 g. 3-hydroxypicolinic acid (VI), m. 211-12° (decomposition). The phosphazo compound from 7.5 g. PhNH2 and 1.1 g. PCl3 and 1.8 g. VI in 50 mL. PhMe refluxed 2 h., the solution filtered, the PhMe removed, and the residue extracted with ligroine gave 18% 3-hydroxypicolinanilide, needles, m. 89°. VI yielded 76% 3-hydroxypicolinic acid 1-oxide, m. 94.5° (ligroine). Ia and 2 g. VI in 100 mL. PhMe refluxed 15 min., the dried residue extracted with ligroine, the aqueous filtrate neutralized and extracted with CHCl3, the solution
dried, evaporated, and the residue extracted with ligroine gave 1.9 g. 3-hydroxy-N-methylpicolinanilide (VII), m. 154°. VII was converted in 95% yield into the 1-oxide, m. 263-5° (decomposition). Ia (from 1.2 mL. PCl3 and 8 mL. PhNHMe) and 3.5 g. quinaldinic acid in 30 mL. PhMe refluxed 1 h., the solution decanted, and the residue extracted with hot PhMe gave 4 g. N-methylquinaldinanilide (VIII), needles, m. 109° (ligroine). VIII was converted into 1-oxide, m. 153-4° (H2O).
3-Hydroxy-2-methylquinoline (3.2 g.), 6 g. Ac2O, and 6.4 g. BzH heated 3 h. at 155-60°, the mixture diluted with 50 mL. alc., and the solid collected gave 3.2 g. 3-acetoxy-2-styrylquinoline (IX), yellow needles, m. 130° (alc.). IX (3.2 g.) and 32 mL. 6N HCl refluxed 1 h., the mixture cooled, added to an excess of hot aqueous NaOH, and the solution neutralized gave 2.5 g. 3-hydroxy-2-styrylquinoline (X), orange beads, m. 206-7° (decomposition) (alc.). X (7 g.) was benzoylated to yield 8.3 g. 2-styryl-3-quinolyl benzoate, needles, m. 178° (alc.). X (2 g.) methylated with CH2N2 [from 21 g. N-(p-toluenesulfonyl)methylnitrosamine] gave 2 g. 3-methoxy-2-methylquinoline, b16 172-4°; picrate m. 227° (decomposition). Methylation of 6.5 g. X in 300 mL. alc. gave 6.2 g. 3-methoxy-2-styrylquinoline (XI); picrate, yellow needles, m. 228° (alc.). XI (2.2 g.) in 70 mL. C5H5N and 10 mL. H2O treated at 2-5° with 2.5 g. KMnO4 in 45 mL. H2O, the mixture stirred 45 min. at this temperature then 2.5 h. at room temperature, the MnO2 filtered off, extracted with
0.1N NaOH, the filtrates concentrated, cooled, and the filtrate brought to pH 2.5 gave 0.3 g. 3-methoxyquinoline-2-carboxylic acid hydrate (XII), m. 112.5° (decomposition). Demethylation of XII with HI was accomplished by decarboxylation to give 3-hydroxyquinoline, m. 196°. XII was readily decarboxylated to give 2-methoxyquinoline; picrate m. 220-2° (alc.). Ia (from 7.1 g. PhNHMe and 1.1 mL. PCl3) refluxed 1 h. with 2.5 g. 1,6-dihydro-6-oxopyridazine-3-carboxylic acid in 22 mL. PhMe and the product extracted with alc. gave 2 g. 3-hydroxy-6-(N-methyl-N-phenylcarbamoyl)pyridazine (XIII), m. 158° (H2O). XIII (4.5 g.)

and 10 mL. 40% AcO2H heated 4 h., more AcO2H added at hourly intervals, the excess AcOH removed, and the gum treated with hot C6H6 gave 1.5 g. starting material; the residue crystallized gave 0.9 g. 1-oxide (XIV), m. 221° (decomposition). After removal of XIV, the alc. evaporated and the residue crystallized gave 0.6 g. 3,6-dihydroxypyridazine, m. 256° (H2O). 1,6-Dihydro-3(N-methyl-N-phenylcarbamoyl)-6-oxopyridazine (8 g.) methylated with 8 g. K2CO3 and 3.2 mL. Me2SO4 in 125 mL. Me2CO gave 6.5 g. 1,6-dihydro-1-methyl-3-(N-methyl-N-phenylcarbamoyl)-6-oxopyridazine, needles, m. 108°. Attempted N-oxidation with H2O2 and AcOH or AcO2H at various temps. (55-100°) gave either starting material or a mixture of starting material and 40% 1,6-dihydro-3-hydroxy-1-methyl-6-oxopyridazine, m. 244° (decomposition). 2-Amino-3-hydroxypyrazine (1.5 g.) diazotized, the mixture refluxed 2 min., and cooled gave 50% 2,3-dihydroxypyrazine, m. above 350° (AcOH). 3-Hydroxypyrazine-2-carboxamide (1 g.) and 10 mL. PhNH2 refluxed 9 h., the cooled mixture poured into 100 mL. 2N HCl, the insol. anilide washed, and crystallized gave 1.35 g. 3-hydroxy-2-(phenylcarbamoyl)pyrazine (XVII), m. 287-8° (decomposition)(HCONMe2). 3-Hydroxypyrazine-2-carboxylic acid (2 g.) converted into the acid chloride and this product in 20 mL. C6H6 left 2 days with 10 mL. PhNH2 and 10 mL. C6H6 gave 1.3 g. XVII. XVII (0.3 g.) 96 h. at 50° with 2 mL. 30% H2O2 gave a tar and 2,3-dihydroxypyrazine. XVII (0.5 g.) was methylated with Me2SO4 and K2CO3 in Me2CO to give 0.25 g. 3,4-dihydro-4-methyl-3-oxo-2-(phenylcarbamoyl)pyrazine (XVIII), m. 186° (Me2CO). XVIII with H2O2 and AcOH under various conditions also led to the formation of tars only. Ia and 7 g. 3-hydroxypyrazine-2-carboxylic acid in 90 mL. PhMe refluxed 1 h. gave 4.5 g. 3-hydroxy-2-(N-methyl-N-phenylcarbamoyl)pyrazine (XIX), cubes, m. 217.5°. XIX (2 g.), 10 mL. AcOH, and 2 mL. 30% H2O2 heated 72 h. at 55° gave 1.5 g. 1-oxide, cubes, m. 269° (decomposition) (AcOH). XIX (2 g.) with Me2SO4 and K2CO3 in refluxing Me2CO gave 1 g. 3,4-dihydro-4-methyl-2-(N-methyl-N-phenylcarbamoyl)-3-oxopyrazine (XX), needles, m. 190-90.5° (alc.). XX (0.5 g.) oxidized as above gave 46.7% 1-oxide (XXa), m. 225° (decomposition) (alc.). XIX oxide (0.5 g.) with Me2SO4 and K2CO3 in Me2CO gave 77% XXa. PCl3 (1.4 g.) in 10 mL. PhMe added dropwise to 8 g. N-methyl-p-toluidine in 20 mL. PhMe, after 30 min. at room temperature the mixture heated 45 min. on the steam bath, 2 g. 2-hydroxypyrazine-3-carboxylic acid added, the mixture refluxed 5 min., and cooled gave 1.6 g. 3-hydroxy-2-(N-methyl-N-(p-tolyl)carbamoyl]pyrazine (XXI), cubes, m. 205° (alc.). XXI (0.5 g.) with H2O2 gave 48.5% 1-oxide, m. 248° (decomposition) (alc.). Quinoxaline-2-carboxylic acid (3.5 g.), 20 mL. SOCl2, and 10 mL. C6H6 refluxed 2 h., the mixture evaporated to dryness, the residue dissolved in 16 mL. PhNHMe and 20 mL. C6H6, shaken 5 min., the solution washed with 2N HCl, dilute NaHCO3, and H2O, and evaporated gave 4 g. 2-(N-methyl-N-phenylcarbamoyl)quinoxaline (XXII), m. 128° (aqueous alc.). XXII (1 g.), 2 mL. AcOH, and 5 mL. AcO2H heated 24 h. at 55° gave 1 g. 1,4-dioxide (XXIII), m. 223° (alc.). CHCl3 (2 mL.), 0.2 g. XXIII, and 0.4 mL. PCl3 kept 16 h. at room temperature gave 95% 1-oxide, m. 198-9° (alc.). Alloxazine (4.7 g.) heated 4 h. at 170° in an autoclave with 20 mL. 20% NaOH, the mixture heated to boiling, treated with C, filtered, and acidified gave 3.1 g. 3-hydroxyquinoxaline-2-carboxylic acid, m. 268° (decomposition). Et 3-hydroxyquinoxaline-2-carboxylate treated with NH4OH and then methylated gave 80% Et 3,4-dihydro-4-methyl-3-oxoquinoxaline-2-carboxylate, m. 125.5°. This ester hydrolyzed 0.5 h. with hot 3N NaOH gave a nearly quant. yield of free acid, m. 172.5-3.0° (decomposition). 3-Hydroxy-2-(N-methyl-N-phenylcarbamoyl)quinoxaline (1 g.) methylated gave 70% 3,4-dihydro-4-methyl-2-(N-methyl-N-phenyl-carbamoyl)-3-oxoquinoxaline (XXIV), m. 162-3° (C6H6ligroine). XXIV with 30% H2O2 gave 33% I, m. 187°. 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carboxylic acid (1.2 g.), 10 mL. SOCl2, and 20 mL. C6H6 refluxed 2 h., the solid suspended in C6H6, this added at 0° to 20 mL. 30% alc.-NHMe2, left 10 min. at room temperature, and evaporated gave 1.2 g. 2-(dimethylcarbamoyl)-3,4-dihydro-4-methyl-3-oxoquinoxaline, m. 129°. The 1-oxide was obtained in 62% yield with H2O2, m. 182-3°. 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carbonyl chloride (from 1.5 g. acid) and 7 g. Ph2NH in 70 mL. C6H6 heated 5 min., evaporated, the residue extracted with ligroine to remove Ph2NH, and the residue crystallized gave 1.7 g. 2-(diphenylcarbamoyl)-3,4-dihydro-4-methyl-3-oxoquinoxaline, m. 209°; 1-oxide (XXIVa) m. 226° (alc.). 3-Hydroxy-2-[N-methyl-N-(p-nitrophenyl)carbamoyl] quinoxaline (XXV) was similarly prepared in 90.9% yield with N-methyl-p-nitroaniline in C6H6. XXV (2.5 g.) with Me2SO4, K2CO3, and Me2CO gave 77% 3,4-dihydro-4-methyl-2-[N-methyl-N-(p-nitrophenyl)carbamoyl]-3-oxoquinoxaline, m. 198° (alc.); 1-oxide (XXVa), by H2O2 in 63.7% yield, m. 204-5° (alc.). 2,6-Xylidine (12.1 g.), 50 mL. H2O, and 9.5 mL. Me2SO4 shaken 45 min., 25 mL. concentrated HCl added at 0°, the mixture treated dropwise with 10 g. NaNO2 in H2O, left 15 min., extracted with Et2O, dried, evaporated, the residual liquid slowly added to 68 g. SnCl2 in 66 mL. concentrated HCl, the temperature kept below 60°, after 1 h. at room temperature, excess aqueous NaOH added, the whole extracted with C6H6, and the extract evaporated gave 4 g. N-methyl-2,6-xylidine (XXVI). N-Methyl-2,4-xylidine was prepared similarly from 2,4-xylidine in 35% yield. 3,4-Dihydro-4-methyl-3-oxoquinoxaline-2-carbonyl chloride (from 1.2 g. acid) added portionwise to 1.8 g. XXVI in 10 mL. C6H6, the mixture shaken 10 min., and washed with 2N HCl gave 1.86 g. 3,4-dihydro-4-methyl-2-[N-methyl-N-(2,6-xylyl)carbamoyl]-3-oxoquinoxaline (XXVII), needles, m. 264° (alc.). 3,4-Dihydro-4-methyl-2-[N-methyl-N-(2,4-xylyl)carbamoyl]-3-oxoquinoxaline (XXVIII) was similarly obtained in 68% yield, m. 213°. XXVII (1 g.) treated as above with 10 mL. 40% H2O2 gave 0.2 g. 1-oxide, cubes, m. 274° (decomposition) (alc.). XXVIII with 30% H2O2 and AcOH or AcO2H at various temps. gave either starting material or a gum. Benzoxazole-2-carboxanilide m. 155-7°. K benzoxazole-2-carboxylate (2 g.) and 10 mL. SOCl2 in 10 mL. C6H6 refluxed 1.25 h., the mixture evaporated to dryness, the residue suspended in 10 mL. C6H6, 4 mL. PhNHMe in 10 mL. C6H6 added, the mixture shaken 10 min., washed with 2N HCl and H2O, and evaporated gave 0.9 g. 2-(N,N-diphenylcarbamoyl)benzoxazole, m. 83° (ligroine). Both anilides with H2O2 and AcOH or AcO2H or BzO2H yielded only tars from which no solid could be isolated. XIX 1-oxide (1 g.) and 8 mL. concentrated H2SO4 heated 2 h. at 55°, the mixture poured on ice, neutralized with aqueous NaOH, extracted with CHCl3, and evaporated gave 0.84 g. 3-hydroxy-2-(o-methylaminophenyl)pyrazine (XXIX), m. 193° (C6H6-ligroine). XX (0.1 g.) and 1 mL. H2SO4 heated 2 h. at 55°, the mixture poured on ice, the solution basified, extracted with CHCl3, and evaporated gave 0.077 g. 3,4-dihydro-4-methyl-2-(o-methylaminophenyl)-3-oxopyrazine (XXX), m. 135° (C6H6-ligroine). XXIX (0.2 g.), 0.1 mL. Me2SO4, 10 mL. Me2CO, and 0.2 g. K2CO3 refluxed 0.5 h., the Me2CO removed, the residue dissolved in dilute HCl, and the solution basified gave 0.05 g. XXX. XXI 1-oxide (0.1 g.) and 1 mL. concentrated H2SO4 heated 2 h. at 55°, cooled, poured on ice, neutralized, and extracted with CHCl3 gave 0.078 g. 3-hydroxy-2-(5-methyl-2-methylaminophenyl)pyrazine (XXXa), m. 144° (C6H6-ligroine). I decomposed in concentrated H2SO4 to 70%, 3,4-dihydro-4-methyl-2-(o-methylaminophenyl)-3-oxoquinoxaline (XXXI). 3-Hydroxy-2-(o-methylaminophenyl)-quinoxaline with Me2SO4 gave XXXI, orange needles, m. 130°. XXIVa (0.1 g.) stirred gradually into 1 mL. cooled concentrated H2SO4 and after 5 min. poured on ice gave 0.035 g. 3,4-dihydro-4-methyl-2-(o-phenylaminophenyl)-3-oxoquinoxaline, m. 297° (alc.). XXVa (0.2 g.) and 3 mL. concentrated H2SO4 heated 24 h. at 55°, cooled, poured on ice, the precipitate washed and crystallized gave 0.14 g. 3,4-dihydro-4-methyl-2-(2-methylamino-5-nitrophenyl)-3-oxoquinoxaline, m. 280° (HCONMe2). XXI 1-oxide and XXa (10 mg. each) heated 2 h. at 55° in 2 mL. concentrated H2SO4, the solution cooled, poured on ice, made alkaline, extracted with CHCl3, washed, and evaporated gave 0.0767 g. XXX. The original alkaline solution adjusted to pH 6, extracted with CHCl3, and worked up as before gave 0.775 mg. XXXa. Expts. in which rearrangement in concentrated H2SO4 could not be detected were carried out. The amides or N-oxides were dissolved in 10-15 times their weight of concentrated H2SO4 and heated under the given conditions. After the acid solution had been poured on ice, the starting material was recovered. In no case was any of the product to be expected from rearrangement detected. Seventeen expts. were thus carried out with compds. whose preparation was listed above. I (0.5 g.) and 10 g. polyphosphoric acid heated 13 h. at 55°, the mixture allowed to cool, diluted with 20 mL. H2O, filtered, the filtrate basified with aqueous NaOH, and the product crystallized gave 50% XXXI. The solid removed from the mixture was identical with the 2nd product obtained by H2SO4 treatment.

IT 101117-57-1, 2-Quinoxalinecarboxanilide, N-methyl-
101291-95-6, 2-Quinoxalinecarboxanilide, 3-hydroxy-N-methyl-4'-nitro- 109039-05-6, 2-Quinoxalinecarboxanilide, N-methyl-, oxides
(preparation of)

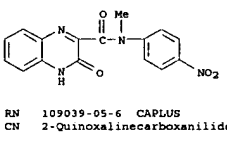
RN 101117-57-1 CAPLUS

CN 2-Quinoxalinecarboxanilide, N-methyl- (6CI) (CA INDEX NAME)



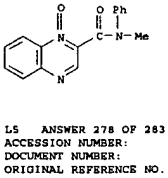
RN 101291-95-6 CAPLUS

CN 2-Quinoxalinecarboxanilide, 3-hydroxy-N-methyl-4'-nitro- (6CI) (CA INDEX NAME)



RN 109039-05-6 CAPLUS

CN 2-Quinoxalinecarboxanilide, N-methyl-, 1-oxide (6CI) (CA INDEX NAME)



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ACCESSION NUMBER: 1957:56797 CAPLUS

DOCUMENT NUMBER: 51:56797

ORIGINAL REFERENCE NO.: 51:10534h-i,10535a-i,10536a-d

TITLE: Quinoxaline derivatives. III. Cyclization of 3,4-dihydro-3-oxo-2-quinoxaline carboxyureides to 1,2,3,4-tetrahydro-3-oxoquinoxaline-2-spiro-5'-hydantoins

AUTHOR(S): Clark-Lewis, J. W.

CORPORATE SOURCE: Univ. Adelaide

SOURCE: Journal of the Chemical Society (1957) 422-30
CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

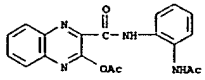
OTHER SOURCE(S): CASREACT 51:56797

AB cf. C.A. 48, 8792c. 3-Hydroxy-2-quinoxalinecarboxyureide (40 g.), 75 g. anhydrous K2CO3, 32 cc. MeI, and 500 cc. Me2CO stirred and heated 24 hrs. gave 41 g. 1,2,3,4-tetrahydro-1',3',4-trimethyl-3-oxoquinoxaline-2-spiro-5'-hydantoin (I), m. 194° (from MeOH), λmaximum 225, 301 mμ (ε 26,500, 4000), λmin. 276 mμ (ε 1700); I failed to react at room temperature with Ac2O-C5H5N, HCO2H-Ac2O at room temperature, or with p-MeC6H4SO2Cl-C5H5N 4 hrs. at 100°. I (2 g.) and 25 cc. AcCl refluxed 2 hrs. gave 1.85 g. 1-Ac derivative, prisms, m. 206° (from MeOH), λmaximum 235, 260-270 (infl.) mμ (ε26,000, 6300). 3,4-Dihydro-4-methyl-3-oxo-2-quinoxalinecarboxylic acid (II) (2 g.), 20 cc. dry C6H6, and 10 cc. SOCl2 heated 2 hrs. on the steam bath, concentrated in vacuo, 40 cc. C6H6 and 2 g. (MeNH)2CO added to the residue, the whole refluxed 3 hrs., allowed to cool, washed with aqueous NaHCO3 and H2O, and the C6H6 solution concentrated gave 1.8 g. I. I (2 g.), 50 cc. EtOH, and 50 cc. concentrated HCl refluxed 5 hrs., the EtOH distilled, the residual liquid extracted with CHCl3 and the extract concentrated gave 1.2 g. I and no acidic material. I (2 g.), 90 cc. EtOH, and 10 cc. 10N NaOH heated 3 hrs. on the steam bath, diluted with 30 cc. H2O, the EtOH distilled, and the aqueous residue treated with excess HCl gave 0.58 g. II, yellow prisms, m. 175° (decomposition), forming 1,2-dihydro-1-methyl-2-oxoquinoxaline, needles, m. 120-1° (from C6H6-petr. ether), λmaximum 230, 282, 346 mμ (ε 20,300, 5200, 5300), λmin. 260, 308 mμ (ε 2700, 2700). I (3 g.) and 12 cc. of a mixture obtained from 100 cc. H2SO4 and 45 cc. AcOH heated 1.25 hrs. at 100°, poured into ice-H2O, extracted with CHCl3, and the exts. concentrated gave 0.122 g. 3,4-dihydro-N, 4-dimethyl-3-oxo-2-quinoxalinecarboxamide hydrate (III), yellow prisms. I (6 g.) in 40 cc. AcOH treated, with cooling, with 2.2 g. NaNO2 in 10 cc. H2O gave 6.4 g. 1-ON derivative (IV), m. approx. 170° (decomposition) (from EtOH); hydrogenation of 5 g. IV in AcOH over 5% Pd on C gave 4.5 g. I, also obtained from IV in EtOH with Zn dust and AcOH. Similarly, 0.5 g. 1,2,3,4-tetrahydro-1',3'-dimethyl-3-oxo-4-phenylquinoxaline-2-spiro-5'-hydantoin in 10 cc. AcOH and NaNO2 gave 0.35 g. 1-ON derivative, yellow prisms, m. indefinitely above 200°. IV (4.3 g.), 50% KOH, and Et2O were distilled until no more MeNH2 came over with the ether (no CH2N2 was formed); the residue from the distillation gave 1.1 g. 1,2-dihydro-1-methyl-3-methylamino-2-oxoquinoxaline (V), needles, m. 158° (from MeOH). 3-Chloro-1,2-dihydro-1-methyl-2-oxoquinoxaline (0.5 g.), 40 cc. MeOH, and 40 cc. 25% aqueous MeNH2 heated 2 hrs. on the steam bath, evaporated to dryness, the residue dissolved in 2N HCl, and the solution treated with an excess of aqueous NH3 gave 0.4 g. V; Ac derivative, needles, m. 205° (from MeOH). However, 3-chloro-1,2-dihydro-2-oxoquinoxaline and MeOH-concentrated aqueous NH3 under the same conditions gave 88% 3-MeO analog, m. 123°, and only a trace of 3-H2N compound, m. 278°. 3,4-Dihydro-4-methyl-3-oxo-2-quinoxalinecarboxyureide (2 g.) in 50 cc. H2O containing approx. 1.5 equivs. Na2CO3 warmed several min. to effect solution, filtered, and the filtrate acidified gave 1.8 g. 1,2,3,4-tetrahydro-4-methyl-3-oxoquinoxaline-2-spiro-5'-hydantoin (VI), fine needles, m. 238° (decomposition) (from aqueous EtOH), λmaximum 219, 300 mμ (ε 21,000, 4400), λmin.

276 mμ (ε 1400). [The compound, m. 224°, reported by Kuhling and Kaselitz, Ber. 39, 1314(1906) as "Methylaminophenylimino-alloxansaure" is considered by C.-L. to be hydrated VI; VI.0.5H2O becomes anhydrous only at 110° in vacuo.] VI, AcCl, and Ac2O gave the 1-Ac derivative (VII), needles, m. 294° (from H2O). 3,4-Dihydro-4-methyl-3-oxo-2-quinoxalinecarboxyureide (VIII), was unaffected by AcCl-Ac2O, hence the Ac derivative of VIII reported by K. and K. (loc. cit.) was probably VII. VII (0.13 g.) and MeI in Me2CO-K2CO3 gave 0.083 g. 1-Ac derivative, prisms, m. 206° (from MeOH), of I. VI and CH2N2 in Et2O gave the 3',4-Me2 derivative (IX), m. 272-3° (from aqueous HCONMe2), which with MeI in Me2CO and K2CO3 as above gave I. 3-Hydroxy-2-quinoxalinecarboxyureide (6.2 g.) and aqueous Na2CO3 warmed to effect solution and acidified with 12N HCl gave 5 g.

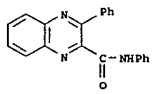
1,2,3,4-tetrahydro-3-oxoquinoxaline-2-spiro-5'-hydantoin-0.5H2O (X), fine needles, m. 250° (decomposition) (from aqueous EtOH or HCONMe2), λmaximum 225, 301 mμ (ε 21,600, 4000), λmin. 279 mμ (ε 2800), described by Bednarczyk and Marchlewski (C.A. 33, 49636) as the isomeric ureide. X (1 g.) and CH2N2 gave the 3',4-Me2 derivative, m. 272-3°, along with a gum presumed to be the isomeric 3-methoxy-3'-methyl compound. 3,4-Dihydro-3-oxo-4-phenyl-2-quinoxalinecarboxyureide (0.1 g.) in 40 cc. boiling H2O containing 1.5 equivs. Na2CO3, filtered and the filtrate acidified with 2N H2SO4 gave 0.09 g. 1,2,3,4-tetrahydro-3-oxo-4-phenylquinoxaline-2-spiro-5'-hydantoin, m. 225-6° (decomposition) (from aqueous EtOH) [cf. "Phenylaminophenylimino-alloxansaure described by K. and K. (loc. cit.)]. 3-Methoxy-2-quinoxalinecarboxyureide, λmaximum 246, 309, 340 mμ (ε 20, 100, 6500, 5200), m. 225°, was rapidly hydrolyzed by warm aqueous Na2CO3 to the carboxylic acid, m. 140-2° (decomposition), which with CH2N2 gave the Me ester, m. 107°. Et 3-hydroxy-2-quinoxalinecarboxylate (XI) in a little MeOH and concentrated aqueous NH3 gave the amide (XII), m. 308° (decomposition); similarly, 2 g. XI and 20 cc. 25% MeNH2 kept 8 hrs. at room temperature and the whole treated with excess 12N HCl gave 1.6 g. N-methylamide (XIII), m. 310-11° (decomposition) (from aqueous MeOH). XII (1 g.) and 10 cc. PhNH2 refluxed 8-10 hrs., cooled, and added to excess 2N HCl gave 1.4 g. 2-carboxanilide, m. 340° (decomposition) (from aqueous HCONMe2). XII (3.6 g.), 4 g. anhydrous K2CO3, 2.0 cc. Me2SO4, and 100 cc. Me2CO refluxed 3 hrs., the solid material filtered, and the solid treated with 2N HCl gave 3.2 g. 3,4-dihydro-4-methyl-3-oxo-2-quinoxalinecarboxyamide (XIII), yellow needles, m. 254-5° (from H2O), λmaximum 234, 301, 370 mμ (ε 21,000, 7300, 5200), λmin. 262, 332 mμ (ε 2200, 3200), unaffected by further treatment with Me2SO4. II (4.5 g.) and 1 equivalent BuO2CCl in dry CHCl3 gave a mixed anhydride (XIV); XIV with 1 equivalent Et3N kept 10 min. at 0-10°, a one-third aliquot treated with an excess of Me2NH, the mixture kept 14 hrs., washed with 2N HCl, aqueous NaHCO3, and H2O, and the CHCl3 solution then evaporated gave 0.32 g. N,N-dimethylamide, m. 115° (from C6H6-petr. ether), λmaximum 232, 288, 353 mμ (ε 23,000, 8100, 6800), λmin. 218, 264, 317 mμ (ε 16,100, 4800, 4300). XIII and Me2SO4 as above gave 3,4-dihydro-N,4-dimethyl-3-oxo-2-quinoxalinecarboxamide-H2O, (XV), pale yellow needles, m. 167-8° (from MeOH), λmaximum 234, 302, 369 mμ (ε 20,500, 8900, 6200), λmin. 262 and 332 mμ (ε 2100, 4200), also obtained by hydrolyzing I or by treating XIV as above with MeNH2. The acid chloride from II and SOCl2 in C6H6 as above treated with PhNHMe gave 75% N-methylanilide (XVI), m. 169° (from C6H6-petr. ether), λmaximum 232, 292, 354 mμ (ε 20,500, 6800, 6700), λmin. 218, 264, 318 mμ (ε 14,500, 3000, 4200); XIV and PhNHMe also gave XVI. XI (1 g.), o-C6H4(NH2)2, 8 cc. EtOH, and 2.5 cc. 1:1 AcOH-H2O heated 20 hrs. at 100°, and the solid collected and extracted with 100 ml. boiling EtOH to remove the color gave 0.7 g. 2,2'-spirodi-(1,2,3,4-tetrahydro-3-oxoquinoxaline) (XVII), white powder, m. above 375°, λmaximum 227, 301-2 mμ (ε 47,700, 10,200), λmin. 275 mμ (ε 5200). XVII (0.5 g.) heated 6 hrs. on the steam bath with AcCl-Ac2O gave 0.15 2'-acetamido-3-acetoxy-2-quinoxalinecarboxanilide, yellow needles, m. 230° (decomposition), λmaximum 232, 314, 352 mμ (ε 33,300, 9400, 900), λmin. 268, 332, mμ (ε 5100, 8800).

IT 101893-49-6, 2-Quinoxalinecarboxanilide, 2'-acetamido-3-hydroxy-, acetate
(preparation of)
RN 101893-49-6 CAPLUS
CN 2-Quinoxalinecarboxanilide, 2'-acetamido-3-hydroxy-, acetate (6CI) (CA INDEX NAME)



L5 ANSWER 279 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1956:64392 CAPLUS
DOCUMENT NUMBER: 50:64392
ORIGINAL REFERENCE NO.: 50:11981f-g
TITLE: Peptidelike polyoxo compounds. III. Synthesis of β-phenyl-α,β-dioxopropionanilide
AUTHOR(S): Balenovic, K.; Lacan, M.
CORPORATE SOURCE: Univ. Zagreb, Yugoslavia
SOURCE: Arhiv Kem. (1955), 27, 219-20
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C.A. 50, 810f(in English). Adding 0.6 ml. 33% NaOH to a boiling solution of 4.5 g. p-ONC6H4NMe2 and 7.2 g. BzCH2CONHPh in 40 ml. EtOH, refluxing 5 min., keeping 1 hr. at -20°, and crystallizing the separated crystals from absolute EtOH give 5.8 g. p-Me2NC6H4N.CBzCONHPh (I), m. 191-2°. I (4.2 g.) triturated with 80 ml. 23% H2SO4 at 0° and kept 2 hrs. gives 1.7 g. BzCOCONHPh, m. 115° (from C6H6); this with o-C6H4(NH2)2 gave the quinoxaline derivative, m. 179-80° (from C6H6).

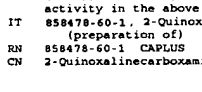
IT 857757-46-1, 2-Quinoxalinecarboxanilide, 3-phenyl-
(preparation of)
RN 857757-46-1 CAPLUS
CN 2-Quinoxalinecarboxanilide, 3-phenyl- (5CI) (CA INDEX NAME)



L5 ANSWER 280 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1953:44613 CAPLUS
DOCUMENT NUMBER: 47:44613
ORIGINAL REFERENCE NO.: 47:7508a-i,7509a-e
TITLE: Experimental chemotherapy of tuberculosis. II. The synthesis of pyrazinamides and related compounds
AUTHOR(S): Kushner, S.; Dalalian, H.; Sanjurjo, J. L.; Bach, F. L., Jr.; Safir, S. R.; Smith, V. K., Jr.; Williams, J. H.

CORPORATE SOURCE: American Cyanamid Co., Stamford, CT
SOURCE: Journal of the American Chemical Society (1952), 74, 3617-21
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C.A. 43, 5025b. To 5.0 g. 2-aminothiazole was added slowly a suspension of 3.5 g. freshly prepared pyrazinoyl chloride (I) in 15 cc. EtOAc, the mixture heated 10 min. on a steam bath, the supernatant hot EtOAc decanted, the residue heated again with 15 cc. EtOAc, the procedure repeated, the combined EtOAc-layers were evaporated to dryness, and the solid, yellow residue was washed with cold H2O, filtered, dried, and recrystd. from hot EtOAc to give 3.0 g. (60%) N-(2-thiazolyl)pyrazinamide, m. 187-9°. By the same procedure were prepared the following N-mono- or N,N-disubstituted pyrazinamides (substituent given): Me, m. 105°; Me2, m. 70-2°; Bu 20%, b3 167-70°; C16H33 50%, m. 85-7° (from C6H6-EtOH); PhCH2, m. 116-18°; Ph 55-60%, m. 127-30°; p-ClC6H4 60%, m. 184-5°; o-ClC6H4 60%, m. 135-6°; m-ClC6H4 60%, m. 145-7°; 2-pyridyl, 65%, m. 138-40°; 3-pyridyl 62%, m. 185-6°; 1-piperidyl 80%, m. 68-9° (from Me2CO); 3-quinoxalyl 76%, m. 205-6°; and 2-pyrazinyl 40%, m. 190-2°. Et N-pyrazinoyl- β-alanate (II) (1 g.) in 25 cc. MeOH saturated with NH3 at 0° gave 55% β-(N'-pyrazinoylamino)propionamide, m. 206-8°. Me pyrazinoate (III) (5.0 g.), 7.5 g. NO(CH2)2NHCH2CH2NH2, and 30 cc. absolute EtOH refluxed 60 hrs. gave 84% N-(2-hydroxyethyl)-N'-pyrazinoylethylene-diamine, m. 107-8°. Similarly were prepared from III and iso-BuNH2, N-isobutylpyrazinamide, m. 63-4° (from C6H6-EtOH); and from III and p-MeOC6H4CH2NH2, 50% N-(p-methoxybenzyl)pyrazinamide m. 134-6°. By ammonolysis of the appropriate, substituted pyrazinoates were prepared the following substituted pyrazinamides (substituents given): 6-Me, 83%, m. 204-5° (from EtOH); 3-H2N ,50%, m. 237-9°; 3-amino-6-bromo (IV) 80%, m. 215-17°; 3-HO, m. 265° (decomposition). 2,3-Pyrazinedicarboxamide (V) m. 240°, (decomposition); 2,6-isomer, 90%, m. 300° (decomposition); 6-Me derivative of IV, 80%, m. 215-17°. To 15 g. H2N(CH2)2-CH:CHCO2H and 5.2 g. NaOH in 100 cc. ice-cold H2O were added simultaneously during 30 min. with stirring 9 g. NaOH in 50 cc. H2O and 10 g. I in 50 cc. C6H6, the mixture was stirred 30 min. at room temperature, the C6H6 removed in vacuo, and the resulting aqueous solution acidified with 6N HCl to give 70% 5-pyrazinoylamino-2-pentenoic acid, m. 200-1°. By the same procedure but with NaHCO3 were prepared 70% di-Et N-pyrazinoylaspartate, m. 64-5°, and 50% II, m. 87-9°. Cyanopyrazine (VI), b6-7 86-7°, (21.9 g.) in 125 cc. dry Et2O and 8.4 g. absolute MeOH saturated with HCl at 0° and the mixture let stand 15 hrs. at room temperature gave 25.6 g. Me pyrazinimidate-2HCl, m. above 150° (with darkening and decomposition); this was added to 600 cc. ice-cold 8% alc. NH3, the mixture shaken 1 day at room temperature, filtered, the filtrate evaporated to dryness in vacuo, and the solid residue boiled briefly with 125 cc. Me2CO, filtered, and crystallized from EtOH to give 6 g. pyrazinecarboxamidine-HCl, m. 215-18° (decomposition); picrate, m. 221-4°. VI (15 g.) in 200 cc. saturated, alc. NH3 saturated with H2S and the mixture let stand overnight at room temperature yielded 90% thiocarbamyl-pyrazine, m. 195-6°. To 13.8 g. III and 7 g. NH2OH.HCl in 50 cc. ice-water was added 16 cc. 12.5 N NaOH, and the mixture let stand 15 min. in an ice bath and neutralized with HCl to give 72% pyrazinohydroxamic acid, m. 163-5° (from H2O), gives a wine color with alc. FeCl3. Pyrazinamide (VII) (21 g.), 84 cc. AcOH, and 210 cc. 30% H2O2 heated 34 hrs. at 56° gave 45% pyrazinoic acid 4-oxide, m. 292-3° (decomposition) (from AcOH), also obtained by similar oxidation of VI. VII (10 g.) and 17 g. MeI refluxed 12 hrs. in 100 cc. MeOH yielded 38% 3-carbamyl-1-methylpyrazinium iodide (VIII), m. 192-202° (from H2O). VII (4 g.) refluxed 1.25 hrs. with 20 cc. Ac2O gave 55% N-Ac derivative (IX), of VII, m. 92-7°. VII (15 g.), 18 cc. aqueous CH2O, and 0.2 g. K2CO3 heated on a steam bath until a clear solution was formed yielded 80% N-(hydroxymethyl)pyrazinamide, m. 129-36.5°. 1-Phenylsulfonyl-2-pyrazinoylhydrazine (X) 86% was obtained from PhSO2Cl and pyrazinoic acid hydrazide(XI),m. 169°. Dry X(10g.)and 18g. finely powdered Na2CO3 heated at 150-70° and 35 mm. pressure, and the vapors bubbled through 3% aqueous H2NC(:S)NHNH2 gave 9% pyrazinaldehyde thiosemicarbazone (XII), m. 237-9° (decomposition). XI (2.8 g.) and 3.3 g. p-AcNHC6H4CHO in 100 cc. absolute EtOH refluxed 5 min. yielded 92% pyrazinoic acid (p-acetamidobenzylidene)hydrazide, m. above 250°. To MeMgI (from 50 g. MeI and 9 g. Mg) in 300 cc. dry Et2O was added dropwise over 20 min. 13 g. VI in 150 cc. Et2O, and the mixture poured on ice and acidified to give 77% acetylpyrazine (XIII), m. 76-8° (from Et2O); thiosemicarbazone (XIV), 67%, m. 226-7° (decomposition); oxime, 50%, m. 113-15° (sublimed at 100° and 0.05 mm.). Powdered S (1.5 g.) in 15 cc. concentrated NH4OH saturated with H2S, 3.0 g. XIII, and 12 cc. dioxane heated 24 hrs. in a sealed tube at 170° gave 0.2 g. pyrazinacetamide, m. 108-10° (from EtOH-petr. ether). XIII (12.2 g.), 5.2 g. S, and 15 cc. morpholine refluxed 6 hrs. yielded 80% 4-(2-pyrazinylthioacetyl)morpholine, m. 92-3°. HCl passed through 29.6 g. pyrazinyldiazomethyl ketone (XV) in 600 cc. dry Et2O until the N evolution ceased gave 30% (chloroacetyl)pyrazine, m. 85-6°; thiosemicarbazone, 30%, m. 222-4°. To 30 cc. glacial AcOH was added at 50° in portions 6.4 g. XV, and, after all the N had been evolved, 0.5 g. KOAc, the mixture heated 1 hr. at 100°, and the AcOH distilled off in vacuo to yield 10% (acetoxyacetyl)pyrazine, m. 67-8°. VI (5.1 g.), 3.3 g. NaN3, 10 cc. glacial AcOH and 15 cc. iso-PrOH autoclaved 5 days at 150° gave 30% 5-pyrazinyl-1H-tetrazole, m. 182-4°. Concentrated aqueous solns. of 2-aminopyrazine and KSCN mixed and acidified during 1 hr. with 1 molar equivalent HCl gave 80% 1-pyrazinyl-2-thiourea, m. 128°. PhONa (36 g.) and 36 g. chloropyrazine refluxed 13 hrs. yielded 72% Ph pyrazinyl ether, m. 50-2°. 3-Methyl-2-quinoxalincarboxaldehyde thiosemicarbazone (XVI), m. 251-2° (decomposition) was obtained in 30% yield by refluxing the components 2 hrs. in absolute EtOH. All above mentioned pyrazine derivs. were tested in a standardized mouse test for T. B. activity at the arbitrary level of 0.2% of diet (8 mg./day), with survival as a criterion. VII, m. 189-91°, was highly active, and IX and XII showed a slight activity. All others were inactive; IV, V, VIII, X, XI, XII, and XIV were also toxic. The following addnl. pyrazine derivs. (substituents and m.ps. given) were also tested and found inactive: CO2H, 225-6°; C(OAc):NH.2HCl, 180°; CO2-Me.HCl, 46°; 6,2-Me(HO2C), 138-40°; 2,3-(HO2C)2, 179-82°; 2,3-CONHCO-, m. 245°; and 6,2,3-Me(HO2C)2, 43.4°. XVI, 2-chloro-3-quinoxalinecarboxamide (XVII), m. 207-9°, and its N-PhCH2 derivative did not exhibit T.B. activity in the above test.

IT 858478-60-1, 2-Quinoxalinecarboxamide, N-benzyl-3-chloro-
(preparation of)
RN 858478-60-1 CAPLUS
CN 2-Quinoxalinecarboxamide, N-benzyl-3-chloro- (5CI) (CA INDEX NAME)



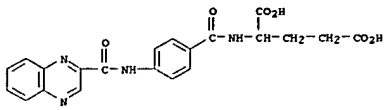
L5 ANSWER 281 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1948:30025 CAPLUS
DOCUMENT NUMBER: 42:30025

ORIGINAL REFERENCE NO.: 42:6402e-h
TITLE: Some structural analogs antagonistic to pteroylglutamic acid
AUTHOR(S): Woolley, D. W.; Pringle, A.
CORPORATE SOURCE: Rockefeller Inst. for Med. Research, New York, NY
SOURCE: Journal of Biological Chemistry (1948), 174, 327-33
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

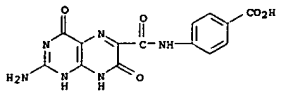
AB A complex product (I) is prepared by condensation of o-phenylenediamine, dibromopropionaldehyde, and p-aminobenzoylglutamic acid. Quinoxaline-2-carboxylyl-p-aminobenzoylglutamic acid (II) is prepared from p-aminobenzoylglutamic acid and quinoxaline-2-carboxylyl chloride. 2-Amino-4,7-dihydroxypteridine-6-carboxylyl-p-aminobenzolyglutamic acid (III) is obtained from the condensation of the acid chloride of isoxanthopterincarboxylic acid with the Na salt of p-aminobenzoylglutamic acid and precipitation at a pH of 3-4. Acidification of III ppts. 2-amino-4,7-dihydroxypteridine-6-carboxylyl-p-aminobenzoic acid (IV). These structural analogs of pteroylglutamic acid (V) inhibit the growth of certain bacteria, III being the most active. The amount of analog required for inhibition increases as the amount of V is increased. These analogs are more effective against Lactobacillus casei than against Streptococcus faecalis, and are not effective against other microorganisms which do not require V as a growth factor. Administration of 10 γ of V protects a rat against an otherwise fatal dose of 10 mg. of III.

IT 857757-44-9, 2-Quinoxalinecarboxanilide, 4'-(1,3-dicarboxypropylcarbamoyl)- 860693-29-4, Benzoic acid, p-(2-amino-4,7-dihydroxy-6-pteridinecarboxamido)- (preparation of)

RN 857757-44-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 860693-29-4 CAPLUS
CN Benzoic acid, p-(2-amino-4,7-dihydroxy-6-pteridinecarboxamido)- (5CI) (CA INDEX NAME)



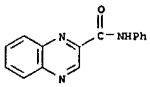
L5 ANSWER 282 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1938:56548 CAPLUS
DOCUMENT NUMBER: 32:56548
ORIGINAL REFERENCE NO.: 32:7916i,7917a-i
TITLE: Alkaline degradation of tetrahydroxybutylquinoxaline and some new quinoxaline derivatives
AUTHOR(S): Maurer, Kurt; Boettger, Bernhard
SOURCE: Ber. (1938), 71B, 1383-91
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB Tetrahydroxybutylquinoxaline (I) with acids changes, without loss of C but with elimination of water, into a new ring system, glucazidone (C. A. 31, 8512.5). Its behavior toward alkalies is quite different. No condensation occurs but the side chain is degraded and there is finally obtained, through various intermediate products, quinoxaline- α-carboxylic acid (II). Since colored substances are formed in the course of the reaction, an attempt was made to isolate some of the intermediate products. Treated in pyridine with NaOMe under strictly defined conditions, I gives a red amorphous product (III); under different conditions, II is obtained in good yield. III is practically insol. except in concentrated mineral acids and alkalies, in which it dissolves with deep red color. The alkaline solution is decolorized by atmospheric O with formation of II. III forms with PhNHNH2 an osazone (IV), to which is assigned the structure C6H4.N:CH.CR:N (V)(R = C(:NNHPh)CH:NNHPh), and on acetylation a colorless monoacetate, C12H10O3N2 (VI), whose easy saponification shows that it is an O-Ac derivative VI reduces cold Fehling solution instantly, which makes the presence of a free CHO group very probable and hence it is assigned the structure V with R = CH(CHO)COAc. Although it contains an asym. C atom it is optically inactive; racemization probably takes place during the long treatment with alkali. With aromatic primary amines (aniline, toluidine, xylidine) III forms well crystallized red derivs., all of which behave very much alike. The aniline compound (VII) dissolves in concentrated acids with indigo-blue color changing to red on addition of water, in alkalies with deep red color. Solns. in organic solvents fluoresce strongly. With oxidizing agents these solns. turn yellow and the fluorescence disappears; dehydrogenation occurs, the red VII being 1,2-dihydroquinoxalylglycolaldehyde anil, C6H4.N:CH.CHR.NH (R = CH(CH:NPh)OH), and the yellow compound the dehydro product (VIII) corresponding to V. The structure of VII is confirmed by the oxidative degradation of VII in alkaline solution to II and PhNC. The free HO group is detected by acetylation but dehydrogenation also occurs and the product (IX) is the acetate of VIII; it can also be obtained by acetylation of VIII. As Schiff bases of α-HO aldehydes, VII and VIII give with PhNHNH2 the same osazone (IV). Furthermore, both slowly change in solution into a pyrazine, (X, R = C6H4.N:CH.C:N). The action of alkali on I therefore consists in elimination of 2 C atoms from the side chain with formation of quinoxalylglycolaldehyde which is reduced by other cleavage products to the 1,2-dihydroaldehyde (III), II always being formed as a 2nd cleavage product in varying amts. III (9 g. from 30 g. I suspended in 80 cc. pyridine and heated 2 hrs. on the water bath with 6 g. Na in 80 cc. MeOH), m. 138-44° (decomposition); if the mixture is boiled 6 hrs., the chief product is II. IV, yellow, m. 243°. VI, m. 117°, is soluble in alkalies with red color. VII (1.6 g. from 2 g. III), m. 188°. IX, m. 134°. VIII, m. 208°, dissolves in AcOH with blood-red color, in H2SO4 with a greenish color changed to deep blue by a drop of water and to red by more water; it is insol. in alkali. X, orange, m. 253°, is indifferent toward dilute acids and alkalies, evolves a PhNC odor with boiling concentrated alkalies and gives PhNH2 on distillation with Zn dust. Toluidine analog of VII, m. 150°; of VIII, m. 187°; of X, m. 276°. II (70% from 10 g. I, suspended in 600 cc. of 6% H2O2, treated below 80° with 24 g. solid NaOH and heated 1 hr. at 80°), m. 210°; ferrous salt, blue-violet leaflets; aniline salt, m. 156°; chloride, from II and SOCl2, m. 115°; anilide, m. 180°, is hydrogenated with Pd in AcOH to the tetrahydro derivative, dark yellow m. 154°; toluidide, faintly yellow, m. 150°; 1,3,4-xylidide, m. 132°; Et ester, m. 85°.

IT 37648-63-8, 2-Quinoxalinecarboxanilide (preparation of)

RN 37648-63-8 CAPLUS
CN 2-Quinoxalinecarboxamide, N-phenyl- (9CI) (CA INDEX NAME)



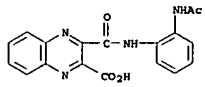
L5 ANSWER 283 OF 283 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1938:8731 CAPLUS
DOCUMENT NUMBER: 32:8731
ORIGINAL REFERENCE NO.: 32:1265a-g
TITLE: The phthaloyl reaction. The action of the anhydride of α,β-quinoxalinedicarboxylic acid on o-phenylenediamine
AUTHOR(S): Crippa, Giunio B.; Aguzzi, Augusto
SOURCE: Gazzetta Chimica Italiana (1937), 67, 352-8
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

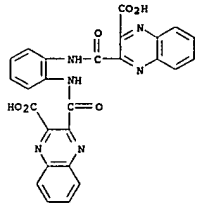
AB The condensation of α,β-quinoxalinedicarboxylic acid anhydride (I) with o-C6H4(NH2)2 (II) was studied to learn the behavior, hitherto unknown, of a cyclic anhydride combined with a heterocyclic system and at the same time to extend a previous investigation on quinoxalines. Knowledge of structural relations and other exptl. results suggested that there action would not follow the normal course of phthaloylation. The expts. show that the condensation actually does differ from the usual phthaloylation, apparently as a result of the influence of the pyrazine ring, the cycloimide group being particularly labile. I (2 g.) and II (2.4 g.) in absolute EtOH (100 cc.) refluxed for 0.5 hr. turn yellow, then ruby-red. After filtering, the combined filtrates and washings let stand for several days give β-[(o-amino)phenylamido]quinoxaline- α-carboxylic acid o-H2NC6H4NHCOC:N.C6H4.N:CCO2H (III), yellow, m. 168°, amphoteric. Acidification of its alkaline solns. gives an immediate white precipitate which soon turns yellow and yields III, perhaps in the more stable form of the internal NH4 salt. The EtOH-insol. residue in the preparation of III yields from boiling AcOH a pale yellow compound (IV), m. 186-8°; also amphoteric (though more acidic and less basic than III). With increase in the proportion of II to I, the yield of IV diminishes progressively. This fact, in conjunction with its chemical properties, makes it possible that the formula of IV is C6H4(NHCOC:N.C6H4.N:CCO2H)2, which would be genetically analogous to III. This will be studied further. I (2 g.) and o-AcHNC6H4NH2 (1.6 g.) in absolute EtOH refluxed yield β-[(o-acetylamino)phenylamido]quinoxaline- α-carboxylic acid, o-AcHNC6H4NHCOC:N.C6H4.N:CCO2H (V), pale yellow, m. 217°. It is also formed by heating III with AcCl (2 parts by weight). III or V and excess Ac2O heated for 10 min. yield quinoxaloylene-α,β-cyclo[(o-acetylamino)phenyl]imide (VI), m. 310-15°. The best yield is obtained by simple dehydration of V rather than of III, for with the latter acetylation of the free NH2 group also takes place. This reaction is analogous to the transformation o-AcHNC6H4N C6H4 (VI) of o-aminophthalimido compds. into the corresponding benzoyleneazoimido derivs. by Ac2O (cf. C. and Galimberti, C. A. 25, 3343; 27, 3463). In other words, in the reaction of I and II, the cyclic imide is formed only by subsequent dehydration by Ac2O. Moreover, since, dehydration is accompanied by acetylation, and because the NH2 group is thus rendered inactive, there is no opportunity for the formation of a quinoxaloylenebenzoimidoazole compound to be formed.

IT 855874-27-0, 2-Quinoxalinecarboxylic acid, 3-(o-acetamidophenylcarbamyl)- 855874-64-5, 2-Quinoxalinecarboxylic acid, 3,3'-[o-phenylenebis(iminocarbonyl)]di- 855874-66-7, 2-Quinoxalinecarboxylic acid, 3-(o-aminophenylcarbamyl)- (preparation of)

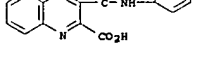
RN 855874-27-0 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-(o-acetamidophenylcarbamyl)- (4CI) (CA INDEX NAME)



RN 855874-64-5 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3,3'-[o-phenylenebis(iminocarbonyl)]di- (4CI) (CA INDEX NAME)



RN 855874-66-7 CAPLUS
CN 2-Quinoxalinecarboxylic acid, 3-(o-aminophenylcarbamyl)- (4CI) (CA INDEX NAME)



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